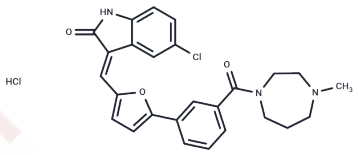
Data Sheet (Cat.No.T6148)

TargetMol

CX-6258 hydrochloride

Chemical Properties



CAS No. : 1353859-00-3

Formula: C26H24ClN3O3·HCl

Molecular Weight: 498.4

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	CX-6258 hydrochloride (Pim-Kinase Inhibitor X) is an effective, orally efficacious Pim1/2/3 kinase inhibitor (IC50: 5/25/16 nM).
Targets(IC50)	Pim
In vitro	CX-6258 has anti-proliferative activity against a panel of human cancer cell lines (IC50: 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 (CI50: 0.4 and 0.56).
In vivo	In mice carrying MV-4-11 xenografts, CX-6258 dose-dependently suppresses the tumor growth , with a 50/100 mg/kg dose producing 45%/75% tumor growth inhibition.
Kinase 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	H2O: 82 mg/mL (164.53 mM),Sonication is recommended. DMSO: 53 mg/mL (106.34 mM),Sonication is recommended. Ethanol: 1 mg/mL (2.0 mM),Heating is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0064 mL	10.0321 mL	20.0642 mL
5 mM	0.4013 mL	2.0064 mL	4.0128 mL
10 mM	0.2006 mL	1.0032 mL	2.0064 mL
50 mM	0.0401 mL	0.2006 mL	0.4013 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.

Reference

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