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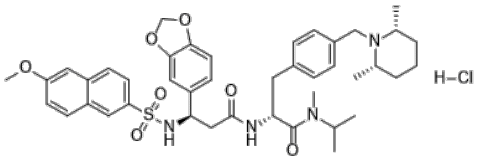
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	SSR240612
Cat. No.	:	PC-25718
CAS No.	:	464930-42-5
Molecular Formula	:	$C_{42}H_{53}ClN_4O_7S$
Molecular Weight	:	793.42
Target	:	Bradykinin Receptor
Solubility	:	10 mM in DMSO



Biological Activity

SSR240612 is a potent, selective, non-peptide antagonist of bradykinin (BK) B(1) receptor, inhibits binding of [(3)H]Lys(0)-des-Arg(9)-BK to B(1) receptor in human fibroblast MRC5 and to recombinant human B(1) receptor expressed in human embryonic kidney cells with Ki of 0.48 and 0.73 nM, respectively.
SSR240612 has 500- to 1000-fold selectivity over B(2) receptors.
SR240612 inhibits Lys(0)-desAr(9)-BK (10 nM)-induced inositol monophosphate formation in human fibroblast MRC5 with IC50 of 1.9 nM.
SSR240612 antagonizes des-Arg(9)-BK-induced contractions of isolated rabbit aorta and mesenteric plexus of rat ileum with a pA(2) of 8.9 and 9.4, respectively.
SSR240612 inhibits des-Arg(9)-BK-induced paw edema in mice (3 and 10 mg/kg p.o. and 0.3 and 1 mg/kg i.p.).
SSR240612 reduces capsaicin-induced ear edema in mice (0.3, 3 and 30 mg/kg p.o.) and tissue destruction and neutrophil accumulation in the rat intestine following splanchnic artery occlusion/reperfusion (0.3 mg/kg i.v.).
SSR240612 inhibits thermal hyperalgesia induced by UV irradiation (1 and 3 mg/kg p.o.) and the late phase of nociceptive response to formalin in rats (10 and 30 mg/kg p.o.).
SSR240612 (20 and 30 mg/kg p.o.) prevents neuropathic thermal pain induced by sciatic nerve constriction in the rat.

References

Dias JP, et al. Br J Pharmacol. 2007 Sep;152(2):280-7.

Gougat J, et al. J Pharmacol Exp Ther. 2004 May;309(2):661-9.

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