

Product Name: TPCA-1 Revision Date: 01/10/2021 **Product Data Sheet** 

# **TPCA-1**

TPCA-1	-10				
Cat. No.:	A4602	H <sub>2</sub> N_O			
CAS No.:	507475-17-4	HN HN			
Formula:	C12H10FN3O2S	S S			
M.Wt:	279.29	H <sub>2</sub> N			
Synonyms:					
Target:	Immunology/Inflammation				
Pathway:	lκB/IKK				
Storage:	Desiccate at -20°C				
	810	819			
Solvent & S	Solvent & Solubility				
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	insoluble in H2O; $\geq$ 13.95 mg/mL in DMSO; $\geq$ 2.53 mg/mL in EtOH with gentle warming and ultrasonic				and ultrasonic
In Vitro	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 <sub>50</sub> & 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	
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	Applications:	In human peripheral blood monocytes, TPCA-1 inhibited the production of		
		TNF- $\alpha$ , IL-6, and IL-8 induced by LPS in a concentration-dependent way with		
		IC50 values of 170, 290, and 320 nM, respectively.		
	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.		
In Vivo	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.

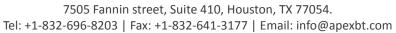
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of the product, follow the storage recommendations on the product data sheet.





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