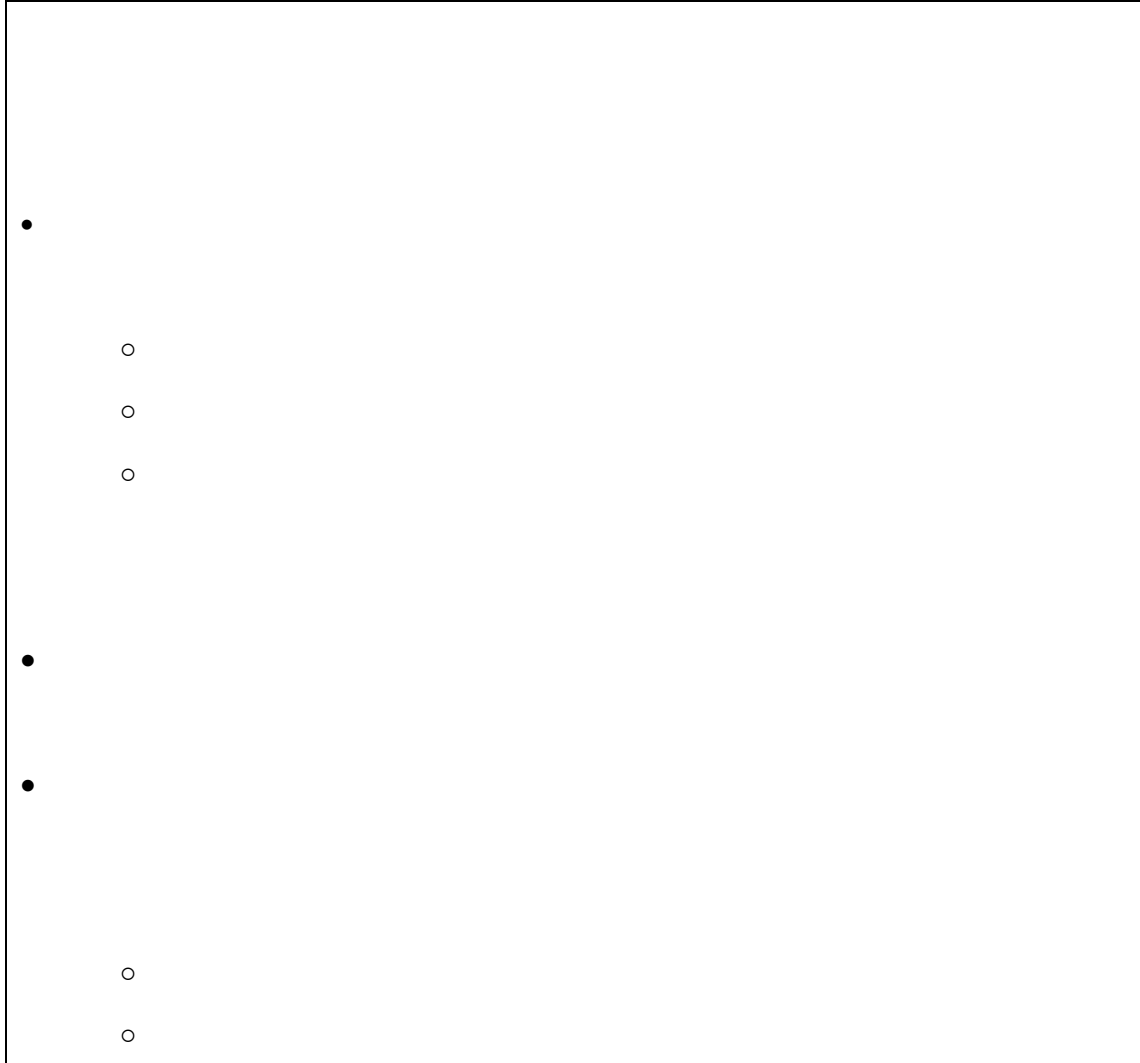


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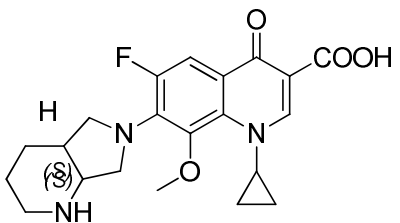
2024 12 09 , 2025 04 8



