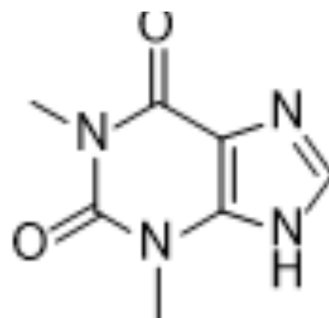


Theophylline

Cat. No.:	HY-B0809												
CAS No.:	58-55-9												
Molecular Formula:	C ₇ H ₈ N ₄ O ₂												
Molecular Weight:	180.16												
Target:	Adenosine Receptor; Phosphodiesterase (PDE); Endogenous Metabolite; HDAC; Apoptosis; Interleukin Related; TNF Receptor												
Pathway:	GPCR/G Protein; Metabolic Enzyme/Protease; Cell Cycle/DNA Damage; Epigenetics; Apoptosis; Immunology/Inflammation												
Storage:	<table border="0"> <tr> <td>Powder</td> <td>-20°C</td> <td>3 years</td> </tr> <tr> <td></td> <td>4°C</td> <td>2 years</td> </tr> <tr> <td>In solvent</td> <td>-80°C</td> <td>6 months</td> </tr> <tr> <td></td> <td>-20°C</td> <td>1 month</td> </tr> </table>	Powder	-20°C	3 years		4°C	2 years	In solvent	-80°C	6 months		-20°C	1 month
Powder	-20°C	3 years											
	4°C	2 years											
In solvent	-80°C	6 months											
	-20°C	1 month											



SOLVENT & SOLUBILITY

In Vitro

DMSO : 11.11 mg/mL (61.67 mM; Need ultrasonic)
 H₂O : 5 mg/mL (27.75 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	5.5506 mL	27.7531 mL	55.5062 mL
	5 mM	1.1101 mL	5.5506 mL	11.1012 mL
	10 mM	0.5551 mL	2.7753 mL	5.5506 mL

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

In Vivo

- Add each solvent one by one: PBS
Solubility: 11 mg/mL (61.06 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 1.11 mg/mL (6.16 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 1.11 mg/mL (6.16 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 1.11 mg/mL (6.16 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Theophylline (1,3-Dimethylxanthine) is a potent phosphodiesterase (PDE) inhibitor, adenosine receptor antagonist, and histone deacetylase (HDAC) activator. Theophylline (1,3-Dimethylxanthine) inhibits PDE3 activity to relax airway smooth

muscle. Theophylline (1,3-Dimethylxanthine) has anti-inflammatory activity by increase IL-10 and inhibit NF- κ B into the nucleus. Theophylline (1,3-Dimethylxanthine) induces apoptosis. Theophylline (1,3-Dimethylxanthine) can be used for asthma and chronic obstructive pulmonary disease (COPD) research^{[1][2][3][4][5]}.

