

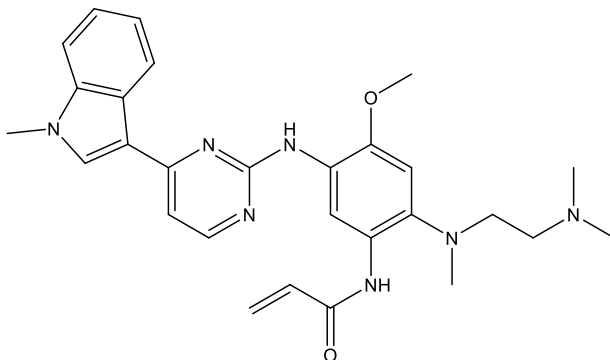
Catalog # 10-5036

Osimertinib

CAS# 1421373-65-0

N-[2-[[2-(Dimethylamino)ethyl]methylamino]-4-methoxy-5-[[4-(1-methyl-1H-indol-3-yl)-2-pyrimidinyl]amino]phenyl]-2-propenamide; AZD9291

Lot # E107663



Osimertinib is a potent, selective, irreversible inhibitor of mutant EGFR tyrosine kinase.¹ Osimertinib inhibits phosphorylation of EGFR in T790M-mutant cell lines (IC₅₀ <15 nM) but was less potent at inhibition of EGFR phosphorylation in wild-type cells (IC₅₀s in the range of 480 to 1,865 nM). It produces sustained tumor regression in EGFR-mutant tumor xenograft and transgenic rodent models.² Tumor cells develop resistance to osimertinib but combination treatment with an IL-6 antibody reverses resistance to a certain extent.³ In clinical use for treatment of non-small cell lung cancer.⁴

- 1) Finlay *et al.* (2014), *Discovery of a potent and selective EGFR inhibitor (AZD9291) of both sensitizing and T790M resistance mutations that spares the wild type form of the receptor*; J. Med. Chem., **57** 8249
- 2) Cross *et al.* (2014), *AZD9291, an irreversible EGFR TKI, overcomes T790M-mediated resistance to EGFR inhibitors in lung cancer*; Cancer Discov., **4** 1046
- 3) Tan *et al.* (2024), *Evaluation of drug resistance for EGFR-TKIs in lung cancer via multicellular lung-on-a-chip*; Eur. J. Pharm. Sci., **199** 106805
- 4) Patel *et al.* (2017); *Recent updates on third generation EGFR inhibitors and emergence of fourth generation EGFR inhibitors to combat C797S resistance*; Eur. J. Med. Chem., **142** 32

PHYSICAL DATA

Molecular Weight:	499.62
Molecular Formula:	C ₂₈ H ₃₃ N ₇ O ₂
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (40 mg/ml)
Physical Description:	Pale yellow solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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