

2007 02 08  
2008 01 03  
2008 06 05  
2010 02 01  
2010 08 17  
2011 06 02  
2011 12 01  
2013 05 28  
2014 12 31  
2015 10 20  
2017 10 27  
2018 05 03  
2019 05 13  
2019 05 24  
2019 06 11  
2020 06 29  
2020 10 12

4.5%

17

2.6%

1.6~1.7

10

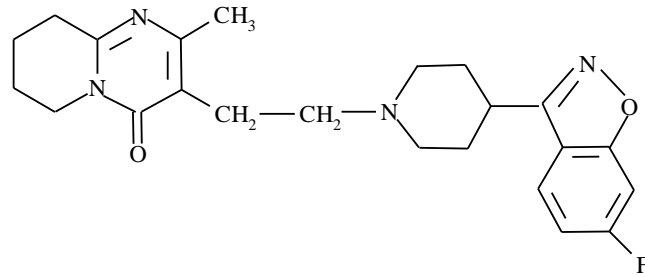
10

®

Risperidone Tablets

Lipeitong Pian

3 [2 [4 (6 1 2 3 ) 1 ] ] 6 7  
 8 9 2 4H [1 2 α] 4



$C_{23}H_{27}FN_4O_2$

410.49

- |        |     |              |
|--------|-----|--------------|
| 1) 1mg |     | 2910 15mPa·s |
|        | 101 | 2910 5mPa·s  |
| 2) 2mg |     | 2910 15mPa·s |
|        | 101 | 2910 5mPa·s  |

S(E110)-

1mg

2mg

13~17

10~17

5~17

5~17

(1) 1mg (2) 2mg

**13~17**

1	2	2	1mg	2
2mg		2	3mg	
		4~8mg		4~16mg
	6mg			
			6mg	16mg
		16mg		
			2~8mg	1
			1mg	2mg
4mg				
	1~2mg			

**13~17**

0.5mg		24
0.5mg	1mg	3mg
	1~6mg	3mg
		6mg

**10~17**

1	1	1~2mg		
2~6mg			1mg	24

**10~17**

0.5mg 24  
0.5mg 1mg 1~2.5mg  
0.5~6mg  
2.5mg 6mg

**5~17**

1 2  
20kg 15kg 0.25mg 4  
0.5mg 14  
0.25mg  
0.75mg  
20kg 0.5mg 4  
1mg 14  
0.5mg  
1.5mg 0.5mg~3mg/  
15kg

1 2

**5~17**

DSM 5  
6  
50kg 0.25mg  
0.25mg 0.25mg~0.75mg  
0.25mg

0.5mg  
1mg

50kg

0.5mg  
0.5mg~1.5mg

2 0.5mg 2 1~2mg

2 0.5mg

5 2

> 1% / > 2%

9803

9803

2687

12

3  
ECG

----

1 3 4 8

2%

1 2%

	2~8 mg/ (N=366)	>8~16 mg/ (N=198)	(N=225)
	1	3	0
	3	1	1
	9	4	4
	8	9	6
	8	6	5
	4	0	1
	3	1	1
	2	1	<1
	2	1	1
	3	1	0
	2	2	1
	2	1	<1
	3	4	3
	2	3	1
	1	2	1
	1	3	0
	1	2	<1
	<1	2	0
	4	1	1
	2	3	<1
	2	1	1
*	14	17	8
*	10	10	3
	10	5	2
	7	4	2
*	3	4	2
*	2	3	1
	2	0	0
	32	25	27

---

	<b>2~8 mg/ (N=366)</b>	<b>&gt;8~16 mg/ (N=198)</b>	<b>(N=225)</b>
	16	11	11
	4	6	2
	1	2	0
	<1	2	0
	1	4	1
	1	3	0
	2	1	0

---

\*

2

6

----

3

4

3

2%



3

2%

---

	1 ~6 mg/ (N=448)	(N=424)
	2	1
	5	2
	3	2
	3	1
	2	<1
	2	1
*	25	9
	11	4
*	9	3
*	6	3
	6	5
*	5	1
	2	1

