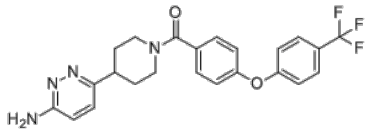
ProbeChem®
Expedite Your Scientific Research

WWW.PROBECHEM.COM

Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	BI-749327
Cat. No.	:	PC-72565
CAS No.	:	2361241-23-6
Molecular Formula	:	$C_{23}H_{21}F_3N_4O_2$
Molecular Weight	:	442.442
Target	:	TRP Channel
Solubility	:	10 mM in DMSO



Biological Activity

BI-749327 (BI 749327) is a potent, selective orally bioavailable **TRPC6** antagonist (blocker) with IC50 of 13/19/15 nM for mouse/human/guinea pig TRPC6, respectively.
BI-749327 displays 85-and 42-fold selectivity over the most closely related channels, TRPC3 and TRPC7, minimally inhibits TRPC5 (>700-fold selective, >500-fold TRPC6 selectivity versus human TRPM8, TRPV1, TRPA1, and Nav1.5 and >150-fold selectivity against human Kv11.1 (hERG).
BI 749327 suppresses NFAT activation in HEK293T cells expressing wild-type or gain-of-function TRPC6 mutants (P112Q, M132T, R175Q, R895C, and R895L) and blocks associated signaling and expression of prohypertrophic genes in isolated myocytes.
BI 749327 (30 mg/kg/day) improves left heart function, reduces volume/mass ratio, and blunts expression of profibrotic genes and interstitial fibrosis in mice.
BI 749327 dose dependently reduces renal fibrosis and associated gene expression in mice with unilateral ureteral obstruction.

References

Zheng Z, et al. ***Sci Rep.*** 2022 Feb 22;12(1):3038.

Jain PP, et al. ***Am J Physiol Lung Cell Mol Physiol.*** 2021 Dec 1;321(6):L1161-L1182.

Brian Leei Lin, et al. ***Proc Natl Acad Sci U S A.*** 2019 May 14;116(20):10156-10161.

E-mail: tech@probechem.com