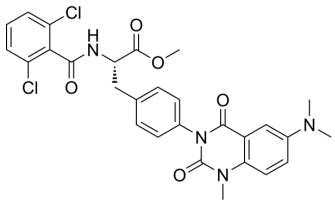
Carotegrast methyl

Cat. No.:	HY-124290
CAS No.:	401905-67-7
Molecular Formula:	$C_{28}H_{26}Cl_2N_4O_5$
Molecular Weight:	569.44
Target:	Integrin
Pathway:	Cytoskeleton
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 (175.61 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7561 mL	8.7806 mL	17.5611 mL
	5 mM	0.3512 mL	1.7561 mL	3.5122 mL
	10 mM	0.1756 mL	0.8781 mL	1.7561 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: 1.25 mg/mL (2.20 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.25 mg/mL (2.20 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Carotegrast methyl (AJM300) is an orally active and selective α4 integrin antagonist. HCA2969, an active metabolite of Carotegrast methyl, is a specific and dual α4β1/α4β7 integrin antagonist. Carotegrast methyl prevents the development of colitis in mice[1].

In Vitro

HCA2969 inhibits human α4β1 integrin (K_D=0.32 nM; IC_{50}=5.8 nM), human α4β7 integrin (K_D=0.46 nM; IC_{50}=1.4 nM) and mouse α4β7 integrin (K_D=0.2 nM; IC_{50}=26 nM) in Jurkat, RPMI-8866 and TK-1 cell lines[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Carotegrast methyl (AJM300; oral; 0.03-1%; for 15 days) prevents the development of colitis induced by transfer of IL-10 deficient $CD4^+$ T cells in mice[1].

Carotegrast methyl (oral; 0.3, 3, 30, or 30 mg/kg; a single oral) inhibits Lymphocyte homing to Peyer's patches and increases peripheral lymphocyte counts in a dose-dependent manner in BALB/c mice (8 weeks of age, female)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mouse model of colitis induced by adoptive transfer of IL-10 deficient $CD4^+$ T cells[1]
Dosage:	Diet; 0.03, 0.1, 0.3 or 1%
Administration:	For 15 days
Result:	Had a histological improvement in epithelial cell hyperplasia, reduced inflammatory cell infiltration and a decrease in mucus production. Prevented an increase in the colon weight in a model of colitis induced by adoptive transfer of $CD4^+CD45RB^{high}$ T cells.

REFERENCES

[1]. Toshihiko Sugiura, et al. Oral treatment with a novel small molecule alpha 4 integrin antagonist, AJM300, prevents the development of experimental colitis in mice. J Crohns Colitis. 2013 Dec;7(11):e533-42.

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