Moxifloxacin Hydrochloride Tablets

Yansuan Moxishaxing Pian

1- -7-{S,S-2,8- - [4.3.0] -8- }-6- -8- -1,4-  
-4- -3-



3

4

5

6

7

Y.pestis

8

-

0.4g  $C_{21}H_{24}FN_3O_4$

**1**

0.4

24

1

1

|   |      |       |
|---|------|-------|
|   | 24   |       |
|   | 0.4g | 10    |
|   | 0.4g | 5     |
|   | 0.4g | 7-14  |
|   | 0.4g | 7     |
|   | 0.4g | 7-21  |
|   | 0.4g | 5-14  |
| a | 0.4g | 10-14 |
|   | 0.4g | 14    |

a)

2

3

Child Pugh A B

( 30ml/min/1.73m<sup>2</sup>)

4

/

4

8

1

2

5

1

- 
- 
- QT
- 
- 
- 
- 
- 
- 
- 
- 

2

|      |       |      |      |      |      |       |
|------|-------|------|------|------|------|-------|
|      |       |      | 71   |      |      | II-IV |
|      | 14981 |      |      |      | 50   | 73%   |
| 65   | 50%   | 63%  | 12%  | 9%   |      |       |
| 0.4g |       |      |      |      |      |       |
|      | 6-10  |      | 9    |      |      |       |
| 5%   |       |      |      | 4.1% |      | 0.4g  |
|      | 3.9%  | 0.4g | 8.2% |      | 0.4g | /     |
|      | 0.4g  |      |      |      |      | >0.3% |

0.4g

/

1%

0.1% <1%

2

3

3%

**2**

**≥1%**

|  |  |           |
|--|--|-----------|
|  |  | (N=14981) |
|  |  |           |
|  |  |           |
|  |  |           |
|  |  |           |
|  |  |           |
|  |  |           |
|  |  |           |

**3**

**0.1%-<1%**

**(N=14981)**

|  |    |
|--|----|
|  |    |
|  |    |
|  |    |
|  |    |
|  |    |
|  |    |
|  |    |
|  |    |
|  |    |
|  | QT |

|  |  |
|--|--|
|  |  |
|  |  |
|  |  |
|  |  |
|  |  |
|  |  |
|  |  |
|  |  |
|  |  |
|  |  |
|  |  |

3

2%

4

4

4

|   |         |
|---|---------|
| / |         |
|   |         |
|   | (<br>)  |
|   |         |
|   |         |
|   | ( ) ( ) |
|   | ( )     |
|   |         |

|  |                   |
|--|-------------------|
|  |                   |
|  | ( )               |
|  | ( ) /             |
|  |                   |
|  |                   |
|  | / Stevens-Johnson |

1

2

3

(Child Pugh C )

5

4 18

5

/

6

QT

1

QT

2

3

4

5

7

QT

1

2

60

3

#### 4 QT

|                   |      |                    | QT  | 0.4g       |
|-------------------|------|--------------------|-----|------------|
| (n=787)           | 0.4g |                    | QTc | 6msec(±26) |
| 1                 | QTc  | 10msec(±22)(n=667) | 1   |            |
| 7msec(±24)(n=667) |      |                    | 3   | QTc        |

• QT

• QT

•

•

• IA III

• QT

QT

QT

QT

798

702

QT

15500

759

QT

1 18000

5

6



10

CDAD

A B

CDAD

CDAD

11

12

13 /

/

“V”

