

Heclin, HECT domain containing E3 ubiquitin ligase inhibitor

Catalog	Unit
TBI1534-5MG	5 mg
TBI1534-25MG	25 mg

Product Details

Formal Name: 2E-N-(4-Acetylphenyl)-3-(5-ethylfuran-2-yl)prop-2-enamide

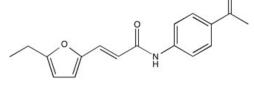
Molecular Formula: C₁₇H₁₇NO₃ **Formula Weight:** 283.33 **CAS Number:** 890605-54-6

Purity: >98%

Formulation: powder

Solubility: Soluble in DMSO (up to 40 mg/ml) or in Ethanol (up to 10 mg/ml).

Storage: -20° C Stability: ≥ 2 years.



Applications

HECT domain containing E3 ubiquitin ligase inhibitor

Functions

The first small molecule inhibitor of the HECT domain-containing E3 ubiquitin ligases. Heclin (HECT ligase inhibitor) inhibits several HECT ligases in cultured cells, IC50s = 6.8, 6.3 and $6.9 \mu M$ for Smurf2, Nedd4 and WWP1 respectively. It does not block E2 binding but causes a conformational change which results in oxidation of the active site cysteine. Cells tolerate heclin treatment for several hours but exposure for 24 hours leads to death in HEK293 cells, consistent with an essential role for HECT ligase activity in mammalian cells. Heclin does not inhibit RING domain ligases. Thus it is a valuable tool for distinguishing between RING and HECT-mediated ubiquitination. Prevents proteasomal degradation of thioredoxin-interacting protein after microbial infection with subsequent reduction of pro-inflammatory mediators. Improves short-term memory, consolidation, retrieval and reconsolidation of contextual fear in rodent models.

Application Procedures

First dissolved in DMSO (up to 40 mg/ml) or in Ethanol (up to 10 mg/ml), then diluted to aqueous buffer. Solutions in DMSO or ethanol may be stored at -20° for up to 1 month.

For research use only.