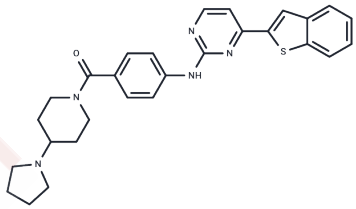
Data Sheet (Cat.No.T6176)

TargetMol

IKK 16

Chemical Properties



CAS No. : 873225-46-8

Formula: C28H29N5OS

Molecular Weight: 483.63

Appearance: no data available

Storage: store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	IKK 16 (IKK Inhibitor VII) is a selective IκB kinase (IKK) inhibitor for IKK-2, IKK complex and IKK-1 with IC50 of 40 nM, 70 nM and 200 nM, respectively.
Targets(IC50)	IκB/IKK,LRRK2
In vitro	IKK-16 inhibits TNFα-stimulated expression of the adhesion molecules E-selectin, ICAM-1, and VCAM-1 in HUVEC cells. Although IKK-16 shows activity in the IFNγ-induced expression of β2 microglobulin or HLA-DR, its potency in these assays is 4- to 10-fold weaker. [1]
In vivo	IKK-16 is orally bio-available in rats and mice, and it inhibits LPS-induced TNF-α release in vivo and neutrophil extravasion in thioglycollate-induced peritonitis.[1]
Cell Research	IKK 16 is prepared in DMSO and stored, and then diluted with appropriate medium before use[2]. Human umbilical vein vascular endothelial cells line (HUVECs) are cultured in DMEM supplemented with 10% fetal bovine serum, streptomycin, and penicillin. The cells is grown at 37°C in a humidified 5% CO2 atmosphere. Cells are pretreated with IKK 16 (10 μmol/mL) for 1 hr. The cells are then cultured with TGF-β1 (20 ng/mL) and AT-RvD1 (20 ng/mL). After incubation, the gene expressions of Nrf2 and Smad7 are determined by RT-PCR. The protein expression of Nrf2 and IκBα are determined by Western blot[2].
Animal Research	IKK 16 is prepared in DMSO and diluted with saline or PBS.Rats and MiceIKK 16 is tested in two animal models. First, its efficacy to inhibit TNFα release into plasma upon LPS-challenge in the rat is determined. IKK 16 is dosed sc (30 mg/kg) or orally (30 mg/kg) 1 h prior to the LPS-challenge. Four hours after the challenge, plasma is collected and the systemic TNFα levels are analyzed using a commercially available ELISA kit. Both routes of administration of IKK 16 at the indicated dose results in a significant inhibition of 86% (sc) and 75% (p.o.). In a second experiment, IKK 16 is also active in the thioglycollate-induced peritonitis model in the mouse. The maximal inhibition of neutrophil extravasation in this model is about 50% at a dose of 10 mg/kg sc. MiceTwo-month-old male C57BL/6 mice receive LPS (9 mg/kg body weight) and PepG (3 mg/kg body weight) in 0.9% saline (5 mL/kg body weight) intraperitoneally. Sham mice are not subjected to LPS/PepG, but are otherwise treated the same way. At 1 hour after LPS/PepG co-administration, mice are treated either with IKK 16 (1 mg/kg body weight i.v.) or vehicle (5 mL/kg body weight 10% DMSO i.v.). At 24 hours the experiment is terminated and

organ and blood samples are collected for quantification of organ dysfunction and/or injury. Mice are randomly allocated into four different groups: (1) sham+vehicle (n=10); (2) sham+IKK 16 (n=3); (3) LPS/PepG+vehicle (n=9); (4) LPS/PepG+IKK 16 (n=10).They are for reference only.

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 90 mg/mL (186.09 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0677 mL	10.3385 mL	20.677 mL
5 mM	0.4135 mL	2.0677 mL	4.1354 mL
10 mM	0.2068 mL	1.0338 mL	2.0677 mL
50 mM	0.0414 mL	0.2068 mL	0.4135 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.

Reference

Waelchli R, et al. Bioorg Med Chem Lett, 2006, 16(1), 108-112.