14

â H i C D z { } ~ 0 0 0 SC 0 Tr 0000E

15

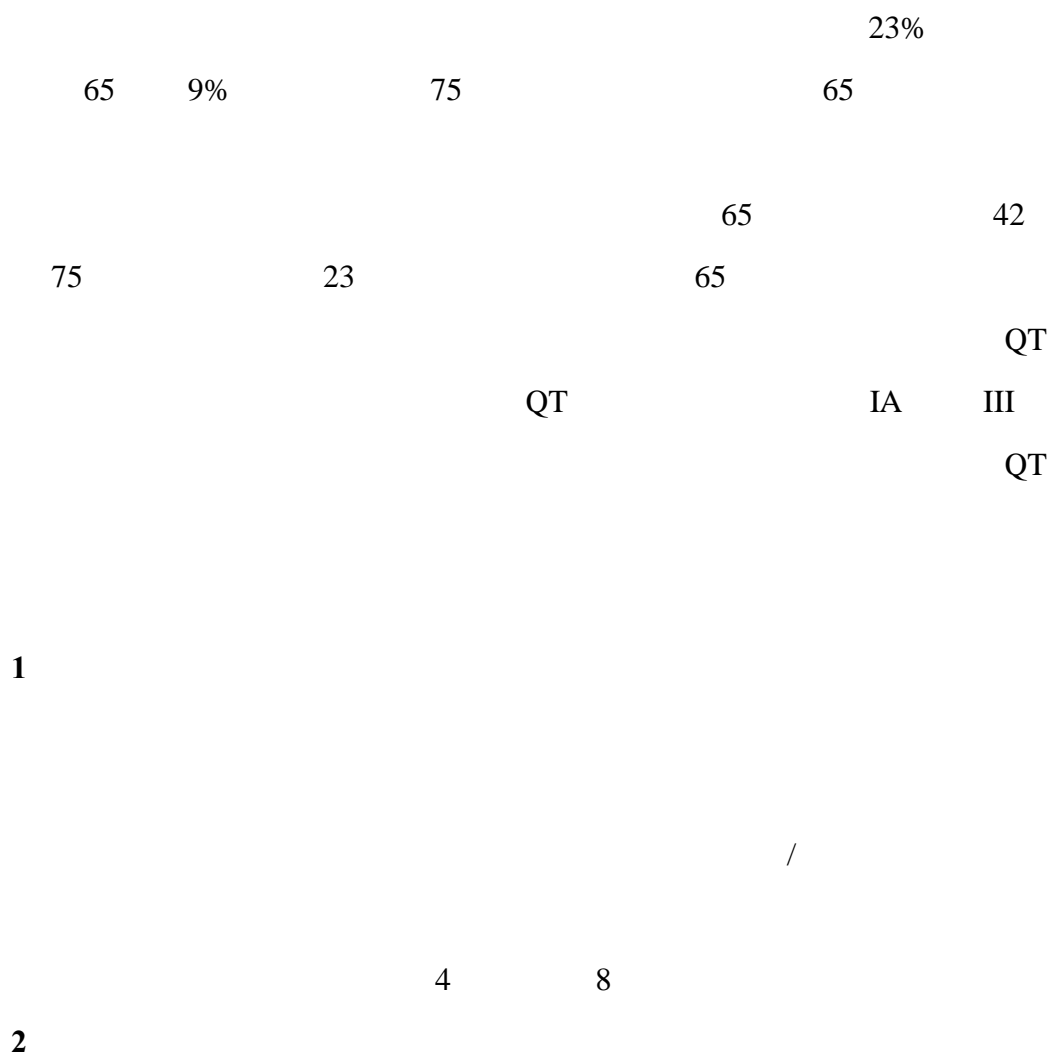
Z [| 7 \

16

Î ã 8 P { U

3

C



(INR)

3

4

(NSAIDs)

5

QT

QT

III

QT

IA

III

2.8g

QT

3

9

2

4.5

2000mg/kg

500mg/kg

1500mg/kg

100mg/kg

CNS

1

400mg

5

629

500mg

10

7-17

89%(222/250)

