Proteins



Pizotifen malate

Cat. No.: HY-B0115A CAS No.: 5189-11-7 Molecular Formula: $C_{23}H_{27}NO_{5}S$ Molecular Weight: 429.53

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture and light

* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture

and light)

SOLVENT & SOLUBILITY

Vitro

DMSO: 50 mg/mL (116.41 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.3281 mL	11.6406 mL	23.2813 mL
	5 mM	0.4656 mL	2.3281 mL	4.6563 mL
	10 mM	0.2328 mL	1.1641 mL	2.3281 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 (5.82 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.82 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	$Pizotifen\ malate\ (Pizotyline\ malate)\ is\ a\ potent\ 5-HT_2\ receptor\ antagonist,\ with\ a\ high\ affinity\ for\ 5-HT_{1C}\ binding\ site.$		
IC ₅₀ & Target	5-HT _{2A} Receptor 5-HT _{1C} Receptor		
In Vitro	Pizotifen malate (BC-105 malate) is a potent 5-HT ₂ receptor antagonist, with a high affinity for 5-HT _{1C} binding site ^[1] . Pizotifen is an antidepresent 5-HT _{2A} receptor antagonist and has the capacity to inhibit serotonin-enhanced ADP-induced platelet aggregation ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.		
In Vivo	The weights of the fetuses are significantly reduced by all administered doses of Pipethiadene and Pizotifen malate (BC-105 malate); the weights of the placentas are significantly reduced after 0.6 and 1.2 mg/kg Pipethiadene and only after the		

middle dose of Pizotifen malate. The means of the implantations, live, dead fetuses, resorptions and the occurrence of external, skeletal and visceral anomalies do not differ from the control group. The number of chromosome aberrations in the bone marrow cells of treated mice does not differ significantly from the negative control group. The micronucleus test reveals no elevation in the frequency of micronuclei as compared to the control group. After the two higher doses of both Pipethiadene and Pizotifen maleate, the mitotic indices are lower than in the control group [3].

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PROTOCOL

Animal
Administration [3]

Mice^[3]

Pizotifen malate is administered orally to three groups of Swiss mice in doses of 0.24, 0.6 and 1.2 mg/kg from day 4 to day 16 of gestation. The control group is treated with distilled water. On day 19 of gestation, the mice are sacrificed and cytogenetical examination and uterine content (number of live, abnormal and dead fetuses as well as the number of implantations, resorptions) are determined. The live fetuses were inspected for external, visceral and skeletal malformations^[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- PLoS Negl Trop Dis. 2019 Aug 20;13(8):e0007681.
- Exp Ther Med. 2020 Feb;19(2):817-824.

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REFERENCES

[1]. Mylecharane EJ, et al. 5-HT2 receptor antagonists and migraine therapy. J Neurol. 1991;238 Suppl 1:S45-52.

[2]. Lin OA, et al. The antidepressant 5-HT2A receptor antagonists pizotifen and cyproheptadine inhibit serotonin-enhanced platelet function. PLoS One. 2014 Jan 23;9(1):e87026.

[3]. Ujházy E, et al. Teratological and cytogenetical evaluation of two antihistamines (pipethiadene and pizotifen maleate) in mice. Agents Actions. 1988 Apr;23(3-4):376-8.

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

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