

Certificate of Analysis

Print Date: Jun 3rd 2019

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Product Name: LY 3009120 Catalog No.: 6854 Batch No.: 1

CAS Number: 1454682-72-4

IUPAC Name: N-(3,3-Dimethylbutyl)-N'-[2-fluoro-4-methyl-5-[7-methyl-2-(methylamino)pyrido[2,3-d]pyrimidin-6-yl]phenyl]urea

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{23}H_{29}FN_6O$ Batch Molecular Weight:424.52Physical Appearance:Yellow solid

Solubility: DMSO to 10 mM with gentle warming

ethanol to 5 mM with gentle warming

Storage: Store at -20°C

Batch Molecular Structure:

2. ANALYTICAL DATA

HPLC: Shows 98.7% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis: Carbon Hydrogen Nitrogen

Theoretical 65.07 6.89 19.8 Found 64.77 6.87 19.57



Product Information

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Description:

Potent pan-Raf kinase inhibitor (IC $_{50}$ values are 31 - 47, 42 and 44 nM for b-RAF, c-RAF and a-RAF in A375 cells, respectively). Also inhibits kinase activity of Raf hetero- and homodimers. Displays anti-proliferative effects against b-RAF and Ras mutant melanoma cells. Inhibits MEK and ERK phosphorylation, and b-RAF and Ras mutant tumor growth in mouse xenograft models.

Physical and Chemical Properties:

Batch Molecular Formula: C₂₃H₂₉FN₆O Batch Molecular Weight: 424.52 Physical Appearance: Yellow solid

Minimum Purity: >98%

Batch Molecular Structure:

Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 10 mM with gentle warming ethanol to 5 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:

Henry *et al* (2015) Discovery of 1-(3,3-dimethylbutyl)-3-(2-fluoro-4-methyl-5-(7-methyl-2-(methylamino)pyrido[2,3-*d*]pyrimidin-6-yl) phenyl)urea (LY3009120) as a pan-RAF inhibitor with minimal paradoxical activation and activity against BRAF or RAS mutant tumor cells. J.Med.Chem. *58* 4165. PMID: 25965804.

Peng et al (2015) Inhibition of RAF isoforms and active dimers by LY3009120 leads to anti-tumor activities in RAS or BRAF mutant cancers. Cancer Cell. 28 384. PMID: 26343583.

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use