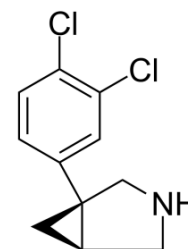


Amitifadine hydrochloride

| | | | |
|---------------------------|---|-------|----------|
| Cat. No.: | HY-18332A | | |
| CAS No.: | 410074-74-7 | | |
| Molecular Formula: | C ₁₁ H ₁₂ Cl ₃ N | | |
| Molecular Weight: | 264.58 | | |
| Target: | Serotonin Transporter; Dopamine Transporter | | |
| Pathway: | Neuronal Signaling | | |
| Storage: | Powder | -20°C | 3 years |
| | | 4°C | 2 years |
| | In solvent | -80°C | 6 months |
| | | -20°C | 1 month |



H-Cl

SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (377.96 mM)
 H₂O : 50 mg/mL (188.98 mM; Need ultrasonic)
 * "≥" means soluble, but saturation unknown.

| Preparing Stock Solutions | Solvent | | Mass | | |
|---------------------------|---------------|--|-----------|------------|------------|
| | 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

- 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
- 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
- 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 IC₅₀s 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] . 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.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

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