

Product Data Sheet

Product Name: LUNA18
Cat. No.: GC73607

Chemical Properties

Cas. No. 2676177-63-0

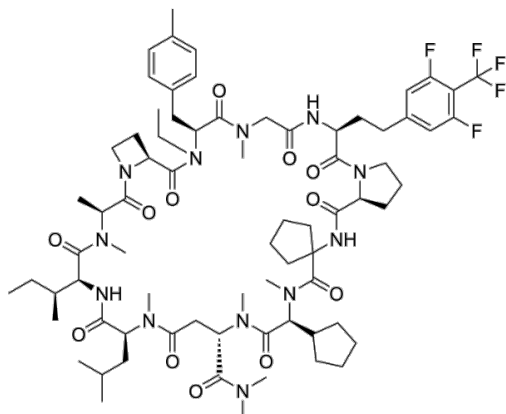
Formula $C_{73}H_{105}F_5N_{12}O_{12}$ M.Wt 1437.68

Solubility DMSO : ≥ 100 mg/mL (69.56 mM) Storage Sealed storage, away from moisture and light, under nitrogen

General For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the tips ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Evaluation sample solution : ship with blue ice All other available size: ship with RT , or Condition blue ice upon request.

Structure



Background

LUNA18 is an orally-available cyclic peptide KRAS and ERK inhibitor. LUNA18 phosphorylates ERK and AKT and decreases cell proliferation in RAS-mutated cancer cells. LUNA18 exhibits RAS signal inhibition and potent anti-cancer activities through inhibiting interaction between RAS and guanine nucleotide exchange factors (GEFs) in a mouse xenograft model. LUNA18 shows significant cellular efficacy against cell lines with KRAS genetic alterations, such as colon cancer, stomach cancer, non-small cell lung cancer and pancreatic cancer.

Caution: Product has not been fully validated for medical applications. For research use only.

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LUNA18 (2 μ mol/L, 36 h) robustly inhibits proliferation of NCI-H2122, MiaPaCa-2, NCI-H441, LS 180, GSU cell lines, which is a selective RAS inhibitor[3]. LUNA18 (0-100 nM, 4 h) decreases GTP-KRAS, pERK1/2 and pAKT expression in a concentration-dependent manner in NCI-H441 cell lines[3].

LUNA18 (10 mg/kg, p.o., once daily for 14 days) results in dose-dependent antitumor activity without any marked body weight loss in NCI-H441 xenograft mouse model[3]. PK parameters of LUNA18[3]

References:

- [1]. Tanada M, et al. Development of Orally Bioavailable Peptides Targeting an Intracellular Protein: From a Hit to a Clinical KRAS Inhibitor. J Am Chem Soc. 2023 Aug 2;145(30):16610-16620.
- [2]. Sase H, et al. Anti-tumor activity of orally-available cyclic peptide LUNA18 through direct RAS inhibition in RAS-altered tumors[J]. Cancer Research, 2024, 84(6_Supplement): 1654-1654. [3]. Ohta A, et al. Validation of a New Methodology to Create Oral Drugs beyond the Rule of 5 for Intracellular Tough Targets[J]. J Am Chem Soc. 2023 Nov 8;145(44):24035-24051.

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