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| **Product Name** | : | AMG 487 |
| **Synonyms** | : | —— |
| **Cat No.** | : | M22838 |
| **CAS Number** | : | 473719-41-4 |
| **Molecular Formula** | : | C32H28F3N5O4 |
| **Formula Weight** | : | 603.59 |
| **Chemical Name** | : | —— |
| **Description** | : | AMG 487 prevents the chemokines I-IP-10 and I-ITAC from binding to CXCR3.?In the cellular assays, AMG 487 inhibits CXCR3-mediated cell migration with IC50 values of 8nM, 15nM and 36nM for I-IP-10, I-ITAC and MIG, respectively.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.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. |
| **Pathway** | : | Autophagy |
| **Target** | : | CXCR |
| **Receptor** | : | CXCR3 |
| **Solubility** | : | DMSO:41 mg/mL (67.93 mM);H2O:< 0.1 mg/mL (insoluble) |
| **SMILES** | : | O=C(N([C@@H](C1=NC2=NC=CC=C2C(N1C3=CC=C(OCC)C=C3)=O)C)CC4=CC=CN=C4)CC5=CC=C(OC(F)(F)F)C=C5 |
| **Storage** | : | (-20℃) |
| **Stability** | : | ≥ 2 years |
| **Reference** | : | |

1. 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.