Product Data Sheet

Product Name: Timegadine (SR1368)
Cat. No.: GC31965

Chemical Properties

Cas. No.	71079-19-1		
SMILES	CC1=NC2=CC=CC=C2C(/N=C(NC3CCCCC3)/NC4=NC=CS4)=C1		
Formula	$C_{20}H_{23}N_5S$	M.Wt	365.5
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Timegadine (SR1368)		

Protocol

Animal experiment:

Female inbred Lewis rats (body weight ~150 g) are used in adjuvant arthritis and experimental allergic encephalomyelitis experiments. Paw volume is determined by mercury displacement plethismometer. Timegadine is administered orally in a volume of 1 mL/kg body weight, suspended in 0.5% carboxymethylcellulose[1].

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References:

[1]. George S, et al. The influence of food intake on the bioavailability of timegadine, a novel non-steroidal anti-inflammatory drug. Br J Clin Pharmacol. 1983 Apr;15(4):495-8.
[2]. Ahnfelt-Rønne I, et al. A new antiinflammatory compound, timegadine (N-cyclohexyl-N"-4-[2-methylquinolyl]-N'-2-thiazolylguanidine), which inhibits both prostaglandin and 12-hydroxyeicosatetraenoic acid (12-HETE) formation. Biochem Pharmacol. 1980 Dec;29(24):3265-9.

Background

Timegadine, a new antiinflammatory agent, is found to be a potent, competitive inhibitor of cyclo-oxygenase (COX) and lipo-oxygenase, with IC50s ranging from 5 nM (washed rabbit platelets) to 20 μM (rat brain) for COX and 100 μM for lipo-oxygenase both in the cytosol fraction of horse platelet homogenates, and in washed rabbit platelets.

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Timegadine, a new antiinflammatory agent, is found to be a potent, competitive inhibitor of prostaglandin synthetase which also inhibits cyclo-oxygenase (COX) and lipoxygenase. Daily oral doses of 10 to 30 mg/kg of Timegadine significantly inhibit both the primary and secondary lesions of adjuvant arthritis when the treatment is initiated on the day of the disease induction and continues for 28 days. Timegadine is able specifically to prevent the development of the swelling of the non-injected paw until 28 days after the adjuvant injection when administered for 5 days prior to and 5 days after

the induction of the disease, in analogy with the effect of cyclophosphamide[1].

[1]. George S, et al. The influence of food intake on the bioavailability of timegadine, a novel non-steroidal anti-inflammatory drug. Br J Clin Pharmacol. 1983 Apr;15(4):495-8.
[2]. Ahnfelt-R?nne I, et al. A new antiinflammatory compound, timegadine (N-cyclohexyl-N"-4-[2-methylquinolyl]-N'-2-thiazolylguanidine), which inhibits both prostaglandin and 12-hydroxyeicosatetraenoic acid (12-HETE) formation. Biochem Pharmacol. 1980 Dec;29(24):3265-9.

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