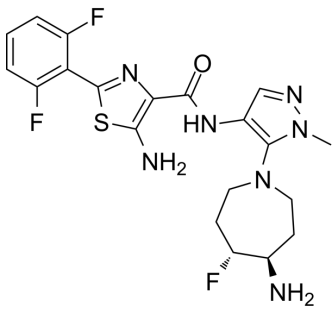
GDC-0339

Cat. No.:	HY-16976
CAS No.:	1428569-85-0
Molecular Formula:	$C_{20}H_{22}F_3N_7OS$
Molecular Weight:	465.5
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 : ≥ 52 mg/mL (111.71 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1482 mL	10.7411 mL	21.4823 mL
5 mM	0.4296 mL	2.1482 mL	4.2965 mL
10 mM	0.2148 mL	1.0741 mL	2.1482 mL

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

BIOLOGICAL ACTIVITY

Description

GDC-0339 is a potent, orally bioavailable and well tolerated pan-Pim kinase inhibitor, with K_is of 0.03 nM, 0.1 nM and 0.02 nM for Pim1, Pim2 and Pim3, respectively. GDC-0339 is discovered as a potential treatment of multiple myeloma[1][2].

IC_{50} & Target	PIM1	PIM2	PIM3

In Vitro

GDC-0339 is cytostatic, with an IC_{50} of 0.1 μM for MM.1S cells[2].

GDC-0339 treatment reveals a constellation of Pim downstream signaling events consistent with inhibition of Pim kinases[2].

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

Cell Viability Assay[2]

Cell Line:	MM.1S cells
Concentration:	

Incubation Time:	3 days
Result:	Inhibited cell viability.

Western Blot Analysis[2]

Cell Line:	MM.1S cells
Concentration:	0.01 μM, 0.03 μM, 0.09 μM,0.27 μM, 0.83 μM, 2.5 μM
Incubation Time:	4 hours
Result:	Induced a constellation of Pim downstream signaling events consistent with inhibition of Pim kinases.

In Vivo

GDC-0339 (1-300 mg/kg; p.o; daily; for 21 days) is efficacious in RPMI8226 and MM.1S human multiple myeloma xenograft mouse models[2].
GDC-0339 has a half-life of t1/2=0.9 h[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female C.B-17 SCID mice, RPMI8226 human multiple myeloma xenograft mouse model[2]
Dosage:	1mg/kg, 10 mg/kg, 50 mg/kg, 100 mg/kg, 200 mg/kg, 300 mg/kg
Administration:	Oral administration; once daily; for 21 days
Result:	Showed dose-dependent tumor growth inhibition.

CUSTOMER VALIDATION

- Cell Chem Biol. 2021 Sep 8;S2451-9456(21)00400-1.

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REFERENCES

[1]. Takahashi RH, et al. CYP1A1-Mediated Intramolecular Rearrangement of Aminoazepane in GDC-0339. Drug Metab Dispos. 2017 Oct;45(10):1084-1092.

[2]. Wang X, et al. Optimization of Pan-Pim Kinase Activity and Oral Bioavailability Leading to Diaminopyrazole (GDC-0339) for the Treatment of Multiple Myeloma. J Med Chem. 2019 Feb 28;62(4):2140-2153.

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