
Product Data Sheet

Product Name: ULK1-IN-2

Cat. No.: GC68463

Chemical Properties

Cas. No. 2497409-01-3

Formula $C_{19}H_{16}BrFN_4O_6$ M.Wt 495.26

Solubility Storage 4°C, away from moisture and light

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

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

Structure

Background

ULK1-IN-2 (compound 3s) is a potent **ULK1** inhibitor. ULK1-IN-2 shows highest cytotoxic effect against cancer cell lines, with **IC₅₀** of 1.94 μM in A549. ULK1-IN-2 can induce apoptosis and simultaneously block autophagy, and can be used to study NSCLC (Non-small cell lung cancer)^[1].

ULK1-IN-2 (compound 3s) (10 μM, 24 h) shows strong anti-proliferative activity against A549, U937, HL60, MDA-MB-468 and MCF-7^[1].

ULK1-IN-2 (0-8 μM, 24 h) blocks autophagy via inhibiting **ULK1** in A549 cells^[1].

ULK1-IN-2 (0-8 μM, 24 h) induces apoptosis via the mitochondrial pathways in A549 cells in dose dependent manner^[1].

ULK1-IN-2 (0-8 μM, 24 h) inhibits **ULK1** and **p-ULK1^{ser317}** expression in a concentration-dependent manner, remarkably decreases Bcl-2 expression, increases Bax and the active form of Caspase-3 expression.^[1]

Cell Proliferation Assay

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Cell Line: Human cancer cell lines A549, U937, HL60, MDA-MB-468 and MCF-7^[1]

Concentration: 10 μ M

Incubation Time: 24 h

Result: Significantly improved anti-proliferative activity against A549, U937, HL60, MDA-MB-468 and MCF-7, with kinase inhibitory activity of 99.15% and IC₅₀ values of 1.94, 12.92, 10.89, 16.83, and 19.60 μ M, respectively.

Cell Autophagy Assay

Cell Line: A549 cells^[1]

Concentration: 0, 2, 4, 8 μ M

Incubation Time: 24 h

Result: Blocked autophagy of A549 cells via inhibiting ULK.

Western Blot Analysis

Cell Line: A549 cells^[1]

Concentration: 0, 2, 4, 8 μ M

Incubation Time: 24 h

Result: Inhibited expression of ULK1 and p-ULK1^{ser317} in a concentration-dependent manner. Increased the autophagy substrate P62, reduced LC3-I conversion to LC3-II, and decreased the levels of Beclin1. Remarkably decreased Bcl-2 expression, increased Bax and the active form of Caspase-3 expression.

[1]. Sun D, Yang Z, Zhen Y, et al. Discovery of 5-bromo-4-phenoxy-N-phenylpyrimidin-2-

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amine derivatives as novel ULK1 inhibitors that block autophagy and induce apoptosis in non-small cell lung cancer. Eur J Med Chem. 2020;208:112782.

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