

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

17

10

1.6~1.7

10

4.5%

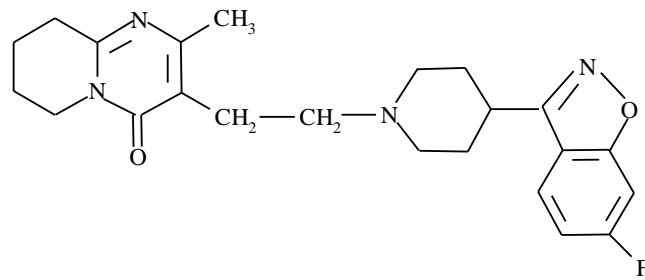
2.6%

®

Risperidone Tablets

Lipeitong Pian

8 9 2 3 [2 [4 (6 1 2 3) 1]] 6 7
 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
			1mg	2mg
4mg				1
	1~2mg			

13~17

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

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

*

2 ~ 2 TJ ET Q </MCID 36>> BDC26.6416380260.72 [(.)]STe ET B3088E8156763297en-US

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%

	0.5~4.0 mg/ (N=107)	(N=115)
	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%
1%	1%					

			5% 19/424		6% 25/448	
8			2			

		1~6 mg/ (N=448)	(N=424)
		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 1 4 8 12 16 mg/
Cochran-Armitage 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.

α

4.

<1/10,000

/

<1×10⁹/L

5.

VTE

VTE

VTE

6.

/

TD/EPS

—

7.

NMS

8.

9.

10.

11.

12.

D₂

13.

14.

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

15.

16. IFIS

α_{1a^-}

IFIS

α_{1a^-}

α_1

17. QT

QT

QT

18.

α^-

19.

20.

21.

22.

Claims

95% CI 1.02~1.56

=1.26

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
-

•

• H₂-

CYP2D6 CYP3A4

•

SSRI

•

CYP2D6

•

CYP2D6

20mg/

•

•

CYP2D6

CYP3A4

100mg/

100mg/

11

+9- -

			+9-	-	*
			AUC	C _{max}	
CYP2D6					
	20 mg/	2 3 mg 2	1.4	1.5	8 mg
	10 mg/				

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

*

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 ₂	D ₂
			α ₁	α ₂
			5HT _{1C}	5HT _{1D}
			5HT _{1A}	H ₁
D ₁	σ		M	β ₁ β ₂
	D ₂	5HT ₂		D ₂ 5HT ₂
Ames			DNA	
Wistar				
		0.16~5mg/kg[mg/m ²	MRHD)10mg/
		0.16~4.8]		

Beagle 0.31~5mg/kg mg/m²
MRHD 1.0~16.0

SD Wistar -
0.63~10mg/kg 0.31~5mg/kg mg/m² MRHD 0.64~9.6 0.64~9.6

()
0.16~5mg/kg mg/m² MRHD 0.16~4.8 4

MRHD 2.4 2.5mg/kg mg/m²

5mg/kg mg/m² MRHD 4.8 1~4

0.63 2.5 10mg/kg mg/kg MRHD
3.2 14.4 60.8 mg/m² 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				α_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>