Timegadine

Cat. No.: HY-100125

CAS No.: 71079-19-1

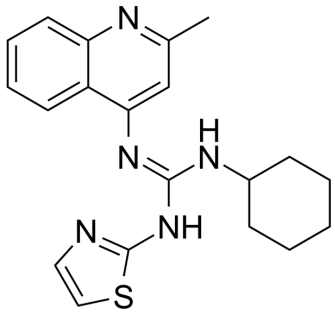
Molecular Formula: $C_{20}H_{23}N_5S$

Molecular Weight: 365.5

Target: COX

Pathway: Immunology/Inflammation

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Timegadine, a new antiinflammatory agent, is found to be a potent, competitive inhibitor of cyclo-oxygenase (COX) and lipo-oxygenase, with IC_{50}s 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.		
IC_{50} & Target	COX 5 nM (IC_{50}, in rabbit platelets)	COX 20 μM (IC_{50}, in rat brain)	lipo-oxygenase 100 μM (IC_{50}, in horse and washed rabbit platelets)
In Vitro	Timegadine, a new antiinflammatory agent, is found to be a potent, competitive inhibitor of of COX and lipo-oxygenase, with IC_{50}s 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[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.		
In Vivo	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.		

PROTOCOL

Animal Administration [1]	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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