

Certificate of Analysis

Print Date: Oct 29th 2019

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Product Name: PF 06424439 Catalog No.: 6348 Batch No.: 2

CAS Number: 1469284-79-4

 $IUPAC \ Name: \ [(3R)-1-[2-[1-(4-Chloro-1H-pyrazol-1-yl)cyclopropyl]-3H-imidazo[4,5-b]pyridin-5-yl]-3-piperidinyl]-1-pyrazol-1-yl)cyclopropyl]-3H-imidazo[4,5-b]pyridin-5-yl]-3-piperidinyl]-1-pyrazol-1-yl)cyclopropyl]-3H-imidazo[4,5-b]pyridin-5-yl]-3-piperidinyl]-1-pyrazol-1-yl)cyclopropyl]-3H-imidazo[4,5-b]pyridin-5-yl]-3-piperidinyl]-1-pyrazol-1-yl)cyclopropyl]-3H-imidazo[4,5-b]pyridin-5-yl]-3-piperidinyl]-1-pyrazol-1-yl)cyclopropyl]-3H-imidazo[4,5-b]pyridin-5-yl]-3-piperidinyl]-1-pyrazol-1-yl]-1-pyrazol-1-yl]-1-pyraz$

pyrrolidinylmethanone methanesulfonate

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₂₂H₂₆CIN₇O.CH₄O₃S.½H₂O

Batch Molecular Weight: 540.55

Physical Appearance: Off-white solid

Solubility: water to 100 mM

DMSO to 100 mM ethanol to 100 mM

Storage: Desiccate at RT

Batch Molecular Structure:

2. ANALYTICAL DATA

HPLC: Shows 99.6% purity

Chiral HPLC: Shows 100.0% purity

1H NMR: Consistent with structure.

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis: Carbon Hydrogen Nitrogen

Theoretical 51.11 5.69 18.14 Found 50.89 5.51 18.13

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use



Product Information

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IUPAC Name: [(3R)-1-[2-[1-(4-Chloro-1H-pyrazol-1-yl)cyclopropyl]-3H-imidazo[4,5-b]pyridin-5-yl]-3-piperidinyl]-1-

pyrrolidinylmethanone methanesulfonate

Description:

Potent and selective DGAT2 inhibitor ($IC_{50} = 14$ nM). Exhibits no significant activity at MGAT1-3 or DGAT1. Reduces triglyderide synthesis in human hepatocytes in vitro. Reduces plasma triglyceride and cholesterol levels in a rat dyslipidemia model. Orally bioavailable.

Physical and Chemical Properties:

Batch Molecular Formula: C₂₂H₂₆CIN₇O.CH₄O₃S.1/4H₂O

Batch Molecular Weight: 540.55 Physical Appearance: Off-white solid

Minimum Purity: >98%

Batch Molecular Structure:

Storage: Desiccate at RT

Solubility & Usage Info:

water to 100 mM DMSO to 100 mM ethanol to 100 mM

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 are:

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.

Licensing Information:

Sold for research purposes under agreement from Pfizer Inc.

References:

Futatsugi *et al* (2015) Discovery and optimization of imidazopyridine-based inhibitors of diacylglycerol acyltransferase 2 (DGAT2). J.Med.Chem. *58* 7173. PMID: 26349027.

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