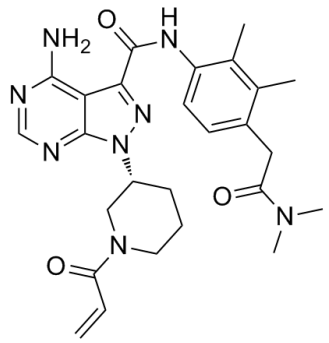
TAS0728

Cat. No.:	HY-111553
CAS No.:	2088323-16-2
Molecular Formula:	$C_{26}H_{32}N_8O_3$
Molecular Weight:	504.58
Target:	EGFR
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the COA.



BIOLOGICAL ACTIVITY

Description	TAS0728 is a potent, selective, oral active, irreversible and covalent-binding **HER2** inhibitor, binds to HER2 at C805, inhibits its kinase activity, with an **IC_{50}** of 13 nM. TAS0728 shows **IC_{50}**s of 4.9, 8.5, 31, 65, 33, 25, 86 and 36 nM for BMX, HER4, BLK, EGFR, JAK3, SLK, LOK and human HER2, respectively. TAS0728 also inhibits the phosphorylation of HER2, HER3, and downstream effectors, shows no obvious effect on EGFR. Antitumor activity[1].

IC_{50} & Target				
	HER4 8.5 nM (IC_{50})	HER2 13 nM (IC_{50})	Human HER2 36 nM (IC_{50})	EGFR 65 nM (IC_{50})
	BMX 4.9 nM (IC_{50})	BLK 31 nM (IC_{50})	JAK3 33 nM (IC_{50})	SLK 25 nM (IC_{50})
	LOK 86 nM (IC_{50})			

REFERENCES

[1]. Irie H, et al. TAS0728, a covalent-binding, HER2-selective kinase inhibitor shows potent antitumor activity in preclinical models. Mol Cancer Ther. 2019 Feb 20.

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