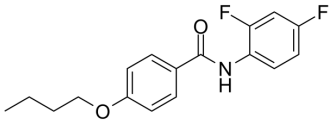
VU 0357121

Cat. No.:	HY-15393
CAS No.:	433967-28-3
Molecular Formula:	$C_{17}H_{17}F_2NO_2$
Molecular Weight:	305.32
Target:	mGluR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (163.76 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2753 mL	16.3763 mL	32.7525 mL
	5 mM	0.6551 mL	3.2753 mL	6.5505 mL
	10 mM	0.3275 mL	1.6376 mL	3.2753 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (8.19 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.19 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VU 0357121 is a positive and highly selective mGlu5R allosteric modulator (PAM) with an EC_{50} of 33 nM. VU 0357121 is inactive or very weakly antagonizing at other mGlu receptor subtypes[1].

IC_{50} & Target

mGlu5 Receptor
33 nM (EC50)

In Vitro

VU 0357121 has the ability to enhance glutamate sensitivity of mGlu5 is likely due to the interaction at a site on the receptor distinct from the MPEP binding site. VU 0357121 does not bind at the MPEP allosteric site of mGlu5, thus does not possess mGlu5 NAM activity. The A809V/rmGlu5 mutation inhibited the ability of VU 0357121 to shift the glutamate concentration

response curve, whereas the response to VU 0357121 is not altered by the F585I/rmGlu5 mutation. VU 0357121 shows weaker cooperativity in the Ca^{2+} mobilization assay in the low-expressing HEK293A-mGlu5 cell line[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Hammond. Alexis S, et al. Discovery of a Novel Chemical Class of mGlu5 Allosteric Ligands with Distinct Modes of Pharmacology. ACS Chemical Neuroscience (2010), 1(10), 702-716.

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