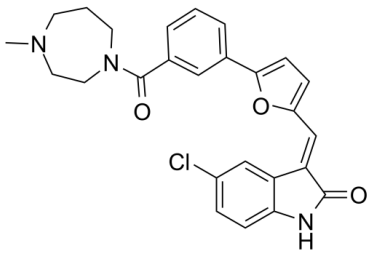
Data Sheet

Product Name:	CX-6258
Cat. No.:	CS-1529
CAS No.:	1202916-90-2
Molecular Formula:	C26H24ClN3O3
Molecular Weight:	461.94
Target:	Pim
Pathway:	JAK/STAT Signaling
Solubility:	H2O : < 0.1 mg/mL (insoluble); DMSO : ≥ 50 mg/mL (108.24 mM)



BIOLOGICAL ACTIVITY:

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]. IC50 & 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].

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].

PROTOCOL (Extracted from published papers and Only for reference)

Animal administration [1] Anti-tumor efficacy of CX-6258 in PC3 xenograft models. Nude mice were administered vehicle or 50 mg/kg dose IP once a day on a 5-on, 2-off schedule over a period of 33 days. Also represented is the 33 days animal body weight.

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.

CAIndexNames:

2H-Indol-2-one, 5-chloro-3-[[5-[3-[(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)carbonyl]phenyl]-2-furanyl]methylene]-1,3-dihydro-, (3E)-

SMILES:

O=C1NC2=CC=C(Cl)C=C2/C1=C\C3=CC=C(C4=CC=CC(C(N5CCCN(C)CC5)=O)=C4)O3

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

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