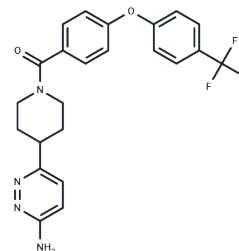


BI-749327

Chemical Properties

CAS No. : 2361241-23-6
Formula: C₂₃H₂₁F₃N₄O₂
Molecular Weight: 442.43
Appearance: no data available
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	BI-749327 is a high selectivity and orally bioavailable antagonist of TRPC6 (IC ₅₀ s: 13 nM, 19 nM and 15 nM for mouse, human and guinea pig TRPC6).
Targets(IC ₅₀)	TRP/TRPV Channel
In vitro	BI-749327 is 85-fold more selective for mouse TRPC6 than TRPC3 and 42-fold versus TRPC7. 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.
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. BI-749327 dose-dependently reduces renal fibrosis and associated gene expression in mice with unilateral ureteral obstruction. BI-749327 (3-30 mg/kg; p.o.) has a long terminal half-life (t _{1/2} 8.5-13.5 hours) for mice.

Solubility Information

Solubility	DMSO: 31.25 mg/mL (70.63 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2602 mL	11.3012 mL	22.6024 mL
5 mM	0.452 mL	2.2602 mL	4.5205 mL
10 mM	0.226 mL	1.1301 mL	2.2602 mL
50 mM	0.0452 mL	0.226 mL	0.452 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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