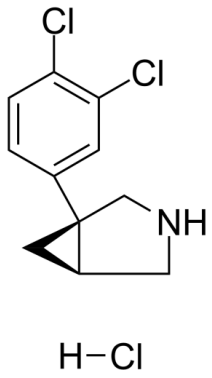
Data Sheet

Product Name:	Amitifadine (hydrochloride)
Cat. No.:	CS-4638
CAS No.:	410074-74-7
Molecular Formula:	$C_{11}H_{12}Cl_3N$
Molecular Weight:	264.58
Target:	Dopamine Transporter; Serotonin Transporter
Pathway:	Neuronal Signaling
Solubility:	DMSO : ≥ 100 mg/mL (377.96 mM); H2O : 50 mg/mL (188.98 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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. IC50 & 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]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

Animal administration [2] Male Wistar rats (250 g) and Male C57/Bl mice (25 g) were placed in transparent, cylindrical 10-l glass beakers (height 40 cm, internal diameter 19 cm) containing water (24-25°C) to a level of 22 cm. Amitifadine (dissolved in deionzed water) was administered orally via feeding tube. Amitifadine were administered to rats and mice in volumes of 1 and 10 mg/kg, respectively.

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.

CAIndexNames:

3-Azabicyclo[3.1.0]hexane, 1-(3,4-dichlorophenyl)-, hydrochloride (1:1), (1R,5S)-

SMILES:

ClC(C=C1[C@]2(CNC3)[C@@H]3C2)=C(C=C1)Cl.[H]Cl

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 610-426-3128 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA