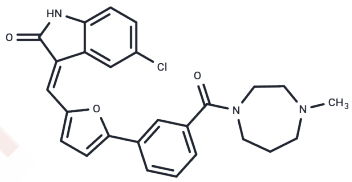
Data Sheet (Cat.No.T1834)

TargetMol

CX-6258

Chemical Properties



CAS No. : 1202916-90-2

Formula: C26H24ClN3O3

Molecular Weight: 461.94

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	CX-6258 is an orally valid Pim 1/2/3 kinase(IC50=5 nM/25 nM/16 nM) inhibitor. It has good biological activity and kinase specificity.
Targets(IC50)	Pim
In vitro	CX-6258 shows antiproliferative activity against a panel of human cancer cell lines with IC50 of 0.02-3.7 μM, mostly sensitive to acute leukemia cell lines. Combinations of CX-6258 with doxorubicin (10:1 molar ratio) and CX-6258 with paclitaxel (100:1 molar ratio) produces synergistic cell killing with combination index (CI50) values equal to 0.4 and 0.56, respectively. CX-6258 causes dose dependent inhibition of the phosphorylation of two pro-survival proteins, Bad and 4E-BP1, at the Pim kinase specific sites S112 and S65 and T37/46, respectively. [1]
Kinase Assay	Pim activity assay: Pim-1 and Pim-2 inhibitions are measured in radiometric assays using human recombinant Pim-1 at [ATP] = 30 μM (substrate RSRHSSYPAGT) and human recombinant Pim-2 at [ATP] = 5 μM (substrate RSRHSSYPAGT). The radiometric assay for Pim-3 uses RSRHSSYPAGT as a substrate in the presence of [ATP] = 155 μM.

Solubility Information

Solubility	DMSO: 22.5 mg/mL (48.71 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.33 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1648 mL	10.8239 mL	21.6478 mL
5 mM	0.433 mL	2.1648 mL	4.3296 mL
10 mM	0.2165 mL	1.0824 mL	2.1648 mL
50 mM	0.0433 mL	0.2165 mL	0.433 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Haddach M, et al. ACS Medicinal Chemistry Letters, 3 (2) , 135-139