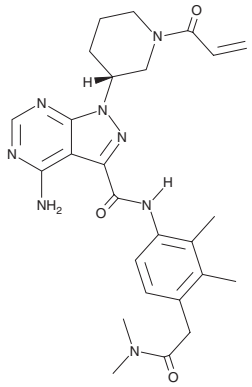
PRODUCT INFORMATION

TAS 0728

Item No. 33528



CAS Registry No.:	2088323-16-2
Formal Name:	4-amino-N-[4-[2-(dimethylamino)-2-oxoethyl]-2,3-dimethylphenyl]-1-[(3R)-1-(1-oxo-2-propen-1-yl)-3-piperidinyl]-1H-pyrazolo[3,4-d]pyrimidine-3-carboxamide
MF:	$C_{26}H_{32}N_8O_3$
FW:	504.6
Purity:	≥98%
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

TAS 0728 is supplied as a crystalline solid. A stock solution may be made by dissolving the TAS 0728 in the solvent of choice, which should be purged with an inert gas. TAS 0728 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of TAS 0728 in ethanol is approximately 15 mg/ml and approximately 30 mg/ml in DMSO and DMF.

TAS 0728 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, TAS 0728 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. TAS 0728 has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

TAS 0728 is a covalent HER2 inhibitor (IC_{50} = 36 nM).[1] It is selective for HER2 over panels of 386 and 374 additional kinases, as well as a panel of 68 non-kinase enzymes, when used at a concentration of 1 µM. TAS 0728 inhibits HER2 autophosphorylation in HER2-overexpressing SK-BR-3 cells but not autophosphorylation of EGFR in EGFR-overexpressing A431 cells. It inhibits the growth of six HER2-amplified breast, lung, and gastric cancer cell lines (GI_{50}s = 1.6-31 nM). TAS 0278 (30 and 60 mg/kg) reduces tumor volume in NCI N87 and BT474 mouse xenograft models.

Reference

1. Irie, H., Ito, K., Fujioka, Y., *et al.* TAS0728, a covalent-binding, HER2-selective kinase inhibitor shows potent antitumor activity in preclinical models. *Mol. Cancer Ther.* **18(4)**, 733-742 (2019).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM