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Osimertinib (dimesylate)

Catalog No: tcsc3405

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Specifications

Formula:
$C_{30}H_{41}N_7O_8S_2$

Pathway:
JAK/STAT Signaling;Protein Tyrosine Kinase/RTK

Target:
EGFR;EGFR

Purity / Grade:
>98%

Solubility:
DMSO : 0.4 mg/mL (0.58 mM; Need ultrasonic and warming)

Alternative Names:
AZD-9291 (dimesylate);Mereletinib (dimesylate)

Observed Molecular Weight:
691.82

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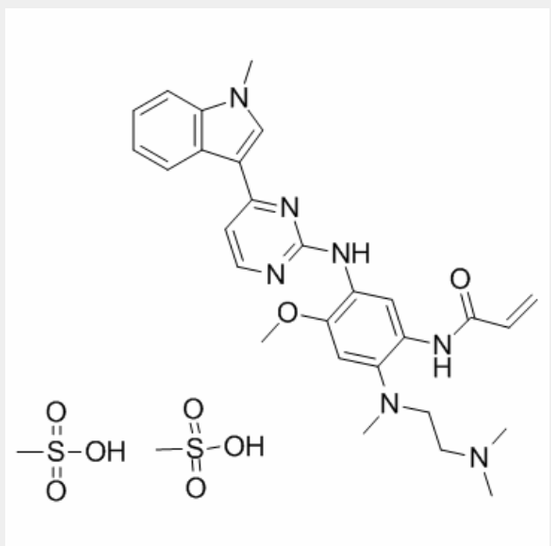
Product Description

Osimertinib (AZD-9291) dimesylate is an irreversible and mutant selective **EGFR** inhibitor with **IC_{50}**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 IC_{50} values ranging from 13 to 54 nM for Osimertinib (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 IC_{50} 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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