Gabazine

Cat. No.: HY-103533

CAS No.: 104104-50-9

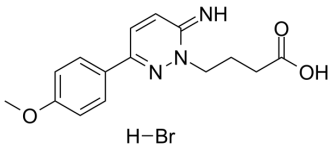
Molecular Formula: $C_{15}H_{18}BrN_3O_3$

Molecular Weight: 368.23

Target: GABA Receptor

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 2 years; -20°C, 1 year (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (271.57 mM; Need ultrasonic)
DMSO : ≥ 75 mg/mL (203.68 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7157 mL	13.5785 mL	27.1569 mL
5 mM	0.5431 mL	2.7157 mL	5.4314 mL
10 mM	0.2716 mL	1.3578 mL	2.7157 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 7.14 mg/mL (19.39 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.79 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.79 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description Gabazine is a selective and competitive antagonist of $GABA_A$ receptor, with an IC_{50} of ~0.2 μM for GABA receptor.

IC_{50} & Target 0.2 μM (GABA receptor)[1].

In Vitro Both bicuculline and Gabazine (SR 95531) have been characterized as competitive inhibitors of GABA binding to the $GABA_A$

receptor. Gabazine is more potent than bicuculline at blocking currents elicited by GABA, with an IC_{50} for currents elicited by 3 μM GABA of ~0.2 μM and a Hill coefficient of 1.0. Gabazine reduces the currents elicited by 10 μM alphaxalone by ~30%, for responses of receptors containing wildtype β2 subunits. The concentration of Gabazine requires producing half the maximal block is ~0.2 μM. Gabazine also could only produce a partial block of currents gated by 300 μM pentobarbital. The maximal reduction, again, is ~30%, and the concentration of Gabazine required to produce half the maximal block is ~0.15 μM[1].

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

CUSTOMER VALIDATION

- Nat Neurosci. 2023 Mar 27.
- Phytomedicine. 2022 Jan 29;98:153965.
- iScience. 2023 Mar.
- bioRxiv. 2023 May 4.
- Oxid Med Cell Longev. 2022 Apr 15;2022:3716609.

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REFERENCES

[1]. Ueno S, et al. Bicuculline and gabazine are allosteric inhibitors of channel opening of the GABAA receptor. J Neurosci. 1997 Jan 15;17(2):625-34.

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