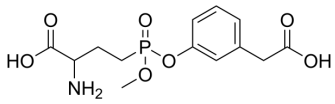
GGsTop

Cat. No.:	HY-108467		
CAS No.:	926281-37-0		
Molecular Formula:	$C_{13}H_{18}NO_7P$		
Molecular Weight:	331.26		
Target:	Others		
Pathway:	Others		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (301.88 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0188 mL	15.0939 mL	30.1878 mL
	5 mM	0.6038 mL	3.0188 mL	6.0376 mL
	10 mM	0.3019 mL	1.5094 mL	3.0188 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.55 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.55 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.55 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GGsTop (Nahlsgen) is a potent, non-toxic, highly selective and irreversible γ−glutamyl transpeptidase (GGT) inhibitor, with a K_i of 170 μM for Human GGT. GGsTop shows a pK_a of 9.71, also exhibits K_{on}s of 150±10 and 51±3 M^{-1} s^{-1} against E.coli GGT and human GGT, respectively[1][2]. GGsTop protects hepatic ischemia-reperfusion injury in rat model[3].

IC_{50} & Target

Ki: 170 μM (Human GGT)[1]

In Vitro

GGsTop (Nahlsgen; Compound 5a) is a potent, highly selective and irreversible γ?glutamyl transpeptidase (GGT) inhibitor,

	with a K_i of 170 μM for Human GGT[1]. GGsTop shows pK_a of 9.71, also exhibits K_{on}s of 150±10 and 51±3 M^{-1} s^{-1} against E.coli GGT and human GGT, respectively[1][2]. GGsTop is non-toxic compound, completely inhibits WT human GGT in 1 min at 1 mM, but less potent against the K562S mutant[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	GGsTop (1 mg/kg) lowers levels of ALT, AST, γ-GT at 12, 24, and 48 h after ischemia-reperfusion in rats[3]. GGsTop also reduces 4-HNE and TNF-α production, and decreases hepatic necrosis in rats[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male rats (9 week old)[3]
Dosage:	1 mg/kg
Administration:	Injected into the inferior vena cava for 12, 24, 48 h
Result:	Lowered the serum levels of ALT, AST, prevented the increase of serum γ-GT levels in IR-GGsTop group.

CUSTOMER VALIDATION

- ACS Nano. 2020 Apr 28;14(4):4890-4904.
- Small. 2020 Nov;16(44):e2004172.

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REFERENCES

[1]. Han L, et al. Design, synthesis, and evaluation of gamma-phosphono diester analogues of glutamate as highly potent inhibitors and active site probes of gamma-glutamyl transpeptidase. Biochemistry. 2007 Feb 6;46(5):1432-47.

[2]. Kamiyama A, et al. Phosphonate-based irreversible inhibitors of human γ-glutamyl transpeptidase (GGT). GGsTop is a non-toxic and highly selective inhibitor with critical electrostatic interaction with an active-site residue Lys562 for enhanced inhibitory activity. Bioorg Med Chem. 2016 Nov 1;24(21):5340-5352.

[3]. Tamura K, et al. GGsTop, a novel and specific γ-glutamyl transpeptidase inhibitor, protects hepatic ischemia-reperfusion injury in rats. Am J Physiol Gastrointest Liver Physiol. 2016 Aug 1;311(2):G305-12.

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

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