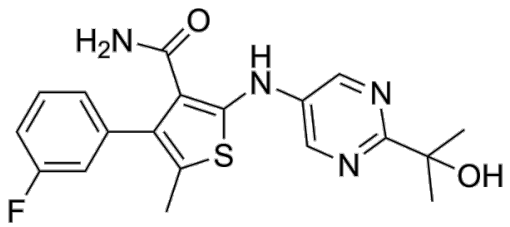
Product Data Sheet

Product Name: VU6053371
Cat. No.: GC79306

Chemical Properties

Cas. No.			
Formula	$C_{19}H_{19}FN_4O_2S$	M.Wt	386.44
Solubility		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

VU6053371 (BI03738809) is a first-in-class (FIC), selective, orally active, and brain-penetrant metabotropic glutamate receptor subtype 3 (mGlu3) positive allosteric modulator (PAM) with EC 50 s of 103 nM and 112 nM for human and rat mGlu3. VU6053371 exhibits selectivity for mGlu3 over other mGlu receptor subtypes. VU6053371 exhibits pro-cognitive effects in mouse models. VU6053371 can be used in research schizophrenia, Alzheimer' s disease and other forms of dementia and cognitive impairment [1].

In Vivo, VU6053371 (compound 13l) (1-10 mg/kg; p.o.; single dose) dose-dependently enhances

recognition memory in rats with a minimum effective dose (MED) of 3 mg/kg PO and a near maximum response at 10 mg/kg PO[1]. VU6053371 (1-10 mg/kg; p.o.) affords a robust PK/PD relationship for efficacy in the NOR paradigm when unbound brain exposures are at or above the rat mGlu3 PAM EC50[1]. VU6053371 (100-300mg/kg; p.o.; twice a day; 9 days) exhibits an unfavorable toxicological profile in rats[1].

In Vitro, VU6053371 (compound 13I) is an equipotent mGlu3 PAM for both human (EC50 = 113 nM, 61% Glu Max) and rat (EC50 = 112 nM, 58% Glu Max) and, importantly, inactive (EC50 > 30 μM) for both human and rat mGlu2[1]. VU6053371 is metabolized in mouse, rat, minipig, dog, monkey, and human hepatocytes, with the oxidation product M402A being the most abundant metabolite, whereas the glutathione conjugate M562 is not represented in any species tested[1]. VU6053371 displays a good CYP450 profile (IC50s: 3A4 (>30 μM), 2D6 (>30 μM), 2C9 (16 μM), 1A2 (26 μM)), even against 1A2[1]. VU6053371 shows no time-dependent CYP450 inhibition at 3A4, is negative in the mini-AMES (with and without S9), and exhibits no CV/QT concern in a functional EP hERG assay (IC_{50} > 30 μM)[1]. VU6053371 (10 μM 1 h) leeds to the identification of a GSH conjugate (M691) and forms 5.9% of this adduct after incubation in fortified microsomes with human liver cytosol and GSH[1].

References:
[1]. Jones CA, et al. Discovery of VU6053371/BI03738809: A First-in-Class Selective and CNS-Penetrant mGlu3 Positive Allosteric Modulator (PAM) with Efficacy in a Preclinical Cognition Model. ACS Chem Neurosci. 2026 Jun 17;17(12):2406-2418.