

Data Sheet

WWW.PROBECHEM.COM

Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 : AMG487

 Cat. No.
 : PC-20733

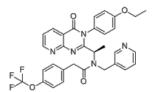
 CAS No.
 : 473719-41-4

 Molecular Formula
 : C₃₂H₂₈F₃N₅O₄

 Molecular Weight
 : 603.60

Target : Chemokine Receptor (CCR and CXCR)

Solubility : 10 mM in DMSO



CAS: 473719-41-4

Biological Activity

AMG487 (AMG 487, VUF10085) is a potent and selective orally bioavailable chemokine (C-X-C motif) receptor 3 (**CXCR3**) antagonist with IC50 of 8 nM for inhibiting 125I-IP-10 binding.

AMG 487 also inhibits binding of 125I-ITAC to CXCR3 with an IC50 value of 8.2 nM.

AMG487 inhibits CXCR3-mediated cell migration by the three CXCR3 chemokines (IP-10 IC50 = 8 nM, ITAC IC50 = 15 nM, and MIG IC50 = 36 nM).

AMG487 inhibits calcium mobilization in response to ITAC (IC50 = 5 nM).

AMG487 exhibits good potency in binding and functional assays and good in vivo pharmacokinetic properties across species. AMG487 is a potent inhibitor of cellular recruitment in vivo using a bleomycine-mouse model.

References

Verzijl D, et al. *J Pharmacol Exp Ther.* 2008 May;325(2):544-55.et al.

Johnson M, et al. *Bioorg Med Chem Lett.* 2007;17:3339–3343.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com