89%(224/251)

5

|  |             |             |
|--|-------------|-------------|
|  |             |             |
|  | 16/16(100%) | 20/23(87%)  |
|  | 33/37(89%)  | 36/41(88%)  |
|  | 16/16(100%) | 14/14(100%) |
|  | 29/34(85%)  | 24/24(100%) |
|  | 15/16(94%)  | 6/8(75%)    |
|  | 18/20(90%)  | 10/11(91%)  |

+

100%

89%

100%

85%

94%

85%

2

400mg

500mg

474

382

14-35

95%(184/194) 95%(178/188)

IV/PO

400mg

7-14

IV/PO

516

362

7-30

86%(157/182) 89%(161/180)

628

/

IV/PO

1.2g

8

/625mg

8

IV/PO

500mg

FDA

5-7

93%(241/258) / ±  
 (85% 239/280)[ 95% (2.9%  
 13.2%)] 21-28  
 84%(216/258) (74% 208/280)[  
 95% (2.6% 16.3%)]  
 4 CAP 6

| 6 CAP |               |
|-------|---------------|
|       |               |
|       | 80/85 (94%)   |
|       | 17/20 (85%)   |
|       | 11/12 (92%)   |
|       | 56/61 (92%)   |
|       | 119/128 (93%) |
|       | 73/76 (96%)   |
|       | 11/12 (92%)   |

3 MDRSP MDRSP\*  
 CAP 37 MDRSP 35  
 95%  
 7

\* MDRSP  
 PRSP  
 MIC 2mcg/mL

7 MDRSP CAP

|              | n/N <sup>a</sup> | %                 | n/N <sup>b</sup> | %                 |
|--------------|------------------|-------------------|------------------|-------------------|
|              | 21/21            | 100% <sup>c</sup> | 21/21            | 100% <sup>c</sup> |
|              | 25/26            | 96% <sup>c</sup>  | 25/26            | 96% <sup>c</sup>  |
| <sup>d</sup> | 22/23            | 96%               | 22/23            | 96%               |
| /            | 28/30            | 93%               | 28/30            | 93%               |
|              | 17/18            | 94%               | 17/18            | 94%               |





5-14 / IV/PO 400mg /  
 cIAI 681 379  
 5-14 + 400mg /  
 cIAI 595 511  
 5 25-50  
 11  
 11

|  | n/N(%)         | n/N(%)                    | 95% *           |
|--|----------------|---------------------------|-----------------|
|  | 146/183(79.8%) | 153/196(78.1%)            | (-7.4% 9.3%)    |
|  | 40/57(70.2%)   | 49/63(77.8%) <sup>a</sup> | NA <sup>b</sup> |
|  | 106/126(84.1%) | 104/133(78.2%)            | NA              |
|  | 199/246(80.9%) | 218/265(82.3%)            | (-8.9% 4.2%)    |
|  | 73/93(78.5%)   | 86/99(86.9%)              | NA              |
|  | 126/153(82.4%) | 132/166(79.5%)            | NA              |

\*

a) 48

b) NA-

8

20 10 10 ±SD 100±50LD50  
 92-127LD50 CO92  
 MIC 0.06mcg/mL  
 4 10

83-139

115±19

10/10 100%

30

10/10 100%

100%

95%

[66.3% 100%] p<0.0001

C<sub>max</sub>

AUC

400mg

±SD

3.9±0.9mcg/mL

39.3±8.6mcg·h/mL

400mg

±SD

AUC<sub>0-24</sub>

4.4±1.5mcg/mL

22±8.0mcg·h/mL

(1)

8-

DNA

DNA

-

(2)

8-

8-

7

(10<sup>-7</sup>-10<sup>-10</sup>)

MIC

MIC

(3)

