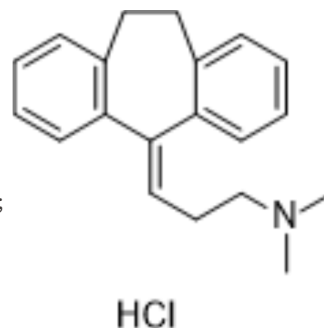


Amitriptyline hydrochloride

Cat. No.:	HY-B0527A
CAS No.:	549-18-8
Molecular Formula:	C ₂₀ H ₂₄ ClN
Molecular Weight:	313.86
Target:	Sodium Channel; Serotonin Transporter; 5-HT Receptor; Histamine Receptor; mAChR; Adrenergic Receptor; Trk Receptor
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling; GPCR/G Protein; Immunology/Inflammation; Protein Tyrosine Kinase/RTK
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 (318.61 mM)
 H₂O : ≥ 50 mg/mL (159.31 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	3.1861 mL	15.9307 mL	31.8613 mL
	5 mM	0.6372 mL	3.1861 mL	6.3723 mL
	10 mM	0.3186 mL	1.5931 mL	3.1861 mL

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

In Vivo

1. Add each solvent one by one: PBS
 Solubility: 120 mg/mL (382.34 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Amitriptyline hydrochloride is an inhibitor of serotonin reuptake transporter (SERT) and noradrenaline reuptake transporter (NET), with K_is of 3.45 nM and 13.3 nM for human SERT and NET, respectively. Amitriptyline hydrochloride also weakly binds to dopamine reuptake transporter (DAT) with a K_i of 2.58 μM. Amitriptyline hydrochloride also inhibits adrenergic, muscarinic, histamine and 5-HT receptors. Amitriptyline hydrochloride is a TrkA and TrkB receptors agonist with potent neurotrophic activity. Amitriptyline hydrochloride has antidepressant activity^{[1][2][3]}.

IC₅₀ & Target

5-HT _{1A} Receptor 450 nM (IC ₅₀)	5-HT _{1B} Receptor 40 nM (IC ₅₀)	5-HT _{2A} Receptor 4 nM (IC ₅₀)	5-HT _{2B} Receptor 40 nM (IC ₅₀)
5-HT _{2C} Receptor	H ₁ Receptor	H ₃ receptor	H ₄ receptor

	6 nM (IC ₅₀)	1.1 nM (IC ₅₀)	1 μM (IC ₅₀)	33.6 nM (IC ₅₀)
	SERT 3.45 nM (Ki)	NET 13.3 nM (Ki)	DAT 2.58 μM (Ki)	Adrenergic receptor 24 nM (IC ₅₀)
	muscarinic receptor 7.2 nM (IC ₅₀)	TrkA	TrkB	

In Vitro	<p>Amitriptyline hydrochloride (30 min) protects hippocampal neurons (T17 cells) from apoptosis (EC₅₀: 50 nM)^[3]. Amitriptyline hydrochloride (0.5 μM, 30 min) induces TrkA and TrkB receptor phosphorylation and activation in hippocampal neurons^[3]. Amitriptyline hydrochloride (500 nM, 5 days) induces neurite outgrowth in PC12 cells^[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Western Blot Analysis^[3]</p>			
	Cell Line:	hippocampal neurons		
	Concentration:	0.5 μM		
	Incubation Time:	30 min		
	Result:	Induced TrkA phosphorylation. Induced Erk 1/2 and Akt signalings activation.		

In Vivo	<p>Amitriptyline (15 mg/kg, i.p.) hydrochloride activates TrkA and TrkB receptors, and prevents Kainic acid (HY-N2309)-induced neuronal apoptosis in brain of C57BL/6 mice^[3]. Amitriptyline (15-25 mg/kg, i.p., 5 days) hydrochloride induces TrkA and TrkB hetero-dimerization in mouse brain^[3]. Amitriptyline (15 mg/kg, i.p.) hydrochloride shows antinociceptive effect by activation of α₂-adrenoceptors in mice^[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>			
	Animal Model:	Mice ^[2]		
	Dosage:	15-25 mg/kg		
	Administration:	i.p., 5 days		
	Result:	Induces TrkA tyrosine phosphorylation. Induces TrkA and TrkB receptor heterodimerization in the brain.		

CUSTOMER VALIDATION

- J Med Virol. 2022 Nov 1.
- Cell Commun Signal. 2023 May 25;21(1):123.
- PLoS Negl Trop Dis. 2019 Aug 20;13(8):e0007681.

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REFERENCES

- [1]. Ghelardini C, et al. Antinociception induced by amitriptyline and imipramine is mediated by alpha2A-adrenoceptors. Jpn J Pharmacol. 2000 Feb;82(2):130-7.

[2]. Jang, S.W., et al., Amitriptyline is a TrkA and TrkB receptor agonist that promotes TrkA/TrkB heterodimerization and has potent neurotrophic activity. *Chem Biol*, 2009. 16(6): p. 644-56.

[3]. Kim Lawson. A Brief Review of the Pharmacology of Amitriptyline and Clinical Outcomes in Treating Fibromyalgia. *Biomedicines*. 2017 Jun; 5(2): 24.

[4]. S Neil Vaishnavi , et al. Milnacipran: a comparative analysis of human monoamine uptake and transporter binding affinity. *Biol Psychiatry*. 2004 Feb 1;55(3):320-2.

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

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