Web: www.taiclone.com
Tel: +886-2-2735-9682
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RS 127445

Catalog No: tcsc0852

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
199864-86-3

Formula:
$C_{17}H_{17}ClFN_3$

Pathway:
Neuronal Signaling;GPCR/G Protein

Target:
5-HT Receptor;5-HT Receptor

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 31 mg/mL (97.55 mM)

Alternative Names:
MT 500

Observed Molecular Weight:
317.79

Product Description

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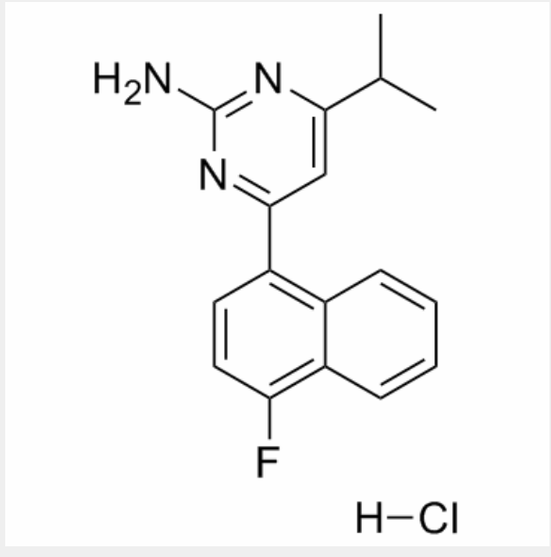
RS 127445 is a novel high affinity, selective **5-HT$_{2B}$** receptor antagonist with **pK$_i$** of 9.5.

IC50 & Target: pKi: 5.5 (5-HT$_{1A}$), 2.7 (monoamine uptake), 1B/D), 3), 5), 6), 6.3 (5-HT$_{2A}$), 6.4 (5-HT$_{2C}$), 9.5 (5-HT$_{2B}$)[1]

In Vitro: RS-127445 is found to has nanomolar affinity for the 5-HT$_{2B}$ receptor (pK$_i$=9.5±0.1) and 1,000 fold selectivity for this receptor as compared to numerous other receptor and ion channel binding sites. RS-127445 potently displaces [^{3}H]-5-HT from human recombinant 5-HT$_{2B}$ receptors expressed in CHO-K1 cells. The affinity (pK$_i$ value) of RS-127445 for the 5-HT$_{2B}$ receptor is 9.5±0.1 (n=9). RS-127445 is selective for the 5-HT$_{2B}$ receptor, having approximately 1000 fold lower affinity for the human recombinant 5-HT$_{2A}$, 5-HT$_{2C}$, 5-HT$_5$, 5-HT$_6$ and 5-HT$_7$ receptors, a 5-HT$_{1A}$ receptor in rat brain membranes, a 5-HT$_{1B/D}$ receptor in bovine caudate, and a monoamine uptake site in rabbit platelets. RS-127445 potently blocks the 5-HT (10 nM) evoked increases in intracellular calcium concentrations in the HEK-293 cells expressing the 5-HT$_{2B}$ receptor (pIC$_{50}$ of 10.4±0.1). In cells expressing human recombinant 5-HT$_{2B}$ receptors, 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 (pIC$_{10}$=10.4±0.1). RS-127445 also blocks 5-HT-evoked contraction of rat isolated stomach fundus (pA$_{2B}$=9.5±1.1) and (±)α-methyl-5-HT-mediated relaxation of the rat jugular vein (pA$_2$=9.9±0.3)[1].

In Vivo: In rats, the fraction of RS-127445 that is bioavailable via the oral or intraperitoneal routes is 14 and 60% respectively. Intraperitoneal administration of RS-127445 (5 mg/kg) produced plasma concentrations predicted to fully saturate accessible 5-HT$_{2B}$ receptors for at least 4 h.RS-127445 (5 mg/kg) is administered to rats by oral, intraperitoneal and intravenous routes. Peak plasma concentrations are rapidly achieved with the highest concentrations being found at the first time-point measured following intravenous and intraperitonael administration (0.08 h) and by 0.25 h following dosing by the oral route of administration. RS-127445 is cleared from plasma with an estimated terminal elimination half-life of approximately 1.7 h. The bioavailability of RS-127445, when administered by the oral and intraperitoneal routes is approximately 14 and 62% of that obtained by intravenous administration. Approximately 60% of an intraperitoneal dose and 14% of the oral dose of RS-127445 (5 mg/kg) is bioavailable[1]. RS-127445 (1-30 mg/kg), dose-dependently reduces faecal output, reaching significance at 10 and 30 mg/kg (n=6-11). In blood and brain, >98% of RS-127445 is protein-bound[2].



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