



Certificate of Analysis

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Product Name: (±)-AMG 487 Catalog No.: 4487 Batch No.: 1

IUPAC Name: N-1-[(3-4(-Ethoxyphenyl)-3,4-dihydro-4-oxopyrido[2,3-d]pyrimidin-2-yl]ethyl]-N-(3-pyridinylmethyl)-4-

(trifluoromethoxy)benzeneacetamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{32}H_{28}F_3N_5O_4$. ³/₄H₂O

Batch Molecular Weight: 617.1

Physical Appearance: White solid

Solubility: DMSO to 100 mM Storage: Store at -20°C

Batch Molecular Structure:

2. ANALYTICAL DATA

TLC: $R_f = 0.7$ (Dichloromethane:Methanol:Ammonia soln. [90:9:1])

HPLC: Shows 100% purity

¹H NMR: Consistent with structure Mass Spectrum: Consistent with structure

Microanalysis: Carbon Hydrogen Nitrogen

Theoretical 62.28 4.82 11.35 Found 62.31 4.66 11.43



Product Information

Print Date: Dec 6th 2013

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(trifluoromethoxy)benzeneacetamide

Description:

Antagonist of CXCR3; inhibits binding of 125 I-IP-10 and 125 I-ITAC to CXCR3 (IC $_{50}$ values are 8.0 and 8.2 nM respectively). Inhibits CXCR3-mediated cell migration by the chemokines IP-10, ITAC and MiG in vitro (IC $_{50}$ values are 8, 15 and 36 nM respectively). Also shown to inhibit lung metastasis in a mouse model of metastatic breast cancer.

Physical and Chemical Properties:

Batch Molecular Formula: C₃₂H₂₈F₃N₅O₄.34H₂O

Batch Molecular Weight: 617.1 Physical Appearance: White solid

Minimum Purity: >98%

Batch Molecular Structure:

Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM

This compound is racemic.

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Walser et al (2006) Antagonism of CXCR3 inhibits lung metastasis in a murine model of metastatic breast cancer. Cancer Res. 66 7701. PMID: 16885372.

Johnson *et al* (2007) Discovery and optimization of a series of quinazolinone-derived antagonists of CXCR3. Bioorg.Med.Chem.Lett. **17** 3339. PMID: 17448658.

Cambien et al (2009) Organ-specific inhibition of metastatic colon carcinoma by CXCR3 antagonism. Br.J.Cancer 100 1755. PMID: 19436305.

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