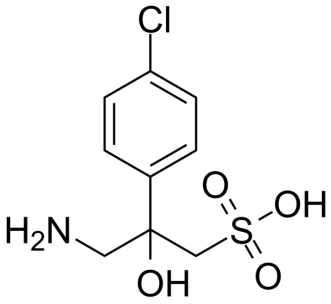
2-Hydroxysaclofen

Cat. No.:	HY-100812
CAS No.:	117354-64-0
Molecular Formula:	$C_9H_{12}ClNO_4S$
Molecular Weight:	265.71
Target:	GABA Receptor
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	2-Hydroxysaclofen is a potent γ-amino-butyric-acid-B ($GABA_B$) receptor antagonist. 2-Hydroxysaclofen can abolish nicotine-induced hypolocomotor effects and increases the antinociceptive effects. 2-Hydroxysaclofen can stimulate luteinizing hormone (LH) secretion in female rats[1][2][3].
IC_{50} & Target	$GABA_B$ receptor[1]
In Vivo	2-Hydroxysaclofen (0.25-1 mg/kg; IP; single dosage) abolishes nicotine hypolocomotor effects and increases the antinociceptive effects of nicotine[1]. 2-Hydroxysaclofen (0.3-3 mg/kg; IP; single dosage) reduces the effects of Baclofen (a GABA receptor agonist) on d-amphetamine-induced discriminative cue[2]. 2-Hydroxysaclofen (0-100 μg/2 μl; ICV; single dosage) produces a rapid elevation in the serum LH concentration in the estrogen-primed ovariectomized rat[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Swiss Webster mice (22-24 g; treated with 3 mg/kg nicotine)[1]
Dosage:	0.25, 0.5 and 1 mg/kg
Administration:	IP; single dosage; after 10 or 45 min of nicotine administration
Result:	Abolished nicotine hypolocomotor effects at 1 mg/kg, and increased the antinociceptive effects of nicotine at 1 mg/kg.

Animal Model:	Male Wistar rats[2]
Dosage:	0.3, 1 and 3 mg/kg
Administration:	IP; single dosage
Result:	Reduced the effects of Baclofen on d-amphetamine-induced discriminative cue.

Animal Model:	Female Wistar rats (8 weeks)[3]

Dosage:	0, 20, 50 or 100 μg/2 μL
Administration:	ICV; single dosage
Result:	Produced a rapid elevation in the serum LH concentration in the estrogen-primed ovariectomized rat with a dose-dependent manner, and the peak LH values were observed 10 min after the injection. The effect was dose-dependent, as 0 or 20 μg of the antagonist was ineffective while a pronounced effect was observed after the injection of 50 or 100 μg.

REFERENCES

[1]. Varani AP, et al. Baclofen and 2-hydroxysaclofen modify acute hypolocomotive and antinociceptive effects of nicotine. Eur J Pharmacol. 2014 Sep 5;738:200-5.

[2]. Miranda F, et al. The GABA-B antagonist 2-hydroxysaclofen reverses the effects of baclofen on the discriminative stimulus effects of D-amphetamine in the conditioned taste aversion procedure. Pharmacol Biochem Behav. 2009 Jul;93(1):25-30.

[3]. Akema T, et al. 2-Hydroxysaclofen, a potent GABAB receptor antagonist, stimulates luteinizing hormone secretion in female rats. Brain Res. 1991 Apr 12;546(1):143-5.

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