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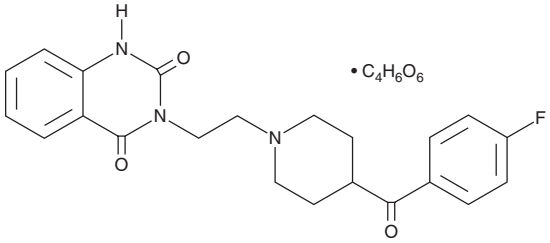
PRODUCT INFORMATION

Ketanserin (tartrate)

Item No. 22058

CAS Registry No.: 83846-83-7
Formal Name: 3-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-2,4(1H,3H)-quinazolinedione (2R,3R)-2,3-dihydroxybutanedioate
MF: $C_{22}H_{22}FN_3O_3 \bullet C_4H_6O_6$
FW: 545.5
Purity: ≥98%
UV/Vis.: λ_{max}: 219, 244 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

Ketanserin (tartrate) is supplied as a crystalline solid. A stock solution may be made by dissolving the ketanserin (tartrate) in the solvent of choice. Ketanserin (tartrate) is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of ketanserin (tartrate) in these solvents is approximately 25 mg/ml.

Ketanserin (tartrate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ketanserin (tartrate) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Ketanserin (tartrate) has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Ketanserin is a potent antagonist of the serotonin (5-HT) receptor that is selective for 5-HT_2 (IC_{50} = 6.3 nM; K_i = 2.1 nM).[1] It has no activity at 5-HT_1 receptors but does have activity at histamine type 1, α_1-adrenergic, and dopamine receptors with K_i values of 10, 10, and 220 nM, respectively. Ketanserin induces dose-dependent inhibition of contractile responses to 5-HT in isolated rat caudal artery, canine basilar, carotid, coronary and gastrosplenic arteries, and canine gastrosplenic and saphenous veins.[2] Ketanserin (10 mg/kg/day) significantly decreases blood pressure (BP), blood pressure variability (BPV), and hypertensive organ damage in spontaneously hypertensive rats.[3] Formulations containing ketanserin have been used to treat hypertension in early-onset preeclampsia.[4]

References

1. Leysen, J.E., Awouters, F., Kennis, L., *et al. Life Sci.* **28(9)**, 1015-1022 (1981).
2. Van Neuten, J.M., Janssen, P.A.J., Van Beek, J., *et al. J. Pharmacol. Exp. Ther.* **218(1)**, 217-230 (1981).
3. Du, W.-M., Miao, C.-Y., Liu, J.-G., *et al. J. Cardiovasc. Pharmacol.* **41(2)**, 233-239 (2003).
4. Bijvank, S.W.N., Visser, Q., Duvekot, J.J., *et al. Eur. J. Obstet. Gynecol. Reprod. Biol.* **189**, 106-111 (2015).

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