



Certificate of Analysis

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Product Name: PF 04937319 Catalog No.: 6049 Batch No.: 1

CAS Number: 1245603-92-2

IUPAC Name: N,N-Dimethyl-5-[[2-methyl-6-[[(5-methyl-2-pyrazinyl)amino]carbonyl]-4-benzofuranyl]oxy]-2-pyrimidinecarboxamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{22}H_{20}N_6O_{4.H_2O}$

Batch Molecular Weight: 450.45

Physical Appearance: Off White solid

Solubility: DMSO to 100 mM

Storage: Store at +4°C

Batch Molecular Structure:

2. ANALYTICAL DATA

TLC: $R_f = 0.42 (96:4 \text{ CH2CI2:MeOH})$

HPLC: Shows 99.8% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis: Carbon Hydrogen Nitrogen

Theoretical 58.66 4.92 18.66 Found 58.74 4.76 18.66

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Product Information

Print Date: Oct 19th 2017

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IUPAC Name: N,N-Dimethyl-5-[[2-methyl-6-[[(5-methyl-2-pyrazinyl)amino]carbonyl]-4-benzofuranyl]oxy]-2-pyrimidinecarboxamide

Description:

Glucokinase partial activator ($EC_{50} = 174$ nM). Enhances glucose-stimulated insulin secretion in islet cell cultures and translocation of glucokinase from the nucleus to the cytoplasm in hepatocytes in vitro. Reduces post-prandial plasma glucose levels in an oral glucose tolerance test in rats.

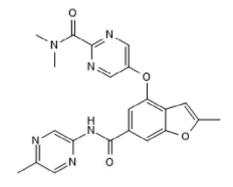
Physical and Chemical Properties:

Batch Molecular Formula: C₂₂H₂₀N₆O₄.H₂O

Batch Molecular Weight: 450.45 Physical Appearance: Off White solid

Minimum Purity: >98%

Batch Molecular Structure:



Storage: Store at +4°C

Solubility & Usage Info:

DMSO 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:

Pettersen *et al* (2014) The relationship of glucokinase activator-induced hypoglycemia with arteriopathy, neuronal necrosis, and peripheral neuropathy in nonclinical studies. Toxicol. Pathol. **42** 696. PMID: 24771080.

Pfefferkorn *et al* (2011) Designing glucokinase activators with reduced hypoglycemia risk: discovery of *N,N*-dimethyl-5-(2-methyl-6-((5-methylpyrazin-2-yl)-carbamoyl)benzofuran-4-yloxy)pyrimidine-2-carboxamide as a clinical candidate for the treatment of type 2 diabetes mellitus Med.Chem.Commun., **2** 828.