

Rosuvastatin Calcium Tablets

Ruishufatatinggai Pian

-(E)-7-[4-(4- )-6- -2-[ ( ) ]- -5-  
](3R,5S)-3,5- -6- ] (2:1)

$(C_{22}H_{27}FN_3O_6S)_2Ca$

1001.14

) (IIb )

( LDL )

5mg

(LDL-C)

10mg

4%

1/10)

1/100)

1/1,000)

( 1/10,000)

(

)

			(		
			)		
	1				
					(
					)
					Stevens-Johnson
			(		
			)		


1

( BMI >30kg/m<sup>2</sup> )  
**HMG-CoA**

( )

1%      10mg    20mg

10×ULN

1.

2.

3

(ULN)

( <30ml/min)

40mg

( )

( )

20mg

HMG-CoA

(CK)  
5 7

(>5×ULN)  
>5×ULN

HMG-CoA

/

HMG-CoA

>70

(>5×ULN)

(>5×ULN)

(

HMG-CoA

(IMNM)(

) IMNM

HMG-CoA

( )

HMG-CoA

( ) ( )

( )

HMG-CoA /

3

3

( )

HIV

-

(

)

3- -3- A(3-hydroxy-3-methyl glutaryl  
 coenzyme A, HMG-CoA) ( )  
 (Glycated haemoglobin A1C, HbA1c)  
 ( 5.6 6.9mmol/L BMI >30kg/m<sup>2</sup>  
 )

10-17 Tenner

( ) BMI( )

52

( 6 ) /

10275

3159

698

---

OATP1B1

BCRP

( )

AUC

7 ( )

10mg

(300mg

/100mg

)

AUC C<sub>max</sub>

3

7

( )

C<sub>max</sub> AUC 2

		( )		( )	
HMG-CoA				10mg	10mg
	AUC	1.2			
	50%		2		
				AUC	20% C <sub>max</sub>
30%					
	<b>P450</b>				
P450					
		P450			
	(CYP2C9	CYP3A4	)	(CYP2A6	
CYP3A4	)				
		HMG-CoA			
					(AUC)
2			5mg		
					(AUC )
<hr/>					
					AUC
					*
<hr/>					
75mg BID	200mg BID	6	10mg OD	10	7.1
300mg/	100mg		10mg		3.1
OD 8					

		(AUC	)
			AUC
			*
Simeprevir 150mg OD	7	10mg	2.8
BID	17	400mg/ 100mg 20mg OD 7	2.1
		300mg 24 20mg	2
	75mg	600mg BID 7 80mg	1.9
		75mg OD 5 10mg	1.6
BID	7	600mg/ 100mg 10mg OD 7	1.5
BID	11	500mg/ 200mg 10mg	1.4
		400mg BID 1.4	
		200mg OD 5 10mg	1.4
		10mg OD 14 10mg OD 14	1.2
BID	8	700mg/ 100mg 10mg	
		Aleglitazar 0.3mg 7 40mg 7	
		140mg TID 5 10mg	
		67mg TID 7 10mg 7	
		450mg OD 7 20mg	
		200mg BID 7 80mg	
		200mg OD 11 80mg	
		500mg QID 7 80mg	20%
		50mg TID 14 20mg	47%
*	x		%
		%	
	“		
**			
OD =	BID =	TID =	QID =

\_\_\_\_\_  
**K** HMG-CoA  
 K ( )  
 (INR)  
 INR INR  
 / **(HRT)**



	N	LDL-C	Total-C	HDL-C	TG	nonHDL-C	ApoB	ApoA-I
	13	-7	-5	3	-3	-7	-3	0
5	17	-45	-33	13	-35	-44	-38	4
10	17	-52	-36	14	-10	-48	-42	4
20	17	-55	-40	8	-23	-51	-46	5

III  
(LDL-C  
(EAS)  
LDL-C  
20 80mg  
LDL-C 53% 33%  
22%

4.8mmol/L)  
10mg  
(<3mmol/L)

IIa IIb  
80% EAS  
435  
40mg( 12 )  
LDL-C (<3mmol/l)  
20 40mg 42  
LDL-C

HDL

(JUPITER) )

) 17,802 LDL-C <130mg/dL (3.3mmol/l)

hs-

(n=8901) 20mg (n=8901) 2

LDL 45%(p<0.001)

Framingham 10 11.6%

(23%), (16%), (12%) (58%), HDL-C

CV

( 252

vs. 142 ) (p<0.001) 44%

1.2%

Framingham > 20% (1,558

)

(p=0.028) /1000 - 8.8

(p=0.193) SCORE

( 65 ) ( 9302 )

(p=0.0003) 5.1/1000 -

(p=0.076)

JUPITER

6.6% 6.2% (

0.3% 0.2%) ( 0.03% 0.02%)

( 0.02% 0.03%)

( 8.7% 8.6%) (

7.6% 7.2%) ( 7.6% 6.9%)

( 7.6% 6.6%)

