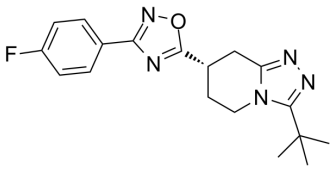
LSN2814617

Cat. No.:	HY-118256
CAS No.:	1313498-17-7
Molecular Formula:	$C_{18}H_{20}FN_5O$
Molecular Weight:	341.38
Target:	mGluR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

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). LSN2814617 shows wake-promoting effect. LSN2814617 can be used for schizophrenia research[1].

IC_{50} & Target	rat mGluR5	human mGluR5
	42 ± 9 nM (IC_{50})	52 ± 21 nM (IC_{50})

In Vitro

LSN2814617 (1nM-10 μM) fails to elicit responses alone in rat cortical neurons, and evokes a concentration-dependent increase in the [Ca2+]i response in AV12 cells[1].

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

In Vivo

LSN2814617 (0.3-60 mg/kg, Orally, once) displays significant unbound brain exposure and dose-dependent occupancy of the mGlu5 receptor[1].

LSN2814617 (0-10 mg/kg, Orally, once) significantly modulates amphetamine-induced locomotor hyperactivity[1].

LSN2814617 (0-3 mg/kg, Orally, once) markedly increase wakefulness[1].

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

Animal Model:	Male Lister Hooded rats (180-250 g, four to eight per cage)[1]
Dosage:	0, 2.5, 5, and 10 mg/kg
Administration:	Orally, once, 60 min before amphetamine
Result:	Significantly modulated amphetamine hyperactivity, although a trend level decrease in hyperactivity was observed for the highest dose. At the end of the test session, from 75 to 120 min, the 10 mg/kg dose of LSN2814617 significantly increased amphetamine-induced hyperactivity.

Animal Model:	Adult male Wistar rats (approximately 270 g)[1]
Dosage:	0, 0.3, 1, and 3 mg/kg

Administration:	Orally, once
Result:	Displayed dose-dependently increase in wakefulness immediately following oral administration; Produced 234 ± 16 min of increased wake for over 7 h in the case of 3 mg/kg. Produced dose-dependent reductions in both NREM and REM sleep.

REFERENCES

[1]. Gilmour G, et al. In vitro characterisation of the novel positive allosteric modulators of the $mGlu_5$ receptor, LSN2463359 and LSN2814617, and their effects on sleep architecture and operant responding in the rat. Neuropharmacology. 2013 Jan;64:224-39.

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