

## T-3262 の皮膚組織内濃度と臨床効果の検討

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新しい経口合成抗菌剤 T-3262 について、基礎的ならびに臨床的検討を行ない以下の成績を得た。

1. T-3262 150 mg 経口投与後 90~210 分後の皮膚組織への移行率（皮膚組織内濃度/血中濃度）は、56.8~251.9% であった。

450 mg（分3）連続投与では7日目、10日目で血中濃度が0.8, 0.9  $\mu\text{g/ml}$ 、皮膚組織内濃度は2.5, 1.43  $\mu\text{g/ml}$  で、移行率は312.5%, 158.9% であった。

2. 臨床効果を検討し得た211例中、著効88例、有効95例、やや有効17例、無効11例で有効以上の有効率は86.7% であった。また、疾患群別の有効率は、I群81.0% (34/42)、II群90.9% (50/55)、III群100% (5/5)、IV群84.6% (22/26)、V群85.7% (54/63)、VI群90.0% (18/20) であった。

3. 細菌学的には165例に分離菌が認められ、効果判定可能例127例の菌消失率は、95.3% (121/127) であった。また、分離頻度が多かった *Staphylococcus aureus* 64株、Coagulase negative *Staphylococci* (CNS) 42株、*Propionibacterium acnes* (*P. acnes*) 58株に対する T-3262 の MIC のピークは、それぞれ0.05, 0.05, 0.78  $\mu\text{g/ml}$  であり、norfloxacin (NFLX)、ofloxacin (OFLX)、enoxacin (ENX) より優れていた。

4. 副作用は、223例中9例(4.0%)に認められ、嘔気、下痢などの消化器症状が主であった。

臨床検査値異常は、好酸球増多3件、リンパ球増多1件、GOT 上昇5件、GPT 上昇3件、ALP 上昇1件、LDH 上昇3件、 $\gamma$ -GTP 上昇3件、LAP 上昇1件、総蛋白減少1件、尿比重減少1件、赤沈の亢進1件などが10例に認められた。

**Key words** : T-3262, ピリドンカルボン酸系抗菌剤, 皮膚組織内濃度, 浅在性化膿性疾患

皮膚細菌感染症の多くは予後が生命を脅かすほど重症のものが少なく、多くは外来投与による治療が行われるために経口剤が用いられて来たが、近時 Methicillin resistant *Staphylococcus aureus* (MRSA) の出現と増加は、*Staphylococcus aureus* を主な起炎菌とする皮膚科領域において、従来経口セフェム剤を主な治療薬として来た治療法は、大きな変換を迫られるに至った。恰も時を同じくして出現したニューキノロンは、MRSA にも有効な経口抗菌剤として、この領域において将来を大きく囑望されて、次々と新製品の開発が行われつつあるが、今回そのうちの1つ T-3262 (Fig. 1) について検討する機会を得たので報告する。

## I. 皮膚組織への移行

### 1. 対象および方法

昭和61年12月から昭和62年4月までに、帝京大学、防衛医科大学校、東京大学、関東労災病院、高知医科大学、九州大学の皮膚科の入院および外来患者19例を対象

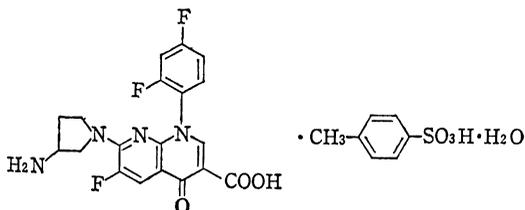


Fig. 1. Chemical structure of T-3262.

象とした。単回投与では空腹時または、食後に150 mg を投与し、連続投与では150 mg 1日3回毎食後に投与し、投与後75~240分に血清および皮膚組織を採取し、富山化学工業(株)総合研究所にて濃度測定を行った。

濃度測定は、血清および皮膚組織とも *Escherichia coli* Kp. を検定菌とし、ペーパーディスク法にて行った。標準液の調整は、T-3262 を0.1 N NaOH に溶解し、皮膚内濃度測定用として1/15 M Phosphate buffer で希釈、血清中濃度測定用としてコンセラで希釈し、100  $\mu\text{g/ml}$  から0.025  $\mu\text{g/ml}$  まで2倍希釈系列を作製した。

## 2. 成績

T-3262 単回経口投与した際の T-3262 血中濃度および皮膚組織内濃度は、Table 1, に示すように、単回投与では投与後75~240分で皮膚組織内濃度は $<0.1\sim 1.6 \mu\text{g/ml}$ 、その時の血中濃度は $<0.039\sim 1.0 \mu\text{g/ml}$  であった (Table 1)。また連続投与では、皮膚組織内濃度は最終投与後135分、225分で、皮膚組織内濃度は2.5  $\mu\text{g/ml}$  と1.43  $\mu\text{g/ml}$ 、血清中濃度は、0.8  $\mu\text{g/ml}$ 、0.9  $\mu\text{g/ml}$  と皮膚組織内濃度の方が高かった (Table 2)。

## II. 臨床効果の検討

### 1. 対象および方法

昭和61年6月から昭和62年7月までに表記の17施設における皮膚科の入院および外来受診患者で、浅在性化膿性疾患の診断を受けた患者223例を対象とした

Table 1. Skin and serum concentrations of T-3262 after oral single 150 mg administration

| No. | Time (min.) | Concentration            |   | Ratio          |
|-----|-------------|--------------------------|---|----------------|
|     |             | Skin ( $\mu\text{g/g}$ ) | Serum (Sampling) ( $\mu\text{g/ml}$ ) (time : min.) | Skin/Serum (%) |
| 1   | 75          | <0.1                     | 0.135   |                |
| 2   | 90          | <0.1                     | 0.38 (120)  |                |
| 3   | 90          | 0.21                     | 0.26 (120)  | 80.8           |
| 4   | 95          | <0.1                     | 0.11  |                |
| 5   | 100         | 0.53                     | 0.93 (145)  | 57.0           |
| 6   | 105         | <0.1                     | <0.039  |                |
| 7   | 110         | 0.25                     | 0.34  | 73.5           |
| 8   | 120         | 0.25                     | 0.44  | 56.8           |
| 9   | 120         | 1.33                     | 0.84  | 158.3          |
| 10  | 130         | 0.43                     | 0.63  | 68.3           |
| 11  | 135         | <0.1                     | <0.039 (90)   |                |
| 12  | 135         | <0.1                     | 0.066   |                |
| 13  | 135         | <0.1                     | 0.078 (150)   |                |
| 14  | 150         | 0.58                     | 0.59  | 98.3           |
| 15  | 180         | <0.1                     | <0.039 (210)  |                |
| 16  | 180         | 0.34                     | 0.135   | 251.9          |
|     | 210         | 0.2                      | 0.15  | 133.3          |
| 17  | 210         | 1.6                      | 1.0 (240)   | 160.0          |

Table 2. Skin and serum concentrations of T-3262 after continuous oral administration (150 mg $\times$ 3/day)

| No. | Duration (day) | Sampling time : min. | Concentration            |                            | Ratio          |
|-----|----------------|----------------------|--------------------------|----------------------------|----------------|
|     |                |                      | Skin ( $\mu\text{g/g}$ ) | Serum ( $\mu\text{g/ml}$ ) | Skin/Serum (%) |
| 1   | 7              | 135                  | 2.5                      | 0.8                        | 312.5          |
| 2   | 10             | 225                  | 1.43                     | 0.9                        | 158.9          |

(Table 3)。このうち併用薬違反6例、直前まで抗菌剤を投与していた2例と対象外疾患(多発性皮下膿瘍)1例の計9例を除外し、副作用のため1回または2回で投与を中止した3例は、有用性のみ採用とした。これら12例を除いた211例について臨床効果判定を行った。

対象疾患は、病変の経過と治療効果が近縁と考えられる、次の6群に分類した。

第I群：毛のう炎(毛包炎)、膿疱性痤瘡、尋常性毛瘡、尋常性痤瘡

第II群：癰、癰腫症、よう

第III群：伝染性膿痂疹、膿痂疹性湿疹

第IV群：蜂窩織炎、丹毒、表在性リンパ管(節)炎、化膿性爪囲炎、癰疽、感染性血栓性静脈炎

第V群：皮下膿瘍、化膿性汗腺炎、感染性粉瘤、集簇

性痤瘡、慢性膿皮症、肛門周囲膿瘍

第VI群：外傷・熱傷・手術創などの二次感染、感染性褥瘡

## 2. 投与方法および投与期間

1錠中にT-3262 75 mg および 150 mg を含有する錠剤を使用し、1回 75 mg から 300 mg を1日2~3回経口投与した。

投与期間は、原則としてI~IV群およびVI群は7日間、V群は10日間とした。

## 3. 評価項目

### 1) 自・他覚所見

対象疾患群別の評価項目は、次のとおりとした。

第I群：丘疹、膿疱、硬結、発疹新生

第II群：硬結、自発痛、圧痛、発赤、腫脹

Table 3-1. Clinical results of T-3262

| Case No. | Initial Sex Age | Diagnosis    | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day) | Organisms                                 |                |                 |      | GIR                 | Bacteriological response | Global clinical improvement | Side effect | GUR               | Remarks |
|----------|-----------------|--------------|---|----------|------------------------------|------------------------------|---|----------------|-----------------|------|---------------------|--------------------------|-----------------------------|-------------|-------------------|---------|
|          |                 |              |   |          |                              |                              | Before                                    | MIC            | After           | MIC  |                     |                          |                             |             |                   |         |
| 1        | Y. H. F 21      | Folliculitis | Aggravated  | Moderate | DOXY                         | 75 × 2<br>14                 | <i>P. anaerobius</i>                      | 0.39           |                 |      | Moderately improved | Eliminated               | Good                        | -           | Moderately useful |         |
| 2        | T. O. M 21      | Folliculitis | Aggravated  | Moderate | -                            | 75 × 2<br>10                 | <i>P. acnes</i>                           | 1.56           |                 |      | Slightly improved   | Unknown                  | Fair                        | -           | Slightly useful   |         |
| 3        | F. I. F 20      | Folliculitis | Aggravated  | Mild     | -                            | 150 × 2<br>7                 | <i>S. epidermidis</i><br><i>P. acnes</i>  | 0.05<br>1.56   |                 |      | Moderately improved | Unknown                  | Good                        | -           | Moderately useful |         |
| 4        | N. T. M 34      | Folliculitis | Aggravated  | Moderate | DOXY                         | 150 × 2<br>14                | <i>P. acnes</i>                           | 3.13           | <i>P. acnes</i> | 0.78 | Remarkably improved | Unchanged                | Good                        | -           | Moderately useful |         |
| 5        | H. H. M 22      | Folliculitis | Aggravated  | Mild     | -                            | 150 × 2<br>6                 | <i>S. aureus</i><br><i>P. acnes</i>       | 0.05<br>0.78   |                 |      | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |         |
| 6        | I. H. F 21      | Folliculitis | Stationary  | Mild     | -                            | 150 × 2<br>6                 | <i>P. acnes</i>                           | 0.78           |                 |      | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |         |
| 7        | S. K. M 27      | Folliculitis | Aggravated  | Mild     | -                            | 150 × 2<br>4                 | <i>P. micros</i>                          | 0.78           |                 |      | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |         |
| 8        | T. O. M 19      | Folliculitis | Aggravated  | Moderate | -                            | 150 × 2<br>21                | <i>P. acnes</i>                           | 3.13           |                 |      | Unchanged           | Unknown                  | Poor                        | -           | Useless           |         |
| 9        | N. K. M 20      | Folliculitis | Aggravated  | Moderate | -                            | 150 × 2<br>10                | <i>P. acnes</i>                           | 1.56           |                 |      | Cured               | Eliminated               | Good                        | -           | Moderately useful |         |
| 10       | M. Y. F 32      | Folliculitis | Stationary  | Moderate | -                            | 150 × 2<br>5                 | <i>S. pyogenes</i><br><i>B. coagulans</i> | 0.39<br>0.0125 |                 |      | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |         |

GIR : global improvement rating    GUR : global usefulness rating    DOXY : doxycycline

Table 3-2. Clinical results of T-3262

| Case No. | Initial Sex Age  | Diagnosis    | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day) | Organisms   |                            |       |     | GIR                 | Bacteriological response | Global clinical improvement | Side effect | GUR               | Remarks        |
|----------|------------------|--------------|---|----------|------------------------------|------------------------------|---|----------------------------|-------|-----|---------------------|--------------------------|-----------------------------|-------------|-------------------|----------------|
|          |                  |              |   |          |                              |                              | Before  | MIC                        | After | MIC |                     |                          |                             |             |                   |                |
| 11       | H. A.<br>M<br>32 | Folliculitis | Stationary  | Moderate | -                            | 150 × 2<br>8                 | <i>P. acnes</i>   | 0.78                       |       |     | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |                |
| 12       | K. T.<br>M<br>21 | Folliculitis | Aggravated  | Moderate | -                            | 150 × 2<br>7                 | <i>P. acnes</i>   | 0.78                       |       |     | Remarkably improved | Eliminated               | Good                        | -           | Moderately useful |                |
| 13       | H. M.<br>M<br>20 | Folliculitis | Aggravated  | Moderate | -                            | 150 × 2<br>14                | <i>S. aureus</i><br><i>P. acnes</i>   | 0.05<br>0.78               |       |     | Unchanged           | Unknown                  | Poor                        | -           | Useless           |                |
| 14       | O. Y.<br>F<br>35 | Folliculitis | Aggravated  | Moderate | MINO                         | 150 × 2<br>14                | N.G.  |                            |       |     | Slightly improved   | Unknown                  | Fair                        | -           | Slightly useful   |                |
| 15       | W. A.<br>F<br>19 | Folliculitis | Aggravated  | Mild     | -                            | 150 × 2<br>14                | <i>S. epidermidis</i><br><i>P. acnes</i>  | 0.05<br>0.78               |       |     | Cured               | Eliminated               | Excellent                   | -           | Moderately useful | GOT ↑<br>GPT ↑ |
| 16       | H. Y.<br>F<br>36 | Folliculitis | Aggravated  | Mild     | -                            | 150 × 2<br>10                | <i>S. aureus</i><br><i>S. epidermidis</i><br><i>S. pyogenes</i><br><i>S. constellatus</i> | 0.05<br>0.05<br>0.1<br>0.1 |       |     | Remarkably improved | Eliminated               | Good                        | -           | Moderately useful | Eosinophilia   |
| 17       | K. S.<br>M<br>68 | Folliculitis | Aggravated  | Moderate | -                            | 150 × 2<br>7                 | <i>S. aureus</i>  |                            |       |     | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |                |
| 18       | K. T.<br>F<br>45 | Folliculitis | Aggravated  | Mild     | ENX                          | 150 × 2<br>7                 | <i>P. acnes</i>   | 1.56                       |       |     | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |                |
| 19       | N. S.<br>F<br>18 | Folliculitis | Aggravated  | Moderate | -                            | 150 × 2<br>14                | <i>S. epidermidis</i><br><i>P. acnes</i>  | 0.05<br>0.78               |       |     | Remarkably improved | Eliminated               | Excellent                   | -           | Remarkably useful |                |

GIR : global improvement rating    GUR : global usefulness rating    N.G. : no growth    MINO : minocycline    ENX : enoxacin

Table 3-3. Clinical results of T-3262

| Case No. | Initial Sex Age | Diagnosis    | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day) | Organisms                              |              |                 |      | GIR                 | Bacteriological response | Global clinical improvement | Side effect | GUR               | Remarks |
|----------|-----------------|--------------|---|----------|------------------------------|------------------------------|--|--------------|-----------------|------|---------------------|--------------------------|-----------------------------|-------------|-------------------|---------|
|          |                 |              |   |          |                              |                              | Before                                 | MIC          | After           | MIC  |                     |                          |                             |             |                   |         |
| 20       | A. K. F 25      | Folliculitis | Aggravated  | Moderate | -                            | 150 × 2<br>14                | <i>E. aerogenes</i><br><i>P. acnes</i> | 0.05<br>0.78 | N.G.            |      | Moderately improved | Eliminated               | Good                        | -           | Moderately useful |         |
| 21       | U. E. F 35      | Folliculitis | Stationary  | Mild     | -                            | 150 × 2<br>7                 | <i>S. aureus</i>                       | 0.05         |                 |      | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |         |
| 22       | F. Y. F 17      | Folliculitis | Aggravated  | Moderate | -                            | 150 × 2<br>14                | <i>S. hominis</i><br><i>P. acnes</i>   | 0.05<br>0.39 | <i>P. acnes</i> | 1.56 | Moderately improved | Decreased                | Good                        | -           | Moderately useful |         |
| 23       | S. N. F 20      | Folliculitis | Aggravated  | Moderate | OFLX                         | 150 × 2<br>6                 | <i>P. acnes</i>                        | 0.78         | <i>P. acnes</i> | 0.39 | Moderately improved | Unchanged                | Good                        | -           | Moderately useful |         |
| 24       | K. M. M 72      | Folliculitis | Aggravated  | Severe   | MINO                         | 150 × 2<br>22                | N.T.                                   |              |                 |      | Moderately improved | Unknown                  | Good                        | -           | Moderately useful |         |
| 25       | H. Y. F 15      | Folliculitis | Stationary  | Moderate | OFLX                         | 150 × 3<br>7                 | <i>P. acnes</i>                        | 0.78         |                 |      | Remarkably improved | Unknown                  | Good                        | -           | Moderately useful |         |
| 26       | T. S. M 16      | Folliculitis | Aggravated  | Moderate | -                            | 150 × 3<br>7                 | <i>P. acnes</i>                        | 1.56         |                 |      | Moderately improved | Unknown                  | Fair                        | -           | Slightly useful   |         |
| 27       | M. K. F 33      | Folliculitis | Stationary  | Mild     | -                            | 150 × 3<br>7                 | <i>P. acnes</i>                        | 1.56         |                 |      | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |         |
| 28       | K. A. M 19      | Folliculitis | Stationary  | Moderate | -                            | 150 × 3<br>3                 | <i>P. acnes</i>                        | 1.56         |                 |      | Remarkably improved | Eliminated               | Good                        | -           | Moderately useful |         |
| 29       | H. K. M 35      | Folliculitis | Stationary  | Moderate | -                            | 150 × 3<br>10                | <i>P. acnes</i>                        | 0.39         |                 |      | Aggravated          | Unknown                  | Poor                        | -           | Useless           |         |

