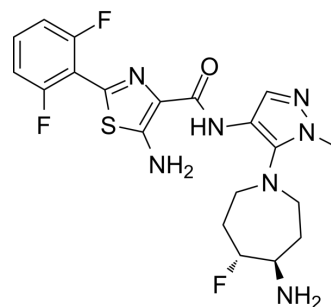


## GDC-0339

Cat. No.:	HY-16976		
CAS No.:	1428569-85-0		
Molecular Formula:	C <sub>20</sub> H <sub>22</sub> F <sub>3</sub> N <sub>7</sub> OS		
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	1 mg	5 mg	10 mg
	Concentration				
	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<sub>i</sub>s 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<sup>[1][2]</sup>.

#### IC<sub>50</sub> & Target

PIM1	PIM2	PIM3
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#### In Vitro

GDC-0339 is cytostatic, with an IC<sub>50</sub> of 0.1 μM for MM.1S cells<sup>[2]</sup>.  
 GDC-0339 treatment reveals a constellation of Pim downstream signaling events consistent with inhibition of Pim kinases<sup>[2]</sup>.  
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.  
 Cell Viability Assay<sup>[2]</sup>

Cell Line:	MM.1S cells
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Concentration:	
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Incubation Time:	3 days
Result:	Inhibited cell viability.
Western Blot Analysis <sup>[2]</sup>	
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<sup>[2]</sup>.

GDC-0339 has a half-life of  $t_{1/2}=0.9$  h<sup>[2]</sup>.

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 <sup>[2]</sup>
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.

See more customer validations on [www.MedChemExpress.com](http://www.MedChemExpress.com)

## 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.

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

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