12 (n=176,  
 97 79 ) 40 (n=173, 96  
 77 ) 10-17  
 ( Tanner II-V )  
 5mg 10mg 20mg  
 12 40  
 30% 10-13 Tanner II III IV V  
 17% 18% 40% 25%  
 (LDL-C) 0.7%  
 5mg 10mg 20mg 38.3% 44.6% 50.0%  
 40 20mg  
 173 70 (40.5%) LDL-C 2.8 mmol/l  
 52 BMI  
 ( ) (n=176)  
 2 198  
 6 17 (88 110 Tanner  
 < II-V) 5 mg  
 6 9 (n=64) ( 10 mg)  
 10 17 (n=134) ( 20 mg)  
 24 LDL-C LS  
 -43%( 236 mg/dL 24 133 mg/dL) 6 <10  
 10 <14 14 <18 LDL-C LS  
 -43%( 234 mg/dL 24 124 mg/dL) -45%(  
 234 mg/dL 24 124 mg/dL) -35%( 241 mg/dL 24  
 153 mg/dL)  
 5 mg 10  
 mg 20 mg HDL-C TC  
 HDL-C LDL-C/HDL-C TC/HDL-C TG/HDL-C HDL-C/HDL-C ApoB  
 ApoB/ApoA-1 2

24 BMI ( )

14

6 17

20mg 4

10mg 20mg 6

6 20mg 12

20mg 6 LDL-C(22.3%,  
85.4mg/dL 2.2mmol/L) TC (20.1%, p=0.003)

HDL-C (22.9%, p=0.003) ApoB (17.1%, p=0.024)

20mg 6 TG, LDL-C/HDL-C,  
TC/HDL-C, HDL-C/HDL-C ApoB/ApoA-1 6 20mg

6 12 LDL-C

7 8 17

20mg 6

LDL-C (21.0%), TC (19.2%) HDL-C (21.0%)

10mg 12 12

10mg ATP III LDL-C

20mg 8

201 10mg 12

LDL-C 192±20.2mg/dl 10mg

12 LDL-C 45.6% TC ApoB

33.2% 40.3% 10mg HDL-C ApoAI

6.6% 12.5% TG 22.8% 10mg 78.0%

LDL-C

(NCEP ATP III)

56.5% 20mg

8

10mg 6

12

6.6%

1

10 1 ALT

3

13 (

3 ) ALT 5 ALT

1.5

20mg

**METEOR-China**

20 mg

CIMT III

METEOR-China B 10

(ICVD) <10% LDL-C CIMT

543 1:1

20 mg

104 611.8 /613 .8

12

CIMT

12 CIMT

-0.0103 mm / 95 CI 0.0191 0.0016 p = 0.020

0.0142 mm / 0.0038 mm /

51.0

33.2  
 28 (10.3%)  
 25 (9.3%) ALT  
 1  
 > 10×ULN  
 (>100 >ULN)

HMG-CoA HMG-CoA  
 3- -3- A

LDL LDL  
 VLDL VLDL LDL

LDL-C ApoB  
 HDL-C TG HDL-C  
 LDL-C VLDL-C ApoB  
 HDL-C TG HDL-C

CNS

30  
 ( - Wallerian )  
 1 90mg/kg/ ( AUC  
 40mg/ 100 ) 24

6mg/kg/ ( AUC  
 40mg/ 20 ) 52  
 30mg/kg/ ( AUC 40mg/  
 60 ) 12 90mg/kg/  
 ( AUC 40mg/ 100 ) 4  
 ( AUC  
 40mg/ 60 ) 1  
  
 Ames CHL  
  
 9  
 2 7 5 15 50mg/kg/ ( AUC  
 40mg/ 10 )  
 30mg/kg/ 1  
 (Spermatidic giant cell) 30mg/kg/ 6  
  
 40mg/ 20 10  
  
 7 5 15 50mg/kg/ ( AUC  
 40mg/ 10 )  
 AUC  
  
 7 21 ( ) 2 10 50mg/kg/  
 ( 40mg/ 12 )  
 6 18 ( ) 0.3 1 3mg/kg/ ( AUC  
 40mg/ )  
 ( AUC  
 40mg/ )  
  
 104 2 20 60 80mg/kg/

80mg/kg/ ( AUC 40mg/ 20 )  
107 10 60 200mg/kg/ 200mg/kg/  
( AUC 40mg/ 20 )  
/

5 20%  
LDL-C  
134L ( )  
) 90%  
( 10%)

P450  
CYP2C9 2C19 3A4 2D6  
N N 50%

HMG-CoA 90%  
90% ( )  
) 5%

19  
50L/ ( 21.7%) HMG-CoA  
OATP-C

10% N

N- ( <30ml/min) 3  
 N- 9  
 50%  
 Child Pugh 7 2 Child Pugh  
 8 9 Child-Pugh  
 2 Child-Pugh 9  
 HMG-CoA ( )  
 OATP1B1 BCRP SLCO1B1(OATP1B1) / ABCG2(BCRP)  
 SLCO1B1 c.521TT  
 ABCG2 c.421CC SLCO1B1 c.521CC ABCG2 c.421AA  
 (AUC)  
 ( )  
 - (AUC) (C<sub>max</sub>) 2  
 ( ) 10 17  
 6 17 ( 214 )  
 5 10 20  
 2.5-5 (t<sub>1/2</sub>) 11 12 t<sub>max</sub>  
 3