GIR : global improvement rating GUR : global usefulness rating N.G. : no growth N.T. : not tested OFLX : ofloxacin MINO : minocycline

Table 3-4. Clinical results of T-3262

| Case No. | Initial Sex Age  | Diagnosis      | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day) | Organisms                                    |       |       |      | GIR                 | Bacteriological response | Global clinical improvement | Side effect | GUR               | Remarks |
|----------|------------------|----------------|---|----------|------------------------------|------------------------------|--|-------|-------|------|---------------------|--------------------------|-----------------------------|-------------|-------------------|---------|
|          |                  |                |   |          |                              |                              | Before                                       | MIC   | After | MIC  |                     |                          |                             |             |                   |         |
| 30       | K. S.<br>M<br>29 | Folliculitis   | Aggravated  | Mild     | -                            | 150 × 3<br>7                 | <i>P. acnes</i>                              | 0.78  |       |      | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |         |
| 31       | I. T.<br>F<br>35 | Folliculitis   | Aggravated  | Mild     | MINO                         | 150 × 3<br>3                 | N.G.   |       |       |      | Cured               | Unknown                  | Excellent                   | -           | Remarkably useful |         |
| 32       | H. O.<br>M<br>58 | Folliculitis   | Aggravated  | Moderate | -                            | 150 × 3<br>7                 | <i>S. saprophyticus</i>                      | 0.05  |       |      | Cured               | Eliminated               | Good                        | -           | Moderately useful |         |
| 33       | K. K.<br>M<br>37 | Folliculitis   | Aggravated  | Moderate | -                            | 150 × 3<br>7                 | <i>S. aureus</i>                             | 0.025 |       |      | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |         |
| 34       | K. K.<br>M<br>22 | Folliculitis   | Aggravated  | Moderate | -                            | 300 × 2<br>11                | <i>S. aureus</i>                             | 0.05  |       | N.G. | Remarkably improved | Eliminated               | Good                        | -           | Moderately useful |         |
| 35       | T. M.<br>M<br>32 | Folliculitis   | Aggravated  | Moderate | -                            | 300 × 2<br>7                 | <i>S. aureus</i>                             | 0.05  |       |      | Moderately improved | Eliminated               | Good                        | -           | Moderately useful |         |
| 36       | Y. T.<br>F<br>29 | Folliculitis   | Aggravated  | Moderate | -                            | 150 × 2<br>7<br>75 × 2<br>7  | <i>P. acnes</i>                              | 1.56  |       |      | Moderately improved | Eliminated               | Good                        | -           | Moderately useful |         |
| 37       | M. Y.<br>F<br>20 | Acne pustulosa | Aggravated  | Moderate | -                            | 75 × 2<br>9                  | <i>P. acnes</i>                              | 1.56  |       |      | Remarkably improved | Eliminated               | Excellent                   | -           | Remarkably useful |         |
| 38       | H. Y.<br>M<br>31 | Acne pustulosa | Aggravated  | Moderate | DOXY                         | 150 × 2<br>22                | N.T.   |       |       |      | Remarkably improved | Unknown                  | Excellent                   | -           | Remarkably useful |         |
| 39       | N. K.<br>F<br>27 | Acne pustulosa | Aggravated  | Severe   | DOXY                         | 150 × 2<br>21                | <i>E. gergoviae</i><br><i>S. epidermidis</i> |       |       |      | Remarkably improved | Eliminated               | Excellent                   | -           | Remarkably useful |         |

GIR : global improvement rating    GUR : global usefulness rating    N.G. : no growth    N.T. : not tested    MINO : minocycline    DOXY : doxycycline

Table 3-5. Clinical results of T-3262

| Case No. | Initial Sex Age | Diagnosis        | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day) | Organisms                                |              |       |     | GIR                 | Bacteriological response | Global clinical improvement | Side effect | GUR               | Remarks |
|----------|-----------------|------------------|---|----------|------------------------------|------------------------------|--|--------------|-------|-----|---------------------|--------------------------|-----------------------------|-------------|-------------------|---------|
|          |                 |                  |   |          |                              |                              | Before                                   | MIC          | After | MIC |                     |                          |                             |             |                   |         |
| 40       | Y. S. F 24      | Acne pustulosa   | Aggravated  | Severe   | -                            | 300 × 2<br>14                | <i>S. aureus</i>                         |              | N.G.  |     | Remarkably improved | Eliminated               | Good                        | -           | Moderately useful |         |
| 41       | M. I. F 23      | Acne vulgaris    | Stationary  | Mild     | -                            | 150 × 3<br>14                | <i>S. epidermidis</i><br><i>P. acnes</i> | 0.05<br>0.78 |       |     | Moderately improved | Unknown                  | Fair                        | -           | Slightly useful   |         |
| 42       | K. T. M 27      | Sycosis vulgaris | Aggravated  | Moderate | -                            | 150 × 2<br>14                | <i>S. epidermidis</i><br><i>P. acnes</i> | 0.05<br>1.56 |       |     | Unchanged           | Unknown                  | Poor                        | -           | Useless           |         |
| 43       | S. H. F 22      | Furuncle         | Aggravated  | Moderate | -                            | 75 × 2<br>8                  | <i>P. acnes</i>                          | 1.56         |       |     | Moderately improved | Eliminated               | Good                        | -           | Moderately useful |         |
| 44       | F. M. F 19      | Furuncle         | Aggravated  | Moderate | -                            | 75 × 2<br>12                 | <i>S. epidermidis</i><br><i>P. acnes</i> | 0.05<br>3.13 |       |     | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |         |
| 45       | S. J. F 32      | Furuncle         | Aggravated  | Moderate | -                            | 75 × 2<br>7                  | <i>S. aureus</i>                         | 0.05         |       |     | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |         |
| 46       | S. S. F 32      | Furuncle         | Remarkably aggravated                               | Mild     | -                            | 75 × 2<br>7                  | <i>S. aureus</i>                         | 0.025        |       |     | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |         |
| 47       | M. Y. M 54      | Furuncle         | Stationary  | Moderate | -                            | 75 × 2<br>11                 | <i>S. hominis</i>                        | 0.1          |       |     | Remarkably improved | Eliminated               | Good                        | -           | Moderately useful |         |
| 48       | Y. H. F 21      | Furuncle         | Aggravated  | Mild     | -                            | 150 × 2<br>10                | <i>S. aureus</i>                         | 0.1          | N.G.  |     | Remarkably improved | Eliminated               | Good                        | -           | Moderately useful |         |
| 49       | S. T. M 84      | Furuncle         | Aggravated  | Mild     | -                            | 150 × 2<br>12                | N.T.                                     |              |       |     | Remarkably improved | Unknown                  | Good                        | -           | Moderately useful |         |

GIR : global improvement rating    GUR : global usefulness rating    N.G. : no growth    N.T. : not tested

Table 3-6. Clinical results of T-3262

| Case No. | Initial Sex Age | Diagnosis | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day) | Organisms                                |              |       |                     | GIR        | Bacteriological response | Global clinical improvement | Side effect       | GUR                                      | Remarks |
|----------|-----------------|-----------|---|----------|------------------------------|------------------------------|--|--------------|-------|---------------------|------------|--------------------------|-----------------------------|-------------------|--|---------|
|          |                 |           |   |          |                              |                              | Before                                   | MIC          | After | MIC                 |            |                          |                             |                   |  |         |
| 50       | Y. A. M 47      | Furuncle  | Aggravated  | Moderate | -                            | 150 × 2<br>7                 | <i>S. aureus</i>                         | 0.05         |       | Cured               | Eliminated | Excellent                | -                           | Remarkably useful |  |         |
| 51       | Y. Y. M 25      | Furuncle  | Stationary  | Moderate | -                            | 150 × 2<br>7                 | <i>S. epidermidis</i><br><i>P. acnes</i> | 0.05<br>1.56 |       | Cured               | Eliminated | Excellent                | -                           | Remarkably useful |  |         |
| 52       | S. M. M 35      | Furuncle  | Aggravated  | Moderate | -                            | 150 × 2<br>7                 | <i>S. aureus</i><br><i>S. xylosois</i>   | 0.1<br>0.05  |       | Remarkably improved | Eliminated | Excellent                | -                           | Remarkably useful |  |         |
| 53       | T. K. M 44      | Furuncle  | Remarkably aggravated                               | Moderate | -                            | 150 × 2<br>6                 | N.T.                                     |              |       | Remarkably improved | Unknown    | Good                     | -                           | Useless           | GOT<br>GPT<br>ALP<br>LDH<br>γ-GTP<br>LAP |         |
| 54       | A. T. M 21      | Furuncle  | Remarkably aggravated                               | Moderate | -                            | 150 × 2<br>10                | <i>S. aureus</i>                         | 0.05         |       | Cured               | Eliminated | Excellent                | -                           | Remarkably useful |  |         |
| 55       | Y. I. F 31      | Furuncle  | Aggravated  | Moderate | -                            | 150 × 2<br>7                 | <i>S. epidermidis</i><br><i>P. acnes</i> | 0.2<br>1.56  |       | Remarkably improved | Eliminated | Excellent                | -                           | Remarkably useful |  |         |
| 56       | K. K. M 17      | Furuncle  | Aggravated  | Moderate | -                            | 150 × 2<br>7                 | <i>S. aureus</i>                         | 0.1          |       | Remarkably improved | Eliminated | Excellent                | -                           | Remarkably useful |  |         |
| 57       | T. N. F 53      | Furuncle  | Aggravated  | Moderate | -                            | 150 × 2<br>8                 | <i>S. epidermidis</i>                    | 0.1          |       | Cured               | Eliminated | Excellent                | -                           | Remarkably useful | LDH ↑                                    |         |
| 58       | H. K. M 26      | Furuncle  | Aggravated  | Moderate | -                            | 150 × 2<br>8                 | <i>S. aureus</i>                         |              |       | Cured               | Eliminated | Excellent                | -                           | Remarkably useful |  |         |

GIR : global improvement rating    GUR : global usefulness rating    N.T. : not tested

Table 3-7. Clinical results of T-3262

| Case No. | Initial Sex Age  | Diagnosis | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day) | Organisms  |                      |       |     | GIR                 | Bacteriological response | Global clinical improvement | Side effect | GUR               | Remarks     |
|----------|------------------|-----------|---|----------|------------------------------|------------------------------|--|----------------------|-------|-----|---------------------|--------------------------|-----------------------------|-------------|-------------------|-------------|
|          |                  |           |   |          |                              |                              | Before   | MIC                  | After | MIC |                     |                          |                             |             |                   |             |
| 59       | T. N.<br>M<br>73 | Furuncle  | Aggravated  | Moderate | -                            | 150 × 2<br>5                 | <i>S. aureus</i>   | 0.05                 |       |     | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |             |
| 60       | T. I.<br>M<br>23 | Furuncle  | Aggravated  | Mild     | OFLX                         | 150 × 2<br>8                 |  |                      |       |     |                     |                          |                             | -           |                   | Dropped out |
| 61       | U. M.<br>M<br>33 | Furuncle  | Aggravated  | Moderate | -                            | 150 × 2<br>14                | <i>S. aureus</i><br><i>S. simulans</i>                       | 0.05<br>0.05         |       |     | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |             |
| 62       | M. N.<br>F<br>23 | Furuncle  | Aggravated  | Severe   | -                            | 150 × 2<br>10                | <i>S. warneri</i>  | 0.025                |       |     | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |             |
| 63       | A. H.<br>F<br>39 | Furuncle  | Aggravated  | Moderate | -                            | 150 × 3<br>8                 | N.T.   |                      |       |     | Remarkably improved | Unknown                  | Good                        | -           | Moderately useful |             |
| 64       | K. I.<br>M<br>18 | Furuncle  | Remarkably aggravated                               | Moderate | -                            | 150 × 3<br>7                 | <i>S. epidermidis</i><br><i>P. putida</i><br><i>P. acnes</i> | 0.05<br>0.39<br>1.56 |       |     | Remarkably improved | Eliminated               | Good                        | -           | Moderately useful |             |
| 65       | T. Y.<br>M<br>24 | Furuncle  | Remarkably aggravated                               | Moderate | -                            | 150 × 3<br>13                | <i>F. varium</i>   | 0.39                 |       |     | Remarkably improved | Eliminated               | Good                        | -           | Moderately useful |             |
| 66       | N. U.<br>F<br>18 | Furuncle  | Aggravated  | Mild     | -                            | 150 × 3<br>7                 | <i>S. aureus</i><br><i>S. hominis</i>                        | 0.05<br>0.1          |       |     | Remarkably improved | Eliminated               | Good                        | -           | Moderately useful |             |
| 67       | K. O.<br>M<br>22 | Furuncle  | Aggravated  | Mild     | -                            | 150 × 3<br>7                 | <i>S. epidermidis</i><br><i>P. magnus</i><br><i>P. acnes</i> | 0.1<br>0.2<br>1.56   |       |     | Remarkably improved | Eliminated               | Excellent                   | -           | Remarkably useful |             |
| 68       | S. D.<br>M<br>28 | Furuncle  | Aggravated  | Moderate | -                            | 150 × 3<br>7                 | <i>S. aureus</i>   | 0.05                 |       |     | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |             |

GIR : global improvement rating    GUR : global usefulness rating    N.T. : not tested    OFLX : ofloxacin

Table 3-8. Clinical results of T-3262

| Case No. | Initial Sex Age | Diagnosis | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day) | Organisms   |                       |       |      | GIR                 | Bacteriological response | Global clinical improvement | Side effect | GUR               | Remarks |
|----------|-----------------|-----------|---|----------|------------------------------|------------------------------|---|-----------------------|-------|------|---------------------|--------------------------|-----------------------------|-------------|-------------------|---------|
|          |                 |           |   |          |                              |                              | Before  | MIC                   | After | MIC  |                     |                          |                             |             |                   |         |
| 69       | N, N, M<br>49   | Furuncle  | Aggravated  | Mild     | -                            | 150 × 3<br>4                 | <i>S. epidermidis</i><br><i>P. actus</i>                    | 0.05<br>0.78          |       |      | Remarkably improved | Eliminated               | Excellent                   | -           | Remarkably useful |         |
| 70       | T, S, M<br>62   | Furuncle  | Aggravated  | Mild     | -                            | 150 × 3<br>4                 | <i>S. aureus</i><br><i>S. epidermidis</i><br><i>E. coli</i> | 0.025<br>0.05<br>0.05 |       |      | Remarkably improved | Eliminated               | Good                        | -           | Moderately useful |         |
| 71       | K, H, F<br>33   | Furuncle  | Aggravated  | Moderate | -                            | 150 × 3<br>7                 | <i>S. aureus</i>  | 0.05                  |       |      | Remarkably improved | Eliminated               | Good                        | -           | Moderately useful |         |
| 72       | T, K, F<br>41   | Furuncle  | Aggravated  | Moderate | -                            | 150 × 3<br>5                 | <i>S. aureus</i>  | 0.05                  |       |      | Cured               | Eliminated               | Good                        | Nausea      | Moderately useful |         |
| 73       | I, T, M<br>23   | Furuncle  | Aggravated  | Moderate | -                            | 150 × 3<br>11                | N.G.  |                       |       |      | Remarkably improved | Unknown                  | Good                        | -           | Moderately useful |         |
| 74       | A, A, M<br>32   | Furuncle  | Aggravated  | Moderate | -                            | 150 × 3<br>6                 | <i>S. aureus</i>  | 0.025                 |       |      | Cured               | Unknown                  | Excellent                   | -           | Remarkably useful |         |
| 75       | S, H, M<br>41   | Furuncle  | Remarkably aggravated                               | Severe   | -                            | 150 × 3<br>8                 | <i>S. aureus</i><br><i>P. asaccharolyticus</i>              | 0.05<br>0.78          |       |      | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |         |
| 76       | M, K, M<br>57   | Furuncle  | Aggravated  | Severe   | -                            | 150 × 3<br>2                 | N.G.  |                       |       | N.G. | Aggravated          | Unknown                  | Poor                        | -           | Useless           |         |
| 77       | U, S, F<br>77   | Furuncle  | Aggravated  | Severe   | -                            | 150 × 3<br>7                 | N.G.  |                       |       |      | Moderately improved | Unknown                  | Fair                        | -           | Slightly useful   |         |
| 78       | J, K, M<br>55   | Furuncle  | Aggravated  | Moderate | -                            | 150 × 3<br>11                | <i>S. aureus</i>  | 0.05                  |       |      | Cured               | Eliminated               | Good                        | -           | Moderately useful |         |

