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Flibanserin

National Cancer Institute

Source

National Cancer Institute. *Flibanserin*. NCI Thesaurus. Code C80769.

An orally bioavailable, non-hormonal, multifunctional serotonin agonist and antagonist (MSAA) that may improve sexual desire and arousal in women. Upon oral administration, flibanserin selectively binds to serotonin receptors in the central nervous system, acting as an agonist on 5-HT_{1A} receptors and an antagonist on 5-HT_{2A} receptors. Agonist activity at 5-HT_{1A} postsynaptic receptors may enhance the release of dopamine and other monoamines. Combined with 5-HT_{2A} antagonism, flibanserin boosts levels of dopamine in the mesocortical area of the prefrontal cortex and produces a net increase of norepinephrine selectively in the prefrontal cortex through disinhibition of locus coeruleus noradrenergic neurons. In addition, flibanserin reduces concentrations of serotonin in the prefrontal cortex with chronic administration. Reducing serotonin, which modulates sexual activity in an inhibitory fashion through downstream decreases in dopamine release, while enhancing the release of norepinephrine and dopamine in the prefrontal cortex may improve sexual desire and interest.