Flibanserin

Cat. No.: HY-A0095

CAS No.: 167933-07-5

Molecular Formula: $C_{20}H_{21}F_3N_4O$

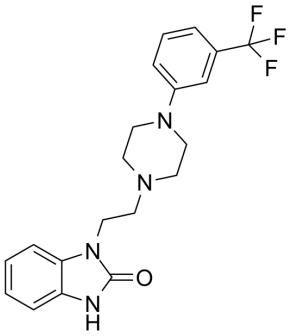
Molecular Weight: 390.4

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 : 50 mg/mL (128.07 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5615 mL	12.8074 mL	25.6148 mL
5 mM	0.5123 mL	2.5615 mL	5.1230 mL
10 mM	0.2561 mL	1.2807 mL	2.5615 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.40 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.40 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Flibanserin (BIMT-17; BIMT-17BS) is an orally active serotonin 5-HT1A receptor agonist and 5-HT2A receptor antagonist with K_i values of 1 nM and 49 nM, respectively. Flibanserin binds to dopamine D4 receptors with an K_i value of 4-24 nM. Flibanserin shows anti-depression and anti-anxiety effect, can be used to hypoactive sexual desire disorder (HSDD) research [1]-[5].

IC_{50} & Target

5-HT_{1A} Receptor	5-HT_{2A} Receptor	dopamine D4 receptors
1 nM (Ki)	49 nM (Ki)	4-24 nM (Ki)

In Vitro

Flibanserin (0.01-100 μM; 72 h) can transform into two degradation products DP1 and DP2 with no toxicity potential after oxidative degradation[1].

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

Cell Viability Assay[1]

Cell Line:	NHSF cell lin
Concentration:	0.01, 0.1, 1, 10, 100 μM
Incubation Time:	72 hours
Result:	Resulted cell viability reached to 97.91% (DP1) and 96.73% (DP2) at 0.01 μM. Showed non-toxic up to 100 μM (IC_{50} >100 μM).

In Vivo

Flibanserin (1, 10, 30 mg/kg; i.p.; single dose) shows different pharmacological properties in prefrontal cortex, hippocampus and midbrain. The 5-HT1A receptor occupancy in cortex indicates it's the more sensitive than other brain region[2].

Flibanserin (15, 45 mg/kg; p.o.; twice a day; 22 d) preferentially activates the brain regions belonging to the mesolimbic dopaminergic pathway and hypothalamic structures involved in the integration of sexual cues related to sexual motivation [3].

Flibanserin (5, 10, 25, and 50 mg/kg; s.c.; single dose) has anxiolytic effects without locomotor side effects in rat ultrasonic vocalization model[4].

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

Animal Model:	Long Evans female rats (225-250 g)[3]
Dosage:	15 mg/kg; 45 mg/kg
Administration:	Oral gavage; twice a day for 22 days
Result:	Increased the density of activated catecholaminergic neurons in the ventral tegmental area but not in the locus coeruleus. Increased Fos expression in the medial preoptic area and arcuate nucleus of the hypothalamus, ventral tegmental area, locus coeruleus, and lateral paragigantocellular nucleus with chronic 22-day treatment.

Animal Model:	Rat pup ultrasonic vocalization model of anxiety[4]
Dosage:	5, 10, 25, and 50 mg/kg
Administration:	Subcutaneous injection
Result:	Reduced ultrasonic vocalizations in rat pups. Showed effective within 30 min and has no severe locomotor side effects at active doses.

CUSTOMER VALIDATION

- Mol Pharmacol. 2023 Nov;104(5):230-238.
- Authorea. 2023 Apr 17.

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REFERENCES

[1]. Fayed M, et al. Insights into Flibanserin Oxidative Stress Degradation Pathway: In Silico – In Vitro Toxicity Assessment of Its Degradates[J]. New Journal of Chemistry, 2021.

[2]. Invernizzi RW, et al. A potential antidepressant drug, lowers 5-HT and raises dopamine and noradrenaline in the rat prefrontal cortex dialysate: role of 5-HT(1A) receptors. Br J Pharmacol. 2003 Aug;139(7):1281-8.

[3]. Gelez H, et al. Brain neuronal activation induced by flibanserin treatment in female rats. Psychopharmacology (Berl). 2013 Dec;230(4):639-52.

[4]. Podhorna J, et al. Flibanserin has anxiolytic effects without locomotor side effects in the infant rat ultrasonic vocalization model of anxiety. Br J Pharmacol. 2000 Jun;130(4):739-46.

[5]. Gelman F, et al. Flibanserin for hypoactive sexual desire disorder: place in therapy. Ther Adv Chronic Dis. 2017 Jan;8(1):16-25.

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