VI-60

Cat. No.: HY-162228

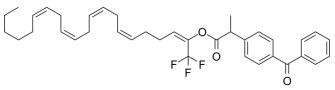
Molecular Formula: $C_{37}H_{43}F_3O_3$

Molecular Weight: 592.73

Target: COX; Phospholipase

Pathway: Immunology/Inflammation; Metabolic Enzyme/Protease

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



BIOLOGICAL ACTIVITY

Description

VI-60 is a dual, orally active inhibitor of $cPLA_2$ and COX-2, which reveals an anti-inflammtory efficacy through the inhibition of p38 MAPK/$cPLA_2$/COX-2/PGE_2 pathway[1].

IC_{50} & Target	COX-2	$cPLA_2$

In Vitro

VI-60 (10 μM, 24-48 h) inhibits the LPS-induced inflammatory mediators NO (IC_{50} is 3.85 μM) and PGE2 production without significant cytotoxicity in RAW264.7 cells[1].

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

Cell Cytotoxicity Assay[1]

Cell Line:	RAW264.7
Concentration:	30 μM
Incubation Time:	24-48 h
Result:	Exhibite cell viability of nearly 100%, in compare to the verhicle group.

Western Blot Analysis[1]

Cell Line:	RAW264.7
Concentration:	30 μM, 2.5-10 μM
Incubation Time:	30 μM for 2 h, 2.5-10 μM for 1 h
Result:	Downregulated the phosphor-p38-MAPK, $cPLA_2$ and COX2, stabilized the $cPLA_2$ and COX2 proteins when heated.

In Vivo

VI-60 (20 mg/kg, p.o., everyday or every two days) ameliorates the adjuvant-induced arthritis, exhibits analgesic activity in Lewis rats[1].

VI-60 (20 mg/kg, p.o., everyday) regulates the balance between Th17 and Tregs and reduces IL-17 expression through inhibition of the p38 MAPK/$cPLA_2$/COX-2/PGE_2 pathway[1].

VI-60 exhibits a low ulcerative potential (50 mg/kg), no hepatotoxicity or nephrotoxicity (20 mg/kg, p.o.) in Wistar rats .

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

Animal Model:	Adjuvant-induced arthritis in Lewis rats[1]
Dosage:	20 mg/kg
Administration:	p.o., every 24 h or 48 h for 20 days
Result:	Inhibited the weight loss and the edema of paws, increased the mechanical withdrawal threshold (MWT), decreased the spleen weights.

Animal Model:	Male mistar rats[1]
Dosage:	20 mg/kg
Administration:	p.o., for 3 days
Result:	Revealed identical indexes of glutamic pyruvic transaminase (GPT), glutamic oxalacetic transaminase (GOT), and blood urea nitrogen (BUN) as of normal rats.

REFERENCES

[1]. Cai N, et al., Discovery of novel NSAID hybrids as cPLA2/COX-2 dual inhibitors alleviating rheumatoid arthritis via inhibiting p38 MAPK pathway. Eur J Med Chem. 2024 Jan 24;267:116176.

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