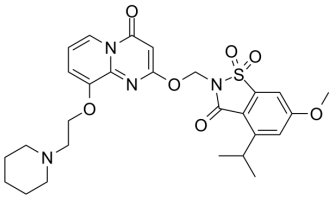
SSR69071

Cat. No.:	HY-103445
CAS No.:	344930-95-6
Molecular Formula:	$C_{27}H_{32}N_4O_7S$
Molecular Weight:	556.63
Target:	Elastase
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

SSR69071 is a potent, orally active and selective inhibitor of neutrophil elastase. SSR69071 reduces myocardial infarct size following ischemia-reperfusion injury[1]. SSR69071 displays a higher affinity for human elastase (K_i=0.0168 nM) than for rat (K_i=3 nM), mouse (K_i=1.8 nM), and rabbit (K_i= 58 nM) elastases[2].

In Vitro

SSR69071 is a potent inhibitor of human leukocyte elastase (HLE), with the inhibition constant (K_i) and the constant for inactivation process (k_{on}) being 0.0168±0.0014 nM and 0.183±0.013 10^6/mol sr, respectively[2].
SSR69071 is a potent, competitive and slow tight binding inhibitor of HLE in vitro with a K_i value of 16.8 pM[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

SSR69071 (3 mg/kg i.v.) reduces cardiac infarct size when administered before ischemia or just prior to reperfusion[1].

Treatment with SSR69071 (3 mg/kg i.v.) just prior to reperfusion significantly reduces cardiac elastase activity[1].
Bronchoalveolar lavage fluid from mice orally treated with SSR69071 inhibits HLE (ex vivo), and in this model, SSR69071 has a dose-dependent efficacy with an ED_{50}=10.5 mg/kg p.o. SSR69071 decreases significantly the acute lung hemorrhage induced by HLE (ED_{50}=2.8 mg/kg p.o.) in mice[2]. SSR69071 prevents carrageenan- (ED_{30}=2.2 mg/kg) and HLE-induced (ED_{30} =2.7 mg/kg) paw edema in rats after p.o. administration[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male New Zealand white rabbits weighing 2-3 kg[1]
Dosage:	3 mg/kg (dissolved in methane sulphonic acid before being diluted in 0.9% saline)
Administration:	Administered i.v.
Result:	Treatment just prior to reperfusion significantly reduced cardiac elastase activity.

REFERENCES

[1]. Jean-Pierre Bidouard, et al. SSR69071, an elastase inhibitor, reduces myocardial infarct size following ischemia-reperfusion injury. Eur J Pharmacol. 2003 Feb 7;461(1):49-52.

[2]. Zoltan Kapui, et al. Biochemical and pharmacological characterization of 2-(9-(2-piperidinoethoxy)-4-oxo-4H-pyrido[1,2-a]pyrimidin-2-yloxymethyl)-4-(1-methylethyl)-

6-methoxy-1,2-benzisothiazol-3(2H)-one-1,1-dioxide (SSR69071), a novel, orally active elastase inhibitor. J Pharmacol Exp Ther. 2003 May;305(2):451-9.

[3]. Márton Varga, et al. A novel orally active inhibitor of HLE. Eur J Med Chem. 2003 Apr;38(4):421-5.

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