THIP

Cat. No.: HY-10232

CAS No.: 64603-91-4

Molecular Formula: $C_6H_8N_2O_2$

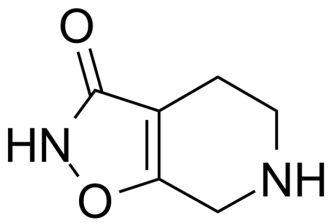
Molecular Weight: 140.14

Target: GABA Receptor

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (356.79 mM; ultrasonic and adjust pH to 3 with HCl)

H_2O : 23.33 mg/mL (166.48 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	7.1357 mL	35.6786 mL	71.3572 mL
5 mM	1.4271 mL	7.1357 mL	14.2714 mL
10 mM	0.7136 mL	3.5679 mL	7.1357 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (356.79 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (17.84 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (17.84 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (14.84 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

THIP (Gaboxadol) is a selective extrasynaptic GABAA receptors (eGABARs) agonist (with blood-brain barrier permeability), shows an EC_{50} value of 13 μM for δ-GABAAR. THIP induces strong tense GABAA-mediated currents in layer 2/3 neurons, but shows on effect on miniature IPSCs. THIP can be used in studies of sleep disorders[1][2][3].

IC_{50} & Target	α4β3δ GABAAR 13 μM (EC50)

In Vitro

THIP (1 μM; 5 s) induces a robust tonic GABAA-mediated current in layer 2/3 neurons[1].
THIP (1 μM; 1 s) shows no affect miniature IPSCs in layer 2/3 neurons[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	Layer 2/3 neurons (from male postnatal day 13-19 C57BL/6 mice)
Concentration:	1 μM
Incubation Time:	5 s
Result:	Induced a tonic current of 43.2 pA.

Cell Viability Assay[1]

Cell Line:	Layer 2/3 neurons (from male postnatal day 13-19 C57BL/6 mice)
Concentration:	1 μM
Incubation Time:	1 s
Result:	Resulted in only minor changes in the amplitude and frequency of mIPSCs.

In Vivo

THIP (Gaboxadol) (0.5, 5.0 mg/kg; p.o.; single) shws a good oral utilization, with F_a values of 110% and 83% for dosage of 0.5 and 5.0 mg/kg, respectively[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Sprague-Dawley rats (255-276 g)[2].
Dosage:	2.5 mg/kg (for i.v.); 0.5 and 5.0 mg/kg (for p.o.).
Administration:	Oral gavage; intravenous injection; single.
Result:	Pharmacokinetic Parameters of THIP 4 in Sprague-Dawley rats[2].

	IV (2.5 mg/kg)	PO (0.5 mg/kg)	PO (5.0 mg/kg)
AUC (ng/mL•h)	1193	263	1988
T_{max} (h)	-	0.22	0.33
C_{max} (ng/mL)	4350	291	2061
CL/F_a (mL/h/kg)	-	1939	2558
CL (mL/h/kg)	2043	-	-
F_a (%)	100	110	83

CUSTOMER VALIDATION

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

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REFERENCES

[1]. Drasbek KR, et al. THIP, a hypnotic and antinociceptive drug, enhances an extrasynaptic GABAA receptor-mediated conductance in mouse neocortex. Cereb Cortex. 2006 Aug;16(8):1134-41.

[2]. Larsen M, et al. 5-Hydroxy-L-tryptophan alters gaboxadol pharmacokinetics in rats: involvement of PAT1 and rOat1 in gaboxadol absorption and elimination. Eur J Pharm Sci. 2010 Jan 31;39(1-3):68-75.

[3]. Hoestgaard-Jensen K, et al. Probing α4βδ GABAA receptor heterogeneity: differential regional effects of a functionally selective α4β1δ/α4β3δ receptor agonist on tonic and phasic inhibition in rat brain. J Neurosci. 2014 Dec 3;34(49):16256-72.

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