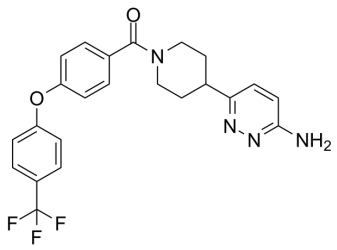
BI-749327

Cat. No.:	HY-111925
CAS No.:	2361241-23-6
Molecular Formula:	$C_{23}H_{21}F_3N_4O_2$
Molecular Weight:	442.43
Target:	TRP Channel
Pathway:	Membrane Transporter/Ion Channel; Neuronal 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 : 31.25 mg/mL (70.63 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2602 mL	11.3012 mL	22.6024 mL
	5 mM	0.4520 mL	2.2602 mL	4.5205 mL
	10 mM	0.2260 mL	1.1301 mL	2.2602 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.70 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.70 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.70 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BI-749327 is a potent, high selectivity and orally bioavailable TRPC6 antagonist, with IC_{50}s of 13 nM, 19 nM and 15 nM for mouse, human and guinea pig TRPC6, respectively. BI-749327 is 85-fold more selective for mouse TRPC6 than TRPC3 and 42-fold versus TRPC7[1].

IC_{50} & Target

IC50: 13 nM (mouse TRPC6), 19 nM (human TRPC6), 15 nM (guinea pig TRPC6)[1]

In Vitro

BI-749327 suppresses NFAT activation in HEK293T cells expressing wild-type or gain-of-function TRPC6 mutants and blocks

associated signaling and expression of prohypertrophic genes in isolated myocytes[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

BI-749327 (30 mg/kg/day; i.g.) improves left heart function, reduces volume/mass ratio, and blunts expression of profibrotic genes and interstitial fibrosis in mice subjected to sustained pressure overload[1].
BI-749327 dose dependently reduces renal fibrosis and associated gene expression in mice with unilateral ureteral obstruction[1].
BI-749327 has long terminal half-life ($t_{1/2}$ 8.5-13.5 hours) for mice (3-30 mg/kg; p.o.)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57BL/6J mice[1]
Dosage:	30 mg/kg/day
Administration:	Oral gavage
Result:	Improved left heart function, reduced volume/mass ratio, and blunted expression of profibrotic genes and interstitial fibrosis in mice subjected to sustained pressure overload.

Animal Model:	CD-1 mice[1]
Dosage:	3 mg/kg, 10 mg/kg, 30 mg/kg
Administration:	Oral administration
Result:	$t_{1/2}$ 8.5-13.5 hours

CUSTOMER VALIDATION

- Cell Rep. 2023 Oct 31;42(11):113347.
- Biomed Pharmacother. 2023 May.
- Biochim Biophys Acta Mol Basis Dis. 2022 Jul 23;166505.
- Am J Physiol Cell Physiol. 2022 Aug 15.
- Exp Neurol. 2023 Feb 13;363:114350.

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REFERENCES

[1]. Lin B L, et al. In vivo selective inhibition of TRPC6 by antagonist BI 749327 ameliorates fibrosis and dysfunction in cardiac and renal disease. Proc Natl Acad Sci U S A. 2019 May 14;116(20):10156-10161.

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