PRODUCT INFORMATION



AMG 487

Item No. 28416

CAS Registry No.: 473719-41-4

Formal Name: N-[(1R)-1-[3-(4-ethoxyphenyl)-3,4-

> dihydro-4-oxopyrido[2,3-d]pyrimidin-2-yl]ethyl]-N-(3-pyridinylmethyl)-4-(trifluoromethoxy)-benzeneacetamide

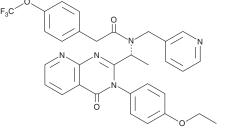
MF: $C_{32}H_{28}F_3N_5O_4$

FW: 603.6 **Purity:** ≥98% UV/Vis.:

 λ_{max} : 269 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



Laboratory Procedures

AMG 487 is supplied as a crystalline solid. A stock solution may be made by dissolving the AMG 487 in the solvent of choice, which should be purged with an inert gas. AMG 487 is soluble in the organic solvent DMSO at a concentration of approximately 60 mg/ml.

Description

AMG 487 is a chemokine (C-X-C motif) receptor 3 (CXCR3) antagonist (IC₅₀ = 8.2 nM in a radioligand binding assay). It inhibits cell migration induced by chemokine (C-X-C motif) ligand 10 (CXCL10), CXCL11, and CXCL9 (IC50s = 8, 15, and 36 nM, respectively). In vivo, AMG 487 (3 mg/kg) inhibits bronchoalveolar lavage fluid (BALF) cell infiltration in a mouse model of bleomycin-induced cellular recruitment. It reduces the number of lung metastases in the K7M2 and Saos-LM7 osteosarcoma mouse xenograft models.² AMG 487 (5 mg/kg) also restores mitochondrial function and inhibits mitochondrial-dependent hepatocellular apoptosis in a mouse model of non-alcoholic steatohepatitis (NASH).3

References

- 1. Johnson, M., Li, A.-R., Liu, J., et al. Discovery and optimization of a series of quinazolinone-derived antagonists of CXCR3. Bioor. Med. Chem. 17(12), 3339-3343 (2007).
- Pradelli, E., Karimdjee-Soilihi, B., Michiels, J.F., et al. Antagonism of chemokine receptor CXCR3 inhibits osteosarcoma metastasis to lungs. Int. J. Cancer 125(11), 2586-2594 (2009).
- Du, J., Zhang, X., Han, J., et al. Pro-inflammatory CXCR3 impairs mitochondrial function in experimental non-alcoholic steatohepatitis. Theranostics 7(17), 4192-4203 (2017).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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