

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: C₅₇H₇₃FN₁₀O₁₁S₂

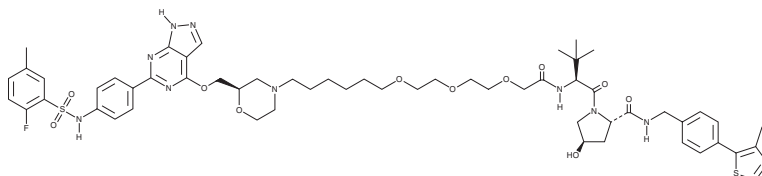
FW: 1,157.4

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; IC₅₀s = 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).

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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