

Product Data Sheet

Product Name: UE01
Cat. No.: GC81673

Chemical Properties

Cas. No. 486440-74-8

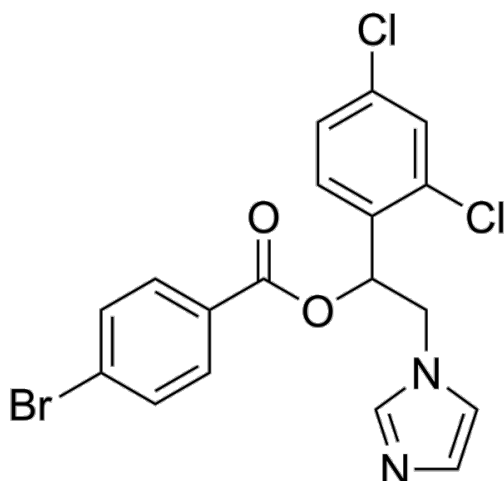
Formula $C_{18}H_{13}BrCl_2N_2O_2$ M.Wt 440.12

Solubility Storage Store at -20°C

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

UE01 is an orally active, selective small-molecule modulator targeting both ULK1/ERK1/2. UE01 activates hULK1 with an EC₅₀ of 695.30 nM and a K_D of 114.3 nM for ULK1; it inhibits hERK1 with an IC₅₀ of 179.90 nM and a K_D of 114 nM for ERK1; it shows weak binding to ERK2 with a K_D of 2.8 mM. UE01 induces the conformational transition of ULK1 from an inactive to an active state, enhances the phosphorylation of ULK1 Ser317 and mAtg13 Ser355, and reduces the phosphorylation of ULK1 Ser757. UE01 competitively occupies the ATP-binding pocket of ERK1, inhibits ERK1 kinase activity, and reduces the activity of the ERK1/2 signaling pathway. UE01 induces complete autophagy flux and apoptosis, upregulates Atg5, Atg7, LC3-II/LC3-I, Bax,

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cytochrome C (Cyt c), Cleaved-Caspase 3, Cleaved-PARP1 and E-cadherin, downregulates p62, Bcl-2, MMP-2 and MMP-9, reduces the phosphorylation of Exo70 Ser250, and promotes the proteasome-dependent degradation of Cav-1, thereby inhibiting EMT-related phenotypes and extracellular matrix degradation. UE01 can be used in studies related to triple-negative breast cancer [1].

In Vivo, UE01 (25-100 mg/kg; p.o.; once daily; for 13 consecutive days) dose-dependently inhibits tumor growth, reduces tumor volume, tumor weight and bioluminescent signals, downregulates Ki-67 and p-ERK1/2, and upregulates p-ULK1 in the MDA-MB-231-Luc subcutaneous xenograft tumor model^[1]. UE01 (25-100 mg/kg; p.o.; once daily; for 13 consecutive days) dose-dependently reduces pulmonary bioluminescent signals and the number of lung metastatic nodules, upregulates E-cadherin, downregulates MMP-2, and improves collagen fiber structure in the MDA-MB-231-Luc tail vein lung metastasis model^[1]. UE01 (25-100 mg/kg; p.o.; once daily; for 13 consecutive days) does not significantly alter body weight or serum indicators of liver and kidney function in mice, but histopathological lesions are observable in liver tissues of the high-dose group^[1].

In Vitro, UE01 activates human ULK1 with an EC₅₀ of 695.30 nM, and inhibits ERK1 with an IC₅₀ of 179.90 nM; the K_d values of UE01 for ULK1, ERK1 and ERK2 are 114.3 nM, 114 nM and 2.8 mM, respectively^[1]. UE01 (20 μM; 6 h) increases the thermal stability and protease degradation resistance of ULK1, ERK1 and ERK2 in MDA-MB-231 cells, induces activation-associated conformational changes in ULK1, and competitively occupies the ATP-binding pocket of ERK1^[1]. UE01 inhibits the proliferation of triple-negative breast cancer cells MDA-MB-231 and BT-549, with IC₅₀ values of 21.86 μM and 24.24 μM, respectively^[1]. UE01 (10-40 μM; 24 h) upregulates E-cadherin, downregulates MMP-2, MMP-9 and Cav-1, and reduces the phosphorylation level of Exo70 Ser250, thereby inhibiting EMT-related phenotypes and extracellular matrix degradation^[1]. UE01 (20 μM; 48 h) significantly inhibits the migration of MDA-MB-231 and BT-549 cells in scratch wound healing assays, with stronger efficacy than single-target controls, and this effect is mediated by activating ULK1 and inhibiting ERK1/2/Exo70^[1]. UE01 (20 μM; 48 h) significantly inhibits the migration of MDA-MB-231 cells in Transwell assays, with stronger efficacy than single-target controls, and this effect depends on the expression of ULK1 and ERK1^[1]. UE01 (10-40 μM; 24 h) activates the ULK1 signaling pathway and inhibits the ERK1/2 signaling pathway in a concentration-dependent

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manner, and regulates metastasis-related proteins (upregulates E-cadherin, downregulates Cav-1, MMP-2, MMP-9) in MDA-MB-231 and BT-549 cells. These effects are mediated by the ULK1 and Cav-1/Exo70 pathways^[1]. UE01 (20 μ M; 24 h) upregulates the expression of E-cadherin and downregulates the expression of MMP-2 in MDA-MB-231 cells, and its downregulatory effect on MMP-2 is stronger than that of the single-target control^[1]. UE01 (10-40 μ M; 24 h) increases autophagy levels in MDA-MB-231 and BT-549 cells in a concentration-dependent manner, as determined by LC3B fluorescence assay^[1].

References:

[1]. Liao MR, et al. Discovery of a novel dual-target modulator of ULK1 and ERK1/2 that suppresses triple-negative breast cancer progression and metastasis via the Exo70/Cav-1/MMPs axis. *Acta pharmacologica Sinica*. 2026 Jun 01.

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