(4)

|                                      |   |   |
|--------------------------------------|---|---|
|                                      |   |   |
|                                      |   |   |
|                                      |   |   |
| [MDRSP]<br>PRSP<br>(MIC 2µg/mL)<br>/ |   |   |
| A *                                  |   |   |
|                                      |   |   |
|                                      |   |   |
|                                      |   |   |
| *                                    |   |   |
| *                                    |   |   |
|                                      | * | / |
|                                      |   | + |
|                                      |   | / |
|                                      |   | + |
|                                      |   |   |
|                                      |   |   |
|                                      |   |   |
|                                      |   |   |
|                                      |   |   |





) ( ) ( ( ) )

Ames 4 TA1535 TA1537 TA98 TA100  
HPRT UDS

TA102 Ames  
(300µg/ml)

V79

( ) ( )  
20mg/kg

63 mg/kg

/ ) / (

I

16mg/L      QT  
 (>0.3g/kg)      90mg/kg      QT  
 0.2g/L(      50  
 30 )

( 60mg/kg)      6  
 20mg/L

(0.4g/50kg )      4      2-3

1

—

90%      500

1      AUC

12      400mg      C<sub>max</sub>      AUC      ±

|  | C <sub>max</sub><br>(mg/L) | AUC<br>(mg·h/L) |                        |
|--|----------------------------|-----------------|------------------------|
|  | 3.1±1                      | 36.1±9.1        | 11.5-15.6 <sup>a</sup> |

|         |        |         |          |
|---------|--------|---------|----------|
| (n=372) |        |         |          |
| /       | (n=15) | 4.5±0.5 | 48±2.7   |
|         | (n=8)  | 3.8±0.3 | 51.8±6.7 |
|         | (n=8)  | 4.6±0.6 | 54.6±6.7 |
|         | (n=8)  | 3.6±0.5 | 48.2±9   |
|         | (n=9)  | 4.2±0.5 | 49.3±9.5 |

a)

| 13  | 1                    | 400mg | C <sub>max</sub>           | AUC             | ±                     |
|-----|----------------------|-------|----------------------------|-----------------|-----------------------|
|     |                      |       | C <sub>max</sub><br>(mg/L) | AUC<br>(mg·h/L) |                       |
| /   | (n=56)               |       | 3.9±0.9                    | 39.3±8.6        | 8.2-15.4 <sup>a</sup> |
|     | (n=118)              |       |                            |                 |                       |
|     | (n=64)               |       | 4.4±3.7                    |                 |                       |
|     | (n=54)               |       | 4.5±2                      |                 |                       |
| <65 | (n=58)               |       | 4.6±4.2                    |                 |                       |
| 65  | (n=60)               |       | 4.3±1.3                    |                 |                       |
|     | (n=8)                |       | 4.2±0.8                    | 38±4.7          | 14.8±2.2              |
| 4   | (n=12 8)             |       | 6.1±1.3                    | 48.2±0.9        | 10.1±1.6              |
|     | <sup>b</sup> (n=107) |       |                            |                 |                       |
|     | (n=58)               |       | 4.2±2.6                    |                 |                       |
|     | (n=49)               |       | 4.6±1.5                    |                 |                       |
| <65 | (n=52)               |       | 4.1±1.4                    |                 |                       |
| 65  | (n=55)               |       | 4.7±2.7                    |                 |                       |

a)

