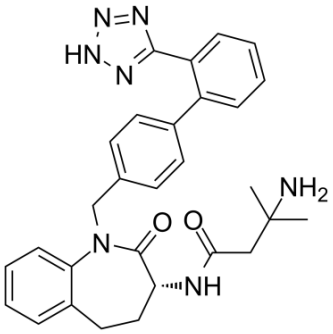
L-692429

Cat. No.:	HY-10957
CAS No.:	145455-23-8
Molecular Formula:	$C_{29}H_{31}N_7O_2$
Molecular Weight:	509.6
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 : 50 mg/mL (98.12 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9623 mL	9.8116 mL	19.6232 mL
	5 mM	0.3925 mL	1.9623 mL	3.9246 mL
	10 mM	0.1962 mL	0.9812 mL	1.9623 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 (4.91 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.91 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

L-692429 (MK-0751) is a benzolactam derivative and a nonpeptidyl growth hormone secretagogue (GHS) agonist. L-692429 binds to G protein-coupled receptor with a K_i of 63 nM[1][2].

IC_{50} & Target

Growth hormone secretagogue (GHS)[1][3]

In Vitro

L-692429 stimulates intracellular calcium release, inositol phosphate (IP) turnover, cAMP-responsive element binding protein (CREB) activity, serum-responsive element activity and bioluminescence resonance energy transfer (BRET) activity

	with EC_{50} values of 26 nM, 47 nM, 60 nM, 63 nM and 58 nM, respectively[2]. HeLa-T4 cells transiently expressing the flag epitope-tagged growth hormone secretagogue (GHS) receptor are treated with L-692429. The release of intracellular calcium is measured using fluorometry with the calcium indicator dye fluo-3/AM. Untransfected HeLa-T4 cells are unresponsive to L-692429 treatment, whereas HeLa-T4 cells transiently expressing GHS receptors demonstrate an increase in fluorescent emission after L-692429 treatment. A significant increase in luciferase activity after L-692429 treatment is seen, suggesting that activation of the GHS receptor stimulates the MAPK pathway[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	When tested in anesthetized rats (Wistar rats), L-756867 inhibits L-692429 (100 μg/kg)-stimulated GH secretion in a dose-dependent manner. Complete inhibition is observed at an i.v. dose of 100 μg/kg of L-756867[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Cheng K, et al. Inhibition of L-692,429-stimulated rat growth hormone release by a weak substance P antagonist: L-756,867. J Endocrinol. 1997 Jan;152(1):155-8.

[2]. Holst B, et al. Nonpeptide and peptide growth hormone secretagogues act both as ghrelin receptor agonist and as positive or negative allosteric modulators of ghrelin signaling. Mol Endocrinol. 2005 Sep;19(9):2400-11.

[3]. Cunha SR, et al. Ghrelin and growth hormone (GH) secretagogues potentiate GH-releasing hormone (GHRH)-induced cyclic adenosine 3',5'-monophosphate production in cells expressing transfected GHRH and GH secretagogue receptors. Endocrinology. 2002 Dec;143(12):4570-82.

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