Product Data Sheet

Product Name: CEP-28122
Cat. No.: GC15145

Chemical Properties

Cas. No.	1022958-60-6		
Chemical Name	(1S,2S,3R,4R)-3-[[5-chloro-2-[[(7S)-6,7,8,9-tetrahydro-1-methoxy-7-(4-morpholinyl)-5H-benzocyclohepten-2-yl]amino]-4-pyrimidinyl]amino]-bicyclo[2.2.1]hept-5-ene-2-carboxamide		
SMILES	COC1=C(NC2=NC=C(Cl)C(N[C@@H]3[C@H](C4)C=C[C@H]4[C@@H]3C(N)=O)=N2)C=CC5=C1CC[C@@H](N6CCOCC6)CC5		
Formula	$C_{28}H_{35}ClN_6O_3$	M.Wt	539.1
Solubility	≤30mg/ml in DMSO;12mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	CEP-28122		

Background

IC50: 1.9 nM: blocks anaplastic lymphoma kinase (ALK).

CEP-28122, a highly potent, selective, orally bioavailable inhibitor of ALK, dampens the phosphorylation of ALK and ALK substrates in cells and triggers cytotoxicity or growth inhibition of ALK-positive cancer cells with a favorable pharmaceutical and pharmacokinetic profile and selective pharmacologic efficacy. CEP-28122 blocks ALK tyrosine phosphorylation in tumor xenografts in mice. ALK, which is constitutively activated in many human cancer types because of point mutations, gene amplification, and chromosomal translocations, has emerged as an excellent molecular target for cancer therapy.

In vitro: CEP-28122, concentration-dependently, triggered growth inhibition/cytotoxicity

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of ALK-positive anaplastic large-cell lymphoma (ALCL) via inhibiting nucleophosmin (NPM) -ALK tyrosine (664) phosphorylation. Due to the CEP-28122 treatment, EML4-ALK tyrosine phosphorylation was blocked in non-small cell lung cancer (NSCLC) NCI-H2228 and NCI-H3122 cells and full-length ALK receptor tyrosine phosphorylation was inhibited in neuroblastoma cell line NB-1 cells [1].

In vivo: Severe combined immunodeficient (SCID) mice bearing Sup-M2 (B) or nu/nu mice bearing colon carcinoma HCT-116 (C) subcutaneous tumor xenografts were administered orally with CEP-28122 at 3, 10, or 30 mg/kg for 24 days. CEP-28122 showed inhibition of ALK tyrosine phosphorylation in a dose-dependent manner in tumor xenografts in mice when treated at 30 mg/kg. Moreover, CEP-28122 displayed dose-dependent antitumor activity in ALK-positive ALCL, NSCLC, and neuroblastoma tumor xenografts in mice when administered orally at 30 mg/kg or higher [1].

Reference:

[1]. Cheng, M., Quail, M., Gingrich, D., Ott, G., Lu, L., & Wan, W. 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. Molecular Cancer Therapeutics. 2011; 11(3): 670-679.

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