GIR : global improvement rating    GUR : global usefulness rating    N.G. : no growth

Table 3-9. Clinical results of T-3262

| Case No. | Initial Sex Age | Diagnosis    | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day) | Organisms   |                     |       | GIR                 | Bacterio-logical response | Global clinical improvement | Side effect | GUR               | Remarks |
|----------|-----------------|--------------|---|----------|------------------------------|------------------------------|---|---------------------|-------|---------------------|---------------------------|-----------------------------|-------------|-------------------|---------|
|          |                 |              |   |          |                              |                              | Before  | MIC                 | After |                     |                           |                             |             |                   |         |
| 79       | O. T. F 70      | Furuncle     | Aggravated  | Moderate | -                            | 150 × 3<br>7                 | <i>S. aureus</i>  | 0.05                |       | Remarkably improved | Eliminated                | Excellent                   | -           | Remarkably useful |         |
| 80       | T. T. F 23      | Furuncle     | Aggravated  | Severe   | -                            | 300 × 2<br>10                | <i>S. aureus</i>  |                     | N.G.  | Moderately improved | Eliminated                | Fair                        | -           | Slightly useful   |         |
| 81       | T. A. M 73      | Furuncle     | Aggravated  | Moderate | -                            | 300 × 2<br>7                 | <i>P. acnes</i>   | 1.56                |       | Moderately improved | Eliminated                | Good                        | -           | Moderately useful |         |
| 82       | S. Y. F 22      | Furuncle     | Aggravated  | Moderate | -                            | 300 × 2<br>6                 | <i>P. acnes</i>   | 0.78                |       | Remarkably improved | Eliminated                | Excellent                   | -           | Remarkably useful |         |
| 83       | Y. I. M 45      | Furuncle     | Aggravated  | Moderate | -                            | 300 × 2<br>8                 | <i>S. aureus</i>  | 0.05                |       | Cured               | Eliminated                | Excellent                   | -           | Remarkably useful |         |
| 84       | K. T. M 56      | Furuncle     | Aggravated  | Moderate | -                            | 300 × 2<br>9                 | <i>S. aureus</i>  | 0.05                |       | Remarkably improved | Eliminated                | Good                        | Gagging     | Moderately useful |         |
| 85       | K. Y. M 19      | Furunculosis | Aggravated  | Moderate | -                            | 150 × 2<br>9                 | <i>S. aureus</i><br><i>S. pyogenes</i><br><i>P. acnes</i> | 0.05<br>0.2<br>1.56 |       | Cured               | Eliminated                | Excellent                   | -           | Remarkably useful |         |
| 86       | U. K. M 23      | Furunculosis | Aggravated  | Moderate | -                            | 150 × 2<br>8                 | <i>S. aureus</i>  | 0.05                |       | Cured               | Eliminated                | Excellent                   | -           | Remarkably useful |         |
| 87       | M. F. F 17      | Furunculosis | Stationary  | Moderate | -                            | 150 × 2<br>7                 | <i>S. aureus</i>  | 0.05                |       | Remarkably improved | Eliminated                | Good                        | -           | Moderately useful |         |
| 88       | O. A. F 54      | Furunculosis | Aggravated  | Moderate | ENX                          | 150 × 2<br>14                | <i>S. aureus</i><br><i>P. acnes</i>                       | 3.13<br>0.78        |       | Slightly improved   | Unknown                   | Fair                        | -           | Slightly useful   |         |

GIR : global improvement rating    GUR : global usefulness rating    N.G. : no growth

Table 3-10. Clinical results of T-3262

| Case No. | Initial Sex Age | Diagnosis    | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day) | Organisms        |       |       | GIR                 | Bacteriological response | Global clinical improvement | Side effect | GUR               | Remarks   |
|----------|-----------------|--------------|---|----------|------------------------------|------------------------------|------------------|-------|-------|---------------------|--------------------------|-----------------------------|-------------|-------------------|---|
|          |                 |              |   |          |                              |                              | Before           | MIC   | After |                     |                          |                             |             |                   |   |
| 89       | A. T. M 25      | Furunculosis | Aggravated  | Severe   | -                            | 150 × 3<br>7                 | <i>S. aureus</i> | 0.05  |       | Remarkably improved | Eliminated               | Excellent                   | -           | Remarkably useful |   |
| 90       | A. T. F 66      | Furunculosis | Remarkably aggravated                               | Moderate | -                            | 150 × 3<br>7                 | <i>S. aureus</i> | 0.05  |       | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |   |
| 91       | N. K. F 41      | Furunculosis | Aggravated  | Moderate | -                            | 150 × 3<br>7                 | N.G.             |       |       | Cured               | Unknown                  | Excellent                   | -           | Remarkably useful |   |
| 92       | T. I. M 38      | Furunculosis | Aggravated  | Moderate | CCL                          | 150 × 3<br>9                 | <i>S. aureus</i> |       |       | Aggravated          | Unknown                  | Poor                        | -           | Useless           |   |
| 93       | N. Y. M 39      | Furunculosis | Aggravated  | Moderate | -                            | 150 × 3<br>6                 | <i>S. aureus</i> | 0.2   |       | Moderately improved | Unknown                  | Good                        | -           | Moderately useful |   |
| 94       | K. T. M 46      | Carbuncle    | Stationary  | Moderate | -                            | 150 × 2<br>9                 | <i>S. aureus</i> | 0.05  |       | Cured               | Eliminated               | Good                        | -           | Moderately useful |   |
| 95       | M. T. F 50      | Carbuncle    | Remarkably aggravated                               | Severe   | CEX                          | 150 × 2<br>9                 | <i>S. aureus</i> | 0.1   |       | Remarkably improved | Eliminated               | Excellent                   | -           | Moderately useful | Eosinophilia<br>Lymphocyte<br>↑<br>TP ↓<br>U-SG ↓ |
| 96       | T. K. F 63      | Carbuncle    | Aggravated  | Moderate | -                            | 150 × 2<br>4                 | <i>S. aureus</i> | 0.05  |       | Moderately improved | Unknown                  | Good                        | -           | Moderately useful |   |
| 97       | T. A. M 40      | Carbuncle    | Stationary  | Moderate | -                            | 150 × 2<br>8                 | <i>S. aureus</i> | 0.025 |       | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |   |
| 98       | M. N. M 61      | Carbuncle    | Stationary  | Moderate | -                            | 150 × 3<br>7                 | <i>S. aureus</i> | 0.05  |       | Moderately improved | Unknown                  | Good                        | -           | Moderately useful |   |

GIR : global improvement rating GUR : global usefulness rating N.G. : no growth CCL : cefaclor CEX : cephalixin

Table 3-11. Clinical results of T-3262

| Case No. | Initial Sex Age  | Diagnosis                               | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day) | Organisms                             |             |                     | GIR        | Bacteriological response | Global clinical improvement | Side effect       | GUR         | Remarks |
|----------|------------------|---|---|----------|------------------------------|------------------------------|---------------------------------------|-------------|---------------------|------------|--------------------------|-----------------------------|-------------------|-------------|---------|
|          |                  |   |   |          |                              |                              | Before                                | MIC         | After               |            |                          |                             |                   |             |         |
| 99       | H. Y.<br>M<br>20 | Impetigo contagiosa (Impetigo Bockhart) | Stationary  | Moderate | -                            | 150 × 3<br>15                |                                       |             |                     |            |                          | -                           |                   | Dropped out |         |
| 100      | M. T.<br>M<br>73 | Impetigo contagiosa                     | Aggravated  | Mild     | -                            | 150 × 3<br>4                 | N.G.                                  |             | Cured               | Unknown    | Excellent                | -                           | Remarkably useful |             |         |
| 101      | S. A.<br>F<br>34 | Impetigo contagiosa                     | Aggravated  | Moderate | -                            | 300 × 2<br>4                 | <i>S. aureus</i>                      | 0.025       | Remarkably improved | Eliminated | Excellent                | -                           | Moderately useful |             |         |
| 102      | S. M.<br>M<br>41 | Impetiginous eczema                     | Remarkably aggravated                               | Moderate | -                            | 150 × 2<br>14                | <i>S. aureus</i>                      | 0.1         | Remarkably improved | Eliminated | Excellent                | -                           | Remarkably useful |             |         |
| 103      | H. N.<br>M<br>54 | Impetiginous eczema                     | Aggravated  | Moderate | -                            | 150 × 3<br>7                 | N.T.                                  |             | Moderately improved | Unknown    | Good                     | -                           | Moderately useful |             |         |
| 104      | T. T.<br>M<br>23 | Impetiginous eczema                     | Aggravated  | Moderate | -                            | 150 × 3<br>10                | <i>S. pyogenes</i><br><i>P. acnes</i> | 0.1<br>0.78 | Cured               | Eliminated | Good                     | -                           | Moderately useful |             |         |
| 105      | T. K.<br>M<br>58 | Phlegmon                                | Aggravated  | Moderate | -                            | 150 × 2<br>5                 | N.G.                                  |             | Cured               | Unknown    | Excellent                | -                           | Remarkably useful |             |         |
| 106      | Y. K.<br>F<br>44 | Phlegmon                                | Stationary  | Moderate | -                            | 150 × 2<br>7                 | N.T.                                  |             | Moderately improved | Unknown    | Fair                     | -                           | Moderately useful |             |         |
| 107      | Y. T.<br>M<br>56 | Phlegmon                                | Remarkably aggravated                               | Moderate | -                            | 150 × 3<br>7                 | <i>P. magnus</i>                      | 0.2         | Cured               | Eliminated | Excellent                | -                           | Remarkably useful |             |         |
| 108      | A. H.<br>F<br>67 | Phlegmon                                | Aggravated  | Moderate | -                            | 150 × 3<br>12                | <i>S. aureus</i>                      | 0.05        | Remarkably improved | Eliminated | Good                     | -                           | Moderately useful |             |         |

GIR : global improvement rating    GUR : global usefulness rating    N.G. : no growth    N.T. : not tested

Table 3-12. Clinical results of T-3262

| Case No. | Initial Sex Age | Diagnosis                | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day) | Organisms  |                                     |       |                     | GIR        | Bacteriological response | Global clinical improvement | Side effect       | GUR                       | Remarks |
|----------|-----------------|--------------------------|---|----------|------------------------------|------------------------------|--|-------------------------------------|-------|---------------------|------------|--------------------------|-----------------------------|-------------------|---------------------------|---------|
|          |                 |                          |   |          |                              |                              | Before   | MIC                                 | After | MIC                 |            |                          |                             |                   |                           |         |
| 109      | S. Y. F 62      | Phlegmon                 | Aggravated  | Moderate | -                            | 150 × 3<br>7                 | <i>S. aureus</i>   | 0.05                                |       | Cured               | Eliminated | Excellent                | -                           | Remarkably useful |                           |         |
| 110      | F. M. M 65      | Phlegmon                 | Remarkably aggravated                               | Moderate | -                            | 150 × 3<br>12                | N.T.   |                                     |       | Aggravated          | Unknown    | Poor                     | -                           | Useless           | GOT ↑<br>LDH ↑<br>γ-GTP ↑ |         |
| 111      | M. T. M 44      | Phlegmon                 | Aggravated  | Moderate | -                            | 150 × 3<br>14                | <i>S. aureus</i>   | 0.2                                 |       | Cured               | Eliminated | Excellent                | -                           | Remarkably useful |                           |         |
| 112      | H. M. M 34      | Phlegmon                 | Aggravated  | Moderate | -                            | 150 × 3<br>7                 | <i>S. epidermidis</i>  | 0.1                                 |       | Cured               | Eliminated | Good                     | -                           | Moderately useful |                           |         |
| 113      | I. T. M 72      | Phlegmon                 | Aggravated  | Moderate | -                            | 150 × 3<br>11                | <i>S. intermedius</i>  |                                     |       | Moderately improved | Unknown    | Good                     | -                           | Moderately useful |                           |         |
| 114      | Y. Y. M 57      | Erysipelas               | Aggravated  | Moderate | -                            | 150 × 3<br>9                 | N.T.   |                                     |       | Moderately improved | Unknown    | Good                     | -                           | Moderately useful |                           |         |
| 115      | M. H. M 17      | Superficial lymphangitis | Aggravated  | Moderate | -                            | 150 × 2<br>4                 | N.T.   |                                     |       | Cured               | Unknown    | Excellent                | -                           | Remarkably useful |                           |         |
| 116      | K. S. F 52      | Superficial lymphangitis | Aggravated  | Moderate | -                            | 150 × 3<br>7                 | N.G.   |                                     |       | Cured               | Unknown    | Excellent                | -                           | Remarkably useful |                           |         |
| 117      | K. K. M 52      | Superficial lymphangitis | Remarkably aggravated                               | Severe   | -                            | 150 × 3<br>7                 | <i>S. aureus</i><br><i>S. haemolyticus</i><br><i>E. faecalis</i><br><i>S. pyogenes</i><br><i>P. magnus</i> | 0.2<br>0.05<br>0.39<br>0.05<br>0.39 |       | Remarkably improved | Unknown    | Good                     | -                           | Moderately useful |                           |         |

GIR : global improvement rating    GUR : global usefulness rating    N.G. : no growth    N.T. : not tested

Table 3-13. Clinical results of T-3262

| Case No. | Initial Sex Age | Diagnosis              | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day) | Organisms  |                        |       |                     | GIR        | Bacteriological response | Global clinical improvement | Side effect       | GUR         | Remarks |
|----------|-----------------|------------------------|---|----------|------------------------------|------------------------------|--|------------------------|-------|---------------------|------------|--------------------------|-----------------------------|-------------------|-------------|---------|
|          |                 |                        |   |          |                              |                              | Before   | MIC                    | After | MIC                 |            |                          |                             |                   |             |         |
| 118      | I. T. M 72      | Suppurative paronychia | Remarkably aggravated                               | Moderate | -                            | 75 × 2<br>7                  | <i>S. simulans</i><br><i>S. hominis</i>                                      | 0.025<br>0.05          |       | Cured               | Eliminated | Excellent                | -                           | Remarkably useful |             |         |
| 119      | T. K. M 42      | Suppurative paronychia | Stationary  | Moderate | -                            | 150 × 2<br>7                 | <i>S. aureus</i>   |                        |       | Moderately improved | Unknown    | Fair                     | -                           | Slightly useful   |             |         |
| 120      | M. S. F 33      | Suppurative paronychia | Aggravated  | Mild     | -                            | 150 × 2<br>5                 | <i>S. aureus</i><br><i>P. aeruginosa</i>                                     | 0.05<br>0.1            |       | Cured               | Eliminated | Excellent                | -                           | Remarkably useful |             |         |
| 121      | Y. H. F 39      | Suppurative paronychia | Stationary  | Moderate | CDX                          | 150 × 3<br>7                 | <i>S. aureus</i><br><i>S. agalactiae</i>                                     | 0.025<br>0.39          |       | Remarkably improved | Unknown    | Good                     | -                           | Moderately useful |             |         |
| 122      | K. T. M 33      | Suppurative paronychia | Aggravated  | Moderate | -                            | 150 × 3<br>5                 | <i>S. equisimilis</i><br><i>Corynebacterium</i> sp.<br><i>S. intermedius</i> | 0.2<br>0.2<br>0.2      |       | Slightly improved   | Unknown    | Fair                     | -                           | Slightly useful   |             |         |
| 123      | M. K. M 21      | Suppurative paronychia | Aggravated  | Mild     | CEX                          | 150 × 3<br>7                 |  |                        |       |                     |            |                          | -                           |                   | Dropped out |         |
| 124      | S. H. M 50      | Suppurative paronychia | Aggravated  | Mild     | -                            | 150 × 3<br>4                 | <i>S. aureus</i>   | 0.05                   |       | Cured               | Eliminated | Excellent                | -                           | Remarkably useful |             |         |
| 125      | A. Y. F 50      | Suppurative paronychia | Aggravated  | Mild     | -                            | 150 × 3<br>7                 | <i>P. putrefaciens</i>   |                        |       | Cured               | Eliminated | Excellent                | -                           | Remarkably useful |             |         |
| 126      | T. S. F 66      | Suppurative paronychia | Aggravated  | Severe   | -                            | 300 × 2<br>6                 | <i>S. aureus</i>   | 0.05                   |       | Remarkably improved | Unknown    | Excellent                | -                           | Remarkably useful |             |         |
| 127      | M. I. F 15      | Suppurative paronychia | Aggravated  | Moderate | -                            | 150 × 3<br>4<br>150 × 2<br>2 | <i>S. epidermidis</i><br><i>K. pneumoniae</i><br><i>P. acnes</i>             | 0.05<br><0.005<br>0.78 |       | Moderately improved | Unknown    | Good                     | Nausea                      | Moderately useful |             |         |

