Amitifadine hydrochloride

Cat. No.: HY-18332A

CAS No.: 410074-74-7

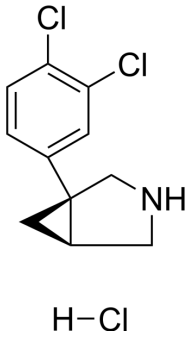
Molecular Formula: $C_{11}H_{12}Cl_3N$

Molecular Weight: 264.58

Target: Serotonin Transporter; Dopamine Transporter

Pathway: Neuronal Signaling

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (377.96 mM)
H_2O : 50 mg/mL (188.98 mM; Need ultrasonic)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.7796 mL	18.8979 mL	37.7958 mL
	5 mM	0.7559 mL	3.7796 mL	7.5592 mL
	10 mM	0.3780 mL	1.8898 mL	3.7796 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 10 mg/mL (37.80 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: ≥ 2.75 mg/mL (10.39 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (10.39 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (10.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Amitifadine hydrochloride is a serotonin-norepinephrine-dopamine reuptake inhibitor (SNDRI), with IC_{50}s of 12, 23, 96 nM for serotonin, norepinephrine and dopamine in HEK 293 cells , respectively.

IC_{50} & Target

IC50: 12 nM (serotonin), 23 nM (norepinephrine), 96 nM (dopamine)[1].

In Vitro	Amitifadine (DOV 21,947) is an antidepressant drug. K_i values for SERT, NET, and DAT are 99 nM, 262 nM, and 213 nM. The IC_{50} values for serotonin, norepinephrine and dopamine uptake are 12, 23 and 96 nM, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	The 30 mg/kg Amitifadine dose significantly reduces nicotine self-administration. The 5 and 10 mg/kg doses reduce nicotine self-administration during the first 15 min. of the session when the greatest amount of nicotine is self-administered. The 30 mg/kg Amitifadine dose, but not the lower doses cause a significant reduction in locomotor activity averaged over the 1-hour session and reduce food motivated responding. The 10 mg/kg dose causes hypoactivity at the beginning of the session, but 5 mg/kg does not cause any hypoactivity. The effect of chronic Amitifadine treatment (10 mg/kg) over the course of 15 sessions is also determined. Amitifadine causes a significant reduction in nicotine self-administration, which is not seen to diminish over two consecutive weeks of treatment and a week after enforced abstinence. Amitifadine significantly reduces nicotine self-administration. This prompts further research to determine if Amitifadine might be an effective treatment for smoking cessation[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Skolnick P1, et al. Antidepressant-like actions of DOV 21,947: a "triple" reuptake inhibitor. Eur J Pharmacol. 2003 Feb 14;461(2-3):99-104.

[2]. Levin ED, et al. Amitifadine, a triple monoamine re-uptake inhibitor, reduces nicotine self-administration in female rats. Eur J Pharmacol. 2015 Jun 20;764:30-37.

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