Product Data Sheet

Pimethixene maleate

Cat. No.: HY-B1101A CAS No.: 13187-06-9 Molecular Formula: $C_{23}H_{23}NO_4S$ Molecular Weight: 409.5

Target: 5-HT Receptor; 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 (244.20 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.4420 mL	12.2100 mL	24.4200 mL
	5 mM	0.4884 mL	2.4420 mL	4.8840 mL
	10 mM	0.2442 mL	1.2210 mL	2.4420 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: ≥ 2.5 mg/mL (6.11 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE- β -CD in saline) Solubility: \geq 2.5 mg/mL (6.11 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (6.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Pimethixene maleate is antihistamine and antiserotonergic compound, acts as an antimigraine agent. Pimethixene maleate is a highly potent antagonist of 5-HT $_{1A}$, 5-HT $_{2A}$, 5-HT $_{2B}$, 5-HT $_{2C}$, histamine H $_{1}$, dopamine D $_{2}$ and D $_{4.4}$ as well as muscarinic M $_{1}$ and M $_{2}$ receptors, with pK $_{i}$ s of 7.63, 10.22, 10.44, 8.42, 10.14, 8.19, 7.54, 8.61 and 9.38, respectively [1].				
IC ₅₀ & Target	5-HT _{2B} Receptor 10.44 (pKi)	5-HT _{2A} Receptor 10.22 (pKi)	5-HT _{2C} Receptor 8.42 (pKi)	5-HT _{1A} Receptor 7.63 (pKi)	
	M ₂ receptor 9.38 (pKi)	M ₁ receptor 8.61 (pKi)	D ₂ receptor 8.19 (pKi)	DD _{4.4} receptor 7.54 (pKi)	

REFERENCES				
[1]. Schmitz B, et al. BF-1a nove 15;751:73-80.	el selective 5-HT2B receptor a	antagonist blocking neurogenio	c dural plasma protein extravasation i	n guinea pigs. Eur J Pharmacol. 2015 Mar
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