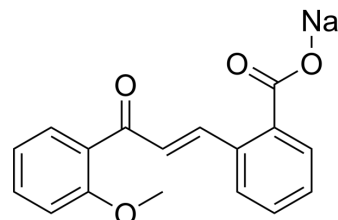


HOIPIN-1

| | |
|--------------------|--|
| Cat. No.: | HY-122881 |
| Molecular Formula: | C ₁₇ H ₁₃ NaO ₄ |
| Molecular Weight: | 304.28 |
| Target: | IKK |
| Pathway: | NF-κB |
| Storage: | 4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light) |



SOLVENT & SOLUBILITY

| | | | | | | | |
|---|--|-----------------------|------|-------|-----------|------------|------------|
| In Vitro | DMSO : 5 mg/mL (16.43 mM; Need ultrasonic) | | | | | | |
| | Preparing Stock Solutions | Solvent Concentration | Mass | 1 mg | 5 mg | 10 mg | |
| | | | | 1 mM | 3.2864 mL | 16.4322 mL | 32.8645 mL |
| | | | | 5 mM | 0.6573 mL | 3.2864 mL | 6.5729 mL |
| | | | | 10 mM | 0.3286 mL | 1.6432 mL | 3.2864 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: ≥ 0.5 mg/mL (1.64 mM); Clear solution | | | | | | |
| | 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 0.5 mg/mL (1.64 mM); Clear solution | | | | | | |
| | 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 0.5 mg/mL (1.64 mM); Clear solution | | | | | | |

BIOLOGICAL ACTIVITY

| | | |
|---------------------------|---|-------|
| Description | HOIPIN-1 (JTP-0819958) is a selective linear ubiquitin chain assembly complex (LUBAC) inhibitor with an IC ₅₀ of 2.8 μM ^[1] . HOIPIN-1 suppress LUBAC-mediated NF-κB activation in vitro ^[2] . | |
| IC ₅₀ & Target | IKK-α | IKK-β |
| In Vitro | In the HTRF-based LUBAC-mediated ubiquitination assay with Petit-LUBAC. HOIPIN-1 (0.9-120 μM) exhibits an increased inhibitory activity with a longer preincubation time in the reaction buffer. The IC ₅₀ values are 26 μM, 26 μM, 26 μM, and 3.926 μM, at 1h, 3h, 6h and 24 h, respectively ^[1] . The coexpression of the LUBAC subunits in HEK293T cells increases the intracellular amounts of linear polyubiquitin, | |

HOIPIN-1 (1-30 μ M) dose dependently suppressed the production of intracellular linear polyubiquitin in LUBAC-expressing HEK293T cells^[1].

HOIPIN-1 (30-100 μ M; 30-60 mins) inhibits IL-1 β -induced NF- κ B activation and decreases the phosphorylation of IKK α / β , p105, and p65 in hela cells^[1].

HOIPIN-1 (10-100 μ M) inhibits the expression of NF- κ B target genes such as ICAM1 and TNF- α in IL-1 β -induced hela cells in a dose-dependent manner^[1].

The linear ubiquitin chain assembly complex (LUBAC), composed of the HOIL-1L, HOIP, and SHARPIN subunits. HOIPIN-1 exhibits IC₅₀ values of 4.4 μ M, 3.5 μ M and 3.7 μ M for inhibition of linear polyubiquitination activity by the HOIL-1L/HOIP complex, the HOIL-1L/HOIP/SHARPIN complex and the HOIP/SHARPIN complex, respectively^[2].

HOIPIN-1 (1-100 μ M; 72 hours) shows no apparent cytotoxicity based on ATP content, it exhibits cytotoxicity in A549 cells with an IC₅₀>100 μ M in A549 cells^[2].

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

REFERENCES

[1]. Ken Katsuya, et al. High-Throughput Screening for Linear Ubiquitin Chain Assembly Complex (LUBAC) Selective Inhibitors Using Homogenous Time-Resolved Fluorescence (HTRF)-Based Assay System. SLAS Discov. 2018 Dec;23(10):1018-1029

[2]. Ken Katsuya, et al. Small-molecule inhibitors of linear ubiquitin chain assembly complex (LUBAC), HOIPINs, suppress NF- κ B signaling. Biochem Biophys Res Commun. 2019 Feb 12;509(3):700-706.

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

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