Cerdulatinib hydrochloride

Cat. No.: HY-15999A

CAS No.: 1369761-01-2

Molecular Formula: $C_{20}H_{28}ClN_7O_3S$

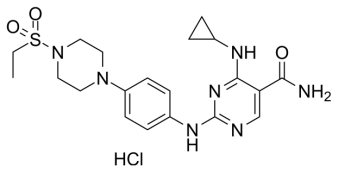
Molecular Weight: 482

Target: Syk; JAK

Pathway: Protein Tyrosine Kinase/RTK; Epigenetics; JAK/STAT Signaling; Stem Cell/Wnt

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20 mg/mL (41.49 mM; ultrasonic and warming and heat to 80°C)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0747 mL	10.3734 mL	20.7469 mL
5 mM	0.4149 mL	2.0747 mL	4.1494 mL
10 mM	0.2075 mL	1.0373 mL	2.0747 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2 mg/mL (4.15 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2 mg/mL (4.15 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2 mg/mL (4.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cerdulatinib hydrochloride (PRT062070) is a selective, oral active and reversible ATP-competitive inhibitor of dual SYK and JAK, with IC_{50}s of 32 nM, 0.5 nM, 12 nM, 6 nM and 8 nM for SYK and Tyk2, JAK1, 2, 3, respectively. Cerdulatinib hydrochloride could be used to research autoimmune disease and B-cell malignancies[1][2].

IC_{50} & Target

Tyk2	JAK1	JAK2	JAK3
0.5 nM (IC_{50})	12 nM (IC_{50})	6 nM (IC_{50})	8 nM (IC_{50})

	SYK 32 nM (IC_{50})	MST1 4 nM (IC_{50})	ARK5 4 nM (IC_{50})	MLK1 5 nM (IC_{50})
	FMS 5 nM (IC_{50})	AMPK 6 nM (IC_{50})	TBK1 10 nM (IC_{50})	MARK1 10 nM (IC_{50})
	PAR1B-a 13 nM (IC_{50})	TSSK 14 nM (IC_{50})	MST2 15 nM (IC_{50})	GCK 18 nM (IC_{50})
	JNK3 18 nM (IC_{50})	Rsk2 20 nM (IC_{50})	Rsk4 28 nM (IC_{50})	CHK1 42 nM (IC_{50})
	Flt4 51 nM (IC_{50})	Flt3 90 nM (IC_{50})	Ret 105 nM (IC_{50})	Itk 194 nM (IC_{50})

In Vitro

Cerdulatinib (0.03-4 μM) inhibits ERK Y204 phosphorylation with an IC_{50} of 0.5 μM and reduces the ability to upregulate cellsurface expression of the early activation marker CD69 with an IC_{50} of 0.11 μM in B cells in human whole blood[1].
Cerdulatinib (0.015-2 μM) inhibits FcεRI-mediated basophil degranulation with an IC_{50} of 0.12 μM[1].
Cerdulatinib (0.5-4 μM) exhibits differential potency against cytokine JAK/STAT signaling pathways[1].
Cerdulatinib (0-15 μM; 72 hours) results in viability effects similar to that of the combines SYK plus JAK-selective inhibition[1].
Cerdulatinib (1-3 μM; 48 hours) induces apoptosis in BCR-signaling competent non-Hodgkin lymphoma (NHL) cell lines[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	SU-DHL4; SU-DHL6; Ramosand and Daudi cells
Concentration:	0, 1, 3 μM
Incubation Time:	48 hours
Result:	Inhibits cells viability with the IC_{50}s of 0.73-1.39 μM.

Apoptosis Analysis[1]

Cell Line:	SU-DHL4, SU-DHL6, and Ramos cells
Concentration:	0, 1.6, 5.0, 15 μM
Incubation Time:	72 hours
Result:	Induced SU-DHL4, SU-DHL6, and Ramos cells apoptosis.

In Vivo

Cerdulatinib (0.5-5 mg/kg; twice daily p.o. for 2 weeks) elicits dose-dependent efficacy in the rat collagen-induced arthritis (CIA) model[1].
Cerdulatinib (mg/kg; twice daily p.o. for 5 days) blocks BCR-induced B-cell activation and splenomegaly in mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female Lewis rats (7-8 weeks old; 159-187 g) are immunized[1]
Dosage:	0, 0.5, 1.5, 3, 5 mg/kg
Administration:	Oral gavage twice daily for 2 weeks
Result:	Modulated inflammation in the rat CIA treatment model. Affected anticollagen antibody formation.

Animal Model:	Balb/c mice are received BCR stimulation[1]
Dosage:	0, 1, 5, 15, 20, 30 mg/kg
Administration:	Oral gavage twice daily for 5 days
Result:	Suppressed upregulation of splenic B-cell surface CD80/86 and CD69 by≥60%. Inhibited mouse splenomegaly in a dose- and concentration-dependent manner.

CUSTOMER VALIDATION

- Science. 2017 Dec 1;358(6367):eaan4368.
- iScience. 2021 Sep 25;24(10):103173.
- Immunohorizons. 2019 May 16;3(5):172-185.
- Patent. US20180263995A1.
- Methods Mol Biol. 2018;1711:351-398.

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REFERENCES

[1]. Coffey G, et al. The novel kinase inhibitor PRT062070 (Cerdulatinib) demonstrates efficacy in models of autoimmunity and B-cell cancer. J Pharmacol Exp Ther. 2014 Dec; 351(3): 538-48.

[2]. Ishikawa C, et, al. Anti-adult T≥cell leukemia/lymphoma activity of cerdulatinib, a dual SYK/JAK kinase inhibitor. Int J Oncol. 2018 Oct; 53(4): 1681-1690.

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