Oglufanide

Cat. No.: HY-13718

CAS No.: 38101-59-6

Molecular Formula: $C_{16}H_{19}N_3O_5$

Molecular Weight: 333.34

Target: VEGFR; HCV; Endogenous Metabolite

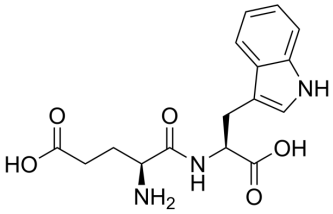
Pathway: Protein Tyrosine Kinase/RTK; Anti-infection; Metabolic Enzyme/Protease

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 : 15.5 mg/mL (46.50 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9999 mL	14.9997 mL	29.9994 mL
	5 mM	0.6000 mL	2.9999 mL	5.9999 mL
	10 mM	0.3000 mL	1.5000 mL	2.9999 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 (7.50 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.50 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.50 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Oglufanide (H-Glu-Trp-OH) is a dipeptide immunomodulator isolated from calf thymus. Oglufanide inhibits vascular endothelial growth factor (VEGF). Oglufanide can stimulate the immune response to hepatitic C virus (HCV) and intracellular bacterial infections. Oglufanide shows antitumor and anti-angiogenesis activities[1][2][3].

IC_{50} & Target			
	VEGFR	HCV	Human Endogenous Metabolite

In Vitro

Oglufanide (IM862) (1-1000 μg/mL) exhibits dose dependent inhibition of angiogenesis in the chorioallantoic membrane

	assay with complete inhibition of β-FGF and VEGF-induced angiogenesis[3]. ?Oglufanide (L-glu-L-trp) (0-1000 μg/mL; 5 days) has no effect on the viability of either the tumor cell lines or HUVECs[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Oglufanide (L-glu-L-trp) (1-100 mg/kg/day; s.c.; 10 days) has antitumor activity in immunocompetent and immunodeficient mice[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57BL/6 immune competent mice, Lewis lung carcinoma (LLC) xenograft; Balb/C athymic mice, M21 human melanoma xenograft[4]
Dosage:	1, 10, 50 and 100 mg/kg/day
Administration:	Subcutaneous injection, 10 days
Result:	Resulted in a dose-dependent inhibition of LLC tumor growth in syngeneic immune competent mice and showed a dose-dependent decrease in tumor volumes of xenografts in Balb/C athymic mice implanted with M21 human melanoma cells.

REFERENCES

[1]. Noy A, et al. Angiogenesis inhibitor IM862 is ineffective against AIDS-Kaposi's sarcoma in a phase III trial, but demonstrates sustained, potent effect of highly active antiretroviral therapy: from the AIDS Malignancy Consortium and IM862 Study Team. J Clin Oncol. 2005 Feb 10;23(5):990-8.

[2]. Smith DL, et al. Natural killer cell cytolytic activity is necessary for in vivo antitumor activity of the dipeptide L-glutamyl-L-tryptophan. Int J Cancer. 2003 Sep 10;106(4):528-533.

[3]. Bayes M, et al. Gateways to clinical trials. Methods Find Exp Clin Pharmacol. 2005 Jul-Aug;27(6):411-61.

[4]. Nagendra Kumar Kaushik, et al. Biomedical importance of indoles. Molecules. 2013 Jun 6;18(6):6620-62.

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