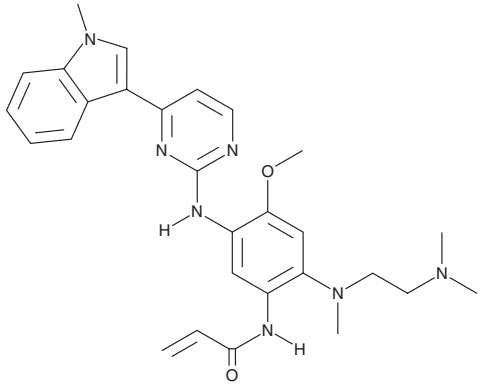
PRODUCT INFORMATION

AZD 9291

Item No. 16237

CAS Registry No.: 1421373-65-0
Formal Name: N-[2-[[2-(dimethylamino)ethyl] methylamino]-4-methoxy-5-[[4-(1-methyl-1H-indol-3-yl)-2-pyrimidinyl] amino]phenyl]-2-propenamide
Synonym: Osimertinib
MF: $C_{28}H_{33}N_7O_2$
FW: 499.6
Purity: ≥98%
UV/Vis.: λ_{max}: 223, 282, 311 nm
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

AZD 9291 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZD 9291 in the solvent of choice, which should be purged with an inert gas. AZD 9291 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of AZD 9291 in these solvents is approximately 10, 15, and 25 mg/ml, respectively.

Description

AZD 9291 is an inhibitor of mutant EGFRs (IC_{50}s = 1, 12, and 5 nM for $EGFR^{L858R/T790M}$, $EGFR^{L858R}$, and $EGFR^{L861Q}$, respectively).[1] It is selective for these mutant EGFRs over wild-type EGFR (IC_{50} = 184 nM), as well as over a panel of approximately 280 other kinases at 1 µM. AZD 9291 selectively inhibits the proliferation of mutant EGFR-containing non-small cell lung cancer (NSCLC) cells, including H1975 cells containing the L858R activating and T790M resistance mutations, PC-9 cells containing the activating exon 19 deletion, and vandetanib-resistant PC-9 cells, which contain the exon 19 deletion and T790M mutation (IC_{50}s = 11, 8, and 40 nM, respectively), over wild-type EGFR-containing Calu-3 and NCI H2073 NSCLC cells (IC_{50}s = 650 and 461 nM, respectively). It induces tumor regression in a vandetanib-resistant PC-9 mouse xenograft model when administered at doses ranging from 1 to 10 mg/kg. Formulations containing AZD 9291 have been used in the treatment of EGFR mutant-containing NSCLC.

Reference

1. Cross, D.A.E., Ashton, S.E., Ghiorghiu, S., *et al.* AZD9291, an irreversible EGFR TKI, overcomes T790M-mediated resistance to EGFR inhibitors in lung cancer. *Cancer Discov.* **4(9)**, 1046-1061 (2014).

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