Product Data Sheet

Product Name: YM348
Cat. No.: GC31503

Chemical Properties

Cas. No.	372163-84-3		
SMILES	C[C@H](N)CN(N=C1)C2=C1C=CC3=C2C=C(CC)O3		
Formula	$C_{14}H_{17}N_3O$	M.Wt	243.3
Solubility	DMSO : 100 mg/mL (411.02 mM; Need ultrasonic)	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	YM348		

Protocol

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Kinase experiment:

Experiments are performed with membranes obtained from Chinese Hamster Ovary (CHO) cells expressing human 5-HT2C or 5-HT2A receptors and Human Embryonic Kidney 293-Epstein-Barr virus nuclear antigen (HEK293-EBNA) cells expressing human 5-HT2B receptors. Binding assays with [3H] 5-HT are carried out. The reaction medium (50 mM Tris-HCl buffer (pH 7.4) containing 4 mM CaCl2, 10 M pargyline and 0.1 mg/ml L-(+)-ascorbic acid) containing [3H] 5-HT, membrane preparation and test compounds are incubated at 37°C for 30 min. Nonspecific binding is determined in the presence of 10 M 5-HT, and specific binding is calculated as the total binding minus the nonspecific binding. After incubation, 4 mL of 50 mM Tris-HCl buffer (pH 7.4) containing 4 mM CaCl2 is added, and the medium is filtrated under decompression through a Whatman GF/B glass filter pretreated with 0.1% polyethyleneimine. The filter is washed with the same buffer solution (4 mL×3). The glass filter is immersed in 6 mL of liquid scintillator (Packard, Aquasol-2), and the radioactivity is measured with a liquid scintillation counter. The amount of protein is measured. The dissociation constants (Kd values) are obtained by Scatchard analysis using SAS (ver. 6.11). The concentrations of compounds showing 50% inhibition of receptor binding, IC50 values, are obtained by nonlinear analysis using SAS (ver. 6.11). The Ki values indicating affinity for receptors are calculated[1].

Animal experiment:

Rats[1]Male Wistar rats (215-350 g) are used. Rats are administered YM348 (0.0677, 0.203, 0.677, and 2.03 mg/kg) orally and moved again to their home cages. After 20 min, thereafter, the rats are individually placed in transparent acrylic plastic cages (35×30×18 cm), and their motor activity is measured for 40 min. The measurements are carried out using a SUPER-MEX sensor. SB242084 (0.1-3 mg/kg i.p.) is administered 30 min before YM348 treatment.

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References:

[1]. Kimura Y, et al. Pharmacological profile of YM348, a novel, potent and orally active 5-HT2C receptor agonist. Eur J Pharmacol. 2004 Jan 1;483(1):37-43.

Background

YM348 is a potent and orally active 5-HT2C receptor agonist, which shows a high affinity for cloned human 5-HT2C receptor (Ki: 0.89 nM).

YM348 has high affinity for cloned human 5-HT2C receptors with a Ki value of 0.89 nM and lower affinities for human-cloned 5-HT2B (Ki: 2.5 nM) and 5-HT2A receptors (Ki: 13 nM). To assess the binding specificity of YM348, a broad evaluation of an additional 46 binding sites including several other 5-HT receptor subtypes (1A, 1B, 1D, 3, 4, 5A, 6, 7) is performed. IC50 values of YM348 are found to be >1 μM for all of the binding sites except for the human 5-HT1A receptors (Ki: 130 nM), bovine 5-HT1D receptors (Ki: 481 nM), human 5-HT7 receptors (Ki: 177 nM), and human α2A receptors (Ki: 126 nM).YM348 exhibits a full-agonistic activity on human 5-HT2A and 5-HT2B receptors. The EC50 values of YM348 for 5-HT2C, 5-HT2A, and 5-HT2B receptors are 1.0, 93 and 3.2 nM, respectively[1].

Oral administration of YM348 induces penile erections and hypolocomotion in rats, being completely inhibited by a selective 5-HT2C receptor antagonist, SB242084. YM348 inhibits spontaneous activity in a dose-dependent manner with a minimum effective dose of 0.203 mg/kg[1].

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