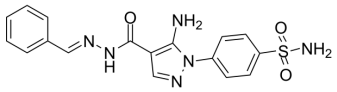
COX-2-IN-30

Cat. No.:	HY-149269
CAS No.:	1160498-08-7
Molecular Formula:	$C_{17}H_{16}N_6O_3S$
Molecular Weight:	384.41
Target:	COX; Carbonic Anhydrase; LOX-1
Pathway:	Immunology/Inflammation; Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

COX-2-IN-30 is a benzenesulfonamide derivative, as well as an orally active and dual inhibitor of COX (IC_{50}=49 nM for COX-2, 10.4 μM for COX-1) and 5-LOX (IC_{50}=2.4 μM). COX-2-IN-30 also inhibits transmembrane hCA IX and hCA XII isoform with nanomolar calss K_i values. COX-2-IN-30 exhibits analgesic, anti-inflammatory, and ulcerogenic activities, and does not show acute gastric effect[1].

IC_{50} & Target

COX-2 49 nM (IC_{50})	COX-1 10.4 μM (IC_{50})	hCA I 183.4 nM (Ki)	hCA II 81.4 nM (Ki)
hCA IX 38.4 nM (Ki)	hCA XII 21.6 nM (Ki)	5-LOX 2.4 μM (IC_{50})	

In Vitro

COX-2-IN-30 (compound 7a) binds to hCA isoforms with K_i values of 183.4 nM (hCA I), 81.4 nM (hCA II), 38.4 nM (hCA IX), 21.6 nM (hCA XII), respectively[1].

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

In Vivo

COX-2-IN-30 (compound 7a) (10 mg/kg; po; single dose) exhibits analgesic activity, while it results a significant reduction in the number of writhing in mice[1].

COX-2-IN-30 (10 mg/kg; po; single dose) results a significant reduction of paw height in Carrageenan (HY-125474)-induced rat paw edema assay. And COX-2-IN-30 siginificantly decreases the levels of TNF-α and IL-1β[1].

COX-2-IN-30 (10 mg/kg; po; single dose)shows safety profile on the gastric tissues, in male albino rats[1].

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

Animal Model:	Albino mice (25-30 g)[1]
Dosage:	10 mg/kg
Administration:	PO; single dose; after one hour, 0.1 mL of 1 percent acetic acid in a volume of 0.1 mL/10 g body weight was used to produce writhing.
Result:	Decreased the number of writhing responses of mouse conpared with control group.

Animal Model:	Paw edema rat induced by Carrageenan (HY-125474)[1]

Dosage:	10 mg/kg
Administration:	PO; single dose; after one hour, 0.1 mL of 1% carrageenan solution was injected in the left hind paw; measure inflammation height at 0, 1, 2, and 3 h
Result:	Showed a significant reduction of paw height compared to control after 3 h.

REFERENCES

[1]. Ragab MA, et al. 4-(5-Amino-pyrazol-1-yl)benzenesulfonamide derivatives as novel multi-target anti-inflammatory agents endowed with inhibitory activity against COX-2, 5-LOX and carbonic anhydrase: Design, synthesis, and biological assessments. Eur J Med Chem. 2023 Mar 15;250:115180.

Caution: Product has not been fully validated for medical applications. For research use only.

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