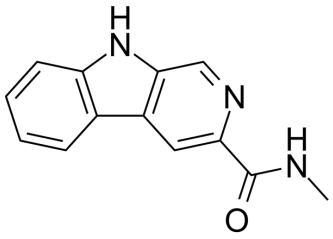
FG 7142

Cat. No.:	HY-100991
CAS No.:	78538-74-6
Molecular Formula:	$C_{13}H_{11}N_3O$
Molecular Weight:	225.25
Target:	GABA Receptor
Pathway:	Membrane Transporter/Ion Channel; 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 : 100 mg/mL (443.95 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.4395 mL	22.1976 mL	44.3951 mL
	5 mM	0.8879 mL	4.4395 mL	8.8790 mL
	10 mM	0.4440 mL	2.2198 mL	4.4395 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 (11.10 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (11.10 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (11.10 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

FG 7142 (ZK 39106; LSU-65), a non-selectively benzodiazepine inverse agonist, has high affinity for the α1 subunit-containing GABAA receptor (K_i=91 nM). FG 7142 (ZK 39106; LSU-65) also modulates GABA-induced chloride flux at GABAA receptors expressing the α1 subunit (EC_{50}= 137 nM). FG 7142 (ZK 39106; LSU-65) can increase tyrosine hydroxylation and cause upregulation of β-adrenoceptors in mouse cerebral cortex[1].

IC_{50} & Target

Ki: 91 nM (GABAA receptor)[1]

In Vitro	FG-7142 has affinity for those expressing the α subunit, the K_i values are 91 nM; 330 nM; 492 nM and 2.150 μM for α1, α2,α3 and α5 subunits, respectively[1]. FG-7142 has a high efficacy in modulating GABA-induced chloride flux at GABAA receptors expressing the α1 subunit (EC_{50} = 137 nM) as compared to the other α subunits (EC_{50}: α2= 507 nM,α3=1.021μM , α5=1.439 μM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	FG-7142 (intraperitoneal injection; 15-30 mg/kg) activates mesolimbocortical dopaminergic projections, leading to increases in dopamine in the prefrontal cortex and the nucleus accumbens in rats[1]. FG-7142 (intraperitoneal injection; 15 mg/kg) increases tyrosine hydroxylase activity and dopamine turnover in the medial prefrontal cortex and ventral tegmentum in vivo, but effects are not detected in mesolimbic or nigrostriatal areas[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Cottone P, et al. FG 7142 specifically reduces meal size and the rate and regularity of sustained feeding in female rats: evidence that benzodiazepine inverse agonists reduce food palatability.Neuropsychopharmacology. 2007 May;32(5):1069-81. Epub 2006 Nov

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