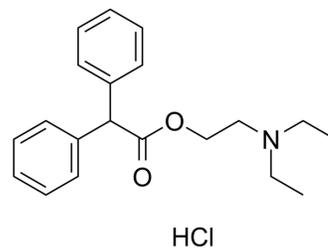


Adiphenine hydrochloride

Cat. No.:	HY-B0379A
CAS No.:	50-42-0
Molecular Formula:	C ₂₀ H ₂₆ ClNO ₂
Molecular Weight:	347.88
Target:	nAChR
Pathway:	Membrane Transporter/Ion Channel; 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 (287.46 mM)
 H₂O : ≥ 50 mg/mL (143.73 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.8746 mL	14.3728 mL	28.7455 mL
	5 mM	0.5749 mL	2.8746 mL	5.7491 mL
	10 mM	0.2875 mL	1.4373 mL	2.8746 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: ≥ 3.25 mg/mL (9.34 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 3.25 mg/mL (9.34 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 3.25 mg/mL (9.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Adiphenine hydrochloride is a non-competitive inhibitor of nicotinic acetylcholine receptor (nAChR), with an IC₅₀s of 1.9, 1.8, 3.7, and 6.3 μM for α1, α3β4, α4β2, and α4β4, respectively. Adiphenine hydrochloride has anticonvulsant effects^{[1][2][3]}.

IC₅₀ & Target

IC₅₀: 1.9 μM (α1 nAChR), 1.8 μM (α3β4 nAChR), 3.7 μM (α4β2 nAChR), 6.3 μM (α4β4 nAChR)^[1]

In Vitro

Adiphenine (10 nM-1 mM; 3 min) blocks the function of α1*-nAChR in a dose-dependent manner in TE671/RD cells, with an IC₅₀ of 1.9 μM^[1].

	<p>Adiphenine (10 nM-1 mM; 3 min) blocks the function of $\alpha 3\alpha 4^*$-nAChR in a dose-dependent manner in SH-SY5Y cells, with an IC_{50} of 1.8 μM^[1].</p> <p>Adiphenine (10 nM-1 mM; 3 min) blocks the function of $\alpha 4\beta 2$- and $\alpha 4\beta 4$-nAChR in a dose-dependent manner in SH-EP1 cells, with IC_{50}s of 3.7 and 6.3 μM, respectively^[1].</p> <p>Adiphenine (50-200 μM; 30-60 s) decreases the frequency of ACh-induced single-channel currents in HEK 293 cells^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>Adiphenine (i.p.) prevents the hindleg tonic-extensor component of maximal electroshock seizures (MES), with an ED_{50} of 62 mg/kg in mice^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

REFERENCES

- [1]. Spitzmaul, G., et al., The local anaesthetics proadifen and adiphenine inhibit nicotinic receptors by different molecular mechanisms. *Br J Pharmacol*, 2009. 157(5): p. 804-17.
- [2]. Michelot, J., et al., Adiphenine plasma levels and blood-brain barrier crossing in the rat. *Eur J Drug Metab Pharmacokinet*, 1985. 10(4): p. 273-8.
- [3]. Gentry CL, et, al. Local anesthetics noncompetitively inhibit function of four distinct nicotinic acetylcholine receptor subtypes. *J Pharmacol Exp Ther*. 2001 Dec;299(3):1038-48.
- [4]. TANAKA K, et, al. Anticonvulsant properties of procaine, cocaine, adiphenine and related structures. *Proc Soc Exp Biol Med*. 1955 Oct;90(1):192-5.
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Caution: Product has not been fully validated for medical applications. For research use only.

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