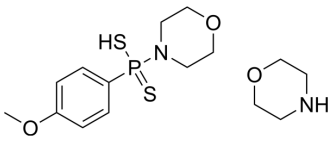
GYY4137

Cat. No.:	HY-107632
CAS No.:	106740-09-4
Molecular Formula:	$C_{15}H_{25}N_2O_3PS_2$
Molecular Weight:	376.47
Target:	Others
Pathway:	Others
Storage:	-20°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 (265.63 mM; Need ultrasonic)
H_2O : 19.23 mg/mL (51.08 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6563 mL	13.2813 mL	26.5625 mL
5 mM	0.5313 mL	2.6563 mL	5.3125 mL
10 mM	0.2656 mL	1.3281 mL	2.6563 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (132.81 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.64 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.64 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.64 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GYY4137 is a slow releasing H2S donor with vasodilator and antihypertensive activity. GYY4137 also exhibits anti-inflammatory and anticancer activity[1][2][3].

In Vitro

GYY4137 (400-800 μM) causes concentration-dependent killing of seven different human cancer cell lines (HeLa, HCT-116, Hep G2, HL-60, MCF-7, MV4-11 and U2OS) but did not affect survival of normal human lung fibroblasts (IMR90, WI-38)[2].
?GYY4137 (0.1-0.5 mM) decreases LPS-induced production of nitrite (NO2?), PGE2, TNF-α and IL-6 from human synoviocytes

(HFLS) and articular chondrocytes (HAC), reduces the levels and catalytic activity of inducible nitric oxide synthase (iNOS) and cyclooxygenase-2 (COX-2) and reduced LPS-induced NF-κB activation[3].

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

Cell Viability Assay[2]

Cell Line:	HeLa, HCT-116, Hep G2, HL-60, MCF-7, MV4-11 and U2OS
Concentration:	400 or 800 μM
Incubation Time:	5 days
Result:	Significantly affected cancer cell survivability.

In Vivo

GYY4137 (100-300 mg/kg; i.p.; daily for 14 days) significantly reduces the tumor volume in both animal models, in a dose-dependent manner[2].

?In the complete Freund's adjuvant (CFA)-treated mouse, GYY4137 (50 mg/kg, i.p.) injected 1 hr prior to CFA increased knee joint swelling while an anti-inflammatory effect, as demonstrated by reduced synovial fluid myeloperoxidase (MPO) and N-acetyl-β-D-glucosaminidase (NAG) activity and decreased TNF-α, IL-1β, IL-6 and IL-8 concentration, was apparent when GYY4137 was injected 6 hrs after CFA[3].

?GYY4137 significantly inhibited tumor growth in the subcutaneous HepG2 xenograft model by inhibiting STAT3 activation and its target gene expression[4].

?GYY4137 prevents nitrative stress and α-synuclein nitration in an MPTP mouse model of parkinson's disease[5].

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

Animal Model:	Female, severe combined immunodeficiency (SCID) mice (bearing HL-60 or MV4-11 cells) [2]
Dosage:	100, 200 and 300 mg/kg
Administration:	I.p.; daily for 14 days
Result:	Reduced tumor volume by 52.5±9.2% and 55.3±5.7% in HL-60 and MV4–11 injected animals.

CUSTOMER VALIDATION

- Molecules. 2023 Jun 14, 28(12), 4770.
- Nitric Oxide. 8 October 2022.

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REFERENCES

[1]. Li L, et al. Characterization of a novel, water-soluble hydrogen sulfide-releasing molecule (GYY4137): new insights into the biology of hydrogen sulfide. Circulation. 2008;117(18):2351-2360.

[2]. Lee ZW, et al. The slow-releasing hydrogen sulfide donor, GYY4137, exhibits novel anti-cancer effects in vitro and in vivo. PLoS One. 2011;6(6):e21077.

[3]. Li L, et al. The complex effects of the slow-releasing hydrogen sulfide donor GYY4137 in a model of acute joint inflammation and in human cartilage cells. J Cell Mol Med. 2013;17(3):365-376.

[4]. Lu S, Gao Y, et al. GYY4137, a hydrogen sulfide (H_2S) donor, shows potent anti-hepatocellular carcinoma activity through blocking the STAT3 pathway. Int J Oncol.

2014;44(4):1259-1267.

[5]. Hou X, et al. GYY4137, an H2S Slow-Releasing Donor, Prevents Nitrative Stress and α-Synuclein Nitration in an MPTP Mouse Model of Parkinson's Disease. Front Pharmacol. 2017;8:741. Published 2017 Oct 30.

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