

R

2013	06	26
2015	11	30
2017	03	16
2017	12	13
2018	05	31
2020	11	05

Imatinib Mesylate Tablets
Jiahuangsuan Yimatini Pian

4-[4- -1-]-N-[4- -3-[[4- 3- -

Ph+CML

400mg/

600mg/

WBC>50000/ μ l CML

400mg/

600mg/

600mg/

800mg/

3

12

/

3

3

340mg/m²(

600mg/

12

3

Ph+ALL

600mg/

340mg/m²

600mg/

GIST

GIST

400mg/

400mg/

600mg/

800mg/

GIST

GIST

400mg/

3

36

HES/CEL

HES/CEI

FIP1L1-PDGFR-

HES/CEL

100mg/
100mg/

400mg/

ASM

ASM

D816Vc-Kit

ASM

400mg/

ASM

c-Kit

400mg/

(FIP1L1-PDGFR-

ASM

100mg/

100mg

400mg

MDS/MPD

MDS/MPD

PDGFR- -

MDS/MPD

400mg/

DFSP

DFSP

DFSP

400mg/

800mg

(

>

3

>

5

1.5

2.5

400mg

300mg	600mg	400mg	800mg	600mg	260mg/m ²
200mg/m ²	340mg/m ²	260mg/m ²			
Ph+CML		Ph+ALL(600mg/		340mg/m ² /
		(<0.5× 10 ⁹ /L	/	<10× 10 ⁹ /L
		(
	400mg/		260mg/m ² /		2
	300mg/		200mg/m ² /		4
	1× 10 ⁹ /L		20× 10 ⁹ /L		

75 × 10⁹/L

600mg/

<1.0 × 10⁹/L /

<50 × 10⁹/L

400mg

400mg/

3

400mg/

12

(>10%

2% 5%

Ph+

Ph+

GIST

GI

GI

GI

<1/10

(1/1000

<1/100

(1/10,000

<1/1000

(1/10

(1/100

(<1/10,000

CML GIST

(56% (15%

1

(14% (14% (11%

²(11%

(Sweet
 Stevens-Johnson
 (AGEP
 (36% (14% 8

1 CML GIST
 2 GIST
 3 5 T CML CML
 4 GIST GIST CML CML-AP
 CML-BC (

8 CML

DRESS

/ /

/
(

1

2

3 4

CML

CML

3 4

44% 63%

CML CP (16.7% 8.9% 4 6

(GIST

Ph+

3/4

10% 1%

CML

GIST / CML
CML GIST
GAVE
50%
CML GIST
CML CML
CHF CML CHF IFN- CML(
1.5% 1.1%
8g/dL
CML CHF GIST
CHF 942 GIST
EORTC
GIST 0.2%
2%

1/3

Stevens-Johnson

DRESS

GIST

6 12

1 4

(3/4

RANK-L

PTH

III TOPS (CML

24

400mg 800mg

3/4

19.1%vs.15.5% 5.1%vs.0.9%

/

GIST

GIST

/

GIST

GIST

GI

CML
>750mg/ I

CML 3 4
ANC<1.0× 10⁹/L <50× 10⁹/L
(59%~64% 44%~63%

16.7% 8.9% 4 6

CML 4 ANC<1.0× 10⁹/L <50×
10⁹/L 3.6% <1%

2 3 3~4

CML 3 4

GIST 3 4 5.4% 0.7%

3 3 4 7.5% 2 7%

0.7% 4

6

CML <5% <1%

1%

GIST B2222 6.8% 3 4 ALT

4.8% 3 4 AST

3%

/

HES

/

HES/CEL

MDS/MPD

SM

(1

2mg/kg 1 2

GIST

8

5.4%

4

2.7%

GAVE

CML ALL

TLS

TLS

HBV

BCR-ABL

TKI

HBV

BCR-ABL TKI

HBV

CML

CML

CML

(

/

(CrCL

AGP -

-

CrCL 40 59ml/min (CrCL <20ml/min

2

TSH

(

(1%

0.5 0.9

10%

3

3

(LVEF

CYP3A4

CYP3A4

Cmax

AUC

26% 40%

CYP3A4

CYP3A4

3.8 (90%

=3.5-4.3

Cmax

AUC₍₀₋₂₄₎

AUC₍₀₋₈₎

54%

68%

74%

(enzyme-inducing anti-epileptic drugs EIAEDs

EIAEDs

AUC

73%

CYP3A4

CYP3A4

AUC 30%~32%

CYP3A4

Cmax AUC 2 3.5

CYP3A4

HMG-CoA

CYP3A4

CYP3A4

CYP2D6

1200 1600mg(1 10

1800 3200mg(3200mg 6 CPK

6400mg(

8 10g(

400mg

980mg

				Bcr-Abl	(TK
TK	Kit	c-Kit			SCF
DDR1	DDR2		CSF-1R		
PDGFR-	PDGFR-				
			Bcr-Abl		Bcr-Abl
		Ph+		CML	
				PDGF	SCF c-Kit
		PDGF			
	GIST		kit		GIST
				Bcr-Abl	

(Ames test

clastogenicity

Ames

70 60mg/kg 800mg/
>30mg/kg
60mg/kg 20mg/kg
45mg/kg/ 0
4 F1
F1 45mg/kg/
45mg/kg/ F1 15mg/kg/ 800mg
1/4
800mg/ 1.5 / /
30mg/kg
F1

2 15 30 60mg/kg/
60mg/kg/ 30mg/kg/

no observed effect levels NOEL

30mg/kg/ 15mg/kg/
/ / / 30 60mg/kg/
400mg/ 800mg/ 0.5 4 0.3 2.4 (AUC
340mg/m² (AUC 0.4~3.0 60mg/kg/
/
/

25 1000mg
25 1000mg (AUC
1.5-2.5

98% AUC
40%~60% (Cmax 11% t_{max}
1.5 AUC (7.4%

95% -
4.9 L/kg

			N-					
AUC			AUC	16%		CYP3A4		CYP3A4
CYP2D6	CYP2C9	CYP2C19						
			18			40	7	
	81%			68%	13%	25%	(5%
20%								
		400mg/	GIST			CML		1.5
	GIST					3		
WBC								WBC
		260mg/m ²	340mg/m ²					
400mg	600mg	340mg/m ² /				8	1	AUC
0-24	1.7							
		65		20%				
			1.5	2	AGP	1.5		AGP

30

PVC

12 / × 1 / × 1 / 12 / × 1 / × 5 /

36

YBH05592018

H20133200

5	311	222069
8	300	222047

4008285227 9:00-17:00

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