

Data Sheet

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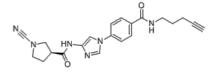
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Product Name : GK13S Cat. No. : PC-49371

CAS No. :

Molecular Formula: $C_{21}H_{22}N_6O_2$ **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 uM).

 ${\it GK13S inhibits recombinant UCHL1 (0.8 uM) with IC50 of 50 nM in a Ubiquitin rhodamine cleavage assay.}$

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 binds covalently to the catalytic cysteine Cys90 through an isothiourea 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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