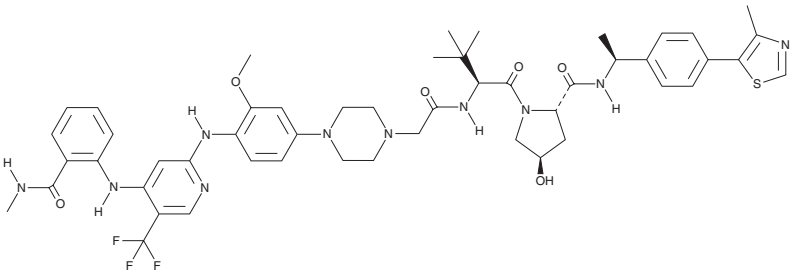
PRODUCT INFORMATION

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: ≥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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM