Indomethacin farnesil

Cat. No.: HY-111274

CAS No.: 85801-02-1

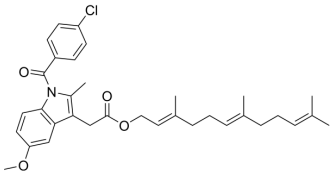
Molecular Formula: $C_{34}H_{40}ClNO_4$

Molecular Weight: 562.14

Target: COX; Autophagy

Pathway: Immunology/Inflammation; Autophagy

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Indomethacin farnesil is an orally active proagent of Indomethacin. Indomethacin (Indometacin) is a potent, blood-brain permeable and nonselective inhibitor of COX1 and COX2, with IC_{50}s of 18 nM and 26 nM for human COX-1 and COX-2, respectively, in CHO cells. Indomethacin disrupts autophagic flux by disturbing the normal functioning of lysosomes[1][2].

In Vitro

Indometacin farnesil (IMF) is a newly synthesized prodrug of indomethacin designed to reduce the occurrence of side-effects by esterification of the carboxyl group of indomethacin with farnesol. This modification is intended to decrease the extraction and subsequent rapid metabolism of the drug by the liver, so that lymphatic absorption would become a major route, and it was also anticipates that hydrolytic enzymes present in plasma and tissues, including inflamed tissue, would release indomethacin effectively from IMF[2].

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

In Vivo

Indomethacin farnesil (IMF) can effectively release indomethacin when administered locally[1].

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Animal Model:	Rats[1].
Dosage:	50 nmol a rat paw.
Administration:	Once.
Result:	Reduced the level of PGE_2 in a dose dependent manner.

REFERENCES

[1]. S Kumakura, et al. Inhibitory effect of indomethacin farnesil, a novel antiinflammatory prodrug, on carrageenin-induced inflammation in rats. Agents Actions. 1990 Mar;29(3-4):286-91.

[2]. M Mishima, et al. Metabolic fate of indometacin farnesil, a prodrug of indomethacin: characteristic biotransformation of indometacin farnesil in rats. Xenobiotica. 1990 Feb;20(2):135-46.

[3]. Riendeau D, et al. Biochemical and pharmacological profile of a tetrasubstituted furanone as a highly selective COX-2 inhibitor. Br J Pharmacol. 1997 May;121(1):105-17.

[4]. Jorge Vallecillo-Hernández, et al. Indomethacin Disrupts Autophagic Flux by Inducing Lysosomal Dysfunction in Gastric Cancer Cells and Increases Their Sensitivity to

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