(S)-(+)-Dimethindene maleate

Cat. No.: HY-107647

CAS No.: 136152-65-3

Molecular Formula: $C_{24}H_{28}N_2O_4$

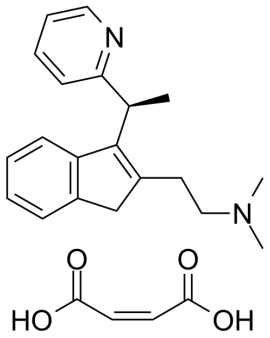
Molecular Weight: 408.49

Target: mAChR; Histamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling; Immunology/Inflammation

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 (244.80 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4480 mL	12.2402 mL	24.4804 mL
	5 mM	0.4896 mL	2.4480 mL	4.8961 mL
	10 mM	0.2448 mL	1.2240 mL	2.4480 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2 mg/mL (4.90 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2 mg/mL (4.90 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2 mg/mL (4.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

(S)-(+)-Dimethindene maleate, an enantiomer, is a potent M_2-selective muscarinic receptor antagonist (pA_2 = 7.86/7.74; pK_i = 7.78). (S)-(+)-Dimethindene maleate shows lower affinities for the muscarinic M_1 (pA_2 = 6.83/6.36; pK_i = 7.08), the M_3 (pA_2 = 6.92/6.96; pK_i = 6.70) and the M_4 receptors (pK_i = 7.00), respectively. (S)-(+)-Dimethindene maleate also is a histamine H_1 receptor antagonist (pA_2 = 7.48)[1].

IC_{50} & Target

mAChR2	mAChR1	mAChR4	mAChR3
7.78 (pKi)	7.08 (pKi)	7.00 (pKi)	6.70 (pKi)

H_1 Receptor

	7.48 (pA2)
In Vitro	(S)-(+)-Dimethindene maleate (2 μM; 1 h) significantly impaires the developmental potency of mouse extended pluripotent stem (mEPS) cells in chimeric blastocysts and leads to rapid differentiation of primed human pluripotent stem cell (hPSC)-converted hEPS cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Cell Viability Assay[2]

Cell Line:	Mouse EPS cells
Concentration:	2 μM
Incubation Time:	1 hour
Result:	Significantly impaired the developmental potency of mEPS cells.

REFERENCES

[1]. Pfaff O, et al. The (S)-(+)-enantiomer of dimethindene: a novel M2-selective muscarinic receptor antagonist. Eur J Pharmacol. 1995;286(3):229-240.

[2]. Yang Y, et al. Derivation of Pluripotent Stem Cells with In Vivo Embryonic and Extraembryonic Potency. Cell. 2017;169(2):243-257.e25.

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