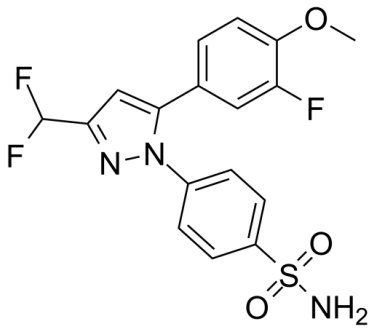
Data Sheet

Product Name:	Deracoxib
Cat. No.:	CS-2107
CAS No.:	169590-41-4
Molecular Formula:	C17H14F3N3O3S
Molecular Weight:	397.37
Target:	Apoptosis; COX
Pathway:	Apoptosis; Immunology/Inflammation
Solubility:	DMSO : 50 mg/mL (125.83 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Deracoxib, a selective cyclooxygenase-2 inhibitor, is a non-narcotic, non-steroidal anti-inflammatory drug (NSAID). IC50 Value: 70 to 150 uM(inhibition of 3 osteosarcoma cell lines) [1] Target: COX in vitro: Concentration of deracoxib required for 50% inhibition of cell viability (IC50) was reached in all 3 osteosarcoma cell lines and ranged from 70 to 150 microM, whereas the IC50 for piroxicam was only reached in the POS cell line at 500 microM. Neither deracoxib nor piroxicam induced sufficient toxicity in fibroblasts to reach an IC50. Exposure of osteosarcoma cells to cytotoxic concentrations of deracoxib and piroxicam did not result in DNA fragmentation [1]. Concomitant treatment of cells with piroxicam and deracoxib resulted in significant induction of apoptosis at lower concentrations and accumulation of cells in the G /G phase. Significant cytotoxic effects exhibited by the combination of piroxicam and deracoxib against canine mammary carcinoma cells in vitro suggest an attractive approach for the treatment of canine mammary carcinoma [2]. in vivo: Perioperative administration of deracoxib to dogs at 1-2 mg/kg/day for 3 days significantly improves analgesia in the postoperative surgical period after soft tissue surgery [3]. Dogs were treated PO with deracoxib at a dosage of 3 mg/kg/d (1.36 mg/lb/d) as a single-agent treatment for TCC. Tumor response was assessed via radiography, abdominal ultrasonography, and ultrasonographic mapping of urinary bladder masses. Toxic effects of deracoxib administration in dogs were assessed through clinical observations and hematologic and biochemical analyses. 24 dogs for which tumor response was assessed, 4 (17%) had partial remission, 17 (71%) had stable disease, and 3 (13%) had progressive disease; initial response could not be assessed in 2 of 26 dogs. The median survival time was 323 days. Median time to progressive disease was 133 days. Renal, hepatic, and gastrointestinal abnormalities attributed to deracoxib administration were noted in 4% (1/26), 4% (1/26), and 19% (5/26) of dogs, respectively [4].

PROTOCOL (Extracted from published papers and Only for reference)

Cell assay [2] Cells at passage 138 were cultured at a density of 1 × 104 cells/100 µL in 96-well flat-bottom microtiter plates and allowed to attach for 24 h. Thereafter, medium was removed and replaced with 100 µL of medium containing 50, 100, 250, 500, and 1000 µM concentrations of Piroxicam and Deracoxib in triplicate wells. After 72 h incubation, cell viability was assessed using cell proliferation kit (MTT). Briefly, 10 µL of MTT solution 5?mg/mL in phosphate buffered saline (PBS) was added to each well and incubated for 4 h at 37°C in CO2 incubator. The purple water insoluble formazan salt was then dissolved with 10% SDS in 0.01 M HCl and incubated overnight in a humidified 5% CO2 atmosphere. The optical densities (OD) of the wells were measured at 550 nm by microplate reader. The effect of each compound on growth inhibition was assessed as percent cell viability where vehicle-treated cells were taken as 100% viable. The dose-response curves were plotted for each drug, and the concentration of drug required for 50% inhibition of cell viability (IC50) was determined graphically.

References:

[1]. Royals, S.R., et al., Investigation of the effects of deracoxib and piroxicam on the in vitro viability of osteosarcoma cells from dogs. Am J Vet Res, 2005. 66(11): p. 1961-7.

[2]. Ustun Alkan, F., et al., The effects of piroxicam and deracoxib on canine mammary tumour cell line. ScientificWorldJournal, 2012. 2012: p. 976740.

[3]. Bienhoff, S.E., et al., Efficacy and safety of deracoxib for control of postoperative pain and inflammation associated with soft tissue surgery in dogs. Vet Surg, 2012. 41(3): p. 336-44.

[4]. McMillan, S.K., et al., Antitumor effects of deracoxib treatment in 26 dogs with transitional cell carcinoma of the urinary bladder. J Am Vet Med Assoc, 2011. 239(8): p. 1084-9.

CAIndexNames:

Benzenesulfonamide, 4-[3-(difluoromethyl)-5-(3-fluoro-4-methoxyphenyl)-1H-pyrazol-1-yl]-

SMILES:

O=S(C1=CC=C(N2N=C(C(F)F)C=C2C3=CC=C(OC)C(F)=C3)C=C1)(N)=O

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