PRODUCT INFORMATION



Ritanserin

Item No. 21374

CAS Registry No.: 87051-43-2

Formal Name: 6-[2-[4-[bis(4-fluorophenyl)methylene]-

1-piperidinyl]ethyl]-7-methyl-5H-

thiazolo[3,2-a]pyrimidin-5-one

Synonym: R-55-667

MF: $C_{27}H_{25}F_2N_3OS$

FW: 477.6 Purity:

UV/Vis.:

-20°C Storage: Stability:

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



Laboratory Procedures

Ritanserin is supplied as a crystalline solid. A stock solution may be made by dissolving the ritanserin in the solvent of choice. Ritanserin is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of ritanserin in these solvents is approximately 2.5, 12.5, and 30 mg/ml, respectively.

Description

Ritanserin is a selective antagonist of the serotonin (5-HT) receptor subtype, 5-HT_{2A}.¹ In a radioligand binding assay, ritanserin exhibits high selectivity for 5-HT_{2A} over 5-HT₁ receptors $(IC_{50}s = 0.9 \text{ nM} \text{ and } >1,000 \text{ nM}, \text{ respectively}).^2$ It also demonstrates relatively low affinity for histamine H_1 , dopamine D_2 , α_1 -adrenergic, and α_2 -adrenergic receptors (39-, 77-, 107-, and 166-fold lower relative to 5-HT_{2A}, respectively). Ritanserin (2.5 mg/kg) is long-acting, occupying >70% of 5-HT_{2A} sites up to 48 hours following subcutaneous administration to rats and guinea pigs. In vivo, ritanserin (10 mg/kg) blocks 5-hydroxy tryptophan-induced head twitches in rats.³

References

- P.A. Pharmacology of potent and selective S2-serotonergic antagonists. J. Cardiovasc. Pharmacol. 7(Suppl 7), S2-11 (1985).
- 2. Leysen, J.E., Gommeren, W., Van Gompel, P., et al. Receptor-binding properties in vitro and in vivo of ritanserin: A very potent and long acting serotonin-S2 antagonist. Mol. Pharmacol. 27(6), 600-611 (1985).
- 3. Watanabe, Y., Usui, H., Kobayashi, S., et al. Syntheses and 5-HT2 antagonist activity of bicyclic 1,2,4-triazol-3(2H)-one and 1,3,5-triazine-2,4(3H)-dione derivatives. J. Med. Chem. 35(1), 189-194 (1992).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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