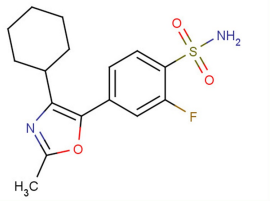
Data Sheet (Cat.No.T15630)

TargetMol
A DRUG SCREENING EXPERT

Tilmacoxib

Chemical Properties



CAS No.:	180200-68-4
Formula:	C16H19FN2O3S
Molecular Weight:	338.4
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Tilmacoxib is a highly selective, time-dependent, and irreversible inhibitor of human COX-2 (IC50: 85 nM in an enzyme assay).
Targets(IC_{50})	Human COX-2: 85 nM
In vitro	Tilmacoxib is less potent at inhibiting calcium ionophore-induced thromboxane B2 production in washed human platelets (COX-1) (IC50=6.21 μM). Tilmacoxib inhibits yeast-expressed human recombinant COX-2 (IC50: 0.085 μM), in an enzyme assay. Tilmacoxib does not inhibit human COX-1 prepared from human platelets at concentrations up to 100 μM. Tilmacoxib diminishes lipopolysaccharide-induced prostaglandin E2 production in human peripheral blood mononuclear cells (COX-2) (IC50=15.1 nM), in a cell-based assay. Tilmacoxib displays highly selective inhibition of human COX-2, and its activity is more selective than that of other COX-2 inhibitors (NS-398 and SC-58635). Human recombinant COX-2 activity is attenuated by Tilmacoxib in a dose-dependent and time-dependent manner [1]. Inhibition of proliferation of gastric epithelial cells by Tilmacoxib is also mediated by a PGE2-independent pathway Combination of Tilmacoxib and Arachidonic acid results in marked retardation of wound healing compared to the control, but Tilmacoxib does not completely suppress the increase in cellular PGE2 content following the addition of arachidonate [2].
In vivo	Administration of Tilmacoxib (10 mg/kg) obviously inhibits ACF formation with a 30% reduction in total ACF/colon ($p<0.01$). The data on crypt multiplicity display that 10 mg/kg Tilmacoxib significantly decreases the formation of foci containing 1-3 crypts but not foci containing four crypts or more. Administration of the low dose of Tilmacoxib (3 mg/kg) has no inhibitory effects on either the total ACF or crypt multiplicity. From the start of the experiment, a total of 80 male F344 rats are treated with 3 or 10 mg/kg of body weight Tilmacoxib or vehicle by oral gavage five times weekly. One week later, rats receive s.c. injections of saline or 20 mg/kg of body weight DMH once weekly for four successive weeks. At the end of 12 weeks after the start of the experiment, all rats are sacrificed and colons are evaluated for ACF. 10 mg/kg Tilmacoxib significantly suppresses the total ACF/colon. No inhibitory effect is observed in the 3 mg/kg Tilmacoxib treatment group [3].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.955 mL	14.775 mL	29.551 mL
5 mM	0.591 mL	2.955 mL	5.91 mL
10 mM	0.296 mL	1.478 mL	2.955 mL
50 mM	0.059 mL	0.296 mL	0.591 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Wakitani K, et al. Profile of JTE-522 as a human cyclooxygenase-2 inhibitor. Jpn J Pharmacol. 1998 Nov;78(3):365-71.
2. Hirose M, et al. Inhibition of proliferation of gastric epithelial cells by a cyclooxygenase 2 inhibitor, JTE522, is also mediated by a PGE2-independent pathway. Aliment Pharmacol Ther. 2002 Apr;16 Suppl 2:83-9.
3. Wei M, et al. Chemopreventive effect of JTE-522, a selective cyclooxygenase-2 inhibitor, on 1, 2-dimethylhydrazine-induced rat colon carcinogenesis. Cancer Lett. 2003 Dec 8;202(1):11-6.

Tel:781-999-4286 E-mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481