Cyclosporin H

Cat. No.: HY-P1122

CAS No.: 83602-39-5

Molecular Formula: $C_{62}H_{111}N_{11}O_{12}$

Molecular Weight: 1202.61

Sequence: Cyclo[{Abu}-{Sar}-{N(Me)Leu}-Val-{N(Me)Leu}-Ala-{d-Ala}-{N(Me)Leu}-{N(Me)Leu}-{d-N(Me)Val}-{N(Me)Bmt(E)}]

Sequence Shortening: Cyclo[{Abu}-{Sar}-{N(Me)Leu}-V-{N(Me)Leu}-A-{d-Ala}-{N(Me)Leu}-{N(Me)Leu}-{d-N(Me)Val}-{N(Me)Bmt(E)}]

Target: Formyl Peptide Receptor (FPR)

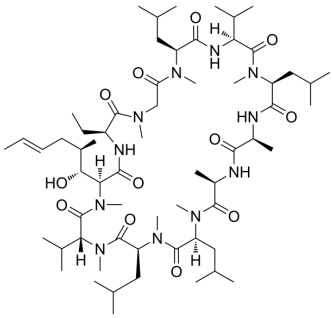
Pathway: GPCR/G Protein

Storage: Sealed storage, away from moisture

Powder -80°C 2 years

-20°C 1 year

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (83.15 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.8315 mL	4.1576 mL	8.3152 mL
	5 mM	0.1663 mL	0.8315 mL	1.6630 mL
	10 mM	0.0832 mL	0.4158 mL	0.8315 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: 3 mg/mL (2.49 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 3 mg/mL (2.49 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.08 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cyclosporin H is a selective and potent inhibitor of FPR-1 (formyl peptide receptor 1). Cyclosporin H, a viral transduction enhancer, increases lentiviral transduction up to 10-fold in human cord blood-derived hematopoietic stem and progenitor cells (HSPCs). Cyclosporin H displays an additive effect when combined with Rapamycin (HY-10219) or Prostaglandin E2 (HY-

	101952). Cyclosporin H lacks immunosuppressant activity of Cyclosporin A.
In Vitro	The cyclic undecapeptide, cyclosporin H, is a potent inhibitor of formyl-Met-Leu-Phe (FMLP)-induced superoxide anion (O2-) formation in human neutrophils. Cyclosporin H inhibits FMLP binding in HL-60 membranes with a K_i of 0.1 μM. Cyclosporin H inhibits activation by FMLP of high affinity GTPase (the enzymatic activity of alpha-subunits of heterotrimeric regulatory guanine nucleotide-binding proteins) in HL-60 membranes with a K_i of 0.79 μM. Cyclosporin H inhibits the stimulatory effects of FMLP on cytosolic Ca^{2+} concentration ($[Ca^{2+}]i$), O2- formation, and beta-glucuronidase release with K_i values of 0.08, 0.24, and 0.45 μM, respectively[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Cyclosporin H (5 mg/kg; i.p.; before LPS or HCl challenge) attenuats lung injury induced by LPS or HCl (a lung injurymodel)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancer Res. 2022 Aug 16;82(16):2887-2903.

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REFERENCES

[1]. Zhang X, et al. Mitochondrial peptides cause proinflammatory responses in the alveolar epithelium via FPR-1, MAPKs, and AKT: a potential mechanism involved in acute lung injury. Am J Physiol Lung Cell Mol Physiol. 2018;315(5):L775-L786.

[2]. Wenzel-Seifert K, et al. Cyclosporin H is a potent and selective formyl peptide receptor antagonist. Comparison with N-t-butoxycarbonyl-L-phenylalanyl-L-leucyl-L-phenylalanyl-L- leucyl-L-phenylalanine and cyclosporins A, B, C, D, and E. J Immunol. 1993;150(10):4591-4599.

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