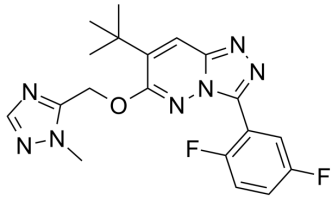
L-838417

Cat. No.:	HY-W009009
CAS No.:	286456-42-6
Molecular Formula:	$C_{19}H_{19}F_2N_7O$
Molecular Weight:	399.41
Target:	GABA Receptor
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (62.59 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5037 mL	12.5185 mL	25.0369 mL
	5 mM	0.5007 mL	2.5037 mL	5.0074 mL
	10 mM	0.2504 mL	1.2518 mL	2.5037 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2 mg/mL (5.01 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

L-838417 is a selective partial agonist at the α2, α3 and α5 subtypes of the $GABA_A$ receptor and an antagonist at the α1, with binding K_i values of 0.79 nM, 0.67 nM, 1.67 nM, 267 nM, 2.25 nM and 2183 nM for α1β3γ2, α2β3γ2, α3β3γ2, α4β3γ2, α5β3γ2 and α6β3γ2[1].

IC_{50} & Target

Ki: 0.79 nM (α1β3γ2), 0.67 nM (α2β3γ2), 1.67 nM (α3β3γ2), 267 nM (α4β3γ2), 2.25 nM (α5β3γ2) and 2183 nM (α6β3γ2)[1].

In Vivo

L-838417 (1.0 mg/kg) produces anxiolytic effects in adult rat, as indexed by a transformation of social avoidance into preference and an increase in social investigation[2].
L-838417 (2.0 mg/kg) eliminates social avoidance, but has no anxiolytic effects on social investigation[2].
L-838417 (0.5 mg/kg) reverses the anxiogenic effects of prior stress regardless of age, but with doses ≥ 1 mg/kg decreases social investigation, an effect possibly due in part to locomotor-impairing effects of this compound[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male and female adolescent and adult Sprague–Dawley rats[2].
Dosage:	0, 0.5, 1.0, 2.0, or 4.0 mg/kg.
Administration:	IP.
Result:	Adolescents required a higher dose (2 mg/kg) to attenuate their social avoidance. The lowest dose of 0.5 mg/kg was sufficient to reverse the anxiogenic effects of repeated restraint as reflected by a significant increase in the coefficient relative to vehicle-treated animals.

REFERENCES

[1]. Ciara McCabe, et al. Subtype-selective GABAergic drugs facilitate extinction of mouse operant behavior. Neuropharmacology. 2004 Feb;46(2):171-8.

[2]. Melissa Morales, et al. Anxiolytic effects of the GABAA receptor partial agonist, L-838,417: Impact of age, test context familiarity, and stress. Pharmacol Biochem Behav. 2013 Aug;109:31-7.

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