

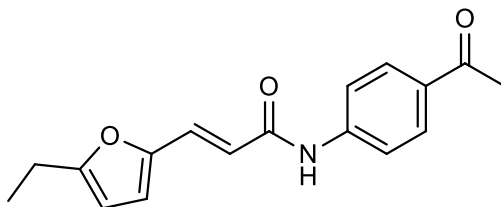
Catalog # 10-1534

Heclin

890605-54-6

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

Lot # S105037



The first small molecule inhibitor of the HECT domain-containing E3 ubiquitin ligases. Heclin (HECT ligase inhibitor) inhibits several HECT ligases in cultured cells, IC_{50} s = 6.8, 6.3 and 6.9 μ 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.¹ 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.² Improves short-term memory, consolidation, retrieval and reconsolidation of contextual fear in rodent models.³

- 1) Mund *et al.* (2014), *Peptide and small molecule inhibitors of HECT-type ubiquitin ligases*; Proc. Natl. Acad. Sci. USA, **111** 16736
- 2) Tseng *et al.* (2019), *HECT E3 Ubiquitin Ligase-Regulated Txnip Degradation Facilitates TLR2-Mediated Inflammation During Group A Streptococcal Infection*; Front. Immunol., **10** 2147
- 3) Redondo *et al.* (2020), *Hippocampal HECT E3 ligase inhibition facilitates consolidation, retrieval, and reconsolidation, and inhibits extinction of contextual fear memory*; Neurobiol. Learn. Mem. **167** 107135

PHYSICAL DATA

Molecular Weight:	283.33
Molecular Formula:	C ₁₇ H ₁₇ NO ₃
Purity:	98% by TLC (2% Acetone/methylene chloride)
	NMR: (Conforms)
Solubility:	DMSO (up to 40 mg/ml) or Ethanol (up to 10 mg/ml)
Physical Description:	Beige solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 2 years from the date of purchase. Solutions in DMSO or ethanol may be stored at -20°C for up to 1 month.

Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.