

Product Data Sheet

Product Name: HOIPIN-1

Cat. No.: GC62161

Chemical Properties

Cas. No.

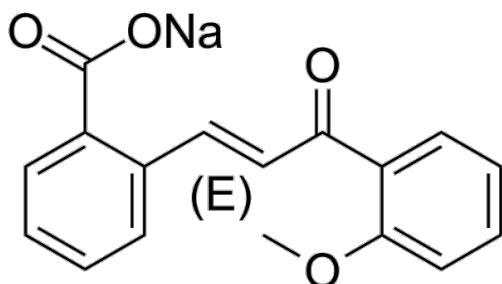
Formula $C_{17}H_{13}NaO_4$ M.Wt 304.27

Solubility DMSO : 5 mg/mL (16.43 mM; Need ultrasonic) Storage 4°C, protect from 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

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].

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

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

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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].

[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.

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