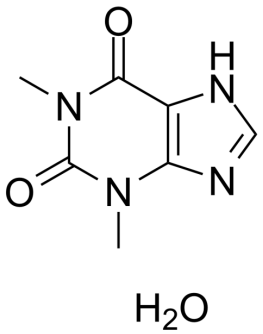
Theophylline monohydrate

Cat. No.:	HY-B0809A
CAS No.:	5967-84-0
Molecular Formula:	$C_7H_{10}N_4O_3$
Molecular Weight:	198.18
Target:	Phosphodiesterase (PDE); Adenosine Receptor; HDAC; Apoptosis; Interleukin Related; TNF Receptor; Endogenous Metabolite
Pathway:	Metabolic Enzyme/Protease; GPCR/G Protein; Cell Cycle/DNA Damage; Epigenetics; Apoptosis; Immunology/Inflammation
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Theophylline (1,3-Dimethylxanthine) monohydrate is a potent phosphodiesterase (PDE) inhibitor, adenosine receptor antagonist, and histone deacetylase (HDAC) activator. Theophylline (1,3-Dimethylxanthine) monohydrate inhibits PDE3 activity to relax airway smooth muscle. Theophylline (1,3-Dimethylxanthine) monohydrate has anti-inflammatory activity by increase IL-10 and inhibit NF-κB into the nucleus. Theophylline (1,3-Dimethylxanthine) monohydrate induces apoptosis. Theophylline (1,3-Dimethylxanthine) monohydrate can be used for asthma and chronic obstructive pulmonary disease (COPD) research[1][2][3][4][5].
IC_{50} & Target	Human Endogenous Metabolite
In Vitro	Theophylline (1,3-Dimethylxanthine) monohydrate (1-1000 μM) inhibits cAMP hydrolysis by PDE in homogenates of bronchial tissue to relax human bronchus and pulmonary arteries[1]. Theophylline (1,3-Dimethylxanthine) monohydrate (10 μg/mL; 24 h; eosinophils) induces apoptosis through a reduction in the antiapoptotic protein Bcl-2[2]. Theophylline (1,3-Dimethylxanthine) monohydrate (0-500 μM; 2 h; A549 cells) inhibits NF-κB activation, I kappa B alpha (I-κB α) degradation and decreases the level of IL-6 in a concentration-dependent manner[3]. Theophylline (1,3-Dimethylxanthine) monohydrate (0-1000 μM; 30 min; A549 cells) induces histone deacetylase activity to decrease inflammatory gene expression[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[3]

Cell Line:	A549 cells
Concentration:	0, 20, 100 and 500 μM
Incubation Time:	2 hours
Result:	Decreased the expression of NF-κB p65 and I-κBα degradation in a concentration-dependent manner.

Western Blot Analysis[2]

Cell Line:	Eosinophils

Concentration:	10 μg/mL
Incubation Time:	24 hours
Result:	Decreased the expression of Bcl-2.

In Vivo

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].

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

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.

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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]. Chung IY, et, al. The downregulation of Bcl-2 expression is necessary for theophylline-induced apoptosis of eosinophil. Cell Immunol. 2000 Aug 1;203(2):95-102.

[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.

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