

# **Certificate of Analysis**

Print Date: Jan 14th 2016

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Product Name: R 1530 Catalog No.: 4885 Batch No.: 2

CAS Number: 882531-87-5

IUPAC Name: 5-(2-Chlorophenyl)-7-fluoro-1,2-dihydro-8-methoxy-3-methylpyrazolo[3,4-b][1,4]benzodiazepine

### 1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula:  $C_{18}H_{14}CIFN_4O$ 

**Batch Molecular Weight:** 356.78 **Physical Appearance:** Yellow solid

Solubility: DMSO to 100 mM

ethanol to 100 mM

Storage: Store at +4°C

Batch Molecular Structure:

### 2. ANALYTICAL DATA

**TLC:**  $R_f = 0.5$  (Ethyl acetate:Methanol [19:1])

**HPLC:** Shows 98.1% purity

<sup>1</sup>H NMR: Consistent with structure Mass Spectrum: Consistent with structure

Microanalysis: Carbon Hydrogen Nitrogen

Theoretical 60.6 3.95 15.7 Found 60.25 4.06 15.49



## **Product Information**

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### **Description:**

Multi-kinase inhibitor. Exhibits inhibitory activity against Chk2, KDR, FGFR, Aurora A kinase and Cdk2 (IC<sub>50</sub> values are 24, 34, 50, 58 and 88 nM respectively). Also binds VEGFR-2, FGFR1 and PDGFR $\beta$  (K $_d$  values are 0.015, 0.061 and 0.088  $\mu M$ respectively). Displays antiproliferative activity in vitro; inhibits mitosis and angiogenesis. Orally bioavailable.

### **Physical and Chemical Properties:**

Batch Molecular Formula: C<sub>18</sub>H<sub>14</sub>CIFN<sub>4</sub>O Batch Molecular Weight: 356.78

Physical Appearance: Yellow solid

### Minimum Purity: >98%

#### **Batch Molecular Structure:**

MeO

Storage: Store at +4°C

### Solubility & Usage Info:

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.

### References:

Tovar et al (2010) Small-molecule inducer of cancer cell polyploidy promotes apoptosis or senescence: implications for therapy. Cell Cycle 9 3364. PMID: 20814247.

Liu et al (2013) Discovery of a highly potent, orally active mitosis/angiogenesis inhibitor R1530 for the treatment of solid tumors. ACS Med.Chem.Lett. 4 259.

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