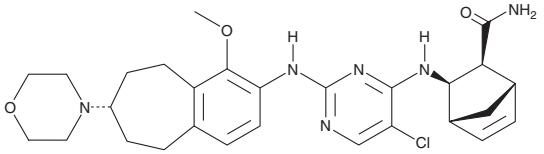
PRODUCT INFORMATION

CEP-28122

Item No. 18406

CAS Registry No.:	1022958-60-6
Formal 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
MF:	$C_{28}H_{35}ClN_6O_3$
FW:	539.1
Purity:	≥98%
UV/Vis.:	λ_{max}: 274 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

CEP-28122 is supplied as a crystalline solid. A stock solution may be made by dissolving the CEP-28122 in the solvent of choice, which should be purged with an inert gas. CEP-28122 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of CEP-28122 in these solvents is approximately 30 and 12 mg/ml, respectively.

CEP-28122 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CEP-28122 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. CEP-28122 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CEP-28122 is a potent inhibitor of anaplastic lymphoma kinase (ALK; IC_{50} = 1.9 nM) that less potently inhibits other tyrosine and serine/threonine kinases.[1] It blocks the phosphorylation of ALK and other ALK substrates in cells and induces concentration-dependent growth inhibition or cytotoxicity of ALK-positive cancer cells.[1] CEP-28122 is orally active, inhibiting ALK tyrosine phosphorylation in tumor xenografts in mice for more than 12 hours following single oral dosing at 30 mg/kg.[1]

Reference

1. Chang, M., Quail, M.R., Gingrich, D.E., *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.* **11(3)**, 670-679 (2012).

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