

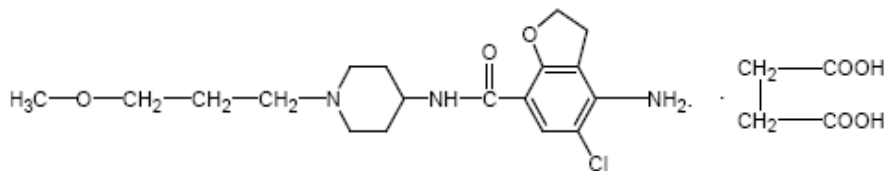
2012 12 31
2014 01 21
2014 10 14
2014 12 23
2017 03 10
2017 10 23
2018 02 11
2019 01 16

®

Prucalopride Succinate Tablets

Huposuan Pulukabili Pian

4- -5- -2,3- -N-[1-(3-)-4-]-7-



$C_{18}H_{26}ClN_3O_3 \cdot C_4H_6O_4$

485.96

1 1mg ()

2 2mg ()

2mg >65 1 1mg

2mg 18

1mg GFR < 30ml/min/1.73m²

1mg Child-Pugh C

4mg

4

3

17 3300

1500 2mg 1360 4mg

2mg 17.8%

13.7% 13.7% 12.0%

564 65

2mg

(1/10) 1/100 < 1/10) (1/1,000 < 1/100)

1%~3%

1%

1mg

2mg

4mg

0.7%

0.9%

0.9%

1.9%

-
-
-
-

/

1.

6

2.

3.

4.

1mg

5.

AIDS

6.

7.

8.

1mg

9.

Lapp

-

10.

QTc

11.

12.

/

/

18

65 1mg 2mg

60%

CYP450

P- P-gp P-gp

CYP3A4 P- 200mg

AUC 40% P- A

P-gp

75%

AUC_{24h} 28% C_{max} 40%

5-HT₄

20mg 10

12

1279 1124 155

2mg 4mg

SCBM 2 6

| | | | | | | | |
|-------|-----|-----------|------|-------|------------|------|---------|
| | | | | 20 | | | |
| SCBM | 0.5 | | | | | | 12 |
| | | SCBM | | 3 | | | |
| | | p<0.001 | 4mg | | 2mg | | 2mg |
| | | 3 | | 27.8% | 4 | | 23.6% |
| 10.5% | 4 | 11.3% | 12 | | | | 1 |
| | | 2mg | | 48.1% | 4 | | 43.1% |
| 23.4% | 4 | 24.6% | 12 | | 12 | | |
| | | 4 | | 12 | | | |
| | | | | | | | PAC SYM |
| | | 4 | | 12 | | | |
| | | | | | | | |
| | | QT | | N=120 | | | 2mg |
| 10mg | QT | | | | | | |
| | | | | QTc | [2mg | | QTc |
| | | 3.83 msec | 10mg | | 3.03 msec] | | |
| | QT | | | | | | QT |
| | | | | | 2.6 | | |
| | | | | | 12 | | |
| | 24 | | | | | | N=361 |
| | 18 | | | | | | 24 |
| | | SCBM | | 3 | | | 25.1% |
| | | p=0.367 | | 1 | 12 | | 20.7% |
| | | | | | | SCBM | 3 |
| | | | | | | | 5 |
| | | 12 | | | | | |
| | | | | | 12 | | |
| | | 24 | | | | | 12 |

HT4 5-HT₄

1 M 7.5ng/mL 49

hERG- HEK293 COS-7 I_{Kr} I_{Kr} EC₅₀ 4.1~22

M 200~1100

1 M

Ames DNA UDS

Big Blue UDS

20mg/kg

80mg/kg

80mg/kg

mg/kg C_{max} 938

AUC_{0-24h} 38 1 1 /

NOAEL 5 mg/kg 5 80 mg/kg

80mg/kg/ 40

80 mg/kg/ 80mg/kg/ AUC

MRHD 200

20mg/kg/ AUC MRHD 27 AUC

MRHD 45

5mg/kg/

AUC

MRHD 7

D₂

2mg

2~3

C_{max}

>90%

V_{dss} 567

30%

7

R107504

3.2%

3.1%

R084536

N-

3%

3%

N-

2%

92%~94%

R107504

R084536

R104065

O-

5%

60-65%

317ml/min

1

3~4

2mg

2.5ng/ml

7ng/ml

1.9

~2.3

20mg

I II III

| | | | | |
|-------------------------------|---------------|-----|---|-----------------------|
| | | 1mg | | AUC |
| 26%~28% | | | | |
| | | | Cl _{CR} 50 ~ 24 mL/min/1.73 m ² | Cl _{CR} 25 ~ |
| 49 mL/min/1.73 m ² | | | 2mg | 25% |
| 51% | | | Cl _{CR} 24 mL/min/1.73 m ² | |
| 2.3 | | | | |
| | | | 35% | 2mg |
| C _{max} | AUC | | | 10%~20% |
| _____ | | | | |
| | 4~12 | | 0.03mg/kg | |
| | 2mg | | | AUC |
| | | | 4~12 | 30%~40% |
| 19 | 11.6~26.8 | | | |
| | 30 | | | |
| / | 7 / 14 / 28 / | | | |
| 36 | | | | |

JX20120179

| | | |
|-----|----------|-----------|
| 1mg | 7 / 14 / | H20171239 |
| 1mg | 28 / | H20171240 |
| 2mg | 7 / 14 / | H20171241 |
| 2mg | 28 / | H20171242 |

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