上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：SB290157 (trifluoroacetate)
产品别名：SB290157 trifluoroacetate

生物活性：

Description	SB290157 trifluoroacetate is a potent and selective C3a receptor antagonist with an IC50 of 200 nM.
IC_{50} & Target	IC50: 200 nM (C3a)[1]
In Vitro	SB 290157, functions as a competitive antagonist of ^{125}I-C3a radioligand binding to rat basophilic leukemia-2H3 cells expressing the human C3aR (RBL-C3aR), with an IC_{50} of 200 nM. SB 290157 blocks C3a-induced C3aR internalization in a concentration-dependent manner and C3a-induced Ca^{2+} mobilization in RBL-C3aR cells and human neutrophils with IC_{50}s f 27.7 and 28 nM, respectively. SB 290157 is selective for the C3aR in that it does not antagonize the C5aR or six other chemotactic G protein-coupled receptors. SB 290157 also inhibits C3a-induced Ca^{2+} mobilization of RBL-2H3 cells expressing the mouse and guinea pig C3aRs. It potently inhibits C3a-mediated ATP release from guinea pig platelets and inhibits C3a-induced potentiation of the contractile response to field stimulation of perfused rat caudal artery[1].
In Vivo	SB 290157, inhibits neutrophil recruitment in a guinea pig LPS-induced airway neutrophilia model and decreases paw edema in a rat adjuvant-induced arthritis model[1]. The antagonist is able to reduce joint swelling only at 3 h, and about 50% inhibition of joint swelling is observed with the concentration of 30 mg/kg. The C3 level is significantly decreased at 3 h compared with naive mice showing complement consumption. Furthermore, the C3 activation is observed and increased corresponding to the graded concentration of anti-OVA pAb[2].
Solvent&Solubility	***In Vitro:*** **DMSO : 125 mg/mL** (**237.41 mM;** Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8993 mL	9.4965 mL	18.9930 mL
	5 mM	0.3799 mL	1.8993 mL	3.7986 mL
	10 mM	0.1899 mL	0.9496 mL	1.8993 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.08 mg/mL (3.95 mM); Clear solution

此方案可获得 ≥ 2.08 mg/mL (3.95 mM，饱和度未知) 的澄清溶液。

	以 1 mL 工作液为例，取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (3.95 mM); Clear solution 此方案可获得 ≥ 2.08 mg/mL (3.95 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.08 mg/mL (3.95 mM); Clear solution 此方案可获得 ≥ 2.08 mg/mL (3.95 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Ames RS, et al. Identification of a selective nonpeptide antagonist of the anaphylatoxin C3areceptor that demonstrates antiinflammatory activity in animal models. J Immunol. 2001 May 15;166(10):6341-8. [2]. Hutamekalin P, et al. Effect of the C3a-receptor antagonist SB 290157 on anti-OVA polyclonalantibody-induced arthritis. J Pharmacol Sci. 2010;112(1):56-63.

实验参考：

Animal Administration	Rats: SB 290157 is administered b.i.d. at 30, 10, and 3 mg/kg i.p. in a final volume of 0.5 mL starting on the day of adjuvant injection. Cages are modified to allow the compromised animals free access to food and water. Control animals are given vehicle alone. Change in paw volume is presented as mean and SEM of 10-12 animals/group, and the percentage inhibition of hind paw edema is calculated[1]. Mice: Administration of SB 290157, a C3aR antagonist, (10 or 30 mg/kg) is injected i.p. two times, at 0 (right after OVA injection) and 2 h while 5% ethanol in PBS is used as a vehicle control. Joint swelling is measured using a dial thickness gauge before injection, at 0.5 h, and then every hour until 5 h after OVA injection[2].
References	[1]. Ames RS, et al. Identification of a selective nonpeptide antagonist of the anaphylatoxin C3areceptor that demonstrates antiinflammatory activity in animal models. J Immunol. 2001 May 15;166(10):6341-8. [2]. Hutamekalin P, et al. Effect of the C3a-receptor antagonist SB 290157 on anti-OVA polyclonalantibody-induced arthritis. J Pharmacol Sci. 2010;112(1):56-63.