

Product Datasheet

AZD9291

Catalog No. **BP-02469** · CAS **1421373-65-0** · Form **free base** · Physical state **solid** · Color **Light yellow to brown** · Version **1.0**
· Revision **2026-09-10**

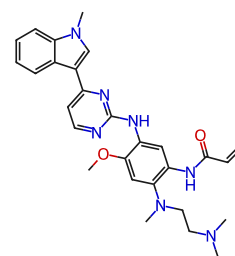
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Target EGFR	Research area Cancer
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Molecular formula **C₂₈H₃₃N₇O₂**

Molecular weight **499.6073**

STRUCTURE



DESCRIPTION

Osimertinib (AZD9291) is a covalent, orally active, irreversible, and mutant-selective EGFR inhibitor with an apparent IC₅₀ of 12 nM against L858R and 1 nM against L858R/T790M, respectively. Osimertinib overcomes T790M-mediated resistance to EGFR inhibitors in lung cancer .

BIOLOGICAL ACTIVITY

In Vitro

Osimertinib (AZD9291) (0-10 μM; 72 hours) dramatically inhibits cell proliferation with IC₅₀s of 41, 26, 41, and 31 nM, respectively.

? Osimertinib (0-10 μM; 72 hours) inhibits cell proliferation (Ba/F3 cells harboring a T790M mutation, exon 19del+T790M, or L858R+T790M) with IC₅₀s of 6, 7, and 74 nM, respectively.

? Osimertinib (0-10 μM; 72 hours) inhibits Ba/F3 cells harboring EGFR exon 20 insertion mutations (IC₅₀ ranging from 16 to 701 nM for A763_Y764insFQEA (FQEA), Y764_V765insHH (HH), A767_V769dupASV (ASV), and D770_N771insNPG (NPG) cells).

? Osimertinib shows high levels of phenotype potency in both sensitizing-mutant (mean IC₅₀ of 8 nM in PC-9) and T790M (mean IC₅₀s of 11 and 40 nM in H1975 and PC-9VanR respectively) EGFR cell lines. Osimertinib has much less activity towards wild-type EGFR (mean IC₅₀s of 650 and 461 nM in Calu3 and H2073 respectively).

? Osimertinib (0.1 μM; 48 hours) induces apoptosis in Ba/F3 cells (apoptosis rates of 40.9% and 90% in EGFR exon 19del+T790M, EGFR L858R+T790M respectively) .

In Vivo

Osimertinib (0.1-25 mg/kg; p.o.; daily for 14 days) induces significant dose-dependent regression in both PC-9

(ex19del) and H1975 (L858R/T790M) tumor xenograft models.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1].Cross DA, et al. AZD9291, an irreversible EGFR TKI, overcomes T790M-mediated resistance to EGFR inhibitors in lung cancer. Cancer Discov. 2014 Sep;4(9):1046-61.

[2]. Hirano T, et al. Pharmacological and Structural Characterizations of Naquotinib, a Novel Third-Generation EGFR Tyrosine Kinase Inhibitor, in EGFR-Mutated Non-Small Cell Lung Cancer. Mol Cancer Ther. 2018 Apr;17(4):740-750.

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