Product Data Sheet

Product Name: Theophylline
Cat. No.: GN10659

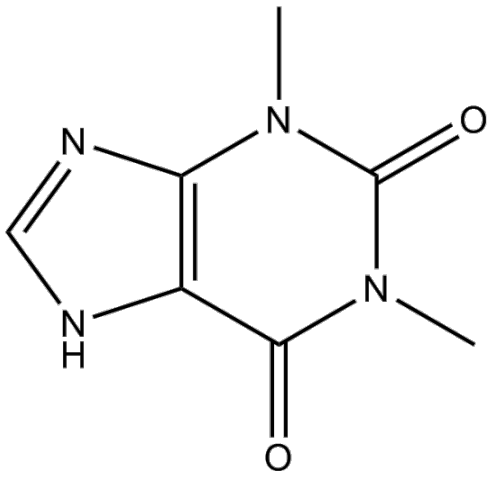
Chemical Properties

Cas. No.	58-55-9		
Chemical Name	1,3-dimethyl-7H-purine-2,6-dione		
SMILES	CN1C2=C(C(=O)N(C1=O)C)NC=N2		
Formula	$C_7H_8N_4O_2$	M.Wt	180.16
Solubility	≥ 22.53mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Structure



Protocol

Cell experiment [1]:

Cell lines	A549
Preparation Method	Cells were exposed to 2ng/ml of TNF-α with or without pretreatment with 20, 100 or 500μM Theophylline for 2h.
Reaction Conditions	20, 100 and 500μM; 2h

Product Data Sheet

Applications	Pretreatment with Theophylline decreased the expression of NF-κB p65 in a concentration-dependent manner. The chloramphenicol acetyltransferase (CAT) activity was significantly inhibited in cells pretreated with Theophylline in a concentration-dependent manner. Pretreatment with Theophylline significantly inhibited the production of IL-6 in a concentration-dependent manner.

Animal experiment [2]:

Animal models	Male Swiss mice
Preparation Method	Animals were injected i.p. with drug vehicle, Theophylline (100mg/kg), or amrinone (100mg/kg), followed by an i.p. LPS challenge (20mg/kg) 30min later. Blood was taken at 6, 10, and 24h after LPS injection to test plasma nitrite/nitrate levels.
Dosage form	100mg/kg; i.p.; once
Applications	In response to LPS (20mg/kg; i.p.), a marked elevation of plasma nitrite/nitrate levels was seen at 6 and 10h following LPS challenge. Pretreatment of animals with amrinone (100mg/kg) or Theophylline (100mg/kg) significantly blunted this LPS-induced nitrite/nitrate response.

References:
[1] Ichiyama, T et al. “Theophylline inhibits NF-kappa B activation and I kappa B alpha degradation in human pulmonary epithelial cells.” Naunyn-Schmiedeberg's archives of pharmacology vol. 364,6 (2001): 558-61.
[2] Németh, Z H et al. “Amrinone and theophylline differentially regulate cytokine and nitric oxide production in endotoxemic mice.” Shock (Augusta, Ga.) vol. 7,5 (1997): 371-5.

Background

Theophylline is a nonspecific phosphodiesterase (PDE) inhibitor, adenosine receptor antagonist, and histone deacetylase (HDAC) activator. Theophylline promotes bronchodilation by inhibiting PDE3,

Product Data Sheet

thereby treating respiratory diseases; and exerts anti-inflammatory effects by inhibiting PDE4 and the activation of HDAC-2, reversing corticosteroid resistance, for the treatment of asthma and chronic obstructive pulmonary disease (COPD)[1].

In vitro, Theophylline (20, 100, and 500μM; 2h) inhibited IκBα protein degradation, NF-κB activation, and the production of pro-inflammatory cytokines in A549 cells in a concentration-dependent manner[2]. Theophylline (10μg/mL; 24h) reduced the level of the anti-apoptotic protein Bcl-2 and induced apoptosis in eosinophils[3].

In vivo, treatment with Theophylline (100mg/kg; i.p.), followed 30min later by an LPS challenge (20mg/kg; i.p.), significantly blunted the LPS-induced increase in nitrite/nitrate levels in the plasma of mice[3]. Treatment with Theophylline (100mg/kg; i.p.), followed 30min later by an LPS challenge (5mg/kg; i.p.) enhanced LPS-induced plasma IL-6 and IL-10 levels in mice during the whole response[3].

References:
[1] Barnes, Peter J. “Theophylline.” American journal of respiratory and critical care medicine vol. 188,8 (2013): 901-6.
[2] Ichiyama, T et al. “Theophylline inhibits NF-kappa B activation and I kappa B alpha degradation in human pulmonary epithelial cells.” Naunyn-Schmiedeberg's archives of pharmacology vol. 364,6 (2001): 558-61.
[3] Németh, Z H et al. “Amrinone and theophylline differentially regulate cytokine and nitric oxide production in endotoxemic mice.” Shock (Augusta, Ga.) vol. 7,5 (1997): 371-5.

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA