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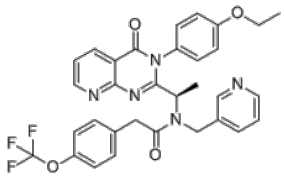
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	AMG487
Cat. No.	:	PC-20733
CAS No.	:	473719-41-4
Molecular Formula	:	$C_{32}H_{28}F_3N_5O_4$
Molecular Weight	:	603.60
Target	:	Chemokine Receptor (CCR and CXCR)
Solubility	:	10 mM in DMSO



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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!

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