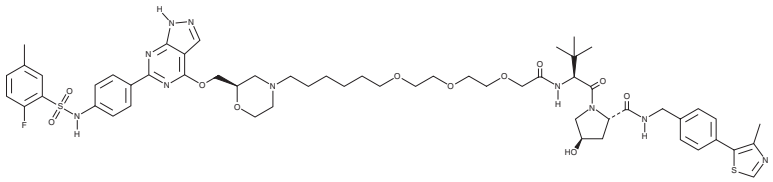
PRODUCT INFORMATION

SGK3-PROTAC1

Item No. 41170

CAS Registry No.: 2381320-35-8
Formal Name: (2S,4R)-1-((S)-2-(*tert*-butyl)-18-((R)-2-(((6-(4-((2-fluoro-5-methylphenyl)sulfonamido)phenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl)oxy)methyl)morpholino)-4-oxo-6,9,12-trioxa-3-azaoctadecanoyl)-4-hydroxy-N-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide
Synonyms: Serum/Glucocorticoid-regulated Kinase 3-PROTAC1, Serum/Glucocorticoid-regulated Kinase 3-Proteolysis-targeting Chimera 1, SGK3-Proteolysis-targeting Chimera 1
MF: $C_{57}H_{73}FN_{10}O_{11}S_2$
FW: 1,157.4
Purity: ≥95%
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

SGK3-PROTAC1 is supplied as a solid. A stock solution may be made by dissolving the SGK3-PROTAC1 in the solvent of choice, which should be purged with an inert gas. SGK3-PROTAC1 is sparingly soluble (1-10 mg/ml) in DMSO.

Description

SGK3-PROTAC1 is a proteolysis-targeting chimera (PROTAC) containing the serum/glucocorticoid-regulated kinase 3 (SGK3) inhibitor 308-R conjugated to VHL ligand 1 (Item No. 21591) *via* an alkyl linker with two PEG units.[1] It inhibits SGK3, SGK1, and p70 ribosomal S6 kinase 1 (S6K1; IC_{50}s = 0.3, 0.22, and 1.8 µM, respectively) but selectively induces degradation of SGK3 over SGK1 and S6K1 in HEK293 cells when used at concentrations ranging from 0.3 to 3 µM. SGK3-PROTAC1 (0.3 µM) potentiates cell growth inhibition induced by GDC-0941 (Item No. 11600) in CAMA-1 and ZR-75-1 breast cancer cells. It also reduces SGK3 levels, sodium-dependent phosphate transporter 1 (PiT-1) levels, and calcium deposition induced by high levels of inorganic phosphate (Pi) in primary mouse vascular smooth muscle cells (VSMCs) when used at a concentration of 2.5 µM.[2]

References

1. Tovell, H., Testa, A., Zhou, H., *et al.* Design and characterization of SGK3-PROTAC1, an isoform specific SGK3 kinase PROTAC degrader. *ACS Chem. Biol.* **14(9),** 2024-2034 (2019).
2. Dong, Q.-Q., Tu, Y.-C., Gao, P., *et al.* SGK3 promotes vascular calcification *via* Pit-1 in chronic kidney disease. *Theranostics* **14(2),** 861-878 (2024).

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