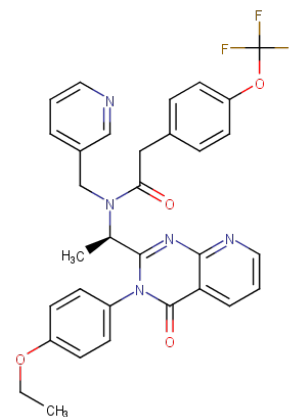


Catalog Number: CM03603

产品信息

Catalog Number:
CM03603CAS号:
473719-41-4分子式:
 $C_{32}H_{28}F_3N_5O_4$ 主要靶点:
CXCR3主要通路:
G 蛋白偶联受体|免疫与炎症|自噬分子量:
603.59溶解度:
DMSO:41 mg/mL (67.93 mM)

靶点活性

[125I]-ITAC-CXCR3:8.2 nM|[125I]-HP10-CXCR3:8 nM

体外活性

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].

体内活性

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].

储存

store at low temperature | Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.