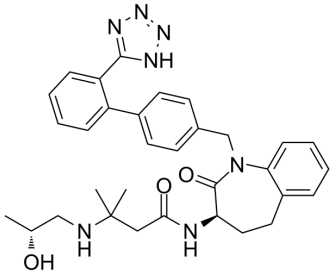
L-692585

Cat. No.:	HY-50760
CAS No.:	145455-35-2
Molecular Formula:	$C_{32}H_{37}N_7O_3$
Molecular Weight:	567.68
Target:	GHSR
Pathway:	GPCR/G Protein
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 (176.16 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7616 mL	8.8078 mL	17.6156 mL
	5 mM	0.3523 mL	1.7616 mL	3.5231 mL
	10 mM	0.1762 mL	0.8808 mL	1.7616 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 (4.40 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.40 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (4.40 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

L-692585 is a potent and nonpeptidyl growth hormone secretagogue receptor (GHS-R1a) agonist, with a K_i of 0.8 nM. L-692585 acts directly on somatotropes causing GH release[1][2].

IC_{50} & Target

Ki: 0.8 nM (GHS-R1a)[1]

In Vitro

L-692585 (10 μM; 2 min) produces a prompt transient increase in $[Ca^{2+}]_i$, following by the sustained decline to a plateau above the basal level in GH cells[2].

	L-692585 (0.01-10 μM; 2 min) induces release of growth hormone (GH) in a dose-dependent manner from isolated porcine somatotropes[2]. L-692585 (100 nM) significantly increases the number and size of plaques, and it also causes a significant increase in total secretion index (TSI) [2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	L-692585 (0.01-0.1 mg/kg; i.v. once daily for 2 weeks) increases peak plasma GH levels and total GH release[3]. L-692585 (0.005-0.1 mg/kg; a single i.v.) significantly increases peak GH concentrations 4.3-fold at a dose of 0.005 mg/kg, 7-fold at a dose of 0.02 mg/kg, and 21-fold at a dose of 0.10 mg/kg in vivo[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Beagles (1-1.5 years old ; weighting 8.5-16.0 kg)[3]
Dosage:	0.01, 0.1 mg/kg
Administration:	I.v. once daily for 2 weeks
Result:	Increased the peak plasma GH levels and total GH release on days 1, 8 and 15 in a dose-dependent manner, and no desensitization was evident.

REFERENCES

[1]. Smith RG, et, al. Peptidomimetic regulation of growth hormone secretion. Endocr Rev. 1997 Oct; 18(5): 621-45.

[2]. Glavaski-Joksimovic A, et, al. Mechanism of action of the growth hormone secretagogue, L-692,585, on isolated porcine somatotropes. J Endocrinol. 2002 Dec; 175(3): 625-36.

[3]. Jacks T, et, al. Effects of acute and repeated intravenous administration of L-692,585, a novel non-peptidyl growth hormone secretagogue, on plasma growth hormone, IGF-1, ACTH, cortisol, prolactin, insulin, and thyroxine levels in beagles. J Endocrinol. 1

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

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