

Certificate of Analysis

Print Date: Jun 16th 2016

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Product Name: PF 6274484 Catalog No.: 5939 Batch No.: 1

CAS Number: 1035638-91-5

IUPAC Name: N-[4-[(3-Chloro-4-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]-2-propenamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{18}H_{14}CIFN_4O_2$

Batch Molecular Weight: 372.78

Physical Appearance: Light Beige solid
Solubility: DMSO to 100 mM

ethanol to 10 mM with gentle warming

Storage: Store at -20°C

Batch Molecular Structure:

2. ANALYTICAL DATA

TLC: $R_f = 0.6$ (Dichloromethane:Methanol [9:1])

HPLC: Shows 99.2% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis: Carbon Hydrogen Nitrogen

Theoretical 58 3.79 15.02 Found 57.66 3.82 14.8



Product Information

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Description:

High affinity and potent covalent EGFR kinase inhibitor ($K_i = 0.14 \text{ nM}$). Inhibits autophosphorylation of WT and mutant EGFR in tumor cells (IC_{50} values are 5.8 and 6.6 nM, respectively).

Physical and Chemical Properties:

Batch Molecular Formula: C₁₈H₁₄CIFN₄O₂

Batch Molecular Weight: 372.78

Physical Appearance: Light Beige solid

Minimum Purity: >98%

Batch Molecular Structure:

Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM

ethanol to 10 mM with gentle warming

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.

References:

Schwartz et al (2014) Covalent EGFR inhibitor analysis reveals importance of reversible interactions to potency and mechanisms of drug resistance. Proc.Natl.Acad.Sci.U.S.A. 111 173. PMID: 24347635.