SB290157 trifluoroacetate

Cat. No.: HY-101502A

CAS No.: 1140525-25-2

Molecular Formula: $C_{24}H_{29}F_3N_4O_6$

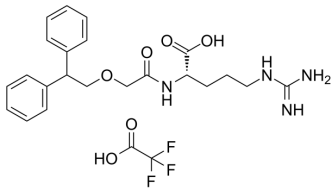
Molecular Weight: 526.51

Target: Complement System

Pathway: Immunology/Inflammation

Storage: -20°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (189.93 mM; Need ultrasonic)

Ethanol : 100 mg/mL (189.93 mM; Need ultrasonic)

Preparing Stock Solutions

Mass / Solvent / 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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 5 mg/mL (9.50 mM); Clear solution
2. Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (9.50 mM); Clear solution
3. Add each solvent one by one: 10% EtOH >> 90% corn oil
   Solubility: ≥ 5 mg/mL (9.50 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.95 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.95 mM); Clear solution
6. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.95 mM); Clear solution

BIOLOGICAL ACTIVITY

Description SB290157 trifluoroacetate is a potent and selective C3a receptor antagonist with an IC_{50} of 200 nM.

IC_{50} & Target	IC50: 200 nM (C3aR)[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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1][2]	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Am Soc Nephrol. 2022 Jul 1;ASN.2021101384.
- J Neuroinflammation. 2021 Feb 15;18(1):43.
- Cell Death Dis. 2021 Mar 26;12(4):327.
- Mat Sci Eng C-Mater. 2021, 111932.
- Int Immunopharmacol. 2020 Oct;87:106814.

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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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