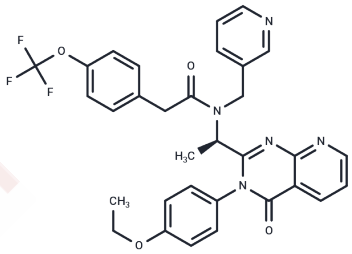
Data Sheet (Cat.No.T10297L)

TargetMol

AMG 487

Chemical Properties



CAS No. : 473719-41-4

Formula: C32H28F3N5O4

Molecular Weight: 603.59

Storage: Store at low temperature,Keep away from direct sunlight,Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	AMG 487 is a potent and selective antagonist of chemokine (C-X-C motif) receptor 3 (CXCR3) which inhibits the binding of CXCL10 and CXCL11 to CXCR3 with IC50s of 8.0 and 8.2 nM, respectively.
Targets(IC50)	CXCR
In vitro	AMG487 is a small molecular weight antagonist of CXCR3. 66.1 tumor cells were pretreated with AMG487 prior to i.v. injection into immune-competent female mice. Antagonism of CXCR3 on 66.1 tumor cells inhibited experimental lung metastasis, and this antimetastatic activity was compromised in mice depleted of natural killer cells. Systemic administration of AMG487 also inhibited experimental lung metastasis. In contrast to the antimetastatic effect of AMG487, local growth of 66.1 mammary tumors was not affected by receptor antagonism. Murine mammary tumor cells express CXCR3 which facilitates the development of lung metastases.Indicate for the first time that a small molecular weight antagonist of CXCR3 has the potential to inhibit tumor metastasis[2].
In vivo	AMG487, a small molecular weight antagonist. In vivo, systemic CXCR3 antagonism by preventive or curative treatments with AMG487 markedly inhibited the implantation and the growth of human and mouse CRC cells within lung without affecting that in the liver. In addition, we measured increased levels of CXCR3 and ligands expression within lung nodules compared with liver tumours. Activation of CXCR3 receptors by its cognate ligands facilitates the implantation and the progression of CRC cells within lung tissues and that inhibition of this axis decreases pulmonary metastasis of CRC in two murine tumour models[3].

Solubility Information

Solubility	DMSO: 41 mg/mL (67.93 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (3.31 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one.*

In vivo Formulation	*Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6568 mL	8.2838 mL	16.5675 mL
5 mM	0.3314 mL	1.6568 mL	3.3135 mL
10 mM	0.1657 mL	0.8284 mL	1.6568 mL
50 mM	0.0331 mL	0.1657 mL	0.3314 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Johnson M, et al. Discovery and optimization of a series of quinazolinone-derived antagonists of CXCR3. Bioorg Med Chem Lett. 2007 Jun 15;17(12):3339-43.

Dou Y, Nian Z, Wang D, et al.Reconstituted CD74+ NK cells trigger chronic graft versus host disease after allogeneic bone marrow transplantation.Journal of Autoimmunity.2024, 147: 103274.

Walser TC, et al. Antagonism of CXCR3 inhibits lung metastasis in a murine model of metastatic breast cancer. Cancer Res. 2006 Aug 1;66(15):7701-7.

Cambien B, et al. Organ-specific inhibition of metastatic colon carcinoma by CXCR3 antagonism. Br J Cancer. 2009 Jun 2;100(11):1755-64.

Henne KR, et al. Sequential metabolism of AMG 487, a novel CXCR3 antagonist, results in formation of quinone reactive metabolites that covalently modify CYP3A4 Cys239 and cause time-dependent inhibition of the enzyme. Drug Metab Dispos. 2012 Jul;40(7):142