

Osimertinib

Catalog No: tcsc2018



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Size: 5g

Size: 10g



Specifications

CAS No:

1421373-65-0

Formula:

$C_{28}H_{33}N_7O_2$

Pathway:

JAK/STAT Signaling;Protein Tyrosine Kinase/RTK

Target:

EGFR;EGFR

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 30 mg/mL (60.05 mM)

Alternative Names:

AZD-9291;Mereletinib

Observed Molecular Weight:

499.61

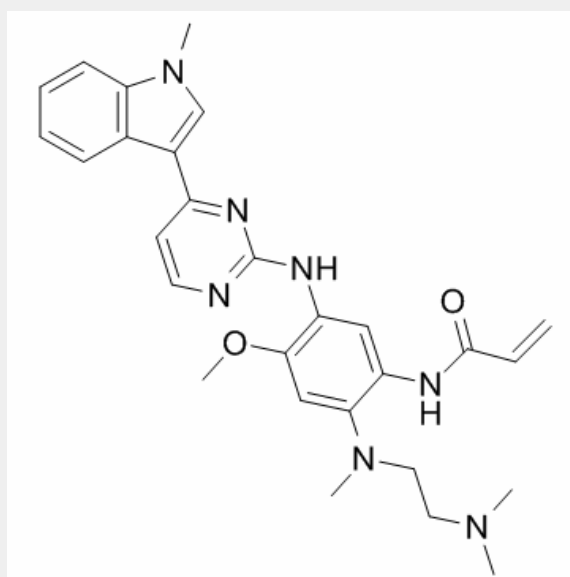
Product Description

Osimertinib (AZD-9291) is an irreversible and mutant selective **EGFR** inhibitor with **IC₅₀**s of 12 and 1 nM against EGFR^{L858R} and EGFR^{L858R/T790M}, respectively.

IC₅₀ & Target: IC₅₀: 1 nM (EGFR^{L858R/T790M}), 12 nM (EGFR^{L858R})[1]

In Vitro: Osimertinib (AZD-9291) shows similar potency to early generation tyrosine kinase inhibitor (TKIs) in inhibiting EGFR phosphorylation in EGFR cells harboring sensitising EGFR mutants including PC-9 (ex19del), H3255 (L858R) and H1650 (ex19del), with mean IC₅₀ values ranging from 13 to 54 nM for AZD-9291. Osimertinib (AZD-9291) also potently inhibits phosphorylation of EGFR in T790M mutant cell lines (H1975 (L858R/T790M), PC-9VanR (ex19del/T790M), with mean IC₅₀ potency less than 15 nM^[1].

In Vivo: The tumor-bearing mice are treated with Osimertinib (AZD-9291) (5 mg/kg/day) for one to two weeks. Within days of treatment, 5 of 5 C/L858R mice displays nearly 80% reduction in tumor volume by magnetic resonance imaging MRI after therapy with Osimertinib (AZD-9291), while 5 of 5 mice treated with vehicle shows tumor growth^[1]. Osimertinib (AZD-9291) demonstrates improved rat PK, reduced hERG affinity, and improved IGF1R margins relative to the previously described compounds, and so this compound is selected for further investigation. Osimertinib (AZD-9291) also offers an additional degree of broader chemical and profile diversity when compared to the previously described lead compounds. Upon dosing Osimertinib (AZD-9291) in three efficacy models, The comparable efficacy is observed at relatively low doses (10 mg/kg per day). The excellent efficacy is also observed when Osimertinib (AZD-9291) is dosed at 5 mg/kg per day^[2].



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