b) C<sub>max</sub>

1200mg

±

12±1.3

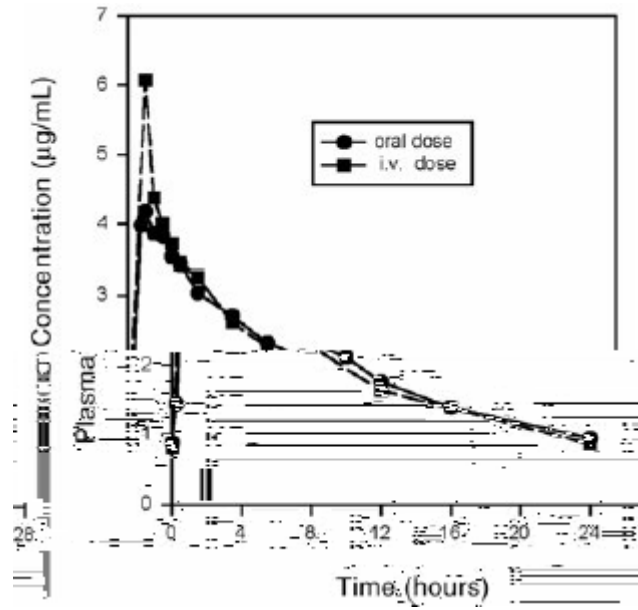
400mg

3

1

400mg n=10

400mg n=12



30-50%

1.7-2.7L/kg

400mg

400mg

14

14

400mg

±

|  | N | (mcg/mL)             | (mcg/mL mcg/g)       | -       |
|--|---|----------------------|----------------------|---------|
|  | 5 | 3.3±0.7              | 61.8±27.3            | 21.2±10 |
|  | 8 | 3.3±0.7              | 5.5±1.3              | 1.7±0.3 |
|  | 5 | 3.3±0.7              | 24.4±14.7            | 8.7±6.1 |
|  | 4 | 3.7±1.1 <sup>b</sup> | 7.6±1.7              | 2±0.3   |
|  | 3 | 3.7±1.1 <sup>b</sup> | 8.8±4.3              | 2.2±0.6 |
|  | 4 | 3.7±1.1 <sup>b</sup> | 9.8±4.5              | 2.6±0.6 |
|  | 5 | 3±0.5 <sup>c</sup>   | 2.6±0.9              | 0.9±0.2 |
|  | 6 | 2.3±0.4 <sup>d</sup> | 0.9±0.3 <sup>e</sup> | 0.4±0.6 |
|  | 6 | 2.3±0.4 <sup>d</sup> | 0.9±0.2 <sup>e</sup> | 0.4±0.1 |

|  |    |         |         |         |
|--|----|---------|---------|---------|
|  | 8  | 2.9±0.5 | 7.6±2   | 2.7±0.8 |
|  | 10 | 2.3±0.5 | 3.5±1.2 | 1.6±0.7 |
|  | 6  | 2.7±0.7 | 2.3±1.5 | 0.8±0.4 |

