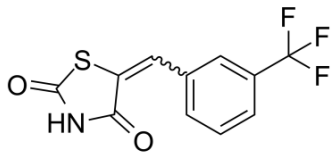
TCS-PIM-1-4a

Cat. No.:	HY-16576
CAS No.:	327033-36-3
Molecular Formula:	$C_{11}H_6F_3NO_2S$
Molecular Weight:	273.23
Target:	Pim; Apoptosis
Pathway:	JAK/STAT Signaling; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (365.99 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.6599 mL	18.2996 mL	36.5992 mL
5 mM	0.7320 mL	3.6599 mL	7.3198 mL
10 mM	0.3660 mL	1.8300 mL	3.6599 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (9.15 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.15 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TCS-PIM-1-4a (SMI-4a) is a pan-Pim kinases inhibitor that blocks mTORC1 activity via activation of AMPK. TCS-PIM-1-4a kills a wide range of both myeloid and lymphoid cell lines (IC_{50} values ranging from 0.8 μM to 40 μM)[1][2].

IC_{50} & Target

Pim[1]

In Vitro

TCS-PIM-1-4a (10 μM; 24-48 hours; 6812/2 cells and Jurkat cells) treatment increases the population of cells in the G1 phase

from 44.3% to 68.4% and from 56.2% to 67.1% in 6812/2 and Jurkat, respectively. S-phase cells are decreased in 6812/2, whereas only small changes are seen in Jurkat cells consistent with the lesser G1 block[1].
TCS-PIM-1-4a (5 μM; 6 hours; 6812/2 cells and Jurkat cells) induces cell death by the induction of apoptosis[1].
TCS-PIM-1-4a (5 μM; 4-8 hours; 6812/2 cells and Jurkat cells) prevents the increase in 4E-BP1 protein levels and inhibits mTOR-directed phosphorylation on Thr37/46[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cycle Analysis[1]

Cell Line:	6812/2 cells and Jurkat cells
Concentration:	10 μM
Incubation Time:	24 hours, 48 hours
Result:	Induced cell-cycle arrest.

Apoptosis Analysis[1]

Cell Line:	6812/2 cells and Jurkat cells
Concentration:	5 μM
Incubation Time:	6 hours
Result:	Led to an increase in the number of the cells positive for annexin V and negative for PI from 8.25% in the control to 21.85%.

Western Blot Analysis[1]

Cell Line:	6812/2 cells and Jurkat cells
Concentration:	10 μM
Incubation Time:	4 hours, 8 hours
Result:	Prevented the increase in 4E-BP1 protein levels and inhibited mTOR-directed phosphorylation on Thr37/46.

In Vivo

TCS-PIM-1-4a (SMI-4a; 60 mg/kg; oral gavage; twice daily; for 21 days; nu/nu nude mice) treatment causes a significant delay in the tumor growth without any change in the weight, blood counts, or chemistries[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	18 Nu/nu nude mice with 6812/2 murine pre–T-LBL cells[1]
Dosage:	60 mg/kg
Administration:	Oral gavage; twice daily; for 21 days
Result:	Caused a significant delay in the tumor growth.

CUSTOMER VALIDATION

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REFERENCES

[1]. Lin YW, Beharry ZM, Hill EG, et al. A small molecule inhibitor of Pim protein kinases blocks the growth of precursor T-cell lymphoblastic leukemia/lymphoma. Blood. 2010 ;115(4):824-33.

[2]. Beharry Z, Mahajan S, Zemskova M,et al. The Pim protein kinases regulate energy metabolism and cell growth. Proc Natl Acad Sci U S A. 2011;108(2):528-33.

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