GIR : global improvement rating GUR : global usefulness rating CDX : cefadroxil CEX : cephalixin CEX : cephalixin

Table 3-14. Clinical results of T-3262

| Case No. | Initial Sex Age | Diagnosis            | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day) | Organisms  |                     |       |     | GIR                 | Bacteriological response | Global clinical improvement | Side effect | GUR               | Remarks |
|----------|-----------------|----------------------|---|----------|------------------------------|------------------------------|--|---------------------|-------|-----|---------------------|--------------------------|-----------------------------|-------------|-------------------|---------|
|          |                 |                      |   |          |                              |                              | Before   | MIC                 | After | MIC |                     |                          |                             |             |                   |         |
| 128      | T. S. F 60      | Panaritium           | Remarkably aggravated                               | Moderate | MINO                         | 75 × 2<br>21                 | <i>S. haemolyticus</i><br><i>S. simulans</i>                       | 0.05<br>0.05        |       |     | Moderately improved | Unknown                  | Good                        | -           | Moderately useful |         |
| 129      | K. A. M 25      | Panaritium           | Aggravated  | Moderate | -                            | 150 × 2<br>8                 | <i>S. epidermidis</i><br><i>S. haemolyticus</i><br><i>P. acnes</i> | 0.1<br>0.39<br>0.78 |       |     | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |         |
| 130      | M. M. F 42      | Panaritium           | Aggravated  | Moderate | -                            | 150 × 2<br>14                | N.T.   |                     |       |     | Cured               | Unknown                  | Excellent                   | -           | Remarkably useful |         |
| 131      | W. S. F 27      | Panaritium           | Aggravated  | Moderate | -                            | 150 × 2<br>7                 | N.T.   |                     |       |     | Remarkably improved | Unknown                  | Excellent                   | -           | Remarkably useful |         |
| 132      | N. K. F 39      | Panaritium           | Aggravated  | Moderate | -                            | 150 × 2<br>1                 |  |                     |       |     |                     |                          |                             | Diarrhea    |                   |         |
| 133      | M. F. M 56      | Subcutaneous abscess | Stationary  | Severe   | MINO                         | 150 × 3<br>7                 | N.G.   |                     |       |     | Moderately improved | Unknown                  | Fair                        | -           | Slightly useful   |         |
| 134      | A. U. M 56      | Subcutaneous abscess | Aggravated  | Moderate | -                            | 150 × 3<br>10                | N.T.   |                     |       |     | Remarkably improved | Unknown                  | Excellent                   | -           | Remarkably useful |         |
| 135      | T. A. F 62      | Subcutaneous abscess | Stationary  | Moderate | -                            | 150 × 3<br>7                 | <i>S. aureus</i>   | 0.05                |       |     | Slightly improved   | Unchanged                | Fair                        | -           | Slightly useful   |         |
| 136      | S. A. M 24      | Subcutaneous abscess | Aggravated  | Moderate | -                            | 150 × 3<br>11                | N.G.   |                     |       |     | Cured               | Unknown                  | Excellent                   | -           | Remarkably useful |         |
| 137      | A. A. F 51      | Subcutaneous abscess | Aggravated  | Moderate | -                            | 300 × 2<br>11                | <i>S. aureus</i>   | 0.025               |       |     | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |         |

GIR : global improvement rating    GUR : global usefulness rating    N.G. : no growth    N.T. : not tested    MINO : minocycline

Table 3-15. Clinical results of T-3262

| Case No. | Initial Sex Age | Diagnosis                     | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day) | Organisms   |     |       |                     | GIR        | Bacteriological response | Global clinical improvement | Side effect       | GUR         | Remarks |
|----------|-----------------|-------------------------------|---|----------|------------------------------|------------------------------|---|-----|-------|---------------------|------------|--------------------------|-----------------------------|-------------------|-------------|---------|
|          |                 |                               |   |          |                              |                              | Before  | MIC | After | MIC                 |            |                          |                             |                   |             |         |
| 138      | I. K. M 27      | Subcutaneous abscess          | Remarkably aggravated                               | Moderate | -                            | 300 × 2<br>14                | <i>P. acnes</i><br>0.78<br><i>P. asaccharolyticus</i><br>0.39 |     |       | Remarkably improved | Eliminated | Good                     | -                           | Moderately useful |             |         |
| 139      | R. K. M 40      | Multiple Subcutaneous abscess | Aggravated  | Moderate | -                            | 150 × 3<br>12                |   |     |       |                     |            |                          | -                           |                   | Dropped out |         |
| 140      | I. Y. M 71      | Suppurative hidradenitis      | Aggravated  | Moderate | -                            | 150 × 2<br>14                | N.T.  |     |       | Moderately improved | Unknown    | Fair                     | -                           | Slightly useful   |             |         |
| 141      | K. K. M 27      | Suppurative hidradenitis      | Aggravated  | Moderate | CFT                          | 150 × 2<br>18                |   |     |       |                     |            |                          | -                           |                   | Dropped out |         |
| 142      | E. N. F 19      | Infected atheroma             | Aggravated  | Moderate | -                            | 75 × 2<br>8                  | N.G.  |     |       | Remarkably improved | Unknown    | Good                     | Epigastral-gia              | Moderately useful |             |         |
| 143      | Y. S. M 35      | Infected atheroma             | Aggravated  | Moderate | -                            | 75 × 2<br>11                 | <i>Micrococcus</i> sp.<br>0.78                                |     |       | Unchanged           | Unknown    | Poor                     | -                           | Useless           |             |         |
| 144      | S. A. M 23      | Infected atheroma             | Aggravated  | Moderate | -                            | 75 × 2<br>14                 | <i>S. morbillorum</i><br>0.39<br><i>P. acnes</i><br>0.78      |     |       | Cured               | Eliminated | Good                     | -                           | Moderately useful |             |         |
| 145      | I. K. M 47      | Infected atheroma             | Aggravated  | Moderate | -                            | 75 × 2<br>14                 | <i>S. epidermidis</i><br>0.025<br><i>P. acnes</i>             |     |       | Moderately improved | Replaced   | Good                     | -                           | Moderately useful |             |         |
| 146      | A. O. M 73      | Infected atheroma             | Stationary  | Mild     | -                            | 75 × 2<br>7                  | <i>S. aureus</i><br>0.025                                     |     |       | Cured               | Eliminated | Excellent                | -                           | Remarkably useful |             |         |
| 147      | S. Y. F 60      | Infected atheroma             | Remarkably aggravated                               | Moderate | -                            | 150 × 2<br>12                | <i>P. granulosum</i><br>0.39                                  |     |       | Cured               | Eliminated | Excellent                | -                           | Remarkably useful |             |         |

GIR: global improvement rating GUR: global usefulness rating N.G.: no growth N.T.: not tested CFT: cefatrizine

Table 3-16. Clinical results of T-3262

| Case No. | Initial Sex Age  | Diagnosis         | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day) | Organisms |     |       |     | GIR                 | Bacteriological response | Global clinical improvement | Side effect        | GUR               | Remarks |
|----------|------------------|-------------------|---|----------|------------------------------|------------------------------|-----------|-----|-------|-----|---------------------|--------------------------|-----------------------------|--------------------|-------------------|---------|
|          |                  |                   |   |          |                              |                              | Before    | MIC | After | MIC |                     |                          |                             |                    |                   |         |
| 148      | K. S.<br>M<br>53 | Infected atheroma | Aggravated  | Moderate | -                            | 150 × 2<br>12                |           |     |       |     | Moderately improved | Unknown                  | Good                        | -                  | Moderately useful |         |
| 149      | Y. S.<br>M<br>57 | Infected atheroma | Stationary  | Moderate | -                            | 150 × 2<br>13                |           |     |       |     | Cured               | Eliminated               | Good                        | -                  | Moderately useful |         |
| 150      | Y. S.<br>F<br>23 | Infected atheroma | Aggravated  | Severe   | -                            | 150 × 2<br>6                 |           |     |       |     | Remarkably improved | Replaced                 | Good                        | -                  | Moderately useful |         |
| 151      | H. I.<br>M<br>37 | Infected atheroma | Aggravated  | Moderate | -                            | 150 × 2<br>10                |           |     |       |     | Unchanged           | Unknown                  | Poor                        | -                  | Useless           |         |
| 152      | S. N.<br>F<br>53 | Infected atheroma | Aggravated  | Moderate | -                            | 150 × 2<br>9                 |           |     |       |     | Cured               | Eliminated               | Excellent                   | Stomach discomfort | Remarkably useful |         |
| 153      | S. T.<br>M<br>70 | Infected atheroma | Aggravated  | Moderate | -                            | 150 × 2<br>14                |           |     |       |     | Remarkably improved | Eliminated               | Good                        | -                  | Moderately useful |         |
| 154      | T. N.<br>M<br>29 | Infected atheroma | Aggravated  | Moderate | -                            | 150 × 2<br>11                |           |     |       |     | Unchanged           | Unknown                  | Poor                        | -                  | Useless           |         |
| 155      | M. I.<br>M<br>45 | Infected atheroma | Aggravated  | Moderate | -                            | 150 × 2<br>5                 |           |     |       |     | Moderately improved | Unknown                  | Good                        | -                  | Moderately useful |         |
| 156      | Y. Y.<br>M<br>30 | Infected atheroma | Stationary  | Moderate | -                            | 150 × 2<br>4                 |           |     |       |     | Remarkably improved | Unknown                  | Good                        | -                  | Moderately useful |         |
| 157      | N. M.<br>F<br>49 | Infected atheroma | Remarkably aggravated                               | Moderate | -                            | 150 × 2<br>7                 |           |     |       |     | Remarkably improved | Eliminated               | Excellent                   | -                  | Remarkably useful |         |

GIR : global improvement rating    GUR : global usefulness rating    N.G. : no growth    N.T. : not tested

Table 3-17. Clinical results of T-3262

| Case No. | Initial Sex Age  | Diagnosis         | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day) | Organisms  |                    |       | GIR                 | Bacteriological response | Global clinical improvement | Side effect | GUR               | Remarks |
|----------|------------------|-------------------|---|----------|------------------------------|------------------------------|--|--------------------|-------|---------------------|--------------------------|-----------------------------|-------------|-------------------|---------|
|          |                  |                   |   |          |                              |                              | Before   | MIC                | After |                     |                          |                             |             |                   |         |
| 158      | D. H.<br>M<br>17 | Infected atheroma | Aggravated  | Mild     | -                            | 150 × 2<br>14                | <i>P. acnes</i>  | 0.78               |       | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |         |
| 159      | N. Y.<br>M<br>55 | Infected atheroma | Aggravated  | Moderate | -                            | 150 × 2<br>14                | <i>S. saprophyticus</i>  | 0.1                |       | Cured               | Eliminated               | Good                        | -           | Moderately useful |         |
| 160      | T. Y.<br>M<br>36 | Infected atheroma | Aggravated  | Moderate | -                            | 150 × 3<br>7                 | N.T.   |                    |       | Remarkably improved | Unknown                  | Good                        | -           | Moderately useful |         |
| 161      | A. N.<br>M<br>36 | Infected atheroma | Aggravated  | Moderate | -                            | 150 × 3<br>12                | <i>S. saprophyticus</i>  | 0.2                |       | Remarkably improved | Unknown                  | Good                        | -           | Moderately useful |         |
| 162      | U. S.<br>M<br>74 | Infected atheroma | Aggravated  | Moderate | -                            | 150 × 3<br>7                 | <i>S. saprophyticus</i><br><i>P. acnes</i><br><i>P. magnus</i> | 0.1<br>1.56<br>0.2 |       | Remarkably improved | Unknown                  | Good                        | -           | Moderately useful |         |
| 163      | S. W.<br>F<br>37 | Infected atheroma | Aggravated  | Moderate | -                            | 150 × 3<br>7                 | N.T.   |                    |       | Remarkably improved | Unknown                  | Good                        | -           | Moderately useful |         |
| 164      | C. K.<br>F<br>36 | Infected atheroma | Stationary  | Severe   | -                            | 150 × 3<br>7                 | N.T.   |                    |       | Cured               | Unknown                  | Excellent                   | -           | Remarkably useful |         |
| 165      | T. H.<br>M<br>41 | Infected atheroma | Aggravated  | Moderate | -                            | 150 × 3<br>9                 | N.T.   |                    |       | Cured               | Unknown                  | Good                        | -           | Moderately useful |         |
| 166      | J. I.<br>M<br>18 | Infected atheroma | Stationary  | Moderate | -                            | 150 × 3<br>14                | <i>S. aureus</i>   |                    | N.G.  | Moderately improved | Eliminated               | Good                        | -           | Moderately useful |         |
| 167      | T. K.<br>F<br>52 | Infected atheroma | Aggravated  | Mild     | -                            | 150 × 3<br>8                 | <i>P. acnes</i>  | 0.78               |       | Moderately improved | Eliminated               | Good                        | -           | Moderately useful |         |

GIR : global improvement rating    GUR : global usefulness rating    N.G. : no growth    N.T. : not tested

Table 3-18. Clinical results of T-3262

| Case No. | Initial Sex Age | Diagnosis         | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day) | Organisms                                      |             |       |     | GIR                 | Bacteriological response | Global clinical improvement | Side effect | GUR               | Remarks |
|----------|-----------------|-------------------|---|----------|------------------------------|------------------------------|--|-------------|-------|-----|---------------------|--------------------------|-----------------------------|-------------|-------------------|---------|
|          |                 |                   |   |          |                              |                              | Before   | MIC         | After | MIC |                     |                          |                             |             |                   |         |
| 168      | Y. H. M 22      | Infected atheroma | Aggravated  | Moderate | -                            | 150 × 3<br>10                | <i>S. aureus</i>                               | 0.05        |       |     | Remarkably improved | Eliminated               | Excellent                   | -           | Remarkably useful |         |
| 169      | A. T. M 21      | Infected atheroma | Aggravated  | Mild     | -                            | 150 × 3<br>7                 | N.T.   |             |       |     | Moderately improved | Unknown                  | Good                        | -           | Moderately useful |         |
| 170      | A. Y. F 29      | Infected atheroma | Aggravated  | Moderate | -                            | 150 × 3<br>10                | <i>P. asaccharolyticus</i>                     | 0.78        |       |     | Moderately improved | Unknown                  | Good                        | -           | Moderately useful |         |
| 171      | S. K. F 24      | Infected atheroma | Aggravated  | Moderate | -                            | 150 × 3<br>14                | <i>P. acnes</i>                                | 0.78        |       |     | Moderately improved | Unknown                  | Good                        | -           | Moderately useful |         |
| 172      | T. U. M 49      | Infected atheroma | Aggravated  | Moderate | -                            | 150 × 3<br>10                | <i>P. magnus</i>                               | 0.78        |       |     | Remarkably improved | Eliminated               | Good                        | -           | Moderately useful |         |
| 173      | K. T. M 62      | Infected atheroma | Aggravated  | Moderate | -                            | 150 × 3<br>8                 | <i>P. magnus</i>                               | 0.39        |       |     | Moderately improved | Eliminated               | Good                        | -           | Moderately useful |         |
| 174      | E. W. F 35      | Infected atheroma | Remarkably aggravated                               | Mild     | -                            | 150 × 3<br>7                 | N.T.   |             |       |     | Moderately improved | Unknown                  | Good                        | -           | Moderately useful |         |
| 175      | I. T. M 43      | Infected atheroma | Remarkably aggravated                               | Moderate | -                            | 150 × 3<br>25                | <i>P. magnus</i>                               | 0.2         |       |     | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |         |
| 176      | N. R. M 28      | Infected atheroma | Remarkably aggravated                               | Moderate | -                            | 150 × 3<br>10                | <i>Corynebacterium</i> sp.<br><i>P. magnus</i> | 0.2<br>0.39 |       |     | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |         |
| 177      | K. H. M 53      | Infected atheroma | Aggravated  | Moderate | -                            | 150 × 3<br>7                 | N.T.   |             |       |     | Cured               | Unknown                  | Excellent                   | -           | Remarkably useful |         |

GIR : global improvement rating    GUR : global usefulness rating    N.T. : not tested

