CX-6258

Cat. No.: HY-18095

CAS No.: 1202916-90-2

Molecular Formula: $C_{26}H_{24}ClN_3O_3$

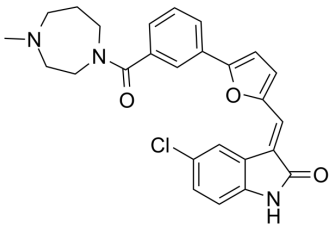
Molecular Weight: 461.94

Target: Pim

Pathway: JAK/STAT Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (108.24 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1648 mL	10.8239 mL	21.6478 mL
5 mM	0.4330 mL	2.1648 mL	4.3296 mL
10 mM	0.2165 mL	1.0824 mL	2.1648 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 15% Cremophor EL >> 85% Saline
   Solubility: 20 mg/mL (43.30 mM); Suspended solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.75 mg/mL (5.95 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CX-6258 is a potent and kinase selective pan-Pim kinases inhibitor, with IC_{50}s of 5 nM, 25 nM and 16 nM for Pim-1, Pim-2 and Pim-3, respectively[1].

IC_{50} & Target

IC50: 5 nM (Pim-1), 25 nM (Pim-2), 16 nM (Pim-3)[1]

In Vitro

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].
CX-6258 treatment (12 mM, 3 h) treatment diminishes steady-state levels of ectopic NKX3.1 in PC3 cells[2].
CX-6258 treatment results in a significant reduction in NKX3.1 half-life[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	MV-4-11 human AML cells
Concentration:	0.1 μM, 1 μM, 10 μM
Incubation Time:	2 hours
Result:	Caused 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.

In Vivo

CX-6258 (50-100 mg/kg; p.o; daily; over a period of 21 days) exhibits robust in vivo efficacy in two Pim kinases driven tumor models[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Nude mice, MV-4-11 xenograft models[1]
Dosage:	50 mg/kg, 100 mg/kg
Administration:	Oral administration; once daily; over a period of 21 days
Result:	Exhibited dose dependent efficacy, with a 50 mg/kg dose producing 45% tumor growth inhibition (TGI) and a 100 mg/kg dose producing 75% TGI.

REFERENCES

[1]. Mustapha Haddach, Jerome Michaux, Michael K, Discovery of CX-6258. A Potent, Selective, and Orally Efficacious pan-Pim Kinases Inhibitor. ACS Med. Chem. Lett., 2012, 3 (2), pp 135-139

[2]. Padmanabhan A, Gosc EB, Bieberich CJ. Stabilization of the prostate-specific tumor suppressor NKX3.1 by the oncogenic protein kinase Pim-1 in prostate cancer cells. J Cell Biochem. 2013 May;114(5):1050-7.

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