)) %

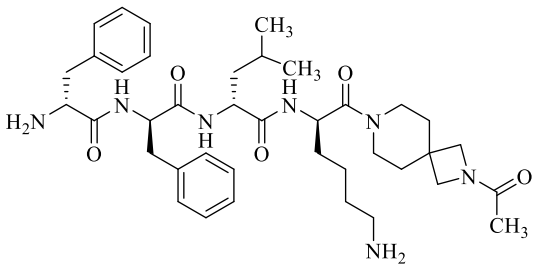
Anrikefon Injection

Anruikefen Zhusheye

国家药品监督管理局

-D- -2-

-2 7- [3.5]



$C_{39}H_{57}N_7O_5$

703.93

1.

2.

1ml 0.1mg

2

III HSK21542-301 HSK21542-303

HSK21542-301 136

1.0μg/kg 135 ≥1%

5.1% 2.2% 3.7%

1.5% 2.2%

1 ≥1%

1

%

	(N = 136)	**(N = 135)**
5.1%		

	0	1.5%

HSK21542-303 129

1.0μg/kg 129 129

≥1% 7.8% 8.5%

8.5% 3.9%

2.3% 2.3%

2 ≥1%

2

%

	1 %-□	**1 %-□**	**1 %-□**
	7.8%	41.1%	17.8%
	8.5%	37.2%	13.2%
	8.5%	0	0
	3.9%	0.8%	0.8%
	2.3%	0	0.8%
	2.3%	4.7%	4.7%
	0	2.3%	0

2 ≥3

1

	%)(1)□	1 □
	□	□
	3 (1.1)	3 (1.1)
	3 (1.1)	2 (0.7)

442 92 (20.8%)

0.9% 4

≥1%

(%

	□
	26 (5.9)
	16 (3.6)
	13 (2.9)
	5 (1.1)
	5 (1.1)
	5 (1.1)

*)

38 ≥65 51 ≥65

HSK21542 11 (28.9%) 6 (11.8%)≥65

5 ≥65

) *)

	%)(1 □	1) %□
	□	□
	4 (10.5)	0
	0	1 (2.0)
	2 (5.3)	1 (2.0)
	0	1 (2.0)
	0	1 (2.0)
γ-	0	1 (2.0)
	1 (2.6)	0
	1 (2.6)	0
	1 (2.6)	0
	0	1 (2.0)
	1 (2.6)	1 (2.0)
	1 (2.6)	0
	2 (5.3)	0
	0	1 (2.0)
	1 (2.6)	0
	0	1 (2.0)

65 ≥65 16 24.6% ≥65

6 ≥65

* *)

	□
	11 (16.9)
	2 (3.1)
	2 (3.1)
	1 (1.5)
	1 (1.5)
γ-	1 (1.5)
Q	1 (1.5)
QT	1 (1.5)
	1 (1.5)
	1 (1.5)
	1 (1.5)
	1 (1.5)

1 IV

2

3

18

II III

≥65 21

65

III

HSK21542 ≥65 76

CYP1A2 CYP2B6 CYP3A4 CYP1A2 CYP2B6 CYP2C8
CYP2C9 CYP2C19 CYP2D6 CYP3A4/5

BCRP P- P-gp

OATP 1B1 OATP1B3 OAT 1
OAT3 OCT 2 MATE
1 MATE2-K

1

2

0.16 mL 1.888μg

13

I 3.375μg/kg

66.7% 4/6 33.3% 2/6

16.7% 1/6

C-QT QTc

0.2~3.375 μg/kg

2 min 15 min 1μg/kg

, C_{max} 10.2 ng/mL 8.13 ng/mL

AUC_{0-t} 10.13 ng*h/mL 9.89 ng*h/mL 2 min

15 min

3 0.3 μg/kg

0.2~3.375 μg/kg ,

227~274 mL/kg

3 0.3 μg/kg 1.3 L/kg

0.46~0.54 [^{14}C]

14.3%

[^{14}C]

≤1.07%

0.2~3.375 μg/kg

$t_{1/2}$ 1.39~2.24 h

46.30±12.02% 35.60±5.66%

3 0.3μg/kg

$t_{1/2}$ 26.3 h

74.7±13.2% 0.621±0.871%

0.119±0.292%

N=159

(N=13) N=281 AUC

7.88% 73.8% C_{max}

1 μg/kg

90≤GFR<130 mL/min, N=8 60≤GFR<90 mL/min,

N=8 30≤GFR<60 mL/min N=8 15≤GFR<30 mL/min N=9

$AUC_{0-\infty}$ 27.02% 62.79% 121.64% C_{max}

N=43

(N=11) (N=15) N=447

AUC 13.6% 51.2% 30.5%

AUC AUC

AUC 33% 78%

PK

≥65

65 AUC 38.5%

≥65 65 AUC 4%

III ASA I-

II 18-70 271

136 135

8h 3

1.0μg/kg 2min

FAS 0-

24h SPID $SPID_{0-24h}$ -39.1 *h

-27.4 *h 95% CI -11.7 (-17.0

-6.5) FAS

22.0 h vs 20.3 h 9.0 vs 8.4

8.9 vs 7.8

0-24h 33.1% vs 46.7%

2.3 mg vs 2.9 mg PPS

FAS

D-8 ! (! 5

SPID$_{0-24h}$ *h	**1%***	**1%)**
	-39.1	-27.4
95%	-11.7 (-17.0, -6.5)	/
P	<0.0001	/

