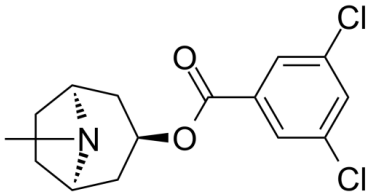
Data Sheet

Product Name:	Bemesetron
Cat. No.:	CS-0013371
CAS No.:	40796-97-2
Molecular Formula:	C15H17Cl2NO2
Molecular Weight:	314.21
Target:	5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Solubility:	DMSO : 2 mg/mL (6.37 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Bemesetron (MDL 72222) is a selective **5-HT_3 receptor** antagonist with an **IC_{50}** of 0.33 nM[1]. Neuroprotective effect[2]. **In Vitro:** Blockade of 5-HT_3 receptor with Bemesetron (MDL7222) reduces hydrogen peroxide-induced neurotoxicity in cultured rat cortical cells. Bemesetron (0.01, 0.1 and 1 μM, 15 hours) and Y25130 (0.05, 0.5 and 5 μM) concentration-dependently reduce the H_2O_2-induced decrease of MTT reduction showing 74.9±2.4 and 79.0 ±2.5% with 1 μM and 5 μM, respectively, which are maximal effects[2]. Pretreatment (20 minutes) with Bemesetron (1 μM), Y25130 (5 μM) or MK-801 (10 μM) significantly, but not completely, inhibits the H_2O_2-induced elevation of $[Ca^{2+}]_c$[2].

Bemesetron (1 μM, 15 hours) significantly blocks the H_2O_2-induced increase of caspase-3 immunoreactivity[2].

In Vivo: Bemesetron (0.1, 1 and 10 mg/kg; i.p.) is used in male adult albino mice. The lowest dose do not cause any significant change in catalepsy. However, Bemesetron (1 mg/kg) causes a significant reduction of catalepsy (from 90 min after Haloperidol), while 10 mg/kg significantly potentiates the phenomenon (from 60 min after Haloperidol). The maximum inhibition of catalepsy (about 75%) occurs at 120 min, and the maximum potentiation (about 4.5-times the control value) occurs at 60 min after Haloperidol[3].

References:

[1]. Peters JA, et al. An electrophysiological investigation of the properties of 5-HT_3 receptors of rabbit nodose ganglion neurones in culture. Br J Pharmacol. 1993 Oct;110(2):665-76.

[2]. Lee HJ, et al. Blockade of 5-HT(3) receptor with MDL7222 and Y25130 reduces hydrogen peroxide-induced neurotoxicity in cultured rat cortical cells. Life Sci. 2005 Dec 5;78(3):294-300.

[3]. Silva SR, et al. Effects of 5-HT_3 receptor antagonists on neuroleptic-induced catalepsy in mice. Neuropharmacology. 1995 Jan;34(1):97-9.

CAIndexNames:

Benzoic acid, 3,5-dichloro-, (3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester

SMILES:

O=C(O[C@@H]1C[C@@H](N2C)CC[C@@H]2C1)C3=CC(Cl)=CC(Cl)=C3

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

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