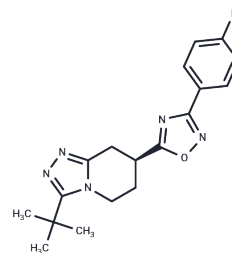


LSN2814617

Chemical Properties

CAS No. : 1313498-17-7
Formula: C₁₈H₂₀FN₅O
Molecular Weight: 341.38
Appearance: no data available
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	LSN2814617 is an orally active, potent, brain-penetrant, and selective mGlu 5 (metabotropic glutamate 5) positive allosteric modulator (PAM), with EC 50 values of 52 nM (Human mGlu5) and 42 nM (rat mGlu5). In vivo EEG studies demonstrated that LSN2463359 has marked wake-promoting properties but little in the way of rebound hypersomnolence. LSN2814617 can be used for schizophrenia research [1].
In vitro	LSN2814617 (1nM-10 μM) fails to elicit responses alone in rat cortical neurons, and causes a concentration-dependent increase in the [Ca ²⁺] _i response in AV12 cells [1].
In vivo	Administered orally in doses ranging from 0.3 to 60 mg/kg, LSN2814617 demonstrates significant unbound brain exposure and dose-dependent mGlu5 receptor occupancy, as well as markedly modulating amphetamine-induced locomotor hyperactivity in doses up to 10 mg/kg. In doses up to 3 mg/kg, it notably increases wakefulness. In the study involving male Lister Hooded rats (180-250 g, housed four to eight per cage), doses of 0, 2.5, 5, and 10 mg/kg of LSN2814617, given orally 60 minutes before amphetamine exposure, significantly modulated amphetamine hyperactivity. Notably, the highest dose displayed a trend towards reduced hyperactivity. However, from 75 to 120 minutes into the test session, the 10 mg/kg dose significantly increased amphetamine-induced hyperactivity. In adult male Wistar rats (approximately 270 g), doses of 0, 0.3, 1, and 3 mg/kg resulted in a dose-dependent increase in wakefulness immediately after administration, with the 3 mg/kg dose yielding 234 ± 16 minutes of increased wakefulness over 7 hours and also reducing both non-rapid eye movement (NREM) and rapid eye movement (REM) sleep.

A DRUG SCREENING EXPERT

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.9293 mL	14.6464 mL	29.2929 mL
5 mM	0.5859 mL	2.9293 mL	5.8586 mL
10 mM	0.2929 mL	1.4646 mL	2.9293 mL
50 mM	0.0586 mL	0.2929 mL	0.5859 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Loomis S, McCarthy A, Baxter C, Kellett DO, Edgar DM, Tricklebank M, Gilmour G. Distinct pro-vigilant profile induced in rats by the mGluR5 potentiator LSN2814617. *Psychopharmacology (Berl)*. 2015 Nov;232(21-22):3977-

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