Product Data Sheet

mPGES1-IN-7

Molecular Formula:

Cat. No.: HY-118282 **CAS No.:** 1268709-57-4

Molecular Weight: 382.54

Target: PGE synthase

Pathway: Immunology/Inflammation

 $C_{23}H_{34}N_4O$

Storage: Powder -20°C 3 years

4°C 2 years

In solvent -80°C 6 months

-20°C 1 month

N N HN

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].

IC₅₀ & Target

 $IC50: 0.9~\mu\textrm{M}~(recombinant~human~m\textrm{PGES-1}), 0.09~\mu\textrm{M}~(recombinant~rat~m\textrm{PGES-1})^{[1]}; lipocalin-type~\textrm{PGD}~\textrm{synthase}~(l-\textrm{PGDS})^{[1]}~\textrm{med}~$

In Vitro

mPGES-1-IN-2 (compound III) (0.64-80 μ M; 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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