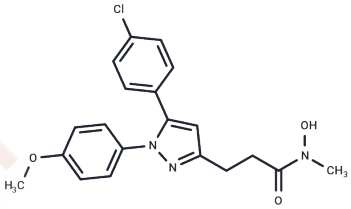
Data Sheet (Cat.No.T7724)

TargetMol

Tepoxalin

Chemical Properties



CAS No. : 103475-41-8

Formula: C20H20ClN3O3

Molecular Weight: 385.84

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Tepoxalin (RWJ 20485) is a 5-lipoxygenase inhibitor potentially for the treatment of asthma, osteoarthritis. Tepoxalin inhibits COX-1, COX-2, and 5-LOX. Tepoxalin is a potent inhibitor of sheep seminal vesicle cyclooxygenase (COX) (IC50 = 4.6 microM), rat basophilic leukemia cell (RBL-1) lysate COX (IC50 = 2.85 microM) and COX from intact RBL-1 cells (IC50 = 4.2 microM).
Targets(IC50)	COX,Lipoxygenase
In vitro	Tepoxalin inhibits the production of thromboxane B2 (TxB2) in Ca++ ionophore A-23187-stimulated human peripheral blood leukocytes (HPBL; IC50 = 0.01 microM) and human whole blood (IC50 = 0.08 microM) and is a potent inhibitor of epinephrine-induced human platelet aggregation (IC50 = 0.045 microM). Tepoxalin inhibits lipoxygenase (LOX) in RBL-1 lysates (IC50 = 0.15 microM) and intact RBL-1 cells (IC50 = 1.7 microM) and inhibits the generation of leukotriene B4 (LTB4) in calcium ionophore A-23187-stimulated HPBL (IC50 = 0.07 microM) and human whole blood (IC50 = 1.57 microM). Human platelet 12-LOX (IC50 = 3.0 microM) is inhibited, but 15-LOX is only weakly so (IC50 = 157 microM)[3].
In vivo	Tepoxalin inhibits inflammation and microvascular dysfunction induced by abdominal irradiation in rats.[1]In vivo, tepoxalin, administered orally, demonstrated potent anti-inflammatory activity in the established adjuvant arthritic rat (ED50 = 3.5 mg/kg) and potent analgesic activity in the acetic acid abdominal construction assay in mice (ED50 = 0.45 mg/kg). In an ex vivo whole blood eicosanoid production assay, tepoxalin produces a dose-related inhibition of prostaglandin (PG) and LT production in dogs (PGF2 alpha - ED50 = 0.015 mg/kg; LTB4 - ED50 = 2.37 mg/kg) and adjuvant arthritic rats following oral administration. In adjuvant arthritic rats, tepoxalin is devoid of ulcerogenic activity within its anti-inflammatory therapeutic range (1-33 mg/kg p.o.) and does not exhibit ulcerogenic activity in normal rats at doses lower than 100 mg/kg (UD50 = 173 mg/kg p.o.)[3].

Solubility Information

Solubility	DMSO: 60 mg/mL (155.5 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.18 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5917 mL	12.9587 mL	25.9175 mL
5 mM	0.5183 mL	2.5917 mL	5.1835 mL
10 mM	0.2592 mL	1.2959 mL	2.5917 mL
50 mM	0.0518 mL	0.2592 mL	0.5183 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Lopes C, Carregaro AB, Freitas GC, Padilha VS, Lukarsewski R, Paim FC, Lopes ST. Effect of tepoxalin on renal function and hepatic enzymes in dogs exposed to hypotension with isoflurane. Vet Anaesth Analg. 2014 Sep;41 (5):459-67. doi: 10.1111/vaa.12129. PubMed PMID: 24961730.

Loftus JP, Cavatorta D, Bushey JJ, Levine CB, Sevier CS, Wakshlag JJ. The 5-lipoxygenase inhibitor tepoxalin induces oxidative damage and altered PTEN status prior to apoptosis in canine osteosarcoma cell lines. Vet Comp Oncol. 2016 Jun;14(2):e17-30. doi: 10.1111/vco.12094. PubMed PMID: 24813477.

McCarthy RJ. Tepoxalin no longer available commercially. Am J Vet Res. 2013 Jul;74(7):948. doi: 10.2460/ajvr. 74.7.948. PubMed PMID: 23802664.

Lomas AL, Lyon SD, Sanderson MW, Grauer GF. Acute and chronic effects of tepoxalin on kidney function in dogs with chronic kidney disease and osteoarthritis. Am J Vet Res. 2013 Jun;74(6):939-44. doi: 10.2460/ajvr.74.6.939. PubMed PMID: 23718664.