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Produktinformation

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Zuschläge

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- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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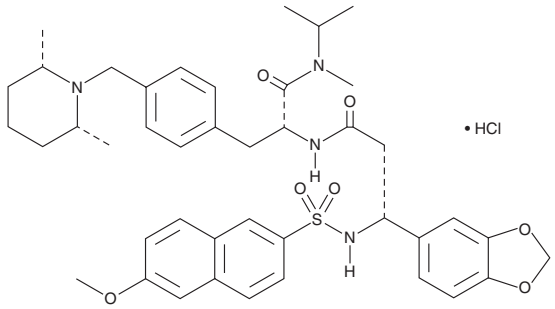
PRODUCT INFORMATION

SSR 240612

Item No. 25544

CAS Registry No.: 464930-42-5
Formal Name: (3R)-3-(1,3-benzodioxol-5-yl)-N-[(6-methoxy-2-naphthalenyl)sulfonyl]-β-alanyl-4-[[(2R,6S)-2,6-dimethyl-1-piperidinyl]methyl]-N-methyl-N-(1-methylethyl)-D-phenylalaninamide, monohydrochloride
MF: $C_{42}H_{52}N_4O_7S \bullet HCl$
FW: 793.4
Purity: ≥95%
UV/Vis.: λ_{max}: 241, 286 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

SSR 240612 is supplied as a crystalline solid. A stock solution may be made by dissolving the SSR 240612 in the solvent of choice. SSR 240612 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of SSR 240612 in DMSO is approximately 25 mg/ml and approximately 30 mg/ml in ethanol and DMF.

SSR 240612 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SSR 240612 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. SSR 240612 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

SSR 240612 is a selective, non-peptide antagonist of the bradykinin B_1 receptor (K_is = 0.48-0.73 and 358-481 nM for B_1 and B_2 receptors, respectively).[1] It inhibits the contraction of rabbit aorta and rat ileum induced by the B_1 receptor agonist des-Arg^9-bradykinin (des-Arg^9-BK) *ex vivo* in a concentration-dependent manner. SSR 240612 (0.3 mg/kg) reduces tissue damage and neutrophil accumulation in a rat model of splanchnic artery occlusion/reperfusion-induced intestinal injury and inhibits des-Arg^9-BK-induced paw edema in mice when administered orally at doses of 3 and 10 mg/kg or intraperitoneally at doses of 0.3 and 1 mg/kg. SSR 240612 (10 mg/kg per day) reduces fibrosis in a unilateral ureteral obstruction mouse model of kidney fibrosis.[2] It also reduces mean arterial blood pressure in two rat models of hypertension when administered at doses of 5 and 10 mg/kg and reduces plasma glucose and insulin levels in a glucose-fed rat model of insulin resistance when administered at a dose of 10 mg/kg per day.[3,4] SSR 240612 also exhibits analgesic properties in several rodent models of hyperalgesia.[1]

References

1. Gougat, J., Ferrari, B., Sarran, L., *et al. J. Pharmacol. Exp. Ther.* **309(2)**, 661-669 (2004).
2. Huart, A., Klein, J., Gonzalez, J., *et al. Front. Pharmacol.* **6:8**, (2015).
3. De Brito Gariepy, H., Carayon, P., Ferrari, B., *et al. Neuropeptides* **44(2)**, 191-198 (2010).
4. Dias, J.P. and Couture, R. *Diabetes Obes. Metab.* **14(3)**, 244-253 (2012).

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