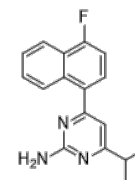


Product Name : RS-127445
Cat. No. : PC-27110
CAS No. : 199864-87-4
Molecular Formula : C₁₇H₁₆FN₃
Molecular Weight : 281.33
Target : 5-HT Receptor
Solubility : 10 mM in DMSO



Biological Activity

RS-127445 (MT-500, RS-127445) is a potent, selective, high affinity, orally bioavailable 5-HT_{2B} receptor antagonist with pK_i 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-HT_{2B} 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-HT_{2B} 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.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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