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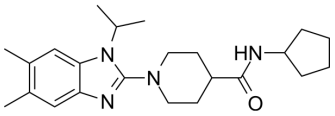
mPGES1-IN-7

Cat. No.:	HY-118282
CAS No.:	1268709-57-4
Molecular Formula:	$C_{23}H_{34}N_4O$
Molecular Weight:	382.54
Target:	PGE synthase
Pathway:	Immunology/Inflammation
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



BIOLOGICAL ACTIVITY

Description	mPGES-1-IN-2 (compound III) is a benzimidazole-based mPGES-1 inhibitor that also inhibits adipophysin PGD synthase (I-PGDS) (5 μM, IR=60 %). mPGES-1-IN-2 reduces PGE2 production and tends to reduce levels of other prostaglandins. mPGES-1-IN-2 effectively inhibits acute inflammation in an air sac model stimulated by Carrageenan (HY-125474) in mice[1].
IC_{50} & Target	IC50: 0.9 μM (recombinant human mPGES-1), 0.09 μM (recombinant rat mPGES-1)[1]; lipocalin-type PGD synthase (I-PGDS)[1]
In Vitro	mPGES-1-IN-2 (compound III) (0.64-80 μM; 24 h) can reduce PGE2 production after LPS (10 ng/mL) stimulation in A549 cells, mouse macrophages, and blood [1] .br/mPGES-1-IN-2 () Inhibits PGE2 synthesis in a concentration-dependent manner, causing PGH2 to shunt to the prostacyclin pathway[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	mPGES-1-IN-2 (compound III) (10-100 mg/kg; ip; single dose) effectively inhibits global prostaglandin production in a mouse model of air sac inflammation induced by 1% λ-Carrageenan (HY-N9470). synthesis and reduce cell migration[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	1% Carrageenan stimulated mouse air pouch model[1]
Dosage:	10, 50, 100 mg/kg
Administration:	ip; single dose after modeling: use 3 mL of sterile-filtered air was injected sub-cutaneously into the interscapular region of mice; triggered in the pouch 24 h later by the injection of a 1 ml solution of λ-carrageenan (1%) in saline.
Result:	Had no effect on inflammatory exudate volume but dose-dependently reduced cell migration. Resulted in a decrease in PGE2 synthesis, it does not affect changes in other prostaglandin levels, but leads to an overall downregulation of prostaglandin synthesis.

REFERENCES

[1]. Leclerc P, et al. Characterization of a human and murine mPGES-1 inhibitor and comparison to mPGES-1 genetic deletion in mouse models of inflammation. Prostaglandins Other Lipid Mediat. 2013 Dec;107:26-34.

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