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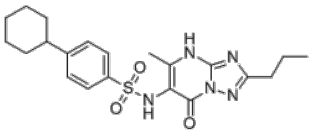
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	GNE-9278
Cat. No.	:	PC-49066
CAS No.	:	2315311-83-0
Molecular Formula	:	$C_{21}H_{27}N_5O_3S$
Molecular Weight	:	429.539
Target	:	iGluR
Solubility	:	10 mM in DMSO



Biological Activity

GNE-9278 is a potent **NMDAR** positive allosteric modulator (PAM) that acts at the GluN1 transmembrane domain (TMD), robustly potentiates GluN2A, 2B, 2C and 2D-containing NMDARs in calcium influx assays from HEK cell lines with EC50 values of 0.74, 3.07, 0.47, and 0.32 μM, respectively, and maximum fold potentiation of 5.5, 8.4, 10.2 and 7.9, respectively. GNE-9278 is highly selective for NMDARs vs. AMPARs, with no appreciable activity in AMPAR calcium influx assays. GNE-9278 demonstrates robust potentiation of GluN2A, 2B, 2C and 2D-containing NMDARs in electrophysiology experiments in oocytes with EC50 values of 3.2, 15.7, 6.6 and 6.7 μM, respectively, and maximum fold potentiation of 4.6, 12.4, 9.1 and 14.9, respectively.
Modulation by GNE-9278 is state-dependent and significantly alters extracellular domain pharmacology.

References

Tzu-Ming Wang, et al. ***Neuropharmacology.*** 2017 Jul 15;121:204-218.

E-mail: tech@probechem.com