Tibenelast sodium

Cat. No.: HY-101705

CAS No.: 105102-18-9

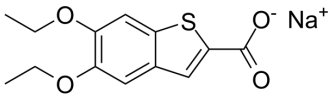
Molecular Formula: $C_{13}H_{13}NaO_4S$

Molecular Weight: 288.29

Target: Phosphodiesterase (PDE)

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (173.44 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.4687 mL	17.3436 mL	34.6873 mL
	5 mM	0.6937 mL	3.4687 mL	6.9375 mL
	10 mM	0.3469 mL	1.7344 mL	3.4687 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.67 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (7.21 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (7.21 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tibenelast sodium is a phosphodiesterase inhibitor.

IC_{50} & Target

phosphodiesterase[1]

In Vitro

The effect of the phosphodiesterase inhibitor Tibenelast, and placebo on isoproterenol-induced changes in heart rate, cAMP and norepinephrine levels in normal male volunteers is studied. Tibenelast increases the heart rate response to isoproterenol infusion, whereas norepinephrine and cAMP levels are not different in any treatment[1].

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

In Vivo	Tibenelast is a potent orally active compound against anaphylactic shock induced by high dose antigen aerosol. When a lower aerosol challenge (0.05 mg/mL) is employed, bronchoconstriction is observed with a concomitant increase in lung resistance (RL) and a fall in dynamic compliance (Cdyn). Tibenelast at 25 mg/kg p.o. prevents these changes. Tibenelast is 10 times more potent than Aminophylline by i.v. administration; normalization of pulmonary function is achieved at 1 mg/kg i.v. Tibenelast is synergistic with epinephrine. The oral dose response curve of Tibenelast is enhanced with the co-administration of epinephrine. Tibenelast may be of significant value in the treatment of asthma and other respiratory diseases[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Schwertschlag US, et al. Effect of tibenelast (a phosphodiesterase inhibitor) and theophylline on isoproterenol-stimulated heart rate, cyclic AMP and norepinephrine levels. Pharmacology. 1993;46(3):142-7.

[2]. Ho PP, et al. Tibenelast, 5,6-diethoxybenzo(B)thiophene-2-carboxylic acid, sodium salt (LY186655), is an orally active anti-asthma compound in the guinea pig. Life Sci. 1990;46(13):917-25.

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

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