

Batch No.: 1

Certificate of Analysis

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Catalog No.: 7420

Product Name: LC 2

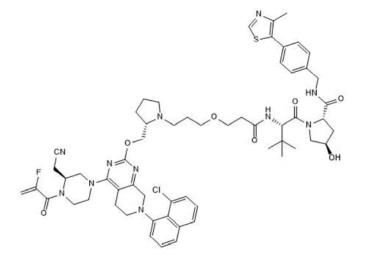
CAS Number: 2502156-03-6

IUPAC Name:

(2S,4R)-1-((S)-2-(3-(3-((S)-2-(((7-(8-Chloronaphthalen-1-yl)-4-((S)-3-(cyanomethyl)-4-(2-fluoroacryloyl)piperazin-1-yl)-5,6,7,8-tetrahydropyrido[3,4-d]pyrimidin-2-yl)oxy)methyl)pyrrolidin-1-yl)propoxy)propanamido) -3,3-dimethylbutanoyl)-4-hydroxy-*N*-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: Batch Molecular Weight: Physical Appearance: Solubility: Storage: Batch Molecular Structure: $C_{59}H_{71}CIFN_{11}O_7S.2H_2O$ 1168.83 White solid DMSO to 100 mM Store at -20°C



2. ANALYTICAL DATA

HPLC: ¹H NMR: Mass Spectrum: Microanalysis:

Shows 94.8% purity Consistent with structure Consistent with structure

	Carbon	Hydrogen	nitrogen
Theoretical	60.63	6.47	13.18
Found	60.2	6.4	13.02

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

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Product Information

Print Date: Sep 20th 2021

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Product Name: LC 2

Catalog No.: 7420 Batch

Batch No.: 1

CAS Number: IUPAC Name:

(2*S*,4*R*)-1-((*S*)-2-(3-(3-((*S*)-2-(((7-(8-Chloronaphthalen-1-yl)-4-((*S*)-3-(cyanomethyl)-4-(2-fluoroacryloyl)piperazin-1-yl)-5,6,7,8-tetrahydropyrido[3,4-*d*]pyrimidin-2-yl)oxy)methyl)pyrrolidin-1-yl)propoxy)propanamido) -3,3-dimethylbutanoyl)-4-hydroxy-*N*-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide

Description:

LC 2 is a mutant-selective PROTAC[®] Degrader of KRAS, comprising a ligand for the von Hippel Lindau E3 ligase joined to the KRAS inhibitor MRTX849. LC 2 induces selective degradation of KRAS^{G12C} in cancer cell lines without inducing degradation of any other mutants ($DC_{50} = 0.25-0.76 \mu$ M), leading to suppression of MAPK signaling and modulation of ERK signaling in both homozygous and heterozygous KRAS^{G12C} cancer cell lines. LC 2 inhibits phosphorylation of ERK in SW1573 cell lines. Negative control LC 2 Epimer (Cat. No. 7421) also available. PROTAC[®] is a registered trademark of Arvinas Operations, Inc., and is used under licen... Please see product specific page on www.tocris.com for full description.

2502156-03-6

Physical and Chemical Properties:

Batch Molecular Formula: C₅₉H₇₁CIFN₁₁O₇S.2H₂O Batch Molecular Weight: 1168.83 Physical Appearance: White solid

Minimum Purity: ≥95%

Batch Molecular Structure:

Storage: Store at -20°C

Solubility & Usage Info: DMSO to 100 mM

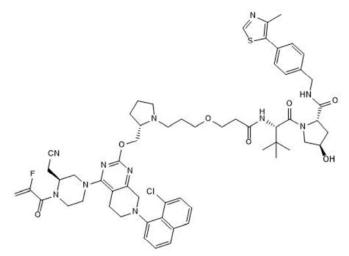
Stability and Solubility Advice:

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:

Bond *et al* (2020) Targeted degradation of oncogenic KRAS ^{G12C} by VHL-recruiting PROTACs. ACS Cent.Sci. **6** 1367. PMID: 32875077. **De Vita** *et al* (2020) The missing link between (un)druggable and degradable KRAS. ACS Cent.Sci. **6** 1281. PMID: 32875070.

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