IC ₅₀ & Target	IL-10	IL-6	PDE3	Human Endogenous Metabolite																
In Vitro	<p>Theophylline (1,3-Dimethylxanthine) (1-1000 μM) inhibits cAMP hydrolysis by PDE in homogenates of bronchial tissue to relax human bronchus and pulmonary arteries^[1].</p> <p>Theophylline (1,3-Dimethylxanthine) (10 μg/mL; 24 h; eosinophils) induces apoptosis through a reduction in the antiapoptotic protein Bcl-2^[2].</p> <p>Theophylline (1,3-Dimethylxanthine) (0-500 μM; 2 h; A549 cells) inhibits NF-κB activation, I kappa B alpha (I-κBα) degradation and decreases the level of IL-6 in a concentration-dependent manner^[3].</p> <p>Theophylline (1,3-Dimethylxanthine) (0-1000 μM; 30 min; A549 cells) induces histone deacetylase activity to decrease inflammatory gene expression^[4].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Western Blot Analysis^[3]</p> <table border="1" data-bbox="347 730 1515 995"> <tr> <td>Cell Line:</td> <td>A549 cells</td> </tr> <tr> <td>Concentration:</td> <td>0, 20, 100 and 500 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>2 hours</td> </tr> <tr> <td>Result:</td> <td>Decreased the expression of NF-κB p65 and I-κBα degradation in a concentration-dependent manner.</td> </tr> </table> <p>Western Blot Analysis^[2]</p> <table border="1" data-bbox="347 1066 1515 1297"> <tr> <td>Cell Line:</td> <td>Eosinophils</td> </tr> <tr> <td>Concentration:</td> <td>10 μg/mL</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours</td> </tr> <tr> <td>Result:</td> <td>Decreased the expression of Bcl-2.</td> </tr> </table>				Cell Line:	A549 cells	Concentration:	0, 20, 100 and 500 μ M	Incubation Time:	2 hours	Result:	Decreased the expression of NF- κ B p65 and I- κ B α degradation in a concentration-dependent manner.	Cell Line:	Eosinophils	Concentration:	10 μ g/mL	Incubation Time:	24 hours	Result:	Decreased the expression of Bcl-2.
Cell Line:	A549 cells																			
Concentration:	0, 20, 100 and 500 μ M																			
Incubation Time:	2 hours																			
Result:	Decreased the expression of NF- κ B p65 and I- κ B α degradation in a concentration-dependent manner.																			
Cell Line:	Eosinophils																			
Concentration:	10 μ g/mL																			
Incubation Time:	24 hours																			
Result:	Decreased the expression of Bcl-2.																			
In Vivo	<p>Theophylline (1,3-Dimethylxanthine) (100 mg/kg; i.p.; daily, for 9 d; male Swiss mice) has anti-inflammatory activity in mice and increases IL-6 and IL-10 levels and inhibits TNF-α and NO^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" data-bbox="347 1465 1515 1696"> <tr> <td>Animal Model:</td> <td>Male Swiss mice^[1]</td> </tr> <tr> <td>Dosage:</td> <td>100 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Intraperitoneal injection; daily, for 9 days</td> </tr> <tr> <td>Result:</td> <td>Increased IL-6 and IL-10 levels and inhibited TNF-α and NO.</td> </tr> </table>				Animal Model:	Male Swiss mice ^[1]	Dosage:	100 mg/kg	Administration:	Intraperitoneal injection; daily, for 9 days	Result:	Increased IL-6 and IL-10 levels and inhibited TNF- α and NO.								
Animal Model:	Male Swiss mice ^[1]																			
Dosage:	100 mg/kg																			
Administration:	Intraperitoneal injection; daily, for 9 days																			
Result:	Increased IL-6 and IL-10 levels and inhibited TNF- α and NO.																			

CUSTOMER VALIDATION

- Pharmacol Res Perspect. 2020 Apr;8(2):e00575.
- Eur J Drug Metab Pharmacokinet. 2022 Jun 22.

-
- Research Square Preprint. 2020 Oct.

See more customer validations on www.MedChemExpress.com

REFERENCES

- [1]. Rabe KF, et, al. Theophylline and selective PDE inhibitors as bronchodilators and smooth muscle relaxants. *Eur Respir J*. 1995 Apr;8(4):637-42.
- [2]. Németh ZH, et, al. Amrinone and theophylline differentially regulate cytokine and nitric oxide production in endotoxemic mice. *Shock*. 1997 May;7(5):371-5.
- [3]. Ichiyama T, et, al. Theophylline inhibits NF-kappa B activation and I kappa B alpha degradation in human pulmonary epithelial cells. *Naunyn Schmiedebergs Arch Pharmacol*. 2001 Dec;364(6):558-61.
- [4]. Ito K, et, al, Adcock IM, Barnes PJ. A molecular mechanism of action of theophylline: Induction of histone deacetylase activity to decrease inflammatory gene expression. *Proc Natl Acad Sci U S A*. 2002 Jun 25;99(13):8921-6.
- [5]. Barnes PJ. Theophylline. *Am J Respir Crit Care Med*. 2013 Oct 15;188(8):901-6.
-

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA