
Product Data Sheet

Product Name: VU 0424465

Cat. No.: GC50598

Chemical Properties

Cas. No. 1428630-85-6

SMILES C[C@H](C(C)(O)C)NC(C1=CC=C(C#CC2=CC(F)=CC=C2)C=N1)=OFormula C₁₉H₁₉FN₂O₂ M.Wt 326.37

Solubility Soluble in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure

Background

Potent mGlu5 positive allosteric modulator (EC₅₀ = 1.5 nM) and agonist (EC₅₀ = 171 nM; maximum effect is 65% that of glutamate). Binds allosteric site with high affinity (K_i = 11.8 nM). Increases maximum response to glutamate by 30%. Exhibits bias towards signaling via IP1 and ERK1/2 over iCa²⁺ in HEK293 and neuronal cells, and activates G_s in HEK 293 cells. Induces epileptiform activity in CA3 hippocampal neurons in vitro and convulsions in vivo.

Rook et al (2013) Unique signaling profiles of positive allosteric modulators of metabotropic glutamate receptor subtype 5 determine differences in in vivo activity. Biol.Psychiatry. 73 501 PMID:23140665 |Sengmany et al (2017) Biased allosteric agonism and modulation of metabotropic glutamate receptor 5: Implications for optimizing preclinical neuroscience drug discovery. Neuropharmacology 115 60 PMID:27392634 |Nasrallah et al (2018) Direct coupling of detergent purified human mGlu5 receptor to the heterotrimeric G proteins G_q and G_s. Sci.Rep. 8 4407 PMID:29535347

Caution: Product has not been fully validated for medical applications. For research use only.

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