/ III ASA

I-II 18-70

387 129

129 129 8h

3 1.0μg/kg 2min

FAS SPID$_{0-24h}$

-64.0 * h -62.9 * h -45.9 * h

SPID$_{0-24h}$ 95% CI -18.1(-24.4 -11.9) * h

SPID$_{0-24h}$ 95% CI -17.0 (-23.2 -10.7)

* h SPID$_{0-24h}$ 95% CI -1.1(-

7.4 5.1) * h FAS

21.04 h 21.32 h 18.18 h

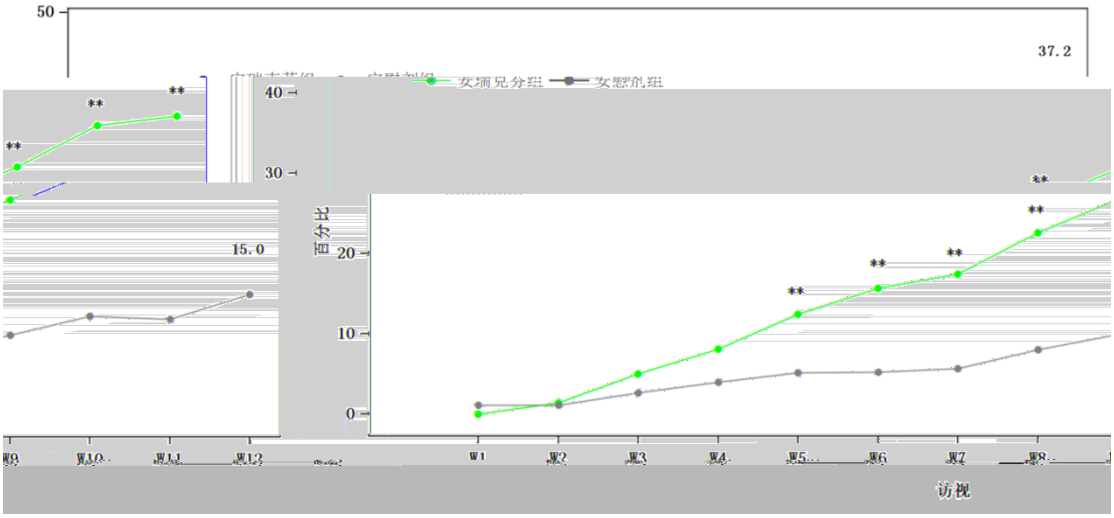
8.7 8.5 8.2 8.6 8.4

7.6 0-24h 40.3% 35.7%

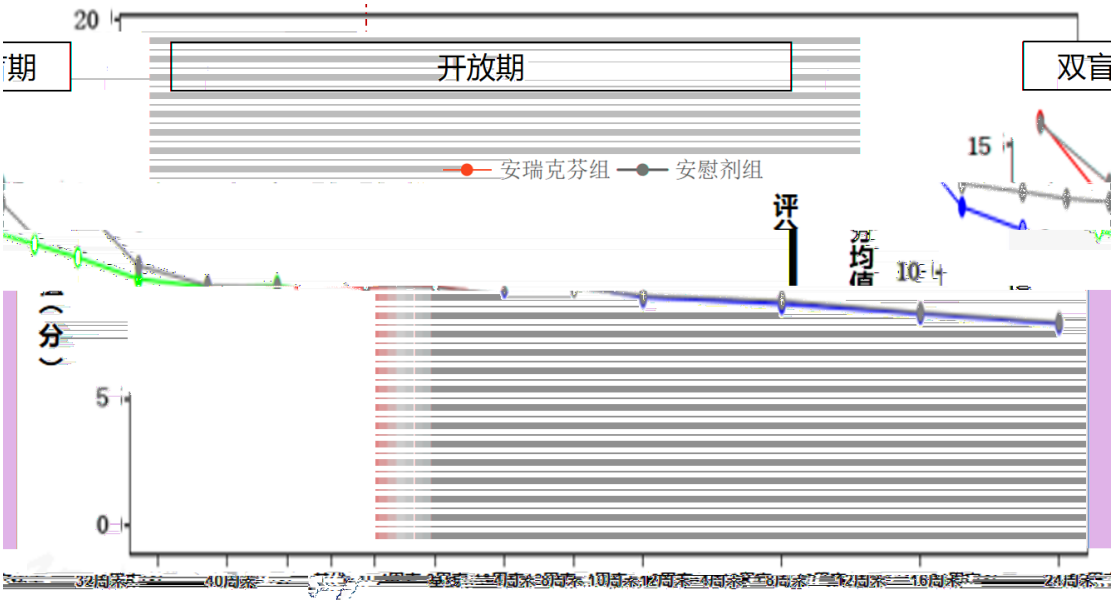
71.3% 0-24h 29.8mg 29.3mg 61.6mg

D-8 ! (! 5

SPID$_{0-24h}$ *h 1%- 1%- 1%-



% K =! F (



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