---

\*

4

2

3

2%

4

2%

---

	+	+
	(N=127)	(N=126)
	2	0
	9	8
	6	4
	6	4
	2	0
	2	1
	2	1
*	14	4
	9	4
*	8	0
	7	2
	6	2
	2	1
	3	2
	5	2
	2	0

---

\*

5

3

5%

5

5%

---

	0.5 ~2.5 mg/ (N=50)	3 ~6 mg/ (N=61)	(N=58)
	4	7	0
	16	13	5
	16	13	7
	10	10	5
	8	7	2
	10	3	2
	6	0	2
	18	30	3
	4	7	2
	42	56	19
	16	13	5
*	6	12	3
*	6	5	0
*	0	8	2
	0	8	3
	10	3	5
	0	7	2

---

\*

----

6 2 8

1 6

5%

6

5%

---

	<b>0.5~4.0 mg/ (N=107)</b>	<b>(N=115)</b>
	20	17
	17	6
	10	4
	8	5
	7	1
	31	9
	16	13
	7	4
	19	9
	9	7
	8	3
	8	2
	44	15
	63	15
	12	4
	12	10
	8	1
	8	2
*	8	1
	16	10
	17	12
	12	10
	10	4
	8	5

---

\*

/

QT

-

7% 39/564

4% 10/225

2

7

2

	2~8 mg/ (N=366)	>8~16 mg/ (N=198)	(N=225)
	1.4%	1.0%	0%
	1.4%	0%	0%
	0.8%	0%	0%
	0.8%	0%	0%
	0.8%	0%	0%
	0.5%	0%	0%
	0.5%	0%	0%
	0.5%	0%	0%
	0.3%	0.5%	0%
	0.3%	2.0%	0%
	1%		3.4%

-----

7% 7/106

4% 2/54                      1

2%                      1%                      1%                      1%                      1%

1%                      1%

-----

6% 25/448

5% 19/424

**8**                                      **2**

---

	<b>1~6 mg/ (N=448)</b>	<b>(N=424)</b>
	0.4%	0%
	0.2%	0%
	0.2%	0%
	0.2%	0.2%
	0.2%	0.2%

---

-----

12% 13/111

7% 4/58                      1

3%                      2%                      2%                      2%

-----

2    8                                      n=156                      1

1

2

4                                      2    6    10    16 mg/                      8

   EPS                      1

   2    EPS

**9**

		2 mg	6 mg	10 mg	16 mg
	1.2	0.9	1.8	2.4	2.6
EPS	13%	17%	21%	21%	35%

5 1 4 8 12 16 mg/ 8

EPS

10

	1 mg	4 mg	8 mg	12 mg	16 mg
	0.6	1.7	2.4	2.9	4.1
EPS	7%	12%	17%	18%	20%

/

5  
Cochran-Armitage 1 4 8 12 16 mg/  
p <0.05

)

(

QT QTc PR

1

8~16 mg/

4~6

5~16 2

8.4

6.5

10~17



<6

13~17

2

QT

/

QT

Stevens-Johnson /

1.

1.1

17

4.0% 3.1%

86

67~100

1.2

7.3%

89

75~97

3.1%

84

70~96

4.1%

80

67~90

4

2

2.

CAE

3.

$\alpha$

4.

<1/10,000

/

5.

<1×10<sup>9</sup>/L

VTE

VTE

VTE

6.

/

TD/EPS

7.

NMS

8.

9.

10.

11.

12.

D<sub>2</sub>

13.

14.

			16mg/	41%
		16%		
16mg/		8%		

15.

16. IFIS

$\alpha_{1a^-}$

IFIS

$\alpha_{1a^-}$

$\alpha_1$

17. QT

QT

QT

18.

$\alpha^-$

19.

20.

21.

22.

