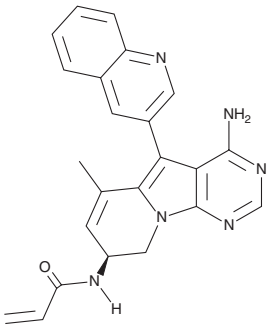
PRODUCT INFORMATION

TAS 6417

Item No. 27911

CAS Registry No.:	1661854-97-2
Formal Name:	N-[(8S)-4-amino-8,9-dihydro-6-methyl-5-(3-quinolinyl) pyrimido[5,4-b]indolizin-8-yl]-2-propenamide
Synonyms:	CLN-081, Zipalertinib
MF:	$C_{23}H_{20}N_6O$
FW:	396.4
Purity:	≥98%
Supplied as:	A 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 6417 is supplied as a solid. A stock solution may be made by dissolving the TAS 6417 in the solvent of choice, which should be purged with an inert gas. TAS 6417 is soluble in acetonitrile and DMSO.

Description

TAS 6417 is a pan-EGFR inhibitor.[1] It inhibits wild-type EGFR (IC_{50}= 8 nM), as well as the EGFR point mutants $EGFR^{L858R}$, $EGFR^{L861Q}$, $EGFR^{T790M}$, $EGFR^{T790M/L858R}$ (IC_{50}s = 1.9, 5.5, 4.1, and 2 nM, respectively) and the insertion or deletion mutants EGFR D770_N771insNPG, EGFR d746-750, and EGFR d746-770/T790M (IC_{50}s = 7.4, 1.4, and 1.1 nM, respectively). It is selective for these kinases over a panel of 20 additional kinases (IC_{50}s = 44-850 nM) but does inhibit TXK, BMX, HER4, Tec, and BTK(IC_{50}s = 1.1-22 nM). TAS 6417 inhibits the proliferation of LXF 2478L, H1975, HCC827, and PC-9 lung cancer cells (GI_{50}s = 86.5, 45.4, 1.92, and 2.96 nM, respectively). *In vivo*, TAS 6417 (50, 100, and 200 mg/kg) reduces tumor volume and increases survival in an H1975 EGFR D770-N771insSVD mouse

Reference

1. Hasako, S., Terasaka, M., Abe, N., *et al.* TAS6417, a novel EGFR inhibitor targeting exon 20 insertion mutations. *Mol. Cancer Ther.* **17(8)**, 1648-1658 (2018).

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