a) 400mg 3

2

5

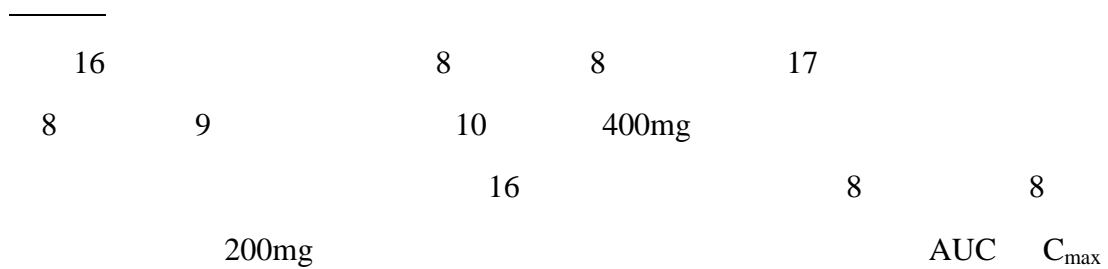
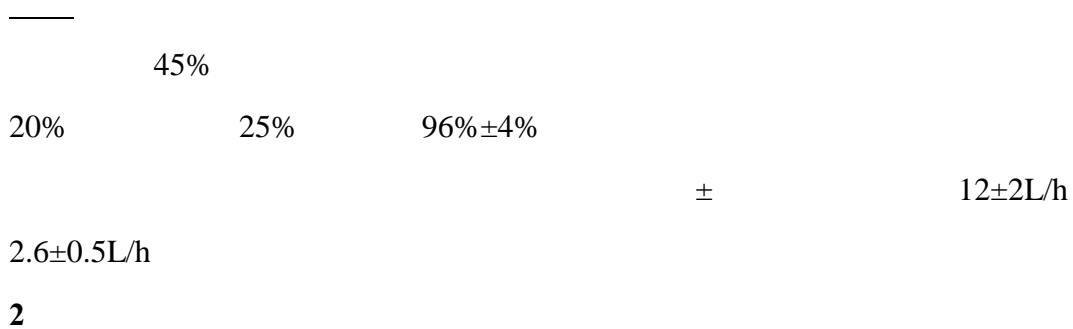
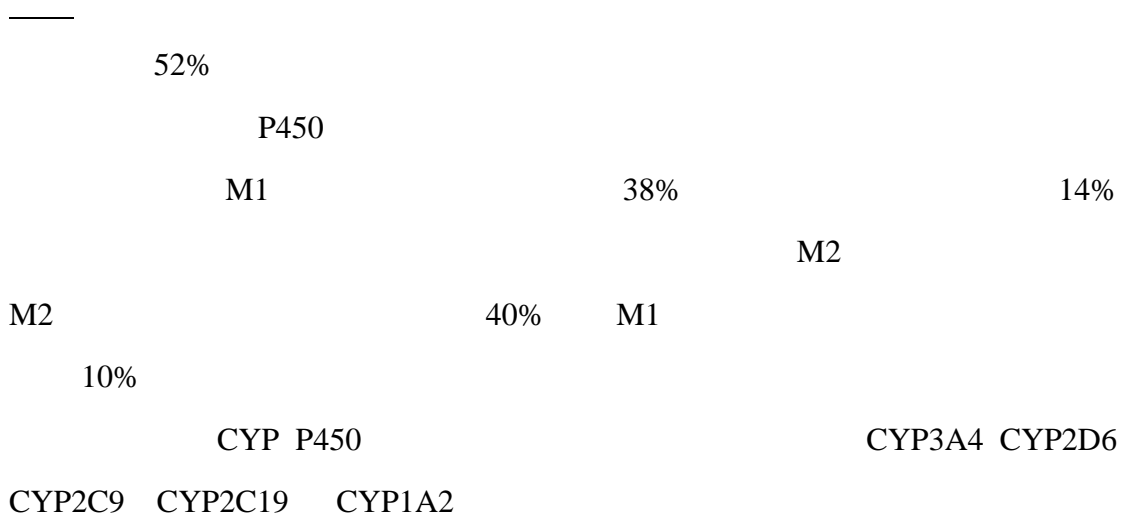
3

b) N=5

c) N=7

d) N=12

e)



III

400mg

|           |             |           |           |                   |
|-----------|-------------|-----------|-----------|-------------------|
| 23        |             | 19-75     | 24        | 19-70             |
|           | 400mg       |           | 10        | AUC               |
| $C_{max}$ |             | 8% 16%    |           |                   |
| 18        |             |           | 400mg     | 9                 |
| 9         |             |           |           | AUC               |
| $C_{max}$ |             |           |           |                   |
|           | 400mg       |           |           |                   |
|           |             | $C_{max}$ | 4.1mcg/mL | AUC <sub>24</sub> |
|           |             |           |           | 47mcg·h/mL        |
| 14        |             |           |           |                   |
|           |             |           |           |                   |
|           |             | HD        |           | CAPD              |
|           |             |           | 24        |                   |
| $CL_{CR}$ | 30 60mL/min |           | $CL_{CR}$ | 30mL/min          |
|           | $C_{max}$   | 21% 28%   |           | AUC               |
| 13%       |             |           | M1        | AUC               |
| 1.7       | 2.8         |           | M2        | AUC $C_{max}$     |
| 2.8       | 4.8         | 1.4       | 2.5       |                   |
| $CL_{CR}$ | 20mL/min    |           |           | 8                 |
| 8         |             |           |           |                   |

