Product Data Sheet

Product Name: Zatosetron maleate (LY 277359 maleate)
Cat. No.: GC31203

Chemical Properties

Cas. No.	123482-23-5		
SMILES	O=C(O)/C=C\C(O)=O.O=C(C1=CC(Cl)=CC2=C1OC(C)(C)C2)N[C@H]3C[C@@H](CC4)N(C)[C@@H]4C3		
Formula	$C_{23}H_{29}ClN_2O_6$	M.Wt	464.94
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			

Protocol

Animal experiment:

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].

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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.

Background

Zatosetron maleate is a potent and selective 5HT3 receptor antagonist.

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.

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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 (ED50=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].

[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.

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