bio-techne
TOCRIS

Print Date: Apr 16th 2024

Certificate of Analysis

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Product Name: **GSK 215** **Catalog No.: 7818** **Batch No.: 1**

CAS Number: 2743427-26-9

IUPAC Name: (2*S*,4*R*)-4-Hydroxy-1-((*S*)-2-(2-(4-(3-methoxy-4-((4-((2-(methylcarbamoyl)phenyl)amino)-5-(trifluoromethyl)pyridin-2-yl)amino)phenyl)piperazin-1-yl)acetamido)-3,3-dimethylbutanoyl)-*N*-((*S*)-1-(4-(4-methylthiazol-5-yl)phenyl)ethyl) pyrrolidine-2-carboxamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{50}H_{59}F_3N_{10}O_6S.½H_2O$

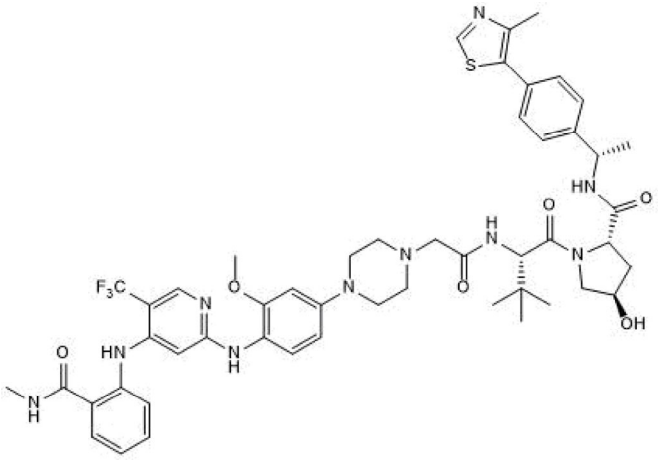
Batch Molecular Weight: 994.15

Physical Appearance: Off-white solid

Solubility: ethanol to 100 mM
DMSO to 100 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.6% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Optical Rotation: $[\alpha]_D$ = -52.5 (Concentration = 0.17, Solvent = Methanol)

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	60.41	6.08	14.09
Found	59.49	6.1	13.73

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

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Product Name: GSK 215 **Catalog No.:** 7818 **1**

CAS Number: 2743427-26-9

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Description:

GSK 215 is a potent and selective focal adhesion kinase (FAK) Degrader (PROTAC®; DC_{50} = 1.3 nM, D_{max} = 90%). Comprises the FAK inhibitor, PND 1186 (Cat. No. 6891), joined by a linker to a VHL E3 ligase ligand. Kinome binding (KinoBead profiling) shows GSK 215 (at 10 nM) has high selectivity for the FAK kinase domain. GSK 215 inhibits cell proliferation in MCF-7 and A549 cells and suppresses A549 cell motility in a wound scratch model system. In CD1 mice, GSK 215 injection induces rapid and profound degradation of FAK in liver (D_{max} = 85% at 18h). PROTAC® is a registered trademark of Arvinas Operations, Inc., and is used under license. Please see product specific page on www.tocris.com for full description.

Physical and Chemical Properties:

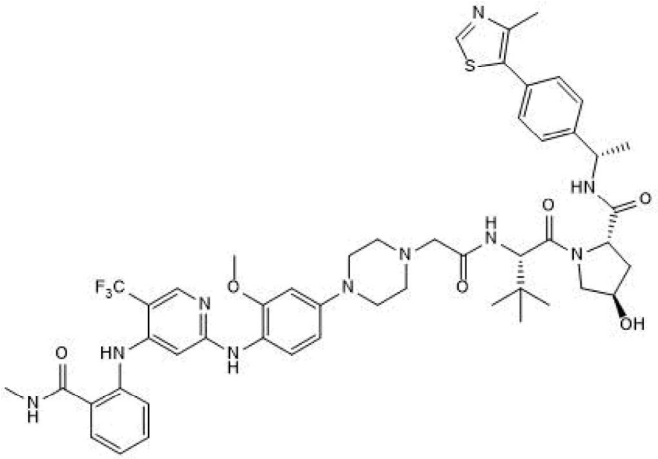
Batch Molecular Formula: $C_{50}H_{59}F_3N_{10}O_6S.½H_2O$

Batch Molecular Weight: 994.15

Physical Appearance: Off-white solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

ethanol to 100 mM
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. *Unless contradicted by product-specific protocols or instructions, our standard recommendations apply:

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:

Law *et al* (2021) Discovery and characterisation of highly cooperative FAK-degrading PROTACs. Angew.Chem.Int.Ed.Engl ***60*** 23327. PMID: 34416073.