# ULK1-IN-2

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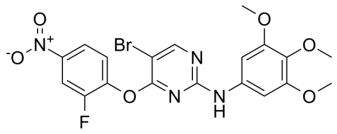
| **Cat. No.:** | HY-143466 |
| **CAS No.:** | 2497409-01-3 |
| **Molecular Formula:** | $C_{19}H_{16}BrFN_4O_6$ |
| **Molecular Weight:** | 495.26 |
| **Target:** | FAK; ULK; AMPK; Apoptosis; Autophagy |
| **Pathway:** | Protein Tyrosine Kinase/RTK; Autophagy; Epigenetics; PI3K/Akt/mTOR; Apoptosis |
| **Storage:** | 4°C, sealed storage, away from moisture and light<br>* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light) |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 33.33 mg/mL (67.30 mM; Need ultrasonic)

| Preparing Stock Solutions | Mass / Solvent / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.0191 mL | 10.0957 mL | 20.1914 mL |
| | 5 mM | 0.4038 mL | 2.0191 mL | 4.0383 mL |
| | 10 mM | 0.2019 mL | 1.0096 mL | 2.0191 mL |

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

## BIOLOGICAL ACTIVITY

**Description**

ULK1-IN-2 (compound 3s) is a potent ULK1 inhibitor. ULK1-IN-2 shows highest cytotoxic effect against cancer cell lines, with $IC_{50}$ 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].

**$IC_{50}$ & Target**

ULK1

**In Vitro**

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 department 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].

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

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 μM |
| 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 $IC_{50}$ values of 1.94, 12.92, 10.89, 16.83, and 19.60 μM, respectively. |

Cell Autophagy Assay

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| Cell Line: | A549 cells[1] |
| Concentration: | 0, 2, 4, 8 μM |
| Incubation Time: | 24 h |
| Result: | Blocked autophagy of A549 cells via inhibiting ULK. |

Western Blot Analysis

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| Cell Line: | A549 cells[1] |
| Concentration: | 0, 2, 4, 8 μM |
| 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. |

## REFERENCES

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