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Produktinformation

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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Mavacoxib

Cat. No.: HY-119447

CAS No.: 170569-88-7

Molecular Formula: $C_{16}H_{11}F_4N_3O_2S$

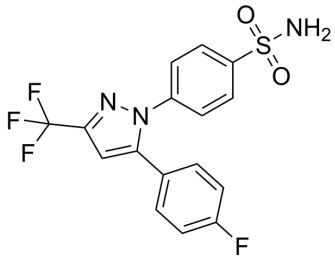
Molecular Weight: 385.34

Target: COX

Pathway: Immunology/Inflammation

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (259.51 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5951 mL	12.9756 mL	25.9511 mL
	5 mM	0.5190 mL	2.5951 mL	5.1902 mL
	10 mM	0.2595 mL	1.2976 mL	2.5951 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.40 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.40 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.40 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Mavacoxib is a selective, oral long-acting cyclooxygenase-2 (COX-2) inhibitor and a long-acting non-steroidal anti-inflammatory drug (NSAID). Mavacoxib is used to treat pain and inflammation associated with degenerative joint disease in dogs[1].

IC_{50} & Target

COX-2

In Vitro

Mavacoxib (0-200 μM; 72 hours; CSKOS, U2OS, REM, K9TCC and T24 cells) treatment reduces cell viability in a dose-

dependent manner. However, sensitivity to Mavacoxib varied between the cell lines, with IC_{50} values ranging from 34.5 μM to 157.7 μM. The IC_{50} values of U2OS, KTOSA5, CSKOS, REM, LILY, K9TCC, K9TCC-AXA, K9TCC-In, K9TCC-Sh, T24, 5637 and HT-1376 cells are 52.6 μM, 89.8 μM, 106.3 μM, 66.6 μM, 97.5 μM, 54.9 μM, 34.5 μM, 78.7 μM, 50.7 μM, 63.4 μM, 72.5 μM and 157.7 μM, respectively[1].

Mavacoxib (0-200 μM; 48 hours; KTOSA5, REM, LILY, K9TCC, U2OS, and T24 cells) treatment can induce caspase-dependent apoptosis in a number of cell lines[1].

Mavacoxib (0-75 μM; 24 hours; CSKOS, U2OS, REM, K9TCC and T24 cells) treatment down-regulates the expression of p-Akt in CSKOS cells in in a dose-dependent manner, as is total Akt in U2OS cells. In REM cells, both p-ERK and p-Akt are increased in expression with increasing doses of Mavacoxib, and in K9TCC cells p-ERK expression is also increased with Mavacoxib treatment[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	CSKOS, U2OS, REM, K9TCC and T24 cells
Concentration:	0 μM, 0.04 μM, 25 μM, 50 μM, 75 μM, 100 μM, 125 μM, 150 μM, 175 μM, 200 μM
Incubation Time:	72 hours
Result:	Cell viability was reduced in a dose-dependent manner.

Apoptosis Analysis[1]

Cell Line:	KTOSA5, REM, LILY, K9TCC, U2OS, and T24 cells
Concentration:	0 μM, 50 μM, 100 μM, 200 μM
Incubation Time:	48 hours
Result:	Induced apoptosis in canine and human cancer cell lines.

Cell Viability Assay[1]

Cell Line:	CSKOS, U2OS, REM, K9TCC and T24 cells
Concentration:	0 μM, 25 μM, 50 μM or 75 μM
Incubation Time:	24 hours
Result:	In CSKOS cells, p-Akt was downregulated, as was total Akt in U2OS cells. In REM cells, both p-ERK and p-Akt were increased in expression, and in K9TCC cells p-ERK expression was also increased.

In Vivo

Osteoarthritic dogs enrolled in the studies are randomized to receive treatment with Mavacoxib and daily placebo for carprofen or placebo for Mavacoxib and daily carprofen at a nominal dose of 4 mg/kg BW. Mavacoxib is administered in both studies with a 2-week interval between the first and second doses but with monthly dosing thereafter. The nominal Mavacoxib doses in Studies 1 and 2 are 4 and 2 mg/kg BW, respectively. Seven Mavacoxib doses are administered in Study 1, but only five doses in Study 2. In Study 1, Mavacoxib is administered without regard to the timing of meals, but in Study 2, all of the Mavacoxib doses are administered with food[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Hurst EA, et al. The selective cyclooxygenase-2 inhibitor mavacoxib (Trocoxil) exerts anti-tumour effects in vitro independent of cyclooxygenase-2 expression levels. Vet Comp Oncol. 2019 Jun;17(2):194-207.

[2]. Cox SR, et al. Population pharmacokinetics of mavacoxib in osteoarthritic dogs. J Vet Pharmacol Ther. 2011 Feb;34(1):1-11.

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