

Product Data Sheet

Pizotyline-d₃

Cat. No.: HY-B0115S Molecular Formula: $C_{19}H_{18}D_3NS$ Molecular Weight: 298.46

Target: 5-HT Receptor; Isotope-Labeled Compounds

Pathway: GPCR/G Protein; Neuronal Signaling; Others

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

BIOLOGICAL ACTIVITY

Description	Pizotyline- d_3 is deuterated labeled Pizotifen (HY-B0115). Pizotifen (Pizotyline) is a potent 5-HT $_2$ receptor antagonist, with a high affinity for 5-HT $_{1C}$ binding site.
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs ^[1] . Pizotifen (BC-105) is a potent 5-HT ₂ receptor antagonist, with a high affinity for 5-HT _{1C} binding site ^[2] . Pizotifen is an antidepresent 5-HT _{2A} receptor antagonist and has the capacity to inhibit serotonin-enhanced ADP-induced platelet aggregation ^[3] . 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 (BC-105); 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. 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 (BC-105) maleate, the mitotic indices are lower than in the control group ^[4] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

- $\hbox{\small [1]. Mylecharane EJ, et al. 5-HT2 receptor antagonists and migraine therapy. J Neurol.~1991; 238 Suppl~1:S45-52.}$
- [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.
- [4]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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