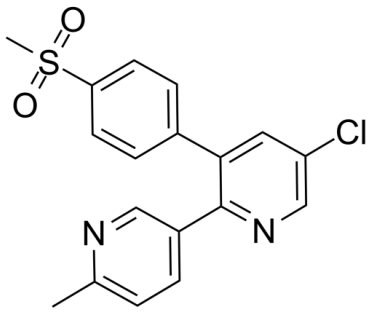
Data Sheet

Product Name:	Etoricoxib
Cat. No.:	CS-1047
CAS No.:	202409-33-4
Molecular Formula:	C18H15ClN2O2S
Molecular Weight:	358.84
Target:	COX
Pathway:	Immunology/Inflammation
Solubility:	DMSO : 100 mg/mL (278.68 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Etoricoxib (MK-0663) is a non steroidal anti-inflammatory agent, acting as a selective and orally active **COX-2** inhibitor, with **IC_{50}**s of 1.1 μM and 116 μM for COX-2 and COX-1 in human whole blood. IC50 & Target: IC50: 1.1 μM (COX-2, in human whole blood), 116 μM (COX-1, in human whole blood)[1] **In Vitro:** Etoricoxib (MK-0663) is a selective and orally active COX-2 inhibitor, with IC_{50}s of 1.1 μM, 116 μM and 5 μM for COX-2, COX-1 in human whole blood and purified human COX-2, respectively. Etoricoxib (MK-0663) shows inhibitory effect on PGE2 production by CHO (COX-2) cells (IC_{50}, 79 nM), on purified human COX-2 with detergent (IC_{50}, 4.1 μM), and on purified PGE2 production by U937 microsomes (low substrate; IC_{50}, 12.1 μM). However, Etoricoxib (MK-0663) has little activity against COX-1 with a K_i of 167 μM[1]. **In Vivo:** Etoricoxib (MK-0663) (0.1-30 mg/kg, p.o.) dose-dependently inhibits carrageenan-induced paw edema, carrageenan-induced paw hyperalgesia, and endotoxin-induced pyresis in rats. Etoricoxib (≥10 mg/kg) completely reverses hyperalgesia response in the rat hyperalgesia model. Etoricoxib (MK-0663) (200 mg/kg/day) has no effect on urinary ^{51}Cr excretion in rats, and nor in monkeys at 100 mg/kg/day[1]. Etoricoxib (MK-0663) (50 and 100 mg/kg) potently increases the malondialdehyde (MDA) and myeloperoxidase (MPO) levels, and decreases the total glutathione (tGSH) and glutathione reductase (GSHRd) levels in rats. Etoricoxib (MK-0663) (100 mg/kg) significantly inhibits the decrease of NO in rats[2]. Etoricoxib (MK-0663) (0.64 mg/kg, p.o.) reduces the features such as multiple plaque lesions, hyperplasia and dysplasia induced by 1,2-dimethylhydrazine dihydrochloride (DMH) in rats[3].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: Etoricoxib is formulated in 0.5% carboxymethyl cellulose[3].[3]Rats[3]
Animals are assorted into the following groups with four to six animals in each group: Control Group, Animals are administrated the vehicle (1mM EDTA-saline subcutaneously) in weekly injection and **0.5% carboxymethyl cellulose per oral daily**; 1,2-dimethylhydrazine dihydrochloride (DMH) Group, animals are administrated with DMH weekly at a dose of 30 mg/kg body weight subcutaneously, DMH is freshly prepared in 1mM EDTA-saline, pH adjusted to 7.0 using dilute NaOH solution; DMH + Etoricoxib Group, **Etoricoxib (MK-0663)** is given **daily per oral** at its therapeutic anti-inflammatory dose (ED_{50} for rats, **0.64 mg/kg body weight**) to the animals along with the weekly administration of DMH; and Etoricoxib Group: Etoricoxib (MK-0663) alone is administered orally daily (0.64 mg/kg body weight). After six weeks, animals are kept on overnight fasting with drinking water ad libitum and sacrificed the next day. The animal body weights in all the groups are recorded once in a week till the termination.[3].

References:

[1]. Riendeau D, et al.Etoricoxib (MK-0663): preclinical profile and comparison with other agents that selectively inhibit cyclooxygenase-2. J Pharmacol Exp Ther. 2001 Feb;296(2):558-66.

[2]. Kunak CS, et al. The Effect of Etoricoxib on Hepatic Ischemia-Reperfusion Injury in Rats. Oxid Med Cell Longev. 2015;2015:598162.

[3]. Tanwar L, et al. Anti-proliferative and apoptotic effects of etoricoxib, a selective COX-2 inhibitor, on 1,2-dimethylhydrazine dihydrochloride-induced colon carcinogenesis. Asian Pac J Cancer Prev. 2010;11(5):1329-33.

CAIndexNames:

2,3'-Bipyridine, 5-chloro-6'-methyl-3-[4-(methylsulfonyl)phenyl]-

SMILES:

O=S(C1=CC=C(C2=CC(Cl)=CN=C2C3=CC=C(C)N=C3)C=C1)(C)=O

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