Bemesetron

Cat. No.: HY-B1541

CAS No.: 40796-97-2

Molecular Formula: $C_{15}H_{17}Cl_2NO_2$

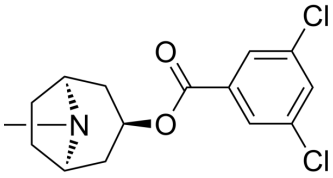
Molecular Weight: 314.21

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 2 mg/mL (6.37 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.1826 mL	15.9129 mL	31.8258 mL
5 mM	0.6365 mL	3.1826 mL	6.3652 mL
10 mM	---	---	---

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

BIOLOGICAL ACTIVITY

Description

Bemesetron (MDL 72222) is a selective 5-HT_3 receptor antagonist with an IC_{50} of 0.33 nM[1]. Neuroprotective effect[2].

IC_{50} & Target

5-HT_3 Receptor
0.33 nM (IC_{50})

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].

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

Cell Viability Assay[2]

Cell Line:	Primary cortical neuronal cells
Concentration:	0.01-1 μM
Incubation Time:	20 minutes (pretreatment); 15 hours (post-incubation)
Result:	Concentration-dependently reduced the H_2O_2-induced decrease of MTT reduction showing 74.9±2.4% with 1 μM, which was maximal effect.

Western Blot Analysis[2]

Cell Line:	Primary cortical neuronal cells
Concentration:	1 μM
Incubation Time:	15 hours
Result:	Blocked significantly the H_2O_2-induced increase of caspase-3 immunoreactivity.

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].

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

Animal Model:	Male adult albino mice, weighing 26-36 g[3]
Dosage:	0.1-10 mg/kg
Administration:	Intraperitoneally injected, 20 min (pretreatment) +180 min (treatment)
Result:	Reduced catalepsy significantly at a dose of 1 mg/kg, whilst 10 mg/kg potentiated the phenomenon and 0.1 mg/kg was found to be without effect.

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.

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