Table 3-19. Clinical results of T-3262

| Case No. | Initial Sex Age  | Diagnosis         | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day) | Organisms  |                      |       |                     | GIR        | Facterio-logical response | Global clinical improvement | Side effect       | GUR          | Remarks |
|----------|------------------|-------------------|---|----------|------------------------------|------------------------------|--|----------------------|-------|---------------------|------------|---------------------------|-----------------------------|-------------------|--------------|---------|
|          |                  |                   |   |          |                              |                              | Before   | MIC                  | After | MIC                 |            |                           |                             |                   |              |         |
| 178      | J. K.<br>M<br>21 | Infected atheroma | Aggravated  | Mild     | -                            | 150 × 3<br>7                 | <i>S. aureus</i>   | 0.05                 |       | Cured               | Eliminated | Excellent                 | -                           | Remarkably useful |              |         |
| 179      | Y. T.<br>M<br>23 | Infected atheroma | Aggravated  | Moderate | -                            | 150 × 3<br>7                 | N.G.   |                      |       | Remarkably improved | Unknown    | Good                      | -                           | Moderately useful |              |         |
| 180      | S. U.<br>M<br>36 | Infected atheroma | Remarkably aggravated                               | Severe   | -                            | 150 × 3<br>5                 | N.G.   |                      |       | Cured               | Unknown    | Excellent                 | -                           | Remarkably useful |              |         |
| 181      | Y. H.<br>F<br>24 | Infected atheroma | Tendency to remission                               | Mild     | -                            | 150 × 3<br>6                 | <i>P. acnes</i>  | 1.56                 |       | Cured               | Eliminated | Excellent                 | -                           | Remarkably useful |              |         |
| 182      | T. A.<br>M<br>64 | Infected atheroma | Aggravated  | Moderate | -                            | 150 × 3<br>4                 | <i>S. epidermidis</i><br><i>A. calcoaceticus</i><br><i>P. magnus</i> | 0.05<br>0.05<br>0.39 |       | Cured               | Eliminated | Excellent                 | -                           | Remarkably useful | Eosinophilia |         |
| 183      | Y. N.<br>M<br>22 | Infected atheroma | Stationary  | Moderate | -                            | 300 × 2<br>14                | <i>P. acnes</i>  | 0.39                 |       | Cured               | Eliminated | Excellent                 | -                           | Remarkably useful |              |         |
| 184      | T. R.<br>M<br>37 | Infected atheroma | Aggravated  | Moderate | -                            | 300 × 2<br>10                | <i>P. acnes</i>  | 0.39                 |       | Remarkably improved | Eliminated | Good                      | -                           | Moderately useful |              |         |
| 185      | Y. H.<br>M<br>69 | Infected atheroma | Aggravated  | Severe   | -                            | 300 × 2<br>11                | <i>P. asaccharolyticus</i><br><i>P. acnes</i>                        | 0.78<br>3.13         |       | Remarkably improved | Eliminated | Good                      | -                           | Moderately useful |              |         |
| 186      | S. T.<br>M<br>32 | Infected atheroma | Aggravated  | Moderate | -                            | 300 × 2<br>14                | N.G.   |                      |       | Cured               | Unknown    | Good                      | -                           | Moderately useful |              |         |
| 187      | S. K.<br>F<br>52 | Infected atheroma | Aggravated  | Moderate | -                            | 300 × 2<br>10                | N.T.   |                      |       | Remarkably improved | Unknown    | Good                      | -                           | Moderately useful |              |         |

GIR : global improvement rating    GUR : global usefulness rating    N.G. : no growth    N.T. : not tested

Table 3-20. Clinical results of T-3262

| Case No. | Initial Sex Age  | Diagnosis         | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day)  | Organisms                                |               |                 |      | GIR                 | Bacteriological response | Global clinical improvement | Side effect       | GUR               | Remarks     |
|----------|------------------|-------------------|---|----------|------------------------------|-------------------------------|--|---------------|-----------------|------|---------------------|--------------------------|-----------------------------|-------------------|-------------------|-------------|
|          |                  |                   |   |          |                              |                               | Before                                   | MIC           | After           | MIC  |                     |                          |                             |                   |                   |             |
| 188      | K. S.<br>M<br>23 | Infected atheroma | Aggravated  | Moderate | -                            | 150 × 2<br>9<br>150 × 3<br>11 | N.G.                                     |               |                 | 3.13 | Remarkably improved | Unknown                  | Fair                        | -                 | Slightly useful   |             |
| 189      | S. K.<br>F<br>18 | Acne conglobata   | Aggravated  | Moderate | -                            | 150 × 1<br>1                  | /  | /             | /               | /    | /                   | /                        | /                           | Catarrhal symptom | Undesirable       |             |
| 190      | O. N.<br>M<br>39 | Acne conglobata   | Aggravated  | Moderate | -                            | 150 × 2<br>14                 | <i>P. acnes</i><br><i>P. granulosom</i>  | 0.78<br>0.1   | <i>P. acnes</i> | 0.39 | Slightly improved   | Decreased                | Fair                        | -                 | Slightly useful   |             |
| 191      | M. M.<br>M<br>31 | Acne conglobata   | Aggravated  | Moderate | -                            | 150 × 2<br>12                 | N.T.                                     |               |                 |      | Moderately improved | Unknown                  | Good                        | -                 | Moderately useful |             |
| 192      | N. Y.<br>F<br>26 | Acne conglobata   | Aggravated  | Moderate | CDX                          | 150 × 3<br>7                  | N.T.                                     |               |                 |      | Moderately improved | Unknown                  | Good                        | -                 | Moderately useful |             |
| 193      | K. K.<br>F<br>22 | Acne conglobata   | Aggravated  | Moderate | -                            | 150 × 3<br>18                 | N.T.                                     |               |                 |      | Remarkably improved | Unknown                  | Excellent                   | -                 | Remarkably useful |             |
| 194      | T. I.<br>F<br>33 | Acne conglobata   | Aggravated  | Moderate | -                            | 150 × 3<br>7                  | N.T.                                     |               |                 |      | Remarkably improved | Unknown                  | Good                        | -                 | Moderately useful |             |
| 195      | S. O.<br>M<br>49 | Acne conglobata   | Stationary  | Moderate | -                            | 150 × 3<br>11                 | <i>S. aureus</i>                         |               | N.G.            |      | Moderately improved | Eliminated               | Good                        | -                 | Moderately useful |             |
| 196      | S. Y.<br>M<br>17 | Acne conglobata   | Aggravated  | Moderate | DOXY                         | 150 × 3<br>8                  | <i>S. epidermidis</i><br><i>P. acnes</i> | 0.025<br>1.56 | N.G.            |      | Slightly improved   | Eliminated               | Fair                        | -                 | Slightly useful   |             |
| 197      | H. H.<br>M<br>17 | Acne conglobata   | Aggravated  | Severe   | CEX                          | 300 × 2<br>14                 | /  | /             | /               | /    | /                   | /                        | /                           | -                 | /                 | Dropped out |

GIR : global improvement rating GUR : global usefulness rating N.G. : no growth N.T. : not tested  
 CDX : cefadroxil DOXY : doxycycline CEX : cephalixin

Table 3-21. Clinical results of T-3262

| Case No. | Initial Sex Age  | Diagnosis             | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day) | Organisms   |  |                                      |                     | GIR                 | Bacteriological response | Global clinical improvement | Side effect       | GUR               | Remarks |
|----------|------------------|-----------------------|---|----------|------------------------------|------------------------------|---|--|--------------------------------------|---------------------|---------------------|--------------------------|-----------------------------|-------------------|-------------------|---------|
|          |                  |                       |   |          |                              |                              | Before  | MIC  | After                                | MIC                 |                     |                          |                             |                   |                   |         |
| 198      | M, A.<br>M<br>50 | Chronic pyoderma      | Aggravated  | Moderate | -                            | 150 × 3<br>11                | N.T.  |  |                                      |                     | Remarkably improved | Unknown                  | Good                        | -                 | Moderately useful |         |
| 199      | H, D.<br>F<br>82 | Periproctical abscess | Aggravated  | Moderate | CFS<br>CTX                   | 150 × 2<br>15                | <i>S. aureus</i><br><i>M. morganii</i><br><i>B. fragilis</i>  | 0.39<br>0.05<br>0.39                               |                                      | Cured               | Eliminated          | Excellent                | -                           | Moderately useful |                   |         |
| 200      | H, H.<br>F<br>27 | Secondary infection   | Stationary  | Moderate | -                            | 75 × 2<br>14                 | <i>P. aeruginosa</i><br><i>P. asaccharolyticus</i><br><i>P. prevotii</i>  | 0.78<br>3.13<br>0.39                               | 0.39<br>0.2<br>6.25                  | Unchanged           | Replaced            | Poor                     | -                           | Useless           |                   |         |
| 201      | A, I.<br>F<br>56 | Secondary infection   | Stationary  | Moderate | -                            | 75 × 2<br>14                 | <i>S. simulans</i>  | 0.2  | <i>S. capitis</i><br><i>P. acnes</i> | 0.2<br>0.78         | Slightly improved   | Replaced                 | Fair                        | -                 | Slightly useful   | ESR ↑   |
| 202      | M, O.<br>F<br>39 | Secondary infection   | Aggravated  | Moderate | -                            | 75 × 2<br>10                 | <i>P. vulgaris</i><br><i>M. morganii</i><br><i>S. aureus</i><br><i>A. calcoaceticus</i><br><i>S. faecalis</i><br><i>S. equisimilis</i><br><i>P. acnes</i> | 0.05<br>0.1<br>0.05<br>0.05<br>0.39<br>0.1<br>0.39 |                                      | Cured               | Eliminated          | Good                     | -                           | Moderately useful | GOT ↑             |         |
| 203      | I, T.<br>M<br>30 | Secondary infection   | Aggravated  | Mild     | -                            | 75 × 3<br>7                  | <i>S. pyogenes</i><br><i>P. magnus</i>  | 0.39<br>0.39                                       |                                      | Moderately improved | Eliminated          | Good                     | -                           | Moderately useful |                   |         |
| 204      | O, S.<br>M<br>23 | Secondary infection   | Aggravated  | Moderate | -                            | 150 × 1<br>1                 |   |  |                                      |                     |                     |                          |                             | Rash              | Undesirable       |         |
| 205      | M, A.<br>F<br>70 | Secondary infection   | Aggravated  | Mild     | -                            | 150 × 2<br>7                 | <i>S. aureus</i>  | 0.05   |                                      | Moderately improved | Eliminated          | Good                     | -                           | Moderately useful |                   |         |

GIR : global improvement rating    GUR : global usefulness rating    N.T. : not tested    CFS : cefsulodin    CFX : cefotaxime    CTX : cefotaxime

Table 3-22. Clinical results of T-3262

| Case No. | Initial Sex Age | Diagnosis           | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg./day) Duration (day) | Organisms   |                             |                      |      | GIR                 | Bacteriological response | Global clinical improvement | Side effect | GUR               | Remarks                   |             |
|----------|-----------------|---------------------|---|----------|------------------------------|-------------------------------|---|-----------------------------|----------------------|------|---------------------|--------------------------|-----------------------------|-------------|-------------------|---------------------------|-------------|
|          |                 |                     |   |          |                              |                               | Before  | MIC                         | After                | MIC  |                     |                          |                             |             |                   |                           |             |
| 206      | H. H. M 72      | Secondary infection | Aggravated  | Moderate | -                            | 150 × 2<br>14                 | N.G.  |                             |                      |      | 6.25                | Moderately improved      | Unknown                     | Good        | -                 | Moderately useful         |             |
| 207      | T. A. M 38      | Secondary infection | Remarkably Aggravated                               | Severe   | -                            | 150 × 2<br>9                  | <i>P. aeruginosa</i><br><i>S. epidermidis</i><br><i>Corynebacterium</i> sp.<br><i>B. fragilis</i><br><i>P. magnus</i> | 0.39<br>0.1<br>0.78<br>0.39 | <i>P. aeruginosa</i> | 1.56 | Cured               | Decreased                | Excellent                   | -           | Useless           | GOT ↑<br>GPT ↑<br>γ-GTP ↑ |             |
| 208      | Y. W. F 28      | Secondary infection | Aggravated  | Moderate | -                            | 150 × 2<br>5                  | <i>S. aureus</i><br><i>S. agalactiae</i>  | 0.2<br>1.56                 |                      |      | Cured               | Eliminated               | Excellent                   | -           | Remarkably useful |                           |             |
| 209      | Y. F. F 71      | Secondary infection | Aggravated  | Moderate | -                            | 150 × 2<br>8                  | <i>S. agalactiae</i><br><i>S. haemolyticus</i>  | 0.39<br>0.025               |                      |      | Moderately improved | Unknown                  | Good                        | -           | Moderately useful |                           |             |
| 210      | I. I. F 69      | Secondary infection | Stationary  | Moderate | -                            | 150 × 2<br>14                 | <i>S. aureus</i>  | 0.1                         |                      |      | Cured               | Unknown                  | Good                        | Obstruction | Moderately useful |                           |             |
| 211      | H. D. F 82      | Secondary infection | Aggravated  | Moderate | CFS<br>CTX                   | 150 × 2<br>15                 | N.T.  |                             |                      |      | 0.2<br>0.39<br>1.56 | Remarkably improved      | Unknown                     | Good        | -                 | Moderately useful         |             |
| 212      | T. M. F 19      | Secondary infection | Aggravated  | Mild     | -                            | 150 × 2<br>7                  | <i>S. aureus</i>  | 0.05                        | N.G.                 |      |                     | Moderately improved      | Eliminated                  | Good        | -                 | Moderately useful         |             |
| 213      | K. K. F 72      | Secondary infection | Aggravated  | Mild     | -                            | 150 × 2<br>5                  | <i>S. aureus</i>  |                             |                      |      |                     | Remarkably improved      | Eliminated                  | Excellent   | -                 | Remarkably useful         |             |
| 214      | S. S. M 44      | Secondary infection | Stationary  | Moderate | -                            | 150 × 3<br>7                  |   |                             |                      |      |                     |                          |                             |             |                   |                           | Dropped out |

GIR : global improvement rating    GUR : global usefulness rating    N.G. : no growth    N.T. : not tested    CFS : cefsulodin    CTX : cefotaxime

Table 3-23. Clinical results of T-3262

| Case No. | Initial Sex Age  | Diagnosis           | Status of disease at the beginning of the treatment | Severity | Antibiotics before treatment | Dose (mg/day) Duration (day) | Organisms   |                         |       |                     | GIR        | Bacteriological response | Global clinical improvement | Side effect       | GUR         | Remarks |
|----------|------------------|---------------------|---|----------|------------------------------|------------------------------|---|-------------------------|-------|---------------------|------------|--------------------------|-----------------------------|-------------------|-------------|---------|
|          |                  |                     |   |          |                              |                              | Before  | MIC                     | After | MIC                 |            |                          |                             |                   |             |         |
| 215      | K. S.<br>M<br>76 | Secondary infection | Stationary  | Severe   | -                            | 150 × 3<br>15                | N.G.  |                         |       | Moderately improved | Unknown    | Good                     | -                           | Moderately useful |             |         |
| 216      | K. W.<br>M<br>18 | Secondary infection | Stationary  | Moderate | -                            | 150 × 3<br>7                 | <i>S. aureus</i>  | 0.05                    |       | Moderately improved | Unknown    | Good                     | -                           | Moderately useful |             |         |
| 217      | Y. Y.<br>F<br>69 | Secondary infection | Stationary  | Moderate | -                            | 150 × 3<br>7                 | /   | /                       | /     | /                   | /          | /                        | -                           | /                 | Dropped out |         |
| 218      | S. K.<br>M<br>45 | Secondary infection | Stationary  | Moderate | -                            | 150 × 3<br>10                | <i>S. aureus</i>  |                         |       | Cured               | Eliminated | Excellent                | -                           | Moderately useful |             |         |
| 219      | K. U.<br>M<br>48 | Secondary infection | Aggravated  | Moderate | -                            | 150 × 3<br>12                | <i>S. aureus</i>  | 0.05                    | N.G.  | Cured               | Eliminated | Good                     | -                           | Moderately useful |             |         |
| 220      | T. T.<br>M<br>64 | Secondary infection | Aggravated  | Mild     | -                            | 150 × 3<br>7                 | <i>S. epidermidis</i>   | 0.05                    |       | Cured               | Eliminated | Excellent                | -                           | Remarkably useful |             |         |
| 221      | K. T.<br>M<br>59 | Secondary infection | Aggravated  | Moderate | -                            | 150 × 3<br>6                 | <i>S. aureus</i><br><i>P. acnes</i>                               | 0.2<br>1.56             |       | Cured               | Eliminated | Good                     | -                           | Moderately useful |             |         |
| 222      | S. A.<br>F<br>51 | Secondary infection | Aggravated  | Moderate | -                            | 150 × 3<br>26                | <i>S. aureus</i><br><i>A. calcoaceticus</i><br><i>C. freundii</i> | 0.025<br>0.025<br>0.025 |       | Remarkably improved | Unknown    | Good                     | -                           | Moderately useful |             |         |
| 223      | I. O.<br>M<br>54 | Secondary infection | Stationary  | Severe   | -                            | 300 × 2<br>14                | /   | /                       | /     | /                   | /          | /                        | -                           | /                 | Dropped out |         |

GIR : global improvement rating    GUR : global usefulness rating    N.G. : no growth

