Fabesetron

Cat. No.: HY-105201

CAS No.: 129300-27-2

Molecular Formula: $C_{18}H_{19}N_3O$

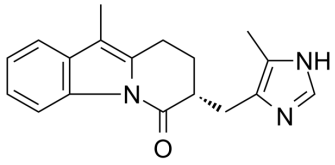
Molecular Weight: 293.36

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



BIOLOGICAL ACTIVITY

Description

Fabesetron (FK1052) is an orally active 5-HT_3 receptor antagonist with 5-HT_4 receptor antagonistic activity. Fabesetron (FK1052) can be used in the study for both acute and delayed emesis induced by cancer chemotherapy[1][2].

IC_{50} & Target	5-HT_3 Receptor	5-HT_4 Receptor

In Vivo

Fabesetron (FK1052) (0.1 mg/kg p.o.) inhibits completely the increases in the colonic transit[1].

FK1052 (100 μg/kg) completely prevents emesis induced by cisplatin (18 mg/kg, i.p.) in Suncus murinus[2].

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

Animal Model:	Male Sprague-Dawley rats weighing 220 to 330 g and male ddy mice weighing 25 to 35 g were used[1].
Dosage:	0.1 mg/kg.
Administration:	P.O.
Result:	Significantly caused delay and its degree of inhibition was 33.8 ± 4.8% by 0.1 mg/kg p.o..

Animal Model:	Suncus murinus of either sex (>10-week-old; 30-70 g body weight)[2].
Dosage:	1, 10, and 100 μg/kg.
Administration:	Orally administered 30 min before the injection of cisplatin.
Result:	Inhibited cisplatininduced emesis in a dose-dependent manner, and no emesis was observed in three animals given the compound at 100 μg/kg.

REFERENCES

[1]. M Kadowaki, et al. Effect of FK1052, a potent 5-hydroxytryptamine3 and 5-hydroxytryptamine4 receptor dual antagonist, on colonic function in vivo. J Pharmacol Exp

Ther. 1993 Jul;266(1):74-80.

[2]. Hiroe Nakayama, et al. Antiemetic activity of FK1052, a 5-HT3- and 5-HT4-receptor antagonist, in Suncus murinus and ferrets. J Pharmacol Sci. 2005 Aug;98(4):396-403.

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