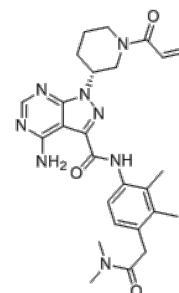


Product Name : TAS0728
Cat. No. : PC-36109
CAS No. : 2088323-16-2
Molecular Formula : C₂₆H₃₂N₈O₃
Molecular Weight : 504.595
Target : EGFR
Solubility : 10 mM in DMSO



Biological Activity

TAS0728 (TAS-0728, TAS 0728) is a potent, selective, covalent, orally available inhibitor of **HER2 kinase** with IC₅₀ of 36 nM in in vitro kinase assays.

TAS0728 covalently binds to the recombinant human HER2 cytoplasmic domain, modified cysteine residue C805.

TAS0728 inhibits EGFR recombinant with IC₅₀ of 65 nM, shows excellent selectivity in a panel of 374 kinases.

TAS0728 strongly inhibits the phosphorylation of HER2 in SK-BR-3 cells at 30-1000 nM, with weakly inhibition on phosphorylation of EGFR; potently inhibited the in vitro proliferation of five HER2-amplified cell lines with GI₅₀ of <10 nM, exhibited robust and sustained inhibition of the phosphorylation of HER2, HER3.

TAS0728 induced tumor regression in mouse xenograft models bearing HER2 signal-dependent tumors and exhibited a survival benefit without any evident toxicity.

References

Irie H, et al. *Mol Cancer Ther.* 2019 Feb 20. pii: molcanther.1085.2018.

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

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