第Ⅲ群：水疱，びらん，発赤，発疹新生

第Ⅳ群：硬結，自発痛，圧痛，発赤，腫脹

第Ⅴ群：硬結，自発痛，圧痛，発赤，腫脹

第Ⅵ群：自発痛，圧痛，周囲発赤，腫脹，膿苔付着，

浸出液

2) 自・他覚所見の程度

すべての項目について，次の5段階で評価した。

0：なし

1：軽度

2：中等度

3：高度

③：高度より増悪

3) 副作用

副作用のみられた場合は，その種類，程度，発現日，薬剤との関係，処置，経過などを調査表に記載することとした。

4) 臨床検査

投与開始時および終了時に可能なかぎり，次の臨床検査を行うこととした。

血液検査：赤血球数，血色素量，ヘマトクリット，白血球数，白血球分画（好中球，好酸球，好塩基球，リンパ球，単球），血小板数，プロトロンビン時間

肝機能検査：S-GOT，S-GPT，AL-Pase，LDH， $\gamma$ -GTP，LAP，総コレステロール，アミラーゼ，ビリルビン（直接，間接，総）

腎機能検査：BUN，血清クレアチニン

尿所見：pH，蛋白，糖，ウロビリノーゲン，沈渣（赤血球，白血球）

その他：血清電解質（Na，K，Cl），クームス（直接・

間接），CRP，赤沈（1時間）

5) 細菌学的検査

細菌学的検査は，投与開始日，終了日に可能なかぎり行うこととした。

4. 効果判定

1) 最終全般改善度

投与終了時に最終全般改善度について，次の7段階および判定不能で評価した。

1. 治癒

2. 著しく改善

3. 改善

4. やや改善

5. 不変

6. 増悪

7. 著しく増悪

2) 総合臨床効果

投与終了時に，症状の推移および最終全般改善度などを勘案し，次の4段階と判定不能で評価した。

1. 著効

2. 有効

3. やや有効

4. 無効

3) 有用性

総合臨床効果と副作用，臨床検査値を勘案して，次の5段階で評価した。

1. 極めて有用

2. 有用

3. やや有用

4. 有用とは思わない

Table 4. Sex and age of patients treated with T-3262

| Age (y)   | Sex        |           | Total (%) |
|-----------|------------|-----------|-----------|
|           | Male       | Female    |           |
| 15~19     | 11         | 10        | 21 (10.4) |
| 20~29     | 31         | 24        | 55 (26.1) |
| 30~39     | 25         | 19        | 44 (20.9) |
| 40~49     | 18         | 6         | 24 (11.4) |
| 50~59     | 19         | 11        | 30 (14.2) |
| 60~69     | 8          | 9         | 17 ( 8.1) |
| 70~79     | 12         | 5         | 17 ( 8.1) |
| 80~84     | 1          | 2         | 3 ( 1.4)  |
| Total (%) | 125 (59.2) | 86 (40.8) | 211       |

Table 5. Daily dose and duration of T-3262 treatment

| Daily dose (mg) | Duration (days) |           |           |           |           |         | Total (%) |
|-----------------|-----------------|-----------|-----------|-----------|-----------|---------|-----------|
|                 | 1~4             | 5, 6      | 7, 8      | 9~11      | 12~16     | 17~26   |           |
| 150             | 0               | 0         | 6         | 5         | 6         | 1       | 18 ( 8.5) |
| 225             | 0               | 0         | 1         | 0         | 0         | 0       | 1 ( 0.5)  |
| 300             | 4               | 12        | 24        | 12        | 26        | 4       | 82 (38.9) |
| 450             | 8               | 7         | 45        | 17        | 10        | 3       | 90 (42.7) |
| 600             | 1               | 2         | 3         | 7         | 4         | 0       | 17 ( 8.1) |
| Changed         | 0               | 1         | 0         | 0         | 1         | 1       | 3 ( 1.4)  |
| Total (%)       | 13 (6.2)        | 22 (10.4) | 79 (37.4) | 41 (19.4) | 47 (22.3) | 9 (4.3) | 211       |

Table 6. Status of disease at the beginning of treatment and type of disease

| Group of disease / Status of disease | I  | II | III | IV | V  | VI | Total (%)  |
|--------------------------------------|----|----|-----|----|----|----|------------|
| Tendency to remission                | 0  | 0  | 0   | 0  | 1  | 0  | 1 ( 0.5)   |
| Stationary                           | 9  | 6  | 0   | 3  | 8  | 6  | 32 (15.2)  |
| Aggravated                           | 33 | 41 | 4   | 20 | 47 | 11 | 156 (73.9) |
| Remarkably aggravated                | 0  | 8  | 1   | 5  | 7  | 1  | 22 (10.4)  |
| Total (%)                            | 42 | 55 | 5   | 28 | 63 | 18 | 211        |

Table 7. Severity and type of disease

| Group of disease / Severity | I  | II | III | IV | V  | VI | Total (%)  |
|-----------------------------|----|----|-----|----|----|----|------------|
| Mild                        | 12 | 9  | 1   | 1  | 8  | 5  | 36 (17.1)  |
| Moderate                    | 27 | 41 | 4   | 21 | 50 | 13 | 156 (73.9) |
| Severe                      | 3  | 5  | 0   | 4  | 5  | 2  | 19 ( 9.0)  |
| Total                       | 42 | 55 | 5   | 26 | 63 | 20 | 211        |

## 5. 好ましくない

## 4) 細菌学的効果

細菌学的検査結果に基づき、次の4段階と判定不能で評価した。

1. 消失または陰性化
2. 減少または一部消失
3. 不変
4. 菌交代

ただし、投与終了時に細菌学的検討を実施していない症例であっても、次のものは細菌学的効果を「消失または陰性化」とした。

## 1. 治癒した症例

## 2. 下記の症状が0になった症例

## 第I群：膿疱

第II, IV, V群：自発痛, 圧痛, 腫脹

第III群：水疱, びらん

第VI群：膿苔付着, 浸出液

## 5. 臨床成績

## 1) 患者の背景

年齢, 性別については, Table 4 に示した。性別は, 男性 125 例 (59.2%), 女性 86 例 (40.8%) と男性がやや多く, 年齢は 15~84 歳で 20 歳代が 55 例 (26.1%) と最も多く, 次いで 30 歳代, 50 歳代, 40 歳代の順であった。

Table 8. Final global improvement rating classified by diagnosis

| Group | Diagnosis                | No. of cases | Final global improvement rating |      |      |      | Efficacy rate : $\geq$ Good (%) |
|-------|--------------------------|--------------|---------------------------------|------|------|------|---------------------------------|
|       |                          |              | Excellent                       | Good | Fair | Poor |                                 |
| I     | Folliculitis             | 36           | 14                              | 16   | 3    | 3    | 83.3                            |
|       | Acne pustulosa           | 4            | 3                               | 1    |      |      | 100                             |
|       | Sycosis vulgaris         | 1            |                                 |      |      | 1    | 0                               |
|       | Acne vulgaris            | 1            |                                 |      | 1    |      | 0                               |
|       | Sub total                | 42           | 17                              | 17   | 4    | 4    | 81.0                            |
| II    | Furuncle                 | 41           | 22                              | 16   | 2    | 1    | 92.7                            |
|       | Furunculosis             | 9            | 5                               | 2    | 1    | 1    | 77.8                            |
|       | Carbuncle                | 5            | 2                               | 3    |      |      | 100                             |
|       | Sub total                | 55           | 29                              | 21   | 3    | 2    | 90.9                            |
| III   | Impetigo contagiosa      | 2            | 2                               |      |      |      | 100                             |
|       | Impetiginous eczema      | 3            | 1                               | 2    |      |      | 100                             |
|       | Sub total                | 5            | 3                               | 2    |      |      | 100                             |
| IV    | Phlegmon                 | 9            | 4                               | 3    | 1    | 1    | 77.8                            |
|       | Erysipelas               | 1            |                                 | 1    |      |      | 100                             |
|       | Superficial lymphangitis | 3            | 2                               | 1    |      |      | 100                             |
|       | Suppurative paronychia   | 9            | 5                               | 2    | 2    |      | 77.8                            |
|       | Panaritium               | 4            | 3                               | 1    |      |      | 100                             |
|       | Sub total                | 26           | 14                              | 8    | 3    | 1    | 84.6                            |
| V     | Subcutaneous abscess     | 6            | 3                               | 1    | 2    |      | 66.7                            |
|       | Suppurative hidradenitis | 1            |                                 |      | 1    |      | 0                               |
|       | Infected atheroma        | 47           | 15                              | 28   | 1    | 3    | 91.5                            |
|       | Acne conglobata          | 7            | 1                               | 4    | 2    |      | 71.4                            |
|       | Chronic pyoderma         | 1            |                                 | 1    |      |      | 100                             |
|       | Periproctoc abscess      | 1            | 1                               |      |      |      | 100                             |
|       | Sub total                | 63           | 20                              | 34   | 6    | 3    | 85.7                            |
| VI    | Secondary infection      | 20           | 5                               | 13   | 1    | 1    | 90.0                            |
| Total |                          | 211          | 88                              | 95   | 17   | 11   | 86.7                            |

## 2) 投与量および投与期間

1日投与量は、450 mg (分3) が90例 (42.7%) で最も多く、次いで300 mg (分2) が82例 (38.9%)、150 mg (分2) が18例 (8.5%)、600 mg (分3) が17例 (8.1%)、225 mg (分3) が1例、他に途中での投薬量変更 (300 mg→150 mg, 450 mg→300 mg, 300 mg→450 mg 各1例) 3例 (1.4%) であった。

また、投与期間は1~26日間であるが、7~8日が79例 (37.4%) で最も多く、次いで、12~16日が47例 (22.3%)、9~11日41例 (19.4%)、5~6日22例 (10.4%)、1~4日13例 (6.2%)、17~26日9例 (4.3%) であった (Table 5)。

3) 治療開始時病勢は、悪化中が156例 (73.9%) で最も多く、次いで進行停止32例 (15.2%)、急激悪化中22例 (10.4%) であり、自然軽快中は第V群の1例のみであった (Table 6)。

また、重症度は中等症が156例 (73.9%) でもっとも多く、次いで軽症36例 (17.1%)、重症19例 (9.0%) であった。群別にみると、いずれの群においても中等症が多かった (Table 7)。

## 4) 臨床効果

各群別および疾患別臨床効果はTable 8に示した。有効以上の有効率は、I群は全体で81.0% (34/42)、疾患別には毛のう炎83.3% (30/36)、膿疱性痤瘡100% (4/

Table 9. Global clinical efficacy by daily dose and type of disease

| Daily dose<br>(mg) | Group of disease |              |           |              |              |              | Total<br>(%)   |
|--------------------|------------------|--------------|-----------|--------------|--------------|--------------|----------------|
|                    | I                | II           | III       | IV           | V            | VI           |                |
| 150                | 2/3 (66.7)       | 5/5 (100)    | —         | 2/2 (100)    | 4/5 (80.0)   | 1/3 (33.3)   | 14/18 (77.8)   |
| 225                | —                | —            | —         | —            | —            | 1/1 (100)    | 1/1 (100)      |
| 300                | 21/25 (84.0)     | 21/22 (95.5) | 1/1 (100) | 6/8 (75.0)   | 13/17 (76.5) | 9/9 (100)    | 71/82 (86.6)   |
| 450                | 7/10 (70.0)      | 20/23 (87.0) | 3/3 (100) | 12/14 (85.7) | 30/33 (90.9) | 7/7 (100)    | 79/90 (87.8)   |
| 600                | 3/3 (100)        | 4/5 (80.0)   | 1/1 (100) | 1/1 (100)    | 7/7 (100)    | —            | 16/17 (94.1)   |
| Changed            | 1/1 (100)        | —            | —         | 1/1 (100)    | 0/1 (0)      | —            | 2/3 (66.7)     |
| Total (%)          | 34/42 (81.0)     | 50/55 (90.9) | 5/5 (100) | 22/26 (84.6) | 54/63 (85.7) | 18/20 (90.0) | 183/211 (86.7) |

Table 10. Global clinical efficacy by severity

| Severity | No. of cases | Global clinical efficacy |      |      |      | Efficacy rate<br>: $\geq$ Good<br>(%) |
|----------|--------------|--------------------------|------|------|------|---------------------------------------|
|          |              | Excellent                | Good | Fair | Poor |                                       |
| Mild     | 35           | 21                       | 13   | 1    | 0    | 97.1                                  |
| Moderate | 157          | 58                       | 76   | 13   | 10   | 85.4                                  |
| Severe   | 19           | 9                        | 6    | 3    | 1    | 78.9                                  |
| Total    | 211          | 88                       | 95   | 17   | 11   | 86.7                                  |

Table 11. Global clinical efficacy by status of disease

| Status of disease     | No. of cases | Global clinical efficacy |      |      |      | Efficacy rate<br>: $\geq$ Good<br>(%) |
|-----------------------|--------------|--------------------------|------|------|------|---------------------------------------|
|                       |              | Excellent                | Good | Fair | Poor |                                       |
| Tendency to remission | 1            | 1                        | 0    | 0    | 0    | 100                                   |
| Stationary            | 32           | 11                       | 13   | 6    | 2    | 75.0                                  |
| Aggravated            | 156          | 62                       | 75   | 11   | 8    | 87.8                                  |
| Remarkably aggravated | 22           | 14                       | 7    | 0    | 1    | 95.5                                  |
| Total                 | 211          | 88                       | 95   | 17   | 11   | 86.7                                  |

4), 尋常性毛瘡 0% (0/1), 尋常性痤瘡 0% (0/1) であった。II群は全体で 90.9% (50/55), 症例別には癩 92.7% (38/41), 癩腫症 77.8% (7/9), よう 100% (5/5) であった。III群では, 伝染性膿痂疹 2例, 膿痂疹性湿疹 3例はいずれも有効以上であった。IV群は全体で 84.6% (22/26), 疾患別には蜂窩織炎 77.8% (7/9), 丹毒 100% (1/1), 表在性リンパ管(節)炎 100% (3/3), 化膿性爪囲炎 77.8% (7/9), 癩疽 100% (4/4) であった。V群は全体で 85.7% (54/63), 疾患別には皮下膿瘍 66.7% (4/6), 化膿性汗腺炎 0% (0/1), 感染性粉瘤

91.5% (42/47), 集簇性痤瘡 71.4% (5/7), 慢性膿皮症 100% (1/1), 肛門周囲膿瘍 100% (1/1), VI群は, 二次感染症のみで 90.0% (18/20) であった。各群の有効率は, いずれも 80% 以上の高い有効率を示し, 全体としては 86.7% (183/211) であった。

1日投与量別総合臨床効果は, Table 9 に示すとおり, 有効率は 150 mg で 77.8% (14/18), 225 mg で 100% (1/1), 300 mg で 86.6% (71/82), 450 mg で 87.8% (79/90), 600 mg で 94.1% (16/17) であり, 他に投与量を途中で変更した症例では 66.7% (2/3) であ

Table 12. Global improvement rating classified by type of disease

| Group | Global improvement rating |    |    |   |   |   | Total | Efficacy rate<br>: $\geq$ #<br>(%) |
|-------|---------------------------|----|----|---|---|---|-------|------------------------------------|
|       | ###                       | ## | #  | + | 0 | × |       |                                    |
| I     | 15                        | 11 | 10 | 2 | 3 | 1 | 42    | 85.7                               |
| II    | 23                        | 22 | 7  | 1 | 0 | 2 | 55    | 94.5                               |
| III   | 2                         | 2  | 1  | 0 | 0 | 0 | 5     | 100                                |
| IV    | 13                        | 5  | 6  | 1 | 0 | 1 | 26    | 92.3                               |
| V     | 21                        | 21 | 15 | 3 | 3 | 0 | 63    | 90.5                               |
| VI    | 8                         | 3  | 7  | 1 | 1 | 0 | 20    | 90.0                               |
| Total | 82                        | 64 | 46 | 8 | 7 | 4 | 211   | 91.0                               |

###: Cured, ##: Remarkably improved, #: Moderately improved

+: Slightly improved, 0: Unchanged, ×: Aggravated

った。

重症度別総合臨床効果は Table 10 に示すとおり、有効率は軽症では 97.1% (34/35)、中等症 85.4% (134/157)、重症 78.9% (15/19) であった。

投与開始時病態別総合臨床効果は Table 11 に示すとおり、有効率は自然軽快中では 100% (1/1)、進行停止 75.0% (24/32)、悪化中 87.8% (137/156)、急激悪化中 95.5% (21/22) であった。

#### 5) 最終全般改善度

群別最終全般改善度は Table 12 に示すとおり、改善以上の改善率は I 群を除き、いずれの群においても 90% 以上の高い改善率を示した。また全体としては 91.0% (192/211) の改善率であった。

#### 6) 自・他覚所見の改善度

各群別の各症状の程度を投与前と各評価日を比較して消失、改善、不変、悪化の 4 段階で評価し、その推移を Table 13~18 に示した。改善以上の改善率は、I 群では膿疱、発疹新生が 3 日目、丘疹が 5 日目、硬結が 7 日目にそれぞれ 80% 以上の改善率を示した (Table 13)。II 群では自発痛、圧痛、腫脹が 3 日目で 90% 以上の高い改善率を示し、発赤は 3 日目、硬結は 5 日目に 80% 以上の改善率を示した (Table 14)。III 群では症例は少ないが、発赤の 7 日目以外はすべて 100% の改善率を示した (Table 15)。IV 群では、硬結の 5 日目以外はいずれも 80% 以上の改善率を示した (Table 16)。V 群では、3 日目において自発痛、腫脹が 90% 以上の高改善率を示し、硬結、圧痛、発赤が 80% 以上の改善率を示した (Table 17)。VI 群では、3 日目において自発痛、圧痛、腫脹が 90% 以上の高い改善率を示し、周囲発赤、膿苔付着、浸出液が 80% 以上の改善率を示した

