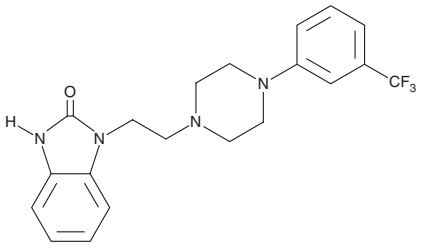
PRODUCT INFORMATION

Flibanserin

Item No. 19203

CAS Registry No.:	167933-07-5
Formal Name:	1,3-dihydro-1-[2-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]ethyl]-2H-benzimidazol-2-one
Synonym:	BIMT 17
MF:	$C_{20}H_{21}F_3N_4O$
FW:	390.4
Purity:	≥98%
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Flibanserin is supplied as a crystalline solid. A stock solution may be made by dissolving the flibanserin in the solvent of choice, which should be purged with an inert gas. Flibanserin is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of flibanserin in ethanol is approximately 15 mg/ml, and 30 mg/ml in DMSO and DMF.

Flibanserin is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, flibanserin should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Flibanserin has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Flibanserin is a full agonist of the serotonin 5-HT_{1A} receptor and an antagonist of 5-HT_{2A} (K_is = 1 and 49 nM, respectively).[1] It also binds to dopamine D_4 receptors with K_i values ranging from 4-24 nM, but demonstrates no affinity for the other 5-HT subtypes or other neurotransmitter receptors.[1] *In vitro*, flibanserin has been shown to reduce forskolin-stimulated cAMP formation in cells and rat tissues and to antagonize the accumulation of phosphatidyl inositol turnover induced by 5-HT in the mouse cortex.[1] At 10 mg/kg, flibanserin can reduce serotonin in the prefrontal cortex and dorsal raphe of conscious rats while increasing extracellular noradrenaline and dopamine.[1] Multifunctional serotonergic ligands like flibanserin that can enhance downstream release of dopamine and norepinephrine while concomitantly reducing serotonin release in the brain circuits that mediate symptoms of reduced sexual interest and desire are being studied clinically for therapeutic potential to improve sexual functioning.[2]

References

1. Invernizzi, R.W., Sacchetti, G., Parini, S., *et al.* Flibanserin, 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.* **139(7)**, 1281-1288 (2003).
2. Stahl, S.M. Mechanism of action of flibanserin, a multifunctional serotonin agonist and antagonist (MSAA), in hypoactive sexual desire disorder. *CNS Spectr.* **20(1)**, (2015).

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