CEP-28122 mesylate salt

Cat. No.: HY-18030A

CAS No.: 2070009-30-0

Molecular Formula: $C_{29}H_{39}ClN_6O_6S$

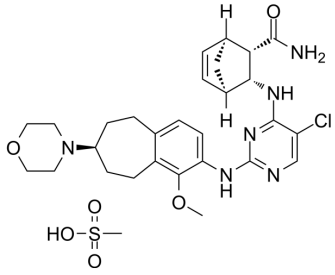
Molecular Weight: 635.17

Target: Anaplastic lymphoma kinase (ALK)

Pathway: Protein Tyrosine Kinase/RTK

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 6.4 mg/mL (10.08 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5744 mL	7.8719 mL	15.7438 mL
	5 mM	0.3149 mL	1.5744 mL	3.1488 mL
	10 mM	0.1574 mL	0.7872 mL	1.5744 mL

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

BIOLOGICAL ACTIVITY

Description

CEP-28122 mesylate salt, a diaminopyrimidine derivative, is a potent, selective, and orally bioavailable ALK inhibitor, with an IC_{50} value of 1.9 nM for recombinant ALK kinase activity. CEP-28122 has antitumor activity in experimental models of ALK-positive human cancers. CEP-28122 mesylate salt has good pharmacodynamic and pharmacokinetic activity[1].

In Vitro

CEP-28122 mesylate salt (3-3000 nM; 48 hours) treatment leads to concentration-dependent growth inhibition of Karpas-299 and Sup-M2 cells in culture, associates with concentration-related caspase 3/7 activation[1].

CEP-28122 mesylate salt (30-1000 nM; 2 hours) treatment leads to substantial suppression of phosphorylation of putative downstream effectors of ALK in Sup-M2 cells, indicating that the downstream signaling pathways are mediated by individual ALK fusion protein[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay[1]

Cell Line:	Karpas-299, Sup-M2, Toledo and HuT-102 cells
Concentration:	10 nM, 100 nM, 1000 nM, 10000 nM
Incubation Time:	48 hours

Result:	Treatment led to concentration-dependent growth inhibition of Karpas-299 and Sup-M2 cells in culture.

Western Blot Analysis [1]

Cell Line:	Sup-M2 cells
Concentration:	30 nM, 100 nM, 300 nM, 1000 nM
Incubation Time:	2 hours
Result:	Resulted in substantial suppression of phosphorylation of putative downstream effectors of ALK, including Stat-3, Akt, and ERK1/2 in Sup-M2 cells.

In Vivo

CEP-28122 mesylate salt (3-30 mg/kg; oral gavage; twice a day; 12 days) produces dose-dependent antitumor activity in Sup-M2 subcutaneous tumor xenografts in SCID mice.In contrast, CEP-28122 has no antitumor activity in nu/nu mice bearing HCT116, suggesting that the antitumor activity of CEP-28122 in NPM-ALK–positive Sup-M2 tumor models is due to sustained NPM-ALK inhibition in tumors [1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female SCID mice bearing Sup-M2 subcutaneous tumor xenografts and nu/nu mice bearing HCT116 aged 6-8 week old[1]
Dosage:	3 mg/kg, 10 mg/kg and 30 mg/kg
Administration:	oral gavage; twice a day; 12 days
Result:	CEP-28122 produced dose-dependent antitumor activity in Sup-M2 subcutaneous tumor xenografts in SCID mice. In contrast, CEP-28122 had no antitumor activity in nu/nu mice bearing HCT116.

REFERENCES

[1]. Mangeng Cheng, et al. CEP-28122, a highly potent and selective orally active inhibitor of anaplastic lymphoma kinase with antitumor activity in experimental models of human cancers. Mol Cancer Ther. 2012 Mar;11(3):670-9.

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