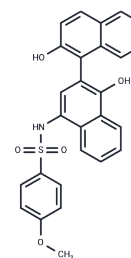


C188-9

Chemical Properties

CAS No. :	432001-19-9
Formula:	C27H21NO5S
Molecular Weight:	471.52
Storage:	Store under nitrogen Powder: -20°C for 3 years In solvent: -80°C for 1 year <small>Actual storage temperature shall be subject to the COA.</small>



Biological Description

Description	C188-9 (TTI-101) is an orally administered, highly selective STAT3 SH2 domain inhibitor with a Kd value of 4.7 nM. C188-9 induces apoptosis in AML cell lines and primary samples while inhibiting colony formation in primary AML cells. C188-9 is suitable for studies on tumors, inflammation, and immune evasion.
Targets(IC50)	Apoptosis,STAT
In vitro	<p>Methods: Primary cardiac fibroblasts (CFs) isolated from adult male C57BL/6 mouse hearts were pretreated with C188-9 (10 μM) for 12 h, followed by stimulation with TGF-β1 (10 ng/mL) for 24 h. qRT-PCR was used to detect mRNA levels of fibrosis marker genes: Col1a1, Col1a2, Col3a1, and α-SMA.</p> <p>Results: TGF-β1 significantly upregulated fibrosis gene and protein expression and increased p-STAT3. [1]</p> <p>Methods: Mouse C2C12 myotubes (differentiated for 4 days) were pretreated with C188-9 (10 μM) for 1 hour, then co-incubated with 5% (v/v) heat-inactivated plasma from third-degree burn mice for 48 hours. Western blot analysis detected MyHC, p-STAT3, STAT3, Atrogin-1, and MuRF1 protein levels.</p> <p>Results: C188-9 pretreatment significantly reversed burn plasma-induced myotube atrophy, restored myotube diameter and MyHC levels, and inhibited STAT3 activation and ubiquitin ligase expression.[3]</p>
In vivo	<p>Methods: Ten-week-old male C57BL/6 mice underwent cardiac injury and fibrosis induction via continuous subcutaneous infusion of ISO (20 mg/kg/day) using an osmotic pump, concurrently receiving intraperitoneal injections of C188-9 (50 mg/kg/day) once daily for 21 consecutive days.</p> <p>Results: C188-9 treatment significantly improved ISO-induced cardiac dysfunction, reduced cardiac weight index and injury markers, and markedly suppressed the increase in STAT3 phosphorylation in ISO-damaged cardiac tissue. [1]</p> <p>Methods: Adult male SD rats were subjected to acute ocular hypertension (AOH) by maintaining intraocular pressure at 110 mmHg for 1 hour via anterior chamber perfusion with saline. Three hours prior to AOH, C188-9 (10 mM) was vitreally injected at 5 μL/eye. Immediately after AOH, C188-9 (1 μg/μL) was vitreally injected at 5 μL/eye. Animals were sacrificed 3 days post-AOH for RGC counting.</p> <p>Results: C188-9 pretreatment significantly reversed the protective effect of LIF, resulting in significantly lower RGC density compared to the LIF+PBS group. [2]</p>

In vivo	<p>Methods: Male C57BL/6 mice (12–16 weeks old) received second- or third-degree thermal burns covering 20% of the body surface area on the dorsal region. Intraperitoneal injections of C188-9 (50 mg/kg/day) commenced 1 hour post-burn and were administered every 24 hours for 3 days. Relevant parameters were assessed 3 days post-burn.</p> <p>Results: C188-9 significantly ameliorated burn-induced weight loss, muscle atrophy, and grip strength decline while inhibiting STAT3 phosphorylation and Atrogin-1/MuRF1 upregulation. [3]</p>
---------	--

Solubility Information

Solubility	DMSO: 262.5 mg/mL (556.71 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 6 mg/mL (12.72 mM), Solution. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1208 mL	10.604 mL	21.208 mL
5 mM	0.4242 mL	2.1208 mL	4.2416 mL
10 mM	0.2121 mL	1.0604 mL	2.1208 mL
50 mM	0.0424 mL	0.2121 mL	0.4242 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- Liu J, et al. C188-9 reduces TGF- β 1-induced fibroblast activation and alleviates ISO-induced cardiac fibrosis in mice. *FEBS Open Bio*. 2021 Jul;11(7):2033–2040.
- Gu H, Chen C, Hao X, et al. MDH1-mediated malate-aspartate NADH shuttle maintains the activity levels of fetal liver hematopoietic stem cells. *Blood*. 2020, 136(5): 553–571.
- He, Qiu-Rui, et al. The natural product trienomycin A is a STAT3 pathway inhibitor that exhibits potent in vitro and in vivo efficacy against pancreatic cancer. *British Journal of Pharmacology*. 178.12 (2021): 2496–2515.
- Lv J, et al. Protective effect of leukemia inhibitory factor on the retinal injury induced by acute ocular hypertension in rats. *Exp Ther Med*. 2022 Nov 22;25(1):19.
- Ono Y, et al. C188-9, a specific inhibitor of STAT3 signaling, prevents thermal burn-induced skeletal muscle wasting in mice. *Front Pharmacol*. 2022 Dec 16;13:1031906.
- Guan X, Yang J, Wang W, et al. Dual inhibition of MYC and SLC39A10 by a novel natural product STAT3 inhibitor derived from *Chaetomium globosum* suppresses tumor growth and metastasis in gastric cancer. *Pharmacological Research*. 2023: 106703.
- Gu H, Chen C, Hao X, et al. MDH1-mediated malate-aspartate NADH shuttle maintains the activity levels of fetal liver hematopoietic stem cells[J]. *Blood*. 2021, 137(11): 1478–1490.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481