Product Data Sheet

TPCA-1

Cat. No.:	A4602
CAS No.:	507475-17-4
Formula:	C12H10FN3O2S
M.Wt:	279.29
Synonyms:	
Target:	Immunology/Inflammation
Pathway:	IκB/IKK
Storage:	Desiccate at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾13.95 mg/mL in DMSO; ⩾2.53 mg/mL in EtOH with gentle warming and ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	3.5805 mL	17.9025 mL	35.8051 mL
5 mM	0.7161 mL	3.5805 mL	7.1610 mL
10 mM	0.3581 mL	1.7903 mL	3.5805 mL

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

Biological Activity

Shortsummary	IKK-2 inhibitor,potent and selective
IC_{50} & Target	17.9 nM (IKK-2), 0.4 μM (IKK-1)

In Vitro

Cell Viability Assay

Cell Line:	Human Monocytes
Preparation method：	The solubility of this compound in DMSO is >14mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	0.1, 0.3, 1, 3 and 10 μM; 30 min

	Applications:	In human peripheral blood monocytes, TPCA-1 inhibited the production of TNF-α, IL-6, and IL-8 induced by LPS in a concentration-dependent way with IC50 values of 170, 290, and 320 nM, respectively.
In Vivo	**Animal experiment**	
	Animal models:	collagen-immunized/boosted DBA/1 mice
	Dosage form:	3, 10, or 20 mg/kg, i.p., b.i.d., from days 1 to 48.
	Applications:	In collagen-immunized/boosted DBA/1 mice, TPCA-1 (20 or 10 mg/kg) dose-dependently reduced the severity of arthritis, resulting in a significantly decreased mean clinical score and delaying time to onset of disease. TPCA-1 (10 mg/kg, i.p., b.i.d.) also inhibited p65 nuclear localization and paw tissue levels of IL-1β, IL-6, TNF-α, and IFN-γ.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Robert J. Posont. "The Role of Inflammatory Pathways in Development, Growth, and Metabolism of Skeletal Muscle in IUGR Offspring; Blood Gene Expression of Inflammatory Factors as Novel Biomarkers for Assessing Stress and Wellbeing in Exotic Species." University of Nebraska-Lincoln. 2019.

2. Robeson AC, Lindblom KR, et al. "Dimer-specific immunoprecipitation of active caspase-2 identifies TRAF proteins as novel activators." EMBO J. 2018 Jun 6. pii: e97072.PMID:29875129

3. Kwon Y, Choi SK, et al. "Involvement of inhibitor kappa B kinase 2 (IKK2) in the regulation of vascular tone." Lab Invest. 2018 May 21.PMID:29785049

See more customer validations on www.apexbt.com.

References

[1] Podolin PL, Callahan JF, Bolognese BJ, Li YH, Carlson K, Davis TG, Mellor GW, Evans C, Roshak AK. Attenuation of murine collagen-induced arthritis by a novel, potent, selective small molecule inhibitor of IkappaB Kinase 2, TPCA-1 (2-[(aminocarbonyl)amino]-5-(4-fluorophenyl)-3 -thiophenecarboxamide), occurs via reduction of proinflammatory cytokines and antigen-induced T cell Proliferation. J Pharmacol Exp Ther. 2005 Jan;312(1):373-81.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt

of the product, follow the storage recommendations on the product data sheet.

APExBIO Technology
www.apexbt.com
7505 Fannin street, Suite 410, Houston, TX 77054.
Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com