45% 33%  $C_{max}$  M1 AUC  
 1.4-1.5 M2 AUC  
 7.5  $C_{max}$  2.5-3  
 7 400mg  
 22% AUC<sub>ss</sub>  
 $C_{max}$   
 9% 3%  
 4% 2% M2  
 Child-Pugh A B  
 QT «  $\rightarrow$  q 259.44 65.25 m 259.44 49.65 | 2 0 1  
 6 Child-Pugh A

32

8

UVA UVB

200mg

400mg

400mg

MED

/

3

-

CYP3A4 CYP2D6 CYP2C9 CYP2C19 CYP1A2

12

/

900mg

600mg

2

4

400mg

AUC

26%

60%

23%

/

4

8

24

12

12

|                  |       |                  |       |        |                       |
|------------------|-------|------------------|-------|--------|-----------------------|
| 50mg             |       |                  |       | AUC    | 400mg                 |
|                  |       |                  | AUC   |        |                       |
|                  |       | C <sub>max</sub> | 10%   |        |                       |
| 12               |       |                  | 400mg |        | 500mgCa <sup>++</sup> |
|                  |       |                  | 12    | 24     |                       |
|                  |       | AUC              |       |        |                       |
| C <sub>max</sub> | 2.5   | 0.9              |       |        |                       |
|                  | 12    |                  |       | 400mg  |                       |
| 2                |       | 0.6mg            |       | AUC    |                       |
|                  |       | C <sub>max</sub> | 50%   |        | C <sub>max</sub>      |
|                  |       |                  |       | 400mg  | 5                     |
|                  | 2.5mg |                  |       |        | 5                     |
|                  | AUC   | C <sub>max</sub> |       | 12%    | 21%                   |
|                  |       |                  | 100mg |        |                       |
|                  | AUC   | C <sub>max</sub> | 39%   | 59%    |                       |
| 4                | 8     |                  |       |        |                       |
|                  | 11    |                  |       | CYP450 | 3A4                   |

|   |      |       |        |    |   |         |                  |
|---|------|-------|--------|----|---|---------|------------------|
|   |      | 200mg |        | 9  |   |         |                  |
| 7 |      | 400mg |        |    |   |         |                  |
|   |      | 20    |        |    |   |         |                  |
|   | 10mg |       | 400mg  |    |   | AUC     | C <sub>max</sub> |
|   |      | 29    |        |    |   | 400mg   |                  |
|   | 7    |       | 0.15mg |    |   | /0.03mg |                  |
|   |      |       | FSH    |    |   | LH      |                  |
|   |      | 12    |        |    |   | 500mg   | 2                |
|   |      | 400mg |        |    |   |         |                  |
|   |      | 10    |        |    |   | 150mg   |                  |
| 3 |      |       | 400mg  |    |   |         |                  |
|   |      | 12    |        |    |   | 200mg   | 12               |
| 1 | 3    |       | 400mg  | 12 | 1 | 3       |                  |
|   |      |       |        |    |   |         | 400mg            |
|   |      | 24    |        |    |   | 400mg   |                  |
| 8 | R-   | S-    |        | 5  |   | 25mg    |                  |

4

\_\_\_\_\_ 10 3 ( 3  
3 4 ) 0.2g 0.3g 0.4g  
90 7 ( 30-60 90 )

|      | C <sub>max</sub> (mg/L) | AUC <sub>0-96</sub> (mg*h/L) |         | C <sub>max</sub> | AUC <sub>0-96</sub> |
|------|-------------------------|------------------------------|---------|------------------|---------------------|
| 0.2g | 2.528±0.191             | 32.642±2.754                 | 1:1.5:2 | 1:1.5:2.05       | 1:1.48:2            |
| 0.3g | 3.782±0.333             | 48.433±3.227                 |         |                  |                     |
| 0.4g | 5.178±0.176             | 65.189±4.965                 |         |                  |                     |

24

YBH17992024

H20244634

88

88

0537-2271888

0537-2983615

272104

<http://www.lkpc.com>