(Table 18)。

#### 7) 細菌学的効果

本試験において、分離菌の検出された 165 例についての細菌学的効果を Table 19 に示した。このうち効果判定可能症例は 127 例で、その菌消失率は 95.3% (121/127) であった。分離菌別に消失率をみると、単独感染例では *S. aureus* 97.8% (45/46)、Coagulase negative *Staphylococci* (CNS) 100% (9/9)、*Propionibacterium acnes* 90.0% (18/20) などであり、単独感染例全体の消失率は 96.5% と高かった。複数菌感染例では *S. aureus* を含む複数菌感染例で 100% (14/14)、CNS を含む複数菌感染例で 93.8% (15/16) など、全体として 92.7% (38/41) であった。

また、分離頻度の高かった *S. aureus*, CNS, および *P. acnes* について、T-3262, norfloxacin (NFLX), ofloxacin (OFLX), enoxacin (ENX) の  $10^8$  cells/ml 接種菌量の感受性分布を Fig. 2~4 に示した。*S. aureus* に対する T-3262 の MIC のピークは 0.05  $\mu$ g/ml にあり、OFLX の 0.2  $\mu$ g/ml より 3 管程度、NFLX, ENX より 5 管程度それぞれ優れていた (Fig. 2)。CNS に対する MIC においても、T-3262 の MIC のピークは 0.05  $\mu$ g/ml にあり、OFLX より 3 管程度、NFLX, ENX より 4 管程度優れていた (Fig. 3)。*P. acnes* に対する T-3262 のピークは、0.78  $\mu$ g/ml にあり、OFLX より 1 管、NFLX, ENX より 3~4 管程度それぞれ優れていた (Fig. 4)。

#### 8) 有用性

有用性の評価症例 214 例における有用性を Table 20 に示した。214 例のうち「極めて有用」が 82 例 (38.3%)、「有用」が 100 例 (46.7%)、「やや有用」が 16 例

Table 13. Efficacy in symptoms of each group

Group I

| Symptom                   | Evaluation day | Efficacy |    |    |   | Total | Unknown | Efficacy rate (%) |      |
|---------------------------|----------------|----------|----|----|---|-------|---------|-------------------|------|
|                           |                | #        | +  | 0  | × |       |         | #                 | ≥+   |
| Papule                    | 3rd day        | 5        | 12 | 6  | 0 | 23    | 14      | 21.7              | 73.9 |
|                           | 5th day        | 8        | 16 | 3  | 0 | 27    | 10      | 29.6              | 88.9 |
|                           | 7th day        | 11       | 20 | 5  | 0 | 36    | 1       | 30.6              | 86.1 |
|                           | Final day      | 16       | 14 | 7  | 0 | 37    | 0       | 43.2              | 81.1 |
| Pustule                   | 3rd day        | 9        | 13 | 2  | 0 | 24    | 15      | 37.5              | 91.7 |
|                           | 5th day        | 15       | 11 | 2  | 0 | 28    | 11      | 53.6              | 92.9 |
|                           | 7th day        | 19       | 12 | 6  | 0 | 37    | 2       | 51.4              | 83.8 |
|                           | Final day      | 26       | 9  | 3  | 1 | 39    | 0       | 66.7              | 89.7 |
| Induration                | 3rd day        | 3        | 9  | 11 | 0 | 23    | 11      | 13.0              | 52.2 |
|                           | 5th day        | 7        | 12 | 8  | 0 | 27    | 7       | 25.9              | 70.4 |
|                           | 7th day        | 12       | 15 | 6  | 0 | 33    | 1       | 36.4              | 81.8 |
|                           | Final day      | 15       | 15 | 3  | 1 | 34    | 0       | 44.1              | 88.2 |
| Cessation of new eruption | 3rd day        | 9        | 9  | 1  | 0 | 19    | 12      | 47.4              | 94.7 |
|                           | 5th day        | 11       | 9  | 1  | 0 | 21    | 10      | 52.4              | 95.2 |
|                           | 7th day        | 14       | 14 | 1  | 0 | 29    | 2       | 48.3              | 96.6 |
|                           | Final day      | 19       | 10 | 2  | 0 | 31    | 0       | 61.3              | 93.5 |

# : Resolved, + : Improved, 0 : Unchanged, × : Aggravated

Table 14. Efficacy in symptoms of each group

Group II

| Symptom          | Evaluation day | Efficacy |    |    |   | Total | Unknown | Efficacy rate (%) |      |
|------------------|----------------|----------|----|----|---|-------|---------|-------------------|------|
|                  |                | #        | +  | 0  | × |       |         | #                 | ≥+   |
| Induration       | 3rd day        | 2        | 29 | 10 | 1 | 42    | 13      | 47.6              | 73.8 |
|                  | 5th day        | 7        | 35 | 6  | 1 | 49    | 6       | 14.3              | 85.7 |
|                  | 7th day        | 11       | 41 | 1  | 1 | 54    | 1       | 20.4              | 96.3 |
|                  | Final day      | 16       | 37 | 1  | 1 | 55    | 0       | 29.1              | 96.4 |
| Spontaneous pain | 3rd day        | 23       | 13 | 4  | 0 | 40    | 13      | 57.5              | 90.0 |
|                  | 5th day        | 30       | 15 | 2  | 0 | 47    | 6       | 63.8              | 95.7 |
|                  | 7th day        | 42       | 9  | 1  | 0 | 52    | 1       | 80.8              | 98.1 |
|                  | Final day      | 45       | 6  | 2  | 0 | 53    | 0       | 84.9              | 96.2 |
| Tenderness       | 3rd day        | 10       | 28 | 2  | 1 | 41    | 13      | 24.4              | 92.7 |
|                  | 5th day        | 21       | 24 | 2  | 1 | 48    | 6       | 43.8              | 93.8 |
|                  | 7th day        | 38       | 14 | 0  | 1 | 53    | 1       | 71.7              | 98.1 |
|                  | Final day      | 45       | 8  | 0  | 1 | 54    | 0       | 83.3              | 98.1 |
| Redness          | 3rd day        | 1        | 33 | 7  | 1 | 42    | 13      | 2.4               | 81.0 |
|                  | 5th day        | 9        | 34 | 5  | 1 | 49    | 6       | 18.4              | 87.8 |
|                  | 7th day        | 29       | 23 | 1  | 1 | 54    | 1       | 53.7              | 96.3 |
|                  | Final day      | 35       | 19 | 0  | 1 | 55    | 0       | 63.6              | 98.2 |
| Swelling         | 3rd day        | 7        | 30 | 3  | 1 | 41    | 12      | 17.1              | 90.7 |
|                  | 5th day        | 17       | 28 | 2  | 1 | 48    | 5       | 35.4              | 93.8 |
|                  | 7th day        | 37       | 14 | 0  | 1 | 52    | 1       | 71.4              | 98.1 |
|                  | Final day      | 43       | 9  | 0  | 1 | 53    | 0       | 81.1              | 98.1 |

# : Resolved, + : Improved, 0 : Unchanged, × : Aggravated

Table 15. Efficacy in symptoms of each group

| Symptom                   | Evaluation day | Efficacy |   |   |   | Total | Unknown | Efficacy rate (%) |      |
|---------------------------|----------------|----------|---|---|---|-------|---------|-------------------|------|
|                           |                | #        | + | 0 | × |       |         | #                 | ≥+   |
| Bulla                     | 3rd day        | 1        | 0 | 0 | 0 | 1     | 2       | 100               | 100  |
|                           | 5th day        | 1        | 0 | 0 | 0 | 1     | 2       | 100               | 100  |
|                           | 7th day        | 3        | 0 | 0 | 0 | 3     | 0       | 100               | 100  |
|                           | Final day      | 3        | 0 | 0 | 0 | 3     | 0       | 100               | 100  |
| Erosion                   | 3rd day        | 1        | 1 | 0 | 0 | 2     | 3       | 50.0              | 100  |
|                           | 5th day        | 2        | 2 | 0 | 0 | 4     | 1       | 50.0              | 100  |
|                           | 7th day        | 4        | 1 | 0 | 0 | 5     | 0       | 80.0              | 100  |
|                           | Final day      | 5        | 0 | 0 | 0 | 5     | 0       | 100               | 100  |
| Redness                   | 3rd day        | 0        | 2 | 0 | 0 | 2     | 3       | 0                 | 100  |
|                           | 5th day        | 1        | 2 | 0 | 0 | 3     | 2       | 33.3              | 100  |
|                           | 7th day        | 1        | 3 | 1 | 0 | 4     | 1       | 25.0              | 75.0 |
|                           | Final day      | 2        | 3 | 0 | 0 | 5     | 0       | 40.0              | 100  |
| Cessation of new eruption | 3rd day        | 1        | 0 | 0 | 0 | 1     | 3       | 100               | 100  |
|                           | 5th day        | 2        | 0 | 0 | 0 | 2     | 2       | 100               | 100  |
|                           | 7th day        | 3        | 1 | 0 | 0 | 4     | 0       | 75.0              | 100  |
|                           | Final day      | 4        | 0 | 0 | 0 | 4     | 0       | 100               | 100  |

# : Resolved, + : Improved, 0 : Unchanged, × : Aggravated.

Table 16. Efficacy in symptoms of each group

| Symptom          | Evaluation day | Efficacy |    |   |   | Total | Unknown | Efficacy rate (%) |      |
|------------------|----------------|----------|----|---|---|-------|---------|-------------------|------|
|                  |                | #        | +  | 0 | × |       |         | #                 | ≥+   |
| Induration       | 3rd day        | 7        | 5  | 3 | 0 | 15    | 10      | 46.7              | 80.0 |
|                  | 5th day        | 8        | 6  | 3 | 1 | 18    | 7       | 44.4              | 77.8 |
|                  | 7th day        | 13       | 7  | 4 | 1 | 25    | 0       | 52.0              | 80.0 |
|                  | Final day      | 15       | 7  | 2 | 1 | 25    | 0       | 60.0              | 88.0 |
| Spontaneous pain | 3rd day        | 8        | 6  | 2 | 0 | 16    | 12      | 50.0              | 87.5 |
|                  | 5th day        | 11       | 8  | 2 | 0 | 21    | 7       | 52.4              | 90.4 |
|                  | 7th day        | 20       | 7  | 1 | 0 | 28    | 0       | 71.4              | 96.4 |
|                  | Final day      | 22       | 5  | 1 | 0 | 28    | 0       | 78.6              | 96.4 |
| Tenderness       | 3rd day        | 5        | 8  | 3 | 0 | 16    | 12      | 31.3              | 81.3 |
|                  | 5th day        | 7        | 10 | 4 | 0 | 21    | 7       | 33.3              | 81.0 |
|                  | 7th day        | 15       | 10 | 3 | 0 | 28    | 0       | 53.6              | 89.3 |
|                  | Final day      | 19       | 8  | 0 | 1 | 28    | 0       | 67.9              | 96.4 |
| Redness          | 3rd day        | 5        | 8  | 3 | 0 | 16    | 12      | 31.3              | 81.3 |
|                  | 5th day        | 6        | 12 | 3 | 0 | 21    | 7       | 28.6              | 85.7 |
|                  | 7th day        | 11       | 15 | 2 | 0 | 28    | 0       | 39.3              | 92.9 |
|                  | Final day      | 12       | 15 | 1 | 0 | 28    | 0       | 42.9              | 96.4 |
| Swelling         | 3rd day        | 4        | 9  | 3 | 0 | 16    | 12      | 25.0              | 81.3 |
|                  | 5th day        | 7        | 12 | 2 | 0 | 21    | 7       | 33.3              | 90.5 |
|                  | 7th day        | 14       | 13 | 1 | 0 | 28    | 0       | 50.0              | 96.4 |
|                  | Final day      | 18       | 9  | 0 | 1 | 28    | 0       | 64.3              | 96.4 |

# : Resolved, + : Improved, 0 : Unchanged, × : Aggravated

Table 17. Efficacy in symptoms of each group

| Symptom          | Evaluation day | Efficacy |    |   |   | Total | Unknown | Efficacy rate (%) |      |
|------------------|----------------|----------|----|---|---|-------|---------|-------------------|------|
|                  |                | #        | +  | 0 | × |       |         | #                 | ≥+   |
| Induration       | 3rd day        | 1        | 39 | 8 | 1 | 49    | 12      | 2.0               | 81.6 |
|                  | 5th day        | 5        | 45 | 5 | 1 | 56    | 5       | 8.9               | 89.3 |
|                  | 7th day        | 13       | 42 | 3 | 1 | 59    | 2       | 22.0              | 93.2 |
|                  | 10th day       | 17       | 39 | 4 | 1 | 61    | 0       | 27.9              | 91.8 |
|                  | Final day      | 24       | 35 | 2 | 0 | 61    | 0       | 39.3              | 96.7 |
| Spontaneous pain | 3rd day        | 16       | 24 | 2 | 1 | 43    | 11      | 37.2              | 93.0 |
|                  | 5th day        | 26       | 20 | 1 | 2 | 49    | 5       | 53.1              | 93.9 |
|                  | 7th day        | 33       | 16 | 0 | 2 | 51    | 3       | 64.7              | 96.1 |
|                  | 10th day       | 39       | 12 | 0 | 3 | 54    | 0       | 72.2              | 94.4 |
|                  | Final day      | 46       | 7  | 0 | 1 | 54    | 0       | 85.2              | 98.1 |
| Tenderness       | 3rd day        | 5        | 36 | 6 | 2 | 49    | 11      | 10.2              | 83.7 |
|                  | 5th day        | 14       | 36 | 4 | 3 | 57    | 3       | 24.6              | 87.7 |
|                  | 7th day        | 25       | 29 | 3 | 2 | 59    | 1       | 42.4              | 91.5 |
|                  | 10th day       | 34       | 22 | 2 | 2 | 60    | 0       | 56.7              | 93.3 |
|                  | Final day      | 43       | 15 | 2 | 0 | 60    | 0       | 71.7              | 96.7 |
| Redness          | 3rd day        | 1        | 42 | 7 | 1 | 51    | 12      | 2.0               | 84.3 |
|                  | 5th day        | 8        | 45 | 4 | 1 | 58    | 5       | 13.8              | 91.4 |
|                  | 7th day        | 15       | 42 | 3 | 1 | 60    | 3       | 25.0              | 95.0 |
|                  | 10th day       | 23       | 34 | 5 | 1 | 63    | 0       | 36.5              | 90.5 |
|                  | Final day      | 34       | 26 | 3 | 0 | 63    | 0       | 54.0              | 95.2 |
| Swelling         | 3rd day        | 6        | 41 | 3 | 1 | 51    | 12      | 11.8              | 92.2 |
|                  | 5th day        | 13       | 41 | 2 | 2 | 58    | 5       | 22.4              | 93.1 |
|                  | 7th day        | 26       | 31 | 3 | 1 | 61    | 2       | 42.6              | 93.4 |
|                  | 10th day       | 37       | 21 | 4 | 1 | 63    | 0       | 58.7              | 92.1 |
|                  | Final day      | 44       | 16 | 3 | 0 | 63    | 0       | 69.8              | 95.2 |

# : Resolved, + : Improved, 0 : Unchanged, × : Aggravated

(7.5%), 「有用とは思わない」が13例(6.1%), 「好ましくない」が3例(1.4%)であり, 有用以上が85.0%であった。

#### 9) 副作用および臨床検査値異常

副作用は, Table 21 に示すとおり, 223例中9例(4.0%)に発現した。症状としては, 嘔気2例, 心窩部痛, 胃部不快感, 胃のむかつき, 便秘, 下痢, カタル症状, 発疹が各例に認められた。

臨床検査値異常は Table 22 に示すとおり, 好酸球増多3件, リンパ球上昇1件, GOT上昇5件, GPT上昇3件, ALP上昇1件, LDH上昇3件,  $\gamma$ -GTP上昇3件, LAP上昇1件, 総蛋白減少1件, 尿比重減少1件, 赤沈の亢進1件が10例に認められた。

### III. 考 察

一般に従来のニューキノロンは血中濃度が上昇せず, よりよい製品の出現に当っては腸管吸収の向上を計るか, 抗菌力を向上させるかの2つの方向が必要と考えられるが, T-3262では後者の方法を採用している。これは血中濃度を上昇させる解決法に較べると副作用を増すことなく臨床効果を上げることが出来るという特色を有している。本来この系統の薬剤は皮膚への組織移行が良い傾向があるが, 今回のヒトの皮膚と血清における濃度比においても皮膚組織濃度/血中濃度比は最高251.9%と従来の薬物にはない高い比率を示し, 本剤が皮膚科学的使用に適する薬剤であることを基本的に示している。しかもそれは連続投与によって, より顕著となる傾向がある。

