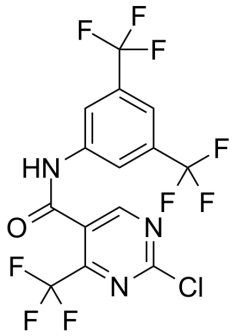
SP-100030

Cat. No.:	HY-110177
CAS No.:	154563-54-9
Molecular Formula:	$C_{14}H_5ClF_9N_3O$
Molecular Weight:	437.65
Target:	NF-κB
Pathway:	NF-κB

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (114.25 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2849 mL	11.4247 mL	22.8493 mL
5 mM	0.4570 mL	2.2849 mL	4.5699 mL
10 mM	0.2285 mL	1.1425 mL	2.2849 mL

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

BIOLOGICAL ACTIVITY

Description

SP-100030 is a potent NF-κB and activator protein-1 (AP-1) double inhibitor (IC_{50}s=50 and 50 nM, respectively). SP-100030 inhibits IL-2, IL-8, and TNF-alpha production in Jurkat and other T cell lines. SP-100030 decreases murine collagen-induced arthritis (CIA)[1][2].

In Vitro

SP-100030 blocks the production of IL-2 and IL-8 in Jurkat T-cells at the same concentrations as seen in the luciferase assay (IC_{50}≈30 nM)[1].

ELISA and RT-PCR confirmed that IL-2, IL-8, and TNF-alpha production by activated Jurkat and other T cell lines were inhibited by SP100030[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

SP100030 (10 mg/kg/day; i.p.; day 21 to day 34) significantly decreased arthritis severity[2].

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Animal Model:	Six- to 8-wk-old male DBA/1J mice (immunized with type II collagen)[2]

Dosage:	10 mg/kg
Administration:	I.p.; daily, starting at day 21 to the end of the study on day 34
Result:	Significantly decreased arthritis scores compared with controls; Histologic evaluation of the paws from mice treated from day 20 to 34 showed a trend toward decreased inflammation.

REFERENCES

[1]. Sullivan RW, et al. 2-Chloro-4-(trifluoromethyl)pyrimidine-5-N-(3',5'- bis(trifluoromethyl)phenyl)-carboxamide: a potent inhibitor of NF-kappa B- and AP-1-mediated gene expression identified using solution-phase combinatorial chemistry. J Med Chem. 1998;4

[2]. Gerlag DM, et al. The effect of a T cell-specific NF-kappa B inhibitor on in vitro cytokine production and collagen-induced arthritis. J Immunol. 2000;165(3):1652-1658.

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