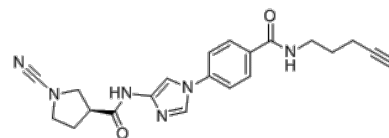


Product Name : GK13S
Cat. No. : PC-49371
CAS No. :
Molecular Formula : C₂₁H₂₂N₆O₂
Molecular Weight : 390.447
Target : Deubiquitinase (DUB)
Solubility : 10 mM in DMSO



Biological Activity

GK13S is a highly potent, specific and covalent inhibitor of deubiquitinase **UCHL1** with IC₅₀ of 87 nM, displays exquisite specificity for UCHL1 over the other UCH family members (IC₅₀>10 μM).

GK13S inhibits recombinant UCHL1 (0.8 μM) with IC₅₀ of 50 nM in a Ubiquitin rhodamine cleavage assay.

Inhibition of UCHL1 by GK13S does not impair cell growth in HEK293 cells.

GK13S reduces monoubiquitin in U-87 MG cells and thereby phenocopies the effect of a UCHL1 mutant mouse.

GK13S is bound covalently to the catalytic cysteine Cys90 through an isothioureia with its cyanamide warhead and occupies a shallow cleft that, during catalysis, guides the Ubiquitin C-terminal LRGG peptide to the active site.

References

Grethe C, et al. *Nat Commun.* 2022 Oct 10;13(1):5950.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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