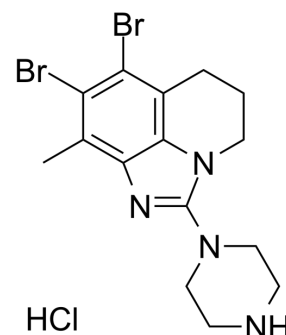


SEL120-34A monohydrochloride

Cat. No.:	HY-111388A
CAS No.:	2443816-41-7
Molecular Formula:	C ₁₅ H ₁₉ Br ₂ ClN ₄
Molecular Weight:	451
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 2 years; -20°C, 1 year (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H₂O : 50 mg/mL (110.86 mM; Need ultrasonic)
DMSO : 16.67 mg/mL (36.96 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.2173 mL	11.0865 mL	22.1729 mL
	5 mM	0.4435 mL	2.2173 mL	4.4346 mL
	10 mM	0.2217 mL	1.1086 mL	2.2173 mL

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

In Vivo

- Add each solvent one by one: PBS
Solubility: 14.29 mg/mL (31.69 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 1.67 mg/mL (3.70 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 1.67 mg/mL (3.70 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 1.67 mg/mL (3.70 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SEL120-34A monohydrochloride is an ATP-competitive and selective CDK8 inhibitor, inhibits kinase activities of CDK8/CycC and CDK19/CycC complexes with IC₅₀s of 4.4 nM and 10.4 nM, respectively, with a K_d of 3 nM for CDK8. SEL120-34A monohydrochloride weakly inhibits CDK9 (calculated IC₅₀=1070 nM), but shows no obvious activity against CDK1, 2, 4, 6, 5, 7. SEL120-34A monohydrochloride inhibits phosphorylation of STAT1 S727 and STAT5 S726^[1]. Has anti-tumor activity^[1].

IC₅₀ & Target

CDK8/CycC

CDK19/CycC

CDK9/cycT

	4.4 nM (IC ₅₀)	10.4 nM (IC ₅₀)	1070 nM (IC ₅₀)
In Vitro	<p>SEL120-34A monohydrochloride is an ATP-competitive and selective CDK8 inhibitor, inhibits kinase activities of CDK8/CycC and CDK19/CycC complexes with IC₅₀s of 4.4 nM and 10.4 nM, respectively, with a K_d of 3 nM for CDK8. SEL120-34A monohydrochloride weakly inhibits CDK9 (calculated IC₅₀=1070 nM), but shows no obvious activity against CDK1, 2, 4, 6, 5, 7 [1].</p> <p>SEL120-34A (1.6 nM-5 μM) inhibits the growth of STAT5 S726 positive KG-1 AML cells, but is not cytotoxic to S726 negative MOLM13 AML cells^[1].</p> <p>SEL120-34A monohydrochloride inhibits phosphorylation of STAT1 S727 and STAT5 S726, decreases IRF9 and STAT1 mRNA expression and mitogen-induced IER expression^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>		
In Vivo	<p>SEL120-34A monohydrochloride (30, 60 mg/kg, p.o. once every day) inhibits growth of AML tumors in a dose-dependent manner in SCID mice after treatment for 17 days^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>		

CUSTOMER VALIDATION

- Cell. 2021 Apr 15;184(8):2167-2182.e22.
- Nat Commun. 2019 Oct 18;10(1):4741.
- Int J Mol Sci. 2022 Feb 24;23(5):2493.
- Friedrich-Alexander-Universität Erlangen-Nürnberg. 2023 Jun 23.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Rzymiski T, et al. SEL120-34A is a novel CDK8 inhibitor active in AML cells with high levels of serine phosphorylation of STAT1 and STAT5 transactivation domains. Oncotarget. 2017 May 16;8(20):33779-33795.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA