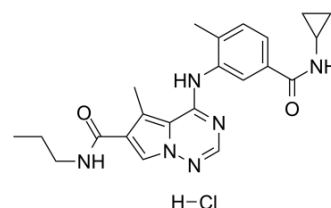


BMS-582949 hydrochloride

Cat. No.:	HY-14305A		
CAS No.:	912806-16-7		
Molecular Formula:	C ₂₂ H ₂₇ ClN ₆ O ₂		
Molecular Weight:	442.94		
Target:	p38 MAPK; Autophagy		
Pathway:	MAPK/ERK Pathway; Autophagy		
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 : 25 mg/mL (56.44 mM; Need ultrasonic)					
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		1 mM		2.2576 mL	11.2882 mL	22.5764 mL
		5 mM		0.4515 mL	2.2576 mL	4.5153 mL
10 mM			0.2258 mL	1.1288 mL	2.2576 mL	
Please refer to the solubility information to select the appropriate solvent.						
In Vivo	1. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.64 mM); Clear solution					

BIOLOGICAL ACTIVITY

Description	BMS-582949 hydrochloride is an orally active and highly selective p38α MAPK inhibitor, with an IC ₅₀ of 13 nM. BMS-582949 hydrochloride displays a significantly improved pharmacokinetic profile and is effective in inflammatory disease ^[1] .	
IC ₅₀ & Target	p38α MAPK 13 nM (IC ₅₀)	TNFα 50 nM (IC ₅₀ , in cells)
In Vitro	BMS-582949 displays a p38α IC ₅₀ of 13 nM and a cellular TNFα IC ₅₀ of 50 nM ^[1] . BMS-582949 is a weak inhibitor of CYP3A4 BMS-582949 displays >2000-fold selectivity for p38α over a diverse panel of 57 kinases that include serine kinases, nonreceptor tyrosine kinases, receptor tyrosine kinases, and the p38γ and δ isoforms ^[1] .	

In Vivo

BMS-582949 (5-100 mg/kg, orally) is effective in both the acute murine model of inflammation and rat adjuvant arthritis model despite its slightly reduced potency^[1].

Animal Model:	Male Sprague-Dawley rats (250-300 g) adjuvant arthritis model ^[1] .
Dosage:	1, 10, 100 mg/kg.
Administration:	Orally once daily (from day 11 to day 19).
Result:	Displayed dose-dependent reduction in paw swelling with qd dosing, with efficacy observed at doses of 10 and 100 mg/kg.

REFERENCES

[1]. Liu C, et al. Discovery of 4-(5-(cyclopropylcarbamoyl)-2-methylphenylamino)-5-methyl-N-propylpyrrolo[1,2-f][1,2,4]triazine-6-carboxamide (BMS-582949), a clinical p38 α MAP kinase inhibitor for the treatment of inflammatory diseases. J Med Chem. 2010 Sep 23;53(18):6629-39.

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

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