

2006 09 12
2007 02 20
2007 03 09
2007 12 30
2008 04 29
2010 08 12
2012 02 01
2012 03 20
2012 05 08
2013 06 03
2015 01 05
2017 05 26
2017 12 20
2018 02 07
2018 04 26
2019 03 13
2019 07 24
2020 06 30
2020 07 02

10

10

4.5%

17

2.6%

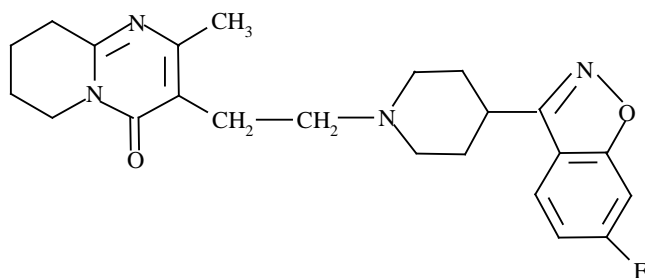
1.6~1.7

®

Risperidone Oral Solution

Lipeitong Koufuye

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

13~17

10~17

5~17

5~17

1 0.1% 30ml:30mg 2 0.1% 100ml:100mg

13~17

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

13~17

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

10~17

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

10~17

		0.5mg		24
		0.5mg	1mg	1~2.5mg
				0.5~6 mg
2.5 mg				
6 mg				
5~17				
1	2			
	20kg	15kg	0.25mg	4
		0.5mg	14	
			0.25mg	
0.75mg		20kg		0.5mg
		1mg	14	4
			0.5mg	
1.5mg		0.5mg~3mg/		
	15kg			
			1	2
5~17				
		DSM		5
		6		
	50kg		0.25mg	
0.25mg			0.25mg~0.75mg	
	50kg		0.5mg	
0.5mg			0.5mg~1.5mg	
1mg				

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

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

*

2

6

5%

2 5%

	1 ~3 mg/ (N=55)	4 ~6 mg/ (N=51)	(N=54)
	0	10	2
	24	12	4
*	16	28	11
	11	10	6
*	9	10	4
	7	14	2
*	2	6	0
	7	6	0

*

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%

6% 25/448
5% 19/424

8

2

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

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

85

73~97

3.

α

4.

<1/10,000

/

5.

<1×10⁹/L

VTE

VTE

VTE

6.

/

TD/EPS

—

7.

NMS

8.

9.

10.

11.

12.

D₂

13.

14.

16 mg/ 41%

16%

16 mg/

8%

1%

15.

16.

IFIS

α_{1a} -

IFIS

α_{1a}

α_1

17. QT

QT

QT

18.

α

19.

20.

21.

22.

Claims

=1.26

95% CI 1.02~1.56

9- -

	0.5mg	2		
0.5mg	2	1~2mg	2	

CNS

QT

QT

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

CYP2D6

CYP2D6

CYP2D6

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-
- 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/	4 mg/	1.3	-	8 mg
	20 mg/	4 mg/	1.6	-	
	40 mg/	4 mg/	1.8	-	
CYP3A/PgP					
	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
		4		3	CGI
					PANSS
				6 mg	
3	8	n=1356		5	1 mg/ 4
mg/	8 mg/	12 mg/	16 mg/	2	BPRS
CGI		4		1 mg	BPRS
		1 mg	4 mg		PANSS
4	4	n=246		2	4
8 mg/	1	PANSS		> 20%	PANSS
	PANSS	PANSS		2	BPRS
	4 mg				8 mg
DSM-IV					
4	365			2~8 mg/	
1	2				
<hr/>					
2	6	8			13~17
DSM-IV					
	1	3			1~3 mg/ 1
	= 2.6 mg	4~6 mg/	n=51		= 5.3 mg n=55
n=54	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
 3~6 mg/ 0.5~2.5 mg/ YMRS 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 mg/ 1.9 mg/ CGI-C 2 8 0.02 0.06 mg/kg/ ABC-1 3 DSM-IV 88% 20 <45 kg 0.175 mg
 0.5~3.5 mg/ <20 kg ≥20 kg 0.06 mg/kg/ 0.01 mg/kg/ 0.05 mg/kg/ 1.4 mg/ 6 77% 12 =9 45 kg = 40 kg 90%
 0.25 mg/ n=55
 5 12
 ABC-1 5 17 N=96
 73% 45 kg = 40 kg 90%
 2 20 <45 kg 1.25 mg 45 kg 0.125 mg 45 kg 1.75 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

0.02~0.06 mg/kg/

5HT₂

D₂

α₁

α₂

H₁

5HT_{1C}

5HT_{1D}

5HT_{1A}

D₁

σ

M

β₁

β₂

D₂

5HT₂

D₂

5HT₂

Ames

DNA

Wistar

0.16~5mg/kg [mg/m²

MRHD) 16mg/

0.1~3]

Beagle

0.31~5mg/kg

mg/m²

MRHD

0.6~10

SD Wistar -

0.63~10mg/kg 0.31~5mg/kg mg/m² MRHD 0.4~6 0.4~6

()

0.16~5mg/kg mg/m² MRHD 0.1~3 4

2.5mg/kg

mg/m² MRHD 1.5

1~4 5mg/kg mg/m² MRHD 3

0.63 2.5 10mg/kg mg/kg MRHD

2 9 38 mg/m² MRHD 0.2 0.75 3 MRHD

0.4 1.5 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- -

15 30

30ml/ 100ml/

36

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H20171343

J20180022

Janssen-Cilag N.V.

Antwerpseweg 15-17, B-2340 Beerse, Belgium

Janssen Pharmaceutica N.V.

Turnhoutseweg 30, B-2340 Beerse

32 14/60 21 11

32 14/60 28 41

19

710304

400 888 9988

029 82576616

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