

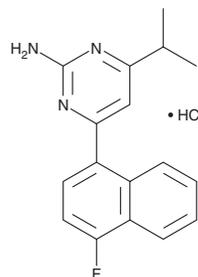
PRODUCT INFORMATION



RS 127445 (hydrochloride)

Item No. 23451

CAS Registry No.: 199864-86-3
Formal Name: 4-(4-fluoro-1-naphthalenyl)-6-(1-methylethyl)-2-pyrimidinamine, monohydrochloride
MF: C₁₇H₁₆FN₃ • HCl
FW: 317.8
Purity: ≥98%
UV/Vis.: λ_{max}: 223, 309 nm
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

RS 127445 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the RS 127445 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. RS 127445 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of RS 127445 (hydrochloride) in these solvents is approximately 30 mg/ml.

RS 127445 (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, RS 127445 (hydrochloride) should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. RS 127445 (hydrochloride) has a solubility of approximately 0.25 mg/ml in a 1:3 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

RS 127445 is an orally bioavailable and potent antagonist of the serotonin (5-HT) receptor subtype 5-HT_{2B} (K_i = 0.32 nM).¹ It is selective for 5-HT_{2B} over other 5-HT receptor subtypes (K_i = >3 μM for 5-HT_{1A}, 5-HT_{1B}, 5-HT_{2A}, 5-HT_{2C}, 5-HT₃, 5-HT₅, and 5-HT₆). RS 127445 reduces contraction of rat isolated stomach fundus (pA₂ = 9.5) and lowers intracellular increases in calcium (IC₅₀ = 0.04 nM) induced by 5-HT (Item No. 14332). It also blocks (±)-α-methyl-5-HT-induced relaxation of isolated rat jugular veins (pA₂ = 9.95). RS 127445 (1-30 mg/kg) reduces peristaltic frequency and fecal output in mice in a dose-dependent manner.² Systemic administration of RS 127445 (0.16 mg/kg) reduces basal, but not cocaine-induced, dopamine outflow in the nucleus accumbens of rats and decreases hyperlocomotion induced by cocaine (Item Nos. ISO60176 | 16186 | 22165) or quinpirole.³ See the Structural Genomics Consortium (SGC) website for more information.

References

1. Bonhaus, D.W., Flippin, L.A., Greenhouse, R.J., *et al.* RS-127445: A selective, high affinity, orally bioavailable 5-HT_{2B} receptor antagonist. *Br. J. Pharmacol.* **127**(5), 1075-1082 (1999).
2. Bassil, A.K., Taylor, C.M., Bolton, V.J., *et al.* Inhibition of colonic motility and defecation by RS-127445 suggests an involvement of the 5-HT_{2B} receptor in rodent large bowel physiology. *Br. J. Pharmacol.* **158**(1), 252-258 (2009).
3. Devroye, C., Cathala, A., Di Marco, B., *et al.* Central serotonin_{2B} receptor blockade inhibits cocaine-induced hyperlocomotion independently of changes of subcortical dopamine outflow. *Neuropharmacology* **97**, 329-337 (2015).

WARNING

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

SAFETY DATA

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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