Table 18. Efficacy in symptoms of each group

| Symptom          | Evaluation day | Efficacy |    |   |   | Total | Unknown | Efficacy rate (%) |      |
|------------------|----------------|----------|----|---|---|-------|---------|-------------------|------|
|                  |                | #        | +  | 0 | × |       |         | #                 | ≥+   |
|                  |                |          |    |   |   |       |         |                   |      |
| Spontaneous pain | 3rd day        | 4        | 6  | 1 | 0 | 11    | 6       | 36.4              | 90.9 |
|                  | 5th day        | 6        | 5  | 1 | 0 | 12    | 5       | 50.0              | 91.7 |
|                  | 7th day        | 8        | 8  | 1 | 0 | 17    | 0       | 47.1              | 94.1 |
|                  | Final day      | 12       | 4  | 1 | 0 | 17    | 0       | 70.6              | 94.1 |
| Tenderness       | 3rd day        | 3        | 8  | 1 | 0 | 12    | 7       | 25.0              | 90.9 |
|                  | 5th day        | 4        | 8  | 1 | 0 | 13    | 6       | 30.8              | 92.3 |
|                  | 7th day        | 8        | 8  | 3 | 0 | 19    | 0       | 42.1              | 84.2 |
|                  | Final day      | 10       | 8  | 1 | 0 | 19    | 0       | 52.6              | 94.7 |
| Redness          | 3rd day        | 2        | 9  | 2 | 0 | 13    | 7       | 15.4              | 84.6 |
|                  | 5th day        | 4        | 9  | 1 | 0 | 14    | 6       | 28.6              | 92.9 |
|                  | 7th day        | 8        | 10 | 2 | 0 | 20    | 0       | 40.0              | 90.0 |
|                  | Final day      | 10       | 9  | 1 | 0 | 20    | 0       | 50.0              | 95.0 |
| Swelling         | 3rd day        | 3        | 9  | 0 | 0 | 12    | 7       | 25.0              | 90.9 |
|                  | 5th day        | 6        | 7  | 0 | 0 | 13    | 6       | 46.2              | 100  |
|                  | 7th day        | 8        | 10 | 1 | 0 | 19    | 0       | 42.1              | 94.7 |
|                  | Final day      | 11       | 7  | 1 | 0 | 19    | 0       | 57.9              | 94.7 |
| Pseudomembrane   | 3rd day        | 4        | 4  | 2 | 0 | 10    | 7       | 40.0              | 80.0 |
|                  | 5th day        | 6        | 4  | 1 | 0 | 11    | 6       | 54.5              | 90.9 |
|                  | 7th day        | 9        | 6  | 2 | 0 | 17    | 0       | 52.9              | 88.2 |
|                  | Final day      | 12       | 4  | 1 | 0 | 17    | 0       | 70.6              | 94.1 |
| Oozing           | 3rd day        | 3        | 8  | 2 | 0 | 13    | 7       | 23.1              | 84.6 |
|                  | 5th day        | 4        | 9  | 1 | 0 | 14    | 6       | 28.6              | 92.9 |
|                  | 7th day        | 6        | 11 | 3 | 0 | 20    | 0       | 30.0              | 85.0 |
|                  | Final day      | 10       | 8  | 2 | 0 | 20    | 0       | 50.0              | 90.0 |

# : Resolved, + : Improved, 0 : Unchanged, × : Aggravated

臨床効果は従来の方法に従ってⅠ群からⅥ群に分けて総計 211 例について行った。投与量は1日 150 mg から 600 mg, 投与期間は最高 26 日で, 7~8 日間投与が最も多かった。皮膚疾患のような自然治癒傾向の強い疾患においては投与開始時の病勢の動向が効果の判定に際して重要な要素であるが, 病勢悪化中と急激悪化中の症例を合わせると 84.3% と概して適当な疾患が対象症例として選択されたようである。

疾患群別の効果はⅠ群 81%, Ⅱ群 90.9%, Ⅲ群 100%, Ⅳ群 84.6%, Ⅴ群 85.7%, Ⅵ群 90% とⅣ群が通常Ⅱ群より良い効果を示すことの例外を除けば, 全体としてすべて 80% を越す良い臨床効果を示し, ことに浅在性感染症の代表疾患ともいえるⅡ群において 90% を越す効果を示している。更にかかる臨床症状の改善は投与後 3 日目で高率に認められる点で本剤の有効性の多い

ことを裏付けていると思われる。

以上の臨床的事実は分離菌に対する本剤の MIC においても認められ, *S. aureus* に対しては 0.05  $\mu\text{g/ml}$  にピークを有し, しかも耐性菌が全く認められなかった点においても従来のニューキノロンより勝る印象を与えている。Ⅰ群の主要起炎菌である *P. acnes* に対しても本剤の皮膚移行が良い点を考慮すると臨床効果が 81.0% とこの群にしては珍しく高率である点を裏付けている。

副作用の面では本系統に現れ易い中枢神経症状が認められず, 消化器症状を主とする点で, 本剤が血中濃度の上昇より MIC の向上を計った結果が現れている感觸を得た。

#### IV. ま と め

以上皮膚移行の良好さと高度の MIC から考えて今回の本剤の臨床的検討は使用症例に適当なものが多く選択

Table 19. Bacteriological response classified by isolated organisms

| Isolated organism       |                            | No. of cases | Bacteriological response |                      |          |           |         | Elimination rate** (%) |
|-------------------------|----------------------------|--------------|--------------------------|----------------------|----------|-----------|---------|------------------------|
|                         |                            |              | Eliminated               | Partially eliminated | Replaced | Unchanged | Unknown |                        |
| Monomicrobial infection | <i>S. aureus</i>           | 55           | 45                       |                      |          | 1         | 9       | 97.8                   |
|                         | CNS*                       | 11           | 7                        |                      | 2        |           | 2       | 100                    |
|                         | <i>Pseudomonas</i> sp.     | 1            | 1                        |                      |          |           |         | 100                    |
|                         | <i>P. magnus</i>           | 6            | 5                        |                      | 1        |           |         | 100                    |
|                         | <i>P. micros</i>           | 1            | 1                        |                      |          |           |         | 100                    |
|                         | <i>P. anaerobius</i>       | 1            | 1                        |                      |          |           |         | 100                    |
|                         | <i>P. asaccharolyticus</i> | 1            |                          |                      |          |           | 1       |                        |
|                         | <i>F. varium</i>           | 1            | 1                        |                      |          |           |         | 100                    |
|                         | <i>P. acnes</i>            | 28           | 18                       |                      |          | 2         | 8       | 90.0                   |
|                         | <i>P. granulosum</i>       | 1            | 1                        |                      |          |           |         | 100                    |
| Sub total               |                            | 106          | 80                       |                      | 3        | 3         | 20      | 96.5                   |
| Polymicrobial infection | <i>S. aureus</i> + others  | 19           | 14                       |                      |          |           | 5       | 100                    |
|                         | CNS + others               | 25           | 15                       | 1                    |          |           | 9       | 93.8                   |
|                         | Others                     | 12           | 8                        | 2                    | 1        |           | 1       | 81.8                   |
|                         | Sub total                  | 56           | 37                       | 3                    | 1        | 0         | 15      | 92.7                   |
| Unknown                 |                            | 51           |                          |                      |          |           | 51      |                        |
| Total                   |                            | 213          | 117                      | 3                    | 4        | 3         | 86      | 95.3                   |

\* CNS : Coagulase negative *Staphylococci*\*\* Elimination rate (%) =  $\frac{\text{Eliminated} + \text{Replaced}}{\text{Eliminated} + \text{Partially eliminated} + \text{Replaced} + \text{Unchanged}} \times 100$ 

Table 20. Global utility rating classified by type of disease

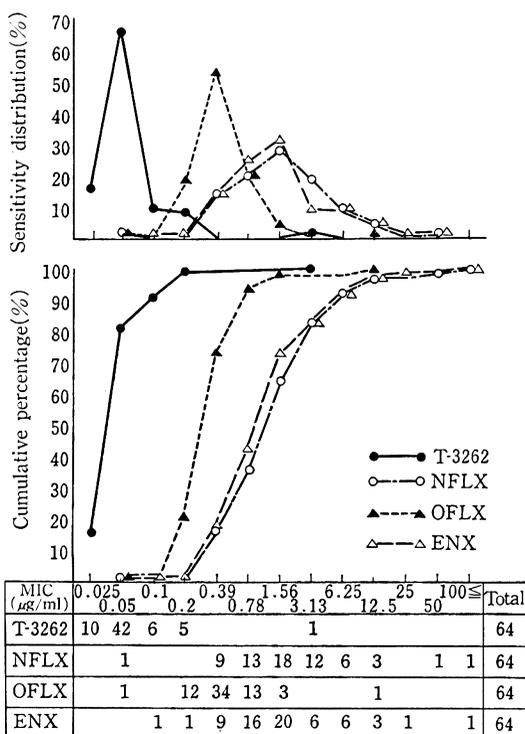
| Group | No. of cases | Global utility rating |     |    |    |   | Utility rate : $\geq \#$ (%) |
|-------|--------------|-----------------------|-----|----|----|---|------------------------------|
|       |              | #                     | +   | +  | 0  | × |                              |
| I     | 42           | 16                    | 18  | 4  | 4  | 0 | 81.0                         |
| II    | 55           | 28                    | 21  | 3  | 3  | 0 | 89.1                         |
| III   | 5            | 2                     | 3   | 0  | 0  | 0 | 100                          |
| IV    | 27           | 14                    | 9   | 2  | 1  | 1 | 85.2                         |
| V     | 64           | 19                    | 35  | 6  | 3  | 1 | 84.4                         |
| VI    | 21           | 3                     | 14  | 1  | 2  | 1 | 81.0                         |
| Total | 214          | 82                    | 100 | 16 | 13 | 3 | 85.0                         |

# : Remarkably useful, + : Moderately useful, + : Slightly useful, 0 : Useless, × : Undesirable

されたことを考え併せて、本剤が副作用が少なく臨床効果が良いことは概ね裏付けられたとの印象を受けた。

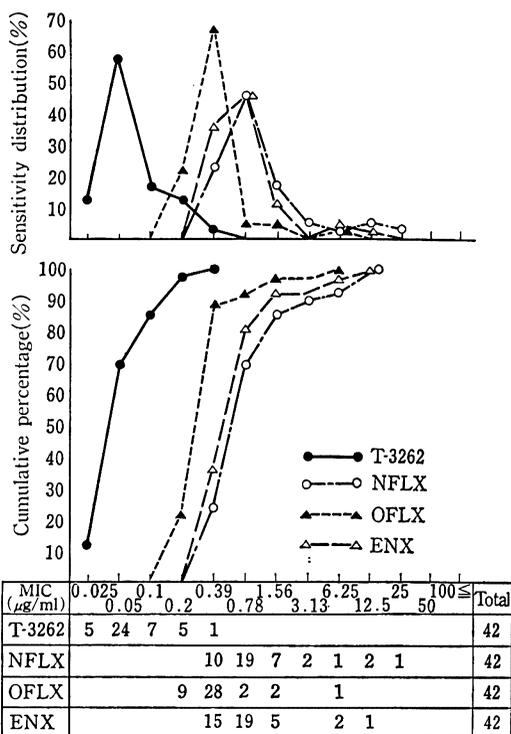
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NFLX:norfloxacin  
 OFLX:ofloxacin  
 ENX :enoxacin

Fig. 2. Sensitivity distribution of clinically isolated 64 strains of *Staphylococcus aureus* (10<sup>6</sup> cells/ml).



NFLX:norfloxacin  
 OFLX:ofloxacin  
 ENX :enoxacin

Fig. 3. Sensitivity distribution of clinically isolated 42 strains of coagulase negative *Staphylococci* (10<sup>6</sup> cells/ml).

Table 21. Side effects

| Symptoms           | Relation to the drug |          |          | Total<br>(% : Frequency) |
|--------------------|----------------------|----------|----------|--------------------------|
|                    | Definite             | Probable | Possible |                          |
| Nausea             |                      | 2        |          | 2 (0.9)                  |
| Epigastralgia      |                      |          | 1        | 1 (0.4)                  |
| Stomach discomfort |                      |          | 1        | 1 (0.4)                  |
| Gagging            |                      |          | 1        | 1 (0.4)                  |
| Obstruction        |                      |          | 1        | 1 (0.4)                  |
| Diarrhea           |                      |          | 1        | 1 (0.4)                  |
| Catarrhal symptom  | 1                    |          |          | 1 (0.4)                  |
| Rash               |                      | 1        |          | 1 (0.4)                  |
| Total              | 1                    | 3        | 5        | 9 (4.0)                  |

(No. of cases side effect evaluated : 223)

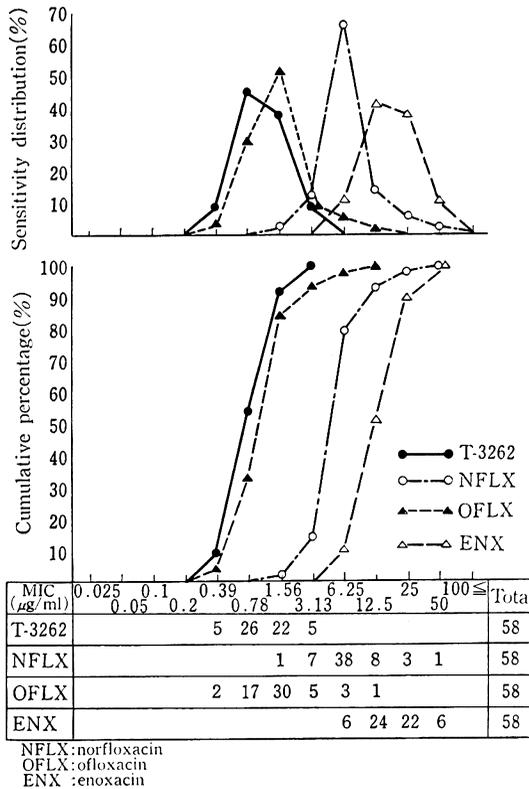


Fig. 4. Sensitivity distribution of clinically isolated 58 strains of *Propionibacterium acnes* ( $10^6$  cells/ml).

Table 22. Abnormal laboratory findings

| Item                     | No. of examined case | Relation to the drug |          |         | Total (% : Frequency) |
|--------------------------|----------------------|----------------------|----------|---------|-----------------------|
|                          |                      | Probable             | Possible | Unknown |                       |
| Eosinophil               | 94                   |                      | 1        | 2       | 3 (3.2)               |
| Lymphocyte               | 94                   |                      |          | 1       | 1 (1.1)               |
| GOT                      | 112                  | 1                    | 3        | 1       | 5 (4.5)               |
| GPT                      | 112                  | 1                    | 2        |         | 3 (2.7)               |
| ALP                      | 107                  |                      | 1        |         | 1 (1.0)               |
| LDH                      | 106                  |                      | 2        | 1       | 3 (2.8)               |
| γ-GTP                    | 96                   | 1                    | 1        | 1       | 3 (3.1)               |
| LAP                      | 49                   |                      | 1        |         | 1 (2.0)               |
| Total protein            | 1                    |                      | 1        |         | 1                     |
| Urinary specific gravity | 1                    |                      | 1        |         | 1                     |
| ESR                      | 34                   |                      |          | 1       | 1 (2.9)               |

No. of cases with abnormal laboratory findings : 10 patients

ESR : Erythrocyte sedimentation

LABORATORY AND CLINICAL STUDIES T-3262 : SKIN  
TISSUE LEVELS AND CLINICAL EFFICACY

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We carried out laboratory and clinical studies on T-3262, a new synthetic quinolon derivative.

1) The transfer rates of T-3262 into human skin tissues compared to serum concentration were from 56.8%-251.9%, at 90-240 min after oral administration of 150 mg. The serum levels of T-3262 in two patients on days 7 and 10 after continuous oral administration of 450 mg t. i. d. were 0.8  $\mu\text{g}/\text{ml}$  and 0.9  $\mu\text{g}/\text{ml}$  respectively, and the corresponding skin tissue levels were 2.5  $\mu\text{g}/\text{ml}$  and 1.43  $\mu\text{g}/\text{ml}$ . The transfer rates of T-3262 into the skin tissues in cases of continuous administrations were 312.5% and 158%.

2) Of 223 cases, 9 dropped out and of 211 cases assessed for global clinical efficacy, 88 were determined as excellent, 95 good, 17 fair, 11 poor, resulting in an efficacy rate (more good or better) of 86.7%.

Clinical efficacy rates, grouped according to disease were : 81.0% (32/42) in Group I (folliculitis and acne), 90.9% (50/55) in Group II (furuncle), 100% (5/5) in Group III (Impetigo), 84.6% (22/26) in Group IV (phlegmon, erysipelas and lymphangitis), 90.0% (18/20) in group VI (secondary infection).

3) Bacteriologically clinically isolated organisms, were eliminated in 127 of 223 cases investigated, an overall elimination rate of 94.5% (120/127).

The minimum inhibitory concentration (MIC) peaks of T-3262 against the major causative organisms isolated, 64 strains of *S. aureus*, 42 of coagulase-negative *Staphylococci* (CNS) and 58 strains of *Propionibacterium acnes* were 0.05  $\mu\text{g}/\text{ml}$ , 0.05  $\mu\text{g}/\text{ml}$  and 0.78  $\mu\text{g}/\text{ml}$ , respectively. The antibacterial activity of T-3262 was higher than those of norfloxacin (NFLX), ofloxacin (OFLX) and enoxacin (ENX).

4) Side effects were observed in 9 (4.0%) out of 223 cases, most of them being gastrointestinal tract disturbances, namely nausea and diarrhoea.

Abnormal laboratory findings were noted in 10 cases : eosinophilia in 3, elevated GOT in 5, elevated GPT in 3, elevated LDH in 3 and elevated  $\gamma$ -GTP in 3.