Web: www.taiclone.com
Tel: +886-2-2735-9682
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WZ4002

Catalog No: tcsc0167

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1213269-23-8

Formula:
$C_{25}H_{27}ClN_6O_3$

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

Target:
EGFR;EGFR

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 100 mg/mL (202.03 mM)

Observed Molecular Weight:
494.97

Product Description

WZ4002 is a mutant selective **EGFR** inhibitor with **IC_{50}**s of 2, 8, 3 and 2 nM for $EGFR^{L858R}$, $EGFR^{L858R/T790M}$, $EGFR^{E746\_A750}$ and $EGFR^{E746\_A750/T790M}$, respectively.

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IC50 & Target: IC50: 2 nM ($EGFR^{L858R}$), 8 nM ($EGFR^{L858R/T790M}$), 3 nM ($EGFR^{E746\_A750}$), 2 nM ($EGFR^{E746\_A750/T790M}$)[1]

In Vitro: WZ4002 increases cellular potency correlated with inhibition of EGFR, AKT and ERK1/2 phosphorylation in NSCLC cell lines and EGFR phosphorylation in NIH-3T3 cells expressing different $EGFR^{T790M}$ mutant alleles. WZ4002 inhibits EGFR kinase activity of recombinant L858R/T790M protein more potently than of WT EGFR[1].

In Vivo: In a pharmacodynamic study WZ4002 effectively inhibits EGFR, AKT and ERK1/2 phosphorylation which is associated with a significant increase in TUNEL positive and a significant decrease in Ki67 positive cells compared to vehicle alone treated mice. In a 2 week efficacy study, WZ4002