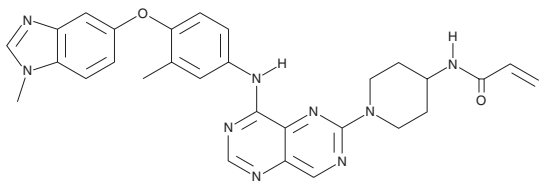
PRODUCT INFORMATION

Zongertinib

Item No. 44994

CAS Registry No.: 2728667-27-2
Formal Name: N-[1-[8-[[3-methyl-4-[(1-methyl-1H-benzimidazol-5-yl)oxy]phenyl]amino]pyrimido[5,4-d]pyrimidin-2-yl]-4-piperidinyl]-2-propenamide
Synonym: BI 1810631
MF: $C_{29}H_{29}N_9O_2$
FW: 535.6
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

Zongertinib is supplied as a solid. A stock solution may be made by dissolving the zongertinib in the solvent of choice, which should be purged with an inert gas. Zongertinib is sparingly soluble (1-10 mg/ml) in DMSO.

Description

Zongertinib is an inhibitor of mutant HER2 containing an activating exon 20 insertion ($HER2^{YVMA}$; IC_{50} = 13 nM in a cellular phosphorylation assay using HEK cells).[1] It is selective for the inhibition of $HER2^{YVMA}$ over wild-type EGFR (IC_{50} = 576 nM in a cellular phosphorylation assay using HEK cells). It is also selective for HER2 over 394 kinases in a kinase inhibition assay at 1 µM but does inhibit HER4 and BMX by >80% in the same assay at the same concentration. Zongertinib selectively inhibits the proliferation of Ba/F3 cells engineered to be dependent on $HER2^{YVMA}$ over those dependent on wild-type EGFR (IC_{50}s = 16 and 1,549 nM, respectively). It also inhibits the proliferation of NCI H2170 non-small cell lung cancer (NSCLC) cells expressing wild-type HER2 or $HER2^{YVMA}$ (IC_{50}s = 6.3 and 20 nM, respectively) but not A431 epidermal cancer cells dependent on EGFR (IC_{50} = >5,000 nM). Zongertinib (2.5-20 mg/kg twice per day) reduces tumor growth in an NCI N87 HER2-amplified gastric cancer mouse xenograft model. Formulations containing zongertinib have been used in the treatment of NSCLC in which tumor cells express HER2 activating mutations.

Reference

1. Wilding, B., Woelflingseder, L., Baum, A., *et al.* Zongertinib (BI 1810631), an irreversible HER2 TKI, spares EGFR signaling and improves therapeutic response in preclinical models and patients with HER2-driven cancers. *Cancer Discov.* **15(1)**, 119-138 (2025).

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