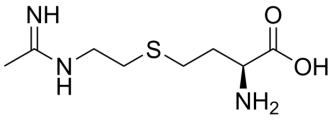
GW274150

Cat. No.:	HY-12119
CAS No.:	210354-22-6
Molecular Formula:	$C_8H_{17}N_3O_2S$
Molecular Weight:	219.3
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

DMSO : 100 mg/mL (456.00 mM; Need ultrasonic)

H_2O : ≥ 62 mg/mL (282.72 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	4.5600 mL	22.7998 mL	45.5996 mL
5 mM	0.9120 mL	4.5600 mL	9.1199 mL
10 mM	0.4560 mL	2.2800 mL	4.5600 mL

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

BIOLOGICAL ACTIVITY

Description

GW274150 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 also displays less potency for both humans or rats endothelial NOS (eNOS) and neuronal NOS (nNOS). GW274150 exerts a protective role in an acute model of lung injury inflammation[1][2].

IC_{50} & Target

iNOS

In Vitro

GW274150 inhibits intracellular iNOS in J774 cells in a time-dependent manner, reaching IC_{50}?values of 0.2 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 is a long-acting (5 h half⊠life in rats) iNOS inhibitor and is able to inhibit LPS⊠mediated increase in plasma $NO2^-$,?$NO3^-$?levels 14 h after single intraperitoneal dose (ED_{50}=3 mg/kg)[2].

GW274150 (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 (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; single dose
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.

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