Claims

=1.26

95% CI 1.02~1.56

QT

QT

CYP2D6 CYP3A4 9- -  
P- P-gp CYP2D6 / CYP3A4 /  
P-gp  
CYP2D6  
CYP2D6 CYP2D6  
CYP2D6  
CYP2D6  
CYP3A4 / P-gp  
CYP3A4 / P-gp  
CYP3A4 / P-gp  
CYP3A4 / P-gp  
CYP3A4 / P-gp  
CYP3A4 / P-gp  
CYP3A4 / P-gp

• CYP3A4

• CYP3A4 P-gp

- CYP2D6 CYP3A4
- CYP3A4 P-gp
- 
- 
- CYP3A4 P-gp 2~8mg/ 200 mg/ 70%
- CYP3A4 P-gp 200mg/ 9- -
- 
- CYP2D6 CYP3A4
- 
- CYP3A4
- CYP2D6
- -
- β-
- CYP3A4 P-gp
-

•

• H<sub>2</sub>-

CYP2D6 CYP3A4

•

**SSRI**

•

CYP2D6

•

CYP2D6

20mg/

•

•

CYP2D6

CYP3A4

100mg/

100mg/

11

+9- -

			+9-	-	*
			AUC	C <sub>max</sub>	
<b>CYP2D6</b>					
	20 mg/	2 3 mg 2	1.4	1.5	8 mg
	10 mg/				



	<b>573 ± 168 mg/</b>	<b>3 mg      2</b>	<b>0.51</b>	<b>0.55</b>	
<b>CYP3A</b>					
	<b>150 mg      2</b>	<b>1 mg</b>	<b>1.2</b>	<b>1.4</b>	
	<b>400 mg      2</b>	<b>1 mg</b>	<b>1.1</b>	<b>1.3</b>	
	<b>500 mg      4</b>	<b>1 mg</b>	<b>1.1</b>	<b>0.94</b>	
	<b>50 mg      2</b>	<b>3 mg      2</b>	<b>1.2</b>	<b>1.1</b>	

\*

QT

/

**1**

—

DSM-III-R

4

4 8

BPRS

BPRS

CGI

PANSS

SANS

1

6

n=160

10 mg/

2

BPRS

BPRS

SANS

2

8

n=513

4

2 mg/

6

mg/

10 mg/

16 mg/

2

BPRS

BPRS

CGI

4

3

PANSS

6 mg

3

8

n=1356

5

1 mg/

4

mg/

8 mg/

12 mg/

16 mg/

2

BPRS

BPRS

CGI

4

1 mg

PANSS

1 mg

4 mg

4

4

n=246

2

4

8 mg/

1

PANSS

> 20%

PANSS

BPRS

PANSS

PANSS

2

8 mg

4 mg

DSM-IV

4

365

2~8 mg/

1

2

2	6	8		13~17	
			DSM-IV		1
	1		3	1~3 mg/	n=55
n=54	= 2.6 mg		4~6 mg/	n=51	= 5.3 mg
	2		2		0.15~0.6 mg/
n=132		= 0.5 mg	1.5~6 mg/	n=125	= 4
mg			0.5 mg/	2	0.15~0.6 mg/
	0.05 mg/		7		14
					PANSS

		1~6 mg/		—	PANSS
	1~3 mg/		1	4~6 mg/	
2	1.5~6 mg/		2	1.5~6 mg/	
	0.15~0.6 mg/		3 mg/		

2	----				
—					
	I		DSM-IV		2
3					
				YMRS	11
				/	

0		60		YMRS	
1			3	n=246	
1~6 mg/	1		3 mg/	4.1 mg/	YMRS
2	3		n=286	1~6 mg/	1
	3 mg/		5.6 mg/	YMRS	
—					

