Gaboxadol hydrochloride

Cat. No.: HY-10233

CAS No.: 85118-33-8

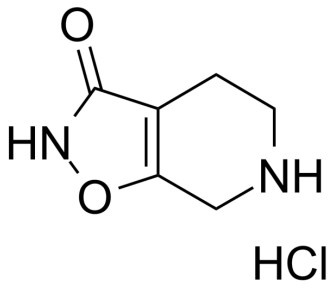
Molecular Formula: $C_6H_9ClN_2O_2$

Molecular Weight: 176.6

Target: GABA Receptor

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 100 mg/mL (566.25 mM)
DMSO : 75 mg/mL (424.69 mM; Need ultrasonic)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	5.6625 mL	28.3126 mL	56.6251 mL
5 mM	1.1325 mL	5.6625 mL	11.3250 mL
10 mM	0.5663 mL	2.8313 mL	5.6625 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (566.25 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.47 mg/mL (8.32 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.47 mg/mL (8.32 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.47 mg/mL (8.32 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Gaboxadol hydrochloride (Lu 02-030 hydrochloride) is a potent agonist of the $GABA_A$ receptor and an antagonist of $GABA_C$ receptors (IC_{50}=25 μM). Gaboxadol hydrochloride displays a partial agonist efficacy on subunit α1β2γ2 with an ED_{50} value of 143 μM, a full agonist efficacy at α5 subunit (ED_{50}=28-129 μM) and a superagonist efficacy at α4β3δ (ED_{50}=6 μM). Gaboxadol hydrochloride is a non-opioid agent[1][2].

IC_{50} & Target	$GABA_A$ receptor; $GABA_C$ receptor[1]
In Vitro	Gaboxadol hydrochloride (0.34, 3.5 and 7.0 μM) decreses permeability across Caco-2 cell monolayers with a dose dependent manner, shows the mean P_{app} values with 8.1×10^{-6} cm·s^{-1}, 6.1×10^{-1} cm·s^{-6} and 5.6×10^{-6} cm·s^{-1} for 0.34, 3.5 and 7 μM gaboxadol, respectively[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Gaboxadol hydrochloride (intraperitoneal injection; 0.5, 1, 1.5, 2, 3, 4, or 5 mg/kg; once daily; three-day interval) normalizes the distance traveled by Fmr1 KO2 mice to WT activity levels at 0.5 mg/kg, additionally, this compound has no effect on locomotor activity in Fmr1 KO2 mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Fmr1 KO2 mice (deletion of the promoter and first exon of Fmr1 resulting in mRNA and protein null mice)[2]
Dosage:	0.5, 1, 1.5, 2, 3, 4, or 5 mg/kg
Administration:	Intraperitoneal injection
Result:	Normalized Hyperactivity Observed in Fmr1 KO2 mice.

CUSTOMER VALIDATION

- Can J Physiol Pharmacol. 2020 Oct;98(10):725-732.

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REFERENCES

[1]. Johnston GA, et al. Neurochemicals for the investigation of GABA(C) receptors. Neurochem Res. 2010 Dec;35(12):1970-7.

[2]. Cogram P, et al. Gaboxadol Normalizes Behavioral Abnormalities in a Mouse Model of Fragile X Syndrome.Front Behav Neurosci. 2019 Jun 25;13:141.

[3]. Larsen M, et al. Intestinal gaboxadol absorption via PAT1 (SLC36A1): modified absorption in vivo following co-administration of L-tryptophan.Br J Pharmacol. 2009 Aug;157(8):1380-9.

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