

Product Data Sheet

Product Name: CEP-28122 mesylate salt

Cat. No.: GC35652

Chemical Properties

Cas. No.

SMILES O=C([C@H]1[C@](C2)([H])C=C[C@]2([H])[C@H]1NC3=NC(NC4=CC=C5C(CC[C@@H](N6CCOCC6)CC5)=C4OC)=NC=C3Cl)N.O=S(C)(O)=O

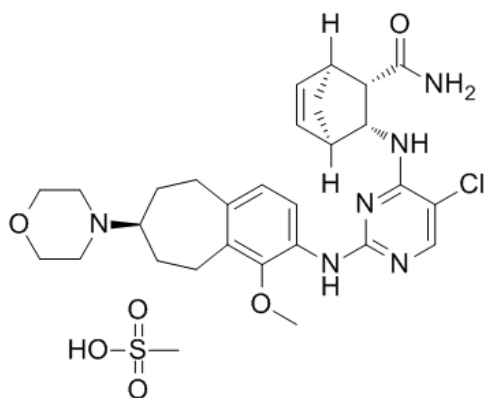
Formula $C_{29}H_{39}ClN_6O_6S$ M.Wt 635.17

Solubility DMSO: ≥ 6.4 mg/mL (10.08 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Background

CEP-28122 mesylate salt is a highly potent and selective orally active ALK inhibitor with IC_{50} of 1.9 ± 0.5 nM in an enzyme-based TRF assay. IC_{50} value: 1.9 ± 0.5 nM. Target: ALK. In vitro: CEP-28122 is a potent inhibitor of recombinant ALK activity and cellular ALK tyrosine phosphorylation. CEP-28122 also inhibits Flt4 with IC_{50} of 46 ± 10 nM. CEP-28122 induces concentration-dependent growth

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

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inhibition/cytotoxicity of ALK-positive anaplastic large-cell lymphoma (ALCL), non-small cell lung cancer (NSCLC), and neuroblastoma cells. [1]in vivo: CEP-28122 displays dose-dependent inhibition of ALK tyrosine phosphorylation in tumor xenografts in mice, with substantial target inhibition (>90%) for more than 12 hours following single oral dosing at 30 mg/kg. Dose-dependent antitumor activity was observed in ALK-positive ALCL, NSCLC, and neuroblastoma tumor xenografts in mice administered CEP-28122 orally, with complete/near complete tumor regressions observed following treatment at doses of 30 mg/kg twice daily or higher. [1]

[1]. Cheng M, 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):670-679.

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