
Product Data Sheet

Product Name: RS 127445 HCl

Cat. No.: GC10670

Chemical Properties

Cas. No. N/A

Chemical Name 4-(4-fluoronaphthalen-1-yl)-6-isopropylpyrimidin-2-amine hydrochloride

SMILES FC1=CC=C(C2=CC(C(C)C)=NC(N)=N2)C3=CC=CC=C31.ClFormula $C_{17}H_{17}ClFN_3$ M.Wt 317.79Solubility $\geq 31.8\text{mg/mL}$ in DMSO Storage Store at -20°C General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure

Background

RS-127445 is a selective, high affinity 5-HT_{2B} receptor antagonist.

The 5-HT_{2B} receptor is a G-protein coupled receptor. 5-HT_{2B} receptors are widely expressed in peripheral tissues of various species. 5-HT_{2B} receptor expresses throughout the gastrointestinal tract including smooth muscle of the stomach fundus, oesophagus, colon and small intestine. The receptor has also been found in the placenta, uterus, lung and prostate. 5-HT_{2B} receptors are present in many vascular beds and have been localized to both vascular smooth muscle and vascular endothelial cells [1].

RS-127445 (2-amino-4-(4-fluoronaphth-1-yl)-6-isopropylpyrimidine) showed nanomolar affinity for the 5-HT_{2B} receptor with the pK_i of 9.5 ± 0.1 . In cells expressing human recombinant 5-HT_{2B} receptors, RS-127445 potently antagonized 5-HT-evoked formation of inositol phosphates (pK_B = 9.5 ± 0.1) and 5-HT-evoked increases in intracellular calcium (pIC₅₀ = 10.4 ± 0.1). RS-127445 blocked 5-HT-evoked contraction of rat

Caution: Product has not been fully validated for medical applications. For research use only.

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isolated stomach fundus ($pA_2 = 9.5 \pm 1.1$) and (\pm) α -methyl-5-HT-mediated relaxation of the rat jugular vein ($pA_2 = 9.9 \pm 0.3$). In rats, RS-127445 was bioavailable via the oral or intraperitoneal routes.

Reference:

[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[J]. British journal of pharmacology, 1999, 127(5): 1075-1082.

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