

Certificate of Analysis

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Print Date: Jan 13th 2016

Product Name: PD 153035 hydrochloride Catalog No.: 1037 Batch No.: 2

CAS Number: 183322-45-4

IUPAC Name: 4-[(3-Bromophenyl)amino]-6,7-dimethoxyquinazoline hydrochloride

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{16}H_{14}BrN_3O_2.HCI$

Batch Molecular Weight: 396.67 **Physical Appearance:** Yellow solid

Solubility: DMSO to 10 mM with gentle warming

Storage: Store at RT

Batch Molecular Structure:

HN Br

2. ANALYTICAL DATA

TLC: $R_f = 0.3$ (Ethyl acetate:Triethylamine [10:0.1])

Melting Point:

Between 262 - 264°C

Consistent with structure

Microanalysis: Carbon Hydrogen Nitrogen

Theoretical 48.45 3.81 10.59 Found 48.29 3.71 10.59



Product Information

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IUPAC Name: 4-[(3-Bromophenyl)amino]-6,7-dimethoxyquinazoline hydrochloride

Description:

An extremely potent inhibitor of epidermal growth factor (EGF) receptor tyrosine kinase, with an $\rm IC_{50}$ of 25 pM. Inhibits other purified tyrosine kinases only at micromolar or higher concentrations.

Physical and Chemical Properties:

Batch Molecular Formula: C₁₆H₁₄BrN₃O₂.HCl

Batch Molecular Weight: 396.67 Physical Appearance: Yellow solid

Batch Molecular Structure:

Storage: Store at RT

Solubility & Usage Info:

DMSO 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).

Catalog No.: 1037

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:

Fry et al (1994) A specific inhibitor of the epidermal growth factor receptor tyrosine kinase. Science 265 1093. PMID: 8066447.

Bridges *et al* (1996) Tyrosine kinase inhibitors. 8. An unusually steep structure-activity relationship for analogues of 4-(3-bromoanilino)-6,7-dimethoxyquinazoline (PD 153035), a potent inhibitor of the epidermal growth factor receptor. J.Med.Chem. **39** 267. PMID: 8568816.

Bos et al (1997) PD153035, a tyrosine kinase inhibitor, prevents epidermal growth factor receptor activation and inhibits growth of cancer cells in a receptor number-dependent manner. Clin.Cancer Res. 3 2099. PMID: 9815602.