3 I

10 17 I

3 0.5~2.5 mg/ n=50

= 1.9 mg 3~6 mg/ n=61 = 4.7 mg

n=58 0.5 mg/ 7

10

YMRS

----- YMRS

3~6 mg/ 0.5~2.5 mg/ 2.5

mg/

3 ----

I DSM-IV 1

1 3

148

YMRS 1~6 mg/ 1

2 mg/ 3.8 mg/

0.6 mEq/L 1.4 mEq/L 50 mcg/mL 120 mcg/mL

2 3

142

YMRS 1~6 mg/ 1

2 mg/ 3.7 mg/

0.6 mEq/L 1.4 mEq/L 50 mcg/mL 125 mcg/mL 4~12

mcg/mL

9- - 9- -

4

-----

DSM-IV 5 16 2 8

90% 12

20 kg 16~104.3 kg

	2			ABC		-	CGI-
C				ABC		ABC-I	
ABC-I							
							CGI-C
1	8			5	16		n=101
2				0.5~3.5 mg/			0.25 mg/
0.5 mg/				<20 kg	20 kg		
1.9 mg/				0.06 mg/kg/		ABC-I	CGI-
C							
2	8			5	12		(n=55)
0.02	0.06 mg/kg/	1	2		0.01 mg/kg/		
		0.05 mg/kg/		1.4 mg/			ABC-
1							
	3	6					
DSM-IV				5	17		N=96
				77%		12	=9
88%		73%		45 kg		= 40 kg	90%
		2				20	<45 kg
		1.25 mg		45 kg		1.75 mg	
20	<45 kg			0.125 mg		45 kg	
0.175 mg							
		6					ABC-I
				—			ABC-I
				n=35		ABC-I	29
n=30	27			n=31	28		ABC-I
		-3.5	-7.4	-12.4			p <0.001
				p=0.164			
—							
	8			63		4	6

		1.8~2.1 mg/	0.05~0.07 mg/kg/	
4-6			140	
ABC-I	25%	CGI-C		
8	63	n=39		
		n=32		
			ABC-1	
25%				
5				
—				
228	5~12		DMS-IV	DBD
		/		
6	Nisonger		N-CBRF	
	0.02~0.06mg/kg/			
			5HT <sub>2</sub>	D <sub>2</sub>
			α <sub>1</sub>	α <sub>2</sub>
			5HT <sub>1C</sub>	5HT <sub>1D</sub>
			5HT <sub>1A</sub>	H <sub>1</sub>
D <sub>1</sub>	σ		M	β <sub>1</sub> β <sub>2</sub>
	D <sub>2</sub>	5HT <sub>2</sub>		D <sub>2</sub> 5HT <sub>2</sub>
Ames				DNA
Wistar				
		0.16~5mg/kg[	mg/m <sup>2</sup>	MRHD)10mg/
		0.16~4.8 ]		

Beagle 0.31~5mg/kg mg/m<sup>2</sup>  
MRHD 1.0~16.0

SD Wistar -  
0.63~10mg/kg 0.31~5mg/kg mg/m<sup>2</sup> MRHD 0.64~9.6 0.64~9.6

( )  
0.16~5mg/kg mg/m<sup>2</sup> MRHD 0.16~4.8 4

MRHD 2.4 2.5mg/kg mg/m<sup>2</sup>

5mg/kg mg/m<sup>2</sup> MRHD 4.8 1~4

0.63 2.5 10mg/kg mg/kg MRHD  
3.2 14.4 60.8 mg/m<sup>2</sup> MRHD 0.32 1.2 4.8  
MRHD 0.64 2.4 9.6 18 25

5 6

40 0.31 1.25 5mg/kg/  
0.31mg/kg/

12

		12~50			
		0.63mg/kg/		1.25mg/kg/	
			1~2		
				CYP2D6	9- -
			9- -		
		N-			3 9- -
			24	1	
	4~5	9- -			
			1~2L/kg		$\alpha_1$
			88% 9- -		77%
1	70%		14%		35~45%
	9- -				
					30%
		60%			
		35%	9- -		
		2 10 / /			
	36				
		2020			
	1mg	H20010309			
	2mg	H20010310			



19

4 17F

19

710304

4008889988

029 82576616

<http://www.xian-janssen.com.cn>