BMS-582949 hydrochloride

Cat. No.: HY-14305A

CAS No.: 912806-16-7

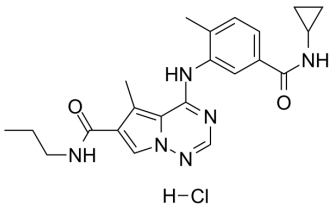
Molecular Formula: $C_{22}H_{27}ClN_6O_2$

Molecular Weight: 442.94

Target: p38 MAPK; Autophagy

Pathway: MAPK/ERK Pathway; Autophagy

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (56.44 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	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	TNFα
13 nM (IC_{50})	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].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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

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.

CUSTOMER VALIDATION

- Oncol Res. 2021 Feb 11.

See more customer validations on www.MedChemExpress.com

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 p38α MAP kinase inhibitor for the treatment of inflammatory diseases. J Med Chem. 2010 Sep 23;53(18):6629-39.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA