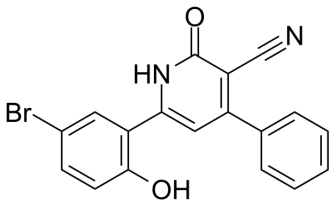
TCS PIM-1 1

Cat. No.:	HY-18086
CAS No.:	491871-58-0
Molecular Formula:	$C_{18}H_{11}BrN_2O_2$
Molecular Weight:	367.2
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 : 100 mg/mL (272.33 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7233 mL	13.6166 mL	27.2331 mL
	5 mM	0.5447 mL	2.7233 mL	5.4466 mL
	10 mM	0.2723 mL	1.3617 mL	2.7233 mL

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

In Vivo

1. TCS PIM-1 1 is dissolved in 0.5% DMSO[2].

BIOLOGICAL ACTIVITY

Description

TCS PIM-1 1 (SC 204330) is a potent, selective and ATP-competitive Pim-1 kianse inhibitor with an IC_{50} of 50 nM, displays good selectivity over Pim-2 and MEK1/MEK2 (IC_{50}s >20000 nM)[1].

IC_{50} & Target

PIM1
50 nM (IC_{50})

In Vitro

TCS PIM-1 1 (compound 1), a substituted pyridone scaffold, binds convincingly within the ATP-binding site of Pim-1 suggesting an ATP-competitive inhibitory mechanism. Preliminary data further suggests that TCS PIM-1 1 lacked in vitro inhibitory activity toward related serine/threonine kinases Pim-2 and MEK1/2. Hence, small molecules similar to TCS PIM-1 1 may serve as useful starting scaffolds for the development of other improved yet selective Pim-1 inhibitors. TCS PIM-1 1 serves both as a starting point for SAR chemical syntheses and was used for co-crystallization with Pim-1 protein[1].

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

CUSTOMER VALIDATION

- J Cent South Univ. 2018, 43(5): 481-489.
- Neurosci Res. 2020 Jun 25;S0168-0102(20)30392-8.
- Clin Res Hepatol Gastroenterol. 2018 Sep;42(4):382-386.

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REFERENCES

[1]. Cheney IW, et al. Identification and structure-activity relationships of substituted pyridones as inhibitors of Pim-1 kinase. Bioorg Med Chem Lett. 2007 Mar 15;17(6):1679-83.

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