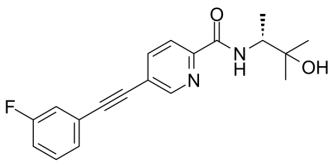
VU0424465

Cat. No.:	HY-114978
CAS No.:	1428630-85-6
Molecular Formula:	$C_{19}H_{19}FN_2O_2$
Molecular Weight:	326.36
Target:	mGluR; PERK
Pathway:	GPCR/G Protein; Neuronal Signaling; Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	VU0424465 is a potent and partial PAM (positive allosteric modulator)-agonist for $mGlu_5$ mediated iCa^{2+} mobilization. VU0424465 exhibits high affinity at MPEP allosteric binding site, with a K_i value of 11.8 nM. VU0424465 is also a agonist for pERK1/2 in cortical neurons[1][2].
IC_{50} & Target	$mGlu_5$
In Vitro	VU0424465 exhibits robust agonist activity and induces calcium mobilization in the absence of glutamate (EC_{50} = 171 ± 15 nM, maximum efficacy 65% compared to glutamate)[2]. VU0424465 potentiates glutamate-induced calcium mobilization, with EC_{50} of 1.5 ± 0.8 nM[2]. VU0424465 shows significant bias away from iCa^{2+} mobilization and toward IP_1 accumulation (110-fold) and ERK1/2 phosphorylation (9-fold) in HEK293A-mGlu5-low cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Sengmany K, et al. Biased allosteric agonism and modulation of metabotropic glutamate receptor 5: Implications for optimizing preclinical neuroscience drug discovery. Neuropharmacology. 2017;115:60-72.

[2]. Rook JM, Noetzel MJ, Pouliot WA, et al. Unique signaling profiles of positive allosteric modulators of metabotropic glutamate receptor subtype 5 determine differences in in vivo activity. Biol Psychiatry. 2013;73(6):501-509.

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