GW274150 phosphate

Cat. No.: HY-12119A

CAS No.: 438542-15-5

Molecular Formula: $C_8H_{20}N_3O_6PS$

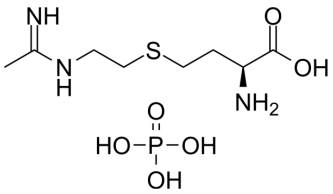
Molecular Weight: 317.3

Target: NO Synthase

Pathway: Immunology/Inflammation

Storage: 4°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (315.16 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.1516 mL	15.7580 mL	31.5159 mL
	5 mM	0.6303 mL	3.1516 mL	6.3032 mL
	10 mM	0.3152 mL	1.5758 mL	3.1516 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 12.5 mg/mL (39.39 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

BIOLOGICAL ACTIVITY

Description

GW274150 phosphate is a potent, selective, orally active and NADPH-dependent inhibitor of human inducible nitric oxide synthase (iNOS) (IC_{50}=2.19 μM; K_d=40 nM) and rat iNOS (ED_{50}=1.15 μM). GW274150 phosphate displays less potency for both humans or rats endothelial NOS (eNOS) and neuronal NOS (nNOS). GW274150 phosphate exerts a protective role in an acute model of lung injury inflammation[1][2].

IC_{50} & Target

iNOS

In Vitro

GW274150 phosphate inhibits intracellular iNOS in J774 cells in a time-dependent manner, reaching IC_{50}?values of 0.2±0.04 μM[1].

GW274150?is >260-fold and 219-fold selective for iNOS against eNOS and nNOS in rat tissues, respectively. And it displays >100-fold and >80-fold for human iNOS against human eNOS and nNOS, respectively[1].

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

In Vivo

GW274150 phosphate is a long-acting (5 h half□life in rats) iNOS inhibitor and is able to inhibit LPS□mediated increase in

plasma NO_2^-,?NO_3^-?levels 14 h after single intraperitoneal dose (ED_{50}=3 mg/kg)[2].
GW274150 phosphate (intraperitoneal?injection; 2.5, 5, and 10 mg/kg; before carrageenan injection) reduces the degree of lung injury induced by carrageenan? in a dose-related fashion. Oedema formation and PMNs infiltration in the pleural cavity are also significantly attenuated in a dose‐related manner in rats[2].
GW274150 phosphate (oral adminstration; 30 mg/kg; twice daily; 7 days) leads to significant neuroprotection, however, it displays a bell-shaped neuroprotective profile, being ineffective at high doses in 6-OHDA rat model of Parkinson disease (PD)[3].

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

Animal Model:	SD rat[2]
Dosage:	2.5, 5 and 10 mg/kg
Administration:	Intraperitoneal injection 5 min before carrageenan injection
Result:	Exerted a protective role in an acute model of inflammation, carrageenan-induced lung injury.

CUSTOMER VALIDATION

- EMBO Mol Med. 2021 Jun 7;e13591.
- McGill University. 2023 Apr 5.

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REFERENCES

[1]. Alderton WK, et al. GW274150 and GW273629 are potent and highly selective inhibitors of inducible nitric oxide synthase in vitro and in vivo.Br J Pharmacol. 2005 Jun;145(3):301-12.

[2]. Dugo L, et al. Effects of GW274150, a novel and selective inhibitor of iNOS activity, in acute lung inflammation. Br J Pharmacol. 2004 Mar;141(6):979-87. Epub 2004 Feb

[3]. Broom L, et al. Neuroprotection by the selective iNOS inhibitor GW274150 in a model of Parkinson disease.Free Radic Biol Med. 2011 Mar 1;50(5):633-40.

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

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