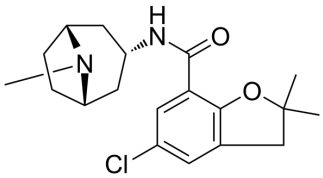
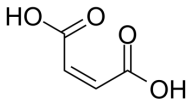
Zatosetron maleate

Cat. No.:	HY-U00234
CAS No.:	123482-23-5
Molecular Formula:	$C_{23}H_{29}ClN_2O_6$
Molecular Weight:	464.94
Target:	5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.





BIOLOGICAL ACTIVITY

Description	Zatosetron maleate is a potent and selective 5HT3 receptor antagonist.
IC_{50} & Target	5-HT_3 Receptor
In Vivo	Acute administration of 0.1 (n=21) and 0.3 (n=5) mg/kg Zatosetron maleate (Zatosetron) in male rats, but not 0.01, 0.05, 1.0 or 10 mg/kg (n=5, 3, 6 and 4, respectively) Zatosetron maleate or saline (n=5), leads to a significant reduction in the number of spontaneously active A10 dopamine cells. The number of spontaneously active A10 dopamine cells is not significantly different from 30 to 60 min post i.p. Zatosetron maleate (0.1 mg/kg) administration, shows a significant decrease by 60 to 90 min (0.65±0.11, P=0.03, n=5), a larger decrease by 90 to120 min (0.53±0.08, P=0.004, n=5) and remains at this significantly decreased level from 2 to 3 h (0.50+0.05, P=0.0004, n=5). Single-unit recordings show that Zatosetron maleate inhibits the activity of A10 dopamine cells following i.v. administration (ED_{50}=0.12 mg/kg, n=8). Chronic administration of 0.1 mg/kg (n=16) Zatosetron maleate, but not 0.01, 1.0 or 10 mg/kg (n=4, 8 and 7, respectively) Zatosetron maleate or saline (n=5), leads to a significant reduction in the number of spontaneously active A10 dopamine cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	Male rats are used and Zatosetron maleate (Zatosetron) is prepared as an aqueous solution. Acutely treated animals receive i.p. injections of Zatosetron maleate or saline 2 h before electrophysiological recordings; Chronically treated animals receive injections (i.p.) of Zatosetron maleate or saline once daily for 21 days, and receive their last injection 2 h before electrophysiological recordings. After completion of nine tracks, some animals are administered either apomorphine HCl (0.14 or 0.01 mg/kg i.v.) or haloperidol (0.1 mg/kg i.v.) and the number of dopamine cells is then counted in three additional tracks[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Robertson DW, et al. Zatosetron, a potent, selective, and long-acting 5HT3 receptor antagonist: synthesis and structure-activity relationships. J Med Chem. 1992 Jan 24;35(2):310-9.

[2]. Rasmussen K, et al. The 5-HT3 receptor antagonist zatosetron decreases the number of spontaneously active A10 dopamine neurons. Eur J Pharmacol. 1991 Nov 19; 205 (1):113-6.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA