

PRODUCT INFORMATION



GSK215

Item No. 40835

CAS Registry No.: 2743427-26-9

Formal Name: (2S,4R)-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

MF: $C_{50}H_{59}F_3N_{10}O_6S$

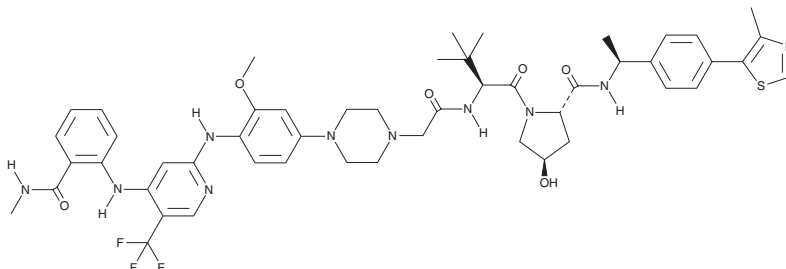
FW: 985.1

Purity: $\geq 98\%$

Supplied as: A solid

Storage: -20°C

Stability: ≥ 4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GSK215 is supplied as a solid. A stock solution may be made by dissolving the GSK215 in the solvent of choice, which should be purged with an inert gas. GSK215 is soluble (≥ 10 mg/ml) in ethanol and sparingly soluble (1-10 mg/ml) in DMSO.

Description

GSK215 is a proteolysis-targeting chimera (PROTAC) composed of the focal adhesion kinase (FAK) inhibitor PND1186 (Item No. 17668) linked to the von-Hippel Lindau (VHL) E3 ligase ligand VHL-021.¹ It binds to the FAK kinase domain ($IC_{50} = 15.8$ nM) and induces FAK degradation in A549 lung cancer cells with a 50% degradation concentration (DC_{50}) of 1.3 nM. GSK215 (100 nM) inhibits A549 cell migration and invasion. *In vivo*, GSK215 (8 mg/kg) reduces hepatic FAK levels in mice.

Reference

1. Law, R.P., Nunes, J., Chung, C.-W., *et al.* Discovery and characterisation of highly cooperative FAK-degrading PROTACs. *Angew. Chem. Int. Ed. Engl.* **60**(43), 23327-23334 (2021).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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