

Product Name: Selumetinib

Catalog No.: 6815

Batch No.: 1

CAS Number: 606143-52-6

IUPAC Name: 5-[(4-Bromo-2-chlorophenyl)amino]-4-fluoro-*N*-(2-hydroxyethoxy)-1-methyl-1*H*-benzimidazole-6-carboxamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₁₇H₁₅BrClFN₄O₃

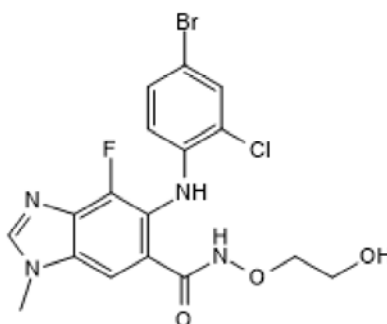
Batch Molecular Weight: 457.68

Physical Appearance: White solid

Solubility: DMSO to 20 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.4% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	44.61	3.3	12.24
Found	44.55	3.22	12.14

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Description:

Potent and selective MEK inhibitor (IC₅₀ = 14 nM for MEK1, K_d = 530 nM for MEK2). Inhibits ERK1/2 phosphorylation (IC₅₀ = 10 nM). Exhibits no activity at p38α, MKK6, EGFR, ErbB2 and ERK2. Suppresses several cell lines containing B-Raf and Ras mutations, but displays no effect on a normal fibroblast cell lines. Inhibits tumor growth in colorectal tumor xenograft model. Orally bioavailable.

Physical and Chemical Properties:

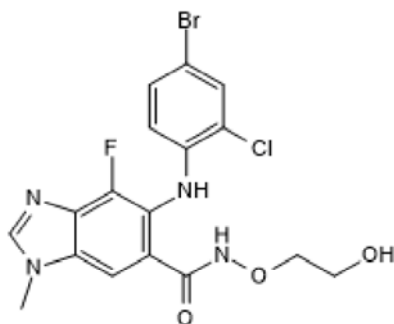
Batch Molecular Formula: C₁₇H₁₅BrClFN₄O₃

Batch Molecular Weight: 457.68

Physical Appearance: White solid

Minimum Purity: >98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 20 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:

Garon et al (2010) Identification of common predictive markers of *in vitro* response to the Mek inhibitor selumetinib (AZD6244; ARRY-142886) in human breast cancer and non-small cell lung cancer cell lines. *Mol.Cancer Ther.* **9** 1985. PMID: 20587667.

Huynh et al (2007) Targeted inhibition of the extracellular signal-regulated kinase kinase pathway with AZD6244 (ARRY-142886) in the treatment of hepatocellular carcinoma. *Mol.Cancer Ther.* **6** 138. PMID: 17237274.

Yeh et al (2007) Biological characterization of ARRY-142886 (AZD6244), a potent, highly selective mitogen-activated protein kinase kinase 1/2 inhibitor. *Clin.Cancer Res.* **13** 1576. PMID: 17332304.

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