PROTAC SGK3 degrader-1

Cat. No.: HY-125878 CAS No.: 2381320-35-8 Molecular Formula: $C_{57}H_{73}FN_{10}O_{11}S_{2}$

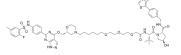
Molecular Weight: 1157.38

Target: PROTACs; SGK

Pathway: PROTAC; Metabolic Enzyme/Protease

Storage: -20°C, stored under nitrogen

* In solvent: -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (86.40 mM)

* "≥" means soluble, but saturation unknown.

| Preparing Stock Solutions | Solvent Mass Concentration | 1 mg | 5 mg | 10 mg |
|------------------------------|-------------------------------|-----------|-----------|-----------|
| | 1 mM | 0.8640 mL | 4.3201 mL | 8.6402 mL |
| | 5 mM | 0.1728 mL | 0.8640 mL | 1.7280 mL |
| | 10 mM | 0.0864 mL | 0.4320 mL | 0.8640 mL |

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (2.16 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (2.16 mM); Clear solution

BIOLOGICAL ACTIVITY

Description PROTAC SGK3 degrader-1 (SGK3-PROTAC1), is a potent SKG3 degrader based on von Hippel-Lindau ligand. PROTAC SGK3

degrader-1 (0.3 μM) induces 50% degradation of endogenous SGK3 within 2 hours, with maximal 80% degradation observed

within 8 hours, accompanied by a loss of phosphorylation of NDRG1 (an SGK3 substrate) $^{[1]}$.

SGK3 IC₅₀ & Target

PROTAC SGK3 degrader-1 (SGK3-PROTAC1) (0.3 μ M, 4 weeks) in combination with GDC0941 inhibits cell growth in CAMA-1 or In Vitro

ZR-75-1 cells^[1].

PROTAC SGK3 degrader-1 (0.1 μ M, 48 h) reduces SGK3 levels by 65% without effecting SGK1, SGK2, or S6K1 in HEK293 cells^[1]

PROTAC SGK3 degrader-1 (1-10 μ M, 48 h) moderate reduces S6K1 levels in HEK293 cells [1].

PROTAC SGK3 degrader-1 (>0.1 μ M, 8 h) reduces NDRG1 phosphorylation in HEK293 cells, and induces degradation of SGK3, but not SGK1 or S6K in CAMA-1 and ZR-75-1cells [1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability $\mathsf{Assay}^{[1]}$

| Cell Line: | CAMA-1 or ZR-75-1 cells | |
|------------------|--|--|
| Concentration: | 0.3 μΜ | |
| Incubation Time: | 4 weeks | |
| Result: | Inhibited cell growth in combination with GDC0941. | |

Western Blot Analysis^[1]

| Cell Line: | HEK293 cells | |
|------------------|---|--|
| Concentration: | 0.1 μΜ | |
| Incubation Time: | 48 h | |
| Result: | Reduced SGK3 levels by 65% without effecting SGK1, SGK2, or S6K1. | |

CUSTOMER VALIDATION

• Redox Biol. 2023 Oct 16, 102931.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Tovell H, et al. Design and Characterization of SGK3-PROTAC1, an Isoform Specific SGK3 Kinase PROTAC Degrader. ACS Chem Biol. 2019 Sep 20;14(9):2024-2034.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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