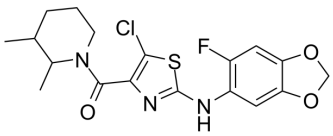
GSK2332255B

Cat. No.:	HY-121519
CAS No.:	1366233-41-1
Molecular Formula:	$C_{18}H_{19}ClFN_3O_3S$
Molecular Weight:	411.88
Target:	TRP Channel
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	GSK2332255B is a potent, selective TRPC3 and TRPC6 antagonist with IC_{50}s of 5 nM and 4 nM for rat TRPC3 and rat TRPC6. GSK2332255B shows ≥100-fold selectivity for TRPC3/6 over other calcium-permeable channels[1].	
IC_{50} & Target	rTRPC3 5 nM (IC_{50})	rTRPC6 4 nM (IC_{50})
In Vitro	Nuclear factor of activated T cells (NFAT) activation by Ang II (angiotensin II) is blocked in a dose dependent manner by GSK255B (0.01, 0.1, and 1 μM) in HEK293T cells overexpressing TRPC3. GSK255B blocks NFAT activation by Ang II in HEK293T cells expressing a mutant TRPC6 channel with T70 and S322 mutated to glutamic acid (SETE)[1]. GSK255B (10 μM) blocks calcium entry stimulated by Phenylephrine (20 μM) in rat neonatal cardiac myocytes. GSK255B dose-dependent blockade of cell hypertrophy signaling triggered by angiotensin II or endothelin-1 in HEK293T cells as well as in neonatal and adult cardiac myocytes[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

REFERENCES

[1]. Kinya Seo, et al. Combined TRPC3 and TRPC6 blockade by selective small-molecule or genetic deletion inhibits pathological cardiac hypertrophy. Proc Natl Acad Sci U S A. 2014 Jan 28;111(4):1551-6.

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