

Certificate of Analysis

Print Date: Oct 9th 2019

Batch No.: 1

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Product Name: ARRY 520 trifluoroacetate Catalog No.: 4676

CAS Number: 1781834-99-8

IUPAC Name: (2S)-2-(3-Aminopropyl)-5-(2,5-difluorophenyl)-N-methoxy-N-methyl-2-phenyl-1,3,4-thiadiazole-3(2H)-carboxamide

trifluoroacetate

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{20}H_{22}F_2N_4O_2S.CF_3CO_2H$

Batch Molecular Weight: 420.48

Physical Appearance: Off-white solid

Solubility: DMSO to 75 mM

ethanol to 75 mM

Storage: Store at -20°C

Batch Molecular Structure:

2. ANALYTICAL DATA

HPLC: Shows 99.2% purity

Chiral HPLC: Shows 99.1% purity

1H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis: Carbon Hydrogen Nitrogen

Theoretical 49.44 4.34 10.48 Found 49.79 4.43 10.56

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



Product Information

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Description:

Potent kinesin spindle protein (KSP) inhibitor (IC $_{50}$ = 6 nM); selective for KSP over >250 other receptors and kinases at a concentration of 10 μ M. Displays robust antitumor activity in bortezomib-resistant xenografts either alone or in combination with bortezomib. Induces degradation of Mcl-1; exhibits comparable cytotoxic activity to taxol (Cat. No. 1097) in epithelial ovarian cancer cells. Active in vivo.

Physical and Chemical Properties:

Batch Molecular Formula: C₂₀H₂₂F₂N₄O₂S.CF₃CO₂H

Batch Molecular Weight: 420.48 Physical Appearance: Off-white solid

Minimum Purity: >98%

Batch Molecular Structure:

Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 75 mM ethanol to 75 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).

Catalog No.: 4676

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:

Tunquist *et al* (2010) Mcl-1 stability determines mitotic cell fate of human multiple myeloma tumor cells treated with the kinesin spindle protein inhibitor ARRY-520. Mol.Cancer.Ther. **9** 2046. PMID: 20571074.

Kim et al (2009) KSP inhibitor ARRY-520 as a substitute for PacT. in type I ovarian cancer cells. J.Transl.Med. 7 63. PMID: 19619321.

Woessner *et al* (2009) ARRY-520, a novel KSP inhibitor with potent activity in hematological and taxane-resistant tumor models. Anticancer Res. **29** 4373. PMID: 20032381.