



上海源叶生物科技有限公司
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产品名称: **GDC-0339**
产品别名: **GDC-0339**

生物活性:

Description	GDC-0339 is a potent, orally bioavailable and well tolerated pan-Pim kinase inhibitor, with Kis 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	Ki: 0.03 nM (Pim1), 0.1 nM (Pim2), 0.02 nM (Pim3) ^[2]				
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] .				
	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] .				
	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.			
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
	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液。一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。 储备液的保存方式和期限 -80℃, 6 months; -20℃, 1 month。 -80℃ 储存时，请在 6 个月内使用，-20℃				



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	储存时, 请在 1 个月内使用。
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.



源叶生物