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Data Sheet

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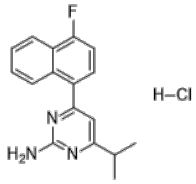
Product Name	:	RS-127445 hydrochloride
Cat. No.	:	PC-27111
CAS No.	:	199864-86-3
Molecular Formula	:	$C_{17}H_{17}ClFN_3$
Molecular Weight	:	317.79
Target	:	5-HT Receptor
Solubility	:	10 mM in DMSO



Biological Activity

RS-127445 hydrochloride is a potent, selective, high affinity, orally bioavailable 5-HT2B receptor antagonist with pKi of 9.5, 1,000 fold selectivity over numerous other receptor and ion channel binding sites.
RS-127445 potently antagonizes 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) in cells expressing human recombinant 5-HT2B receptors.
RS-127445 also blocks 5-HT-evoked contraction of rat isolated stomach fundus (pA2 = 9.5+/-1.1) and (+/-)alpha-methyl-5-HT-mediated relaxation of the rat jugular vein (pA2 = 9.9+/-0.3).
RS-127445 (5 mg/kg, i.p.) produced plasma concentrations predicted to fully saturate accessible 5-HT2B receptors for at least 4 h.

References

Kelly CR, et al. J Pharmacol Exp Ther. 2006 Jun;317(3):1254-61.

Bonhaus DW, et al. Br J Pharmacol. 1999 Jul;127(5):1075-82.

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