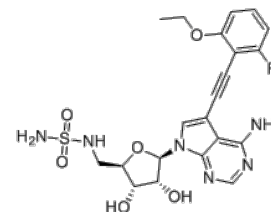


Product Name : TAS4464
Cat. No. : PC-72994
CAS No. : 1848959-10-3
Molecular Formula : C₂₁H₂₃FN₆O₆S
Molecular Weight : 506.509
Target : NEDD8
Solubility : 10 mM in DMSO



Biological Activity

TAS4464 (TAS-4464) is a highly potent and selective inhibitor of **NEDD8-activating enzyme (NAE)** with IC₅₀ of 0.955 nM, >400-fold selectivity over UAE and SAE.

TAS4464 functionally inhibits NAE and that this inhibitory activity is more potent and more selective than that of MLN4924, and does not inhibit Carbonic anhydrase II (CA2, IC₅₀=0.73 μM).

TAS4464 (dose range, 0.001-1 μmol/L) induced dose-dependent decreases in neddylated cullin and dose-dependent accumulation of the CRL substrates CDT1, NRF2, p-IκBα, and p27 in the human cancer cell line CCRF-CEM.

TAS4464 increased the levels of cleaved caspase-3 and cleaved PARP, did not induce the accumulation of the non-CRL substrates such as GADD34 and PTTG.

TAS4464 exhibited strong and sustained neddylation inhibition and caused profound antitumor efficacy in CCRF-CEM xenograft model.

References

Yoshimura C, et al. *Mol Cancer Ther.* 2019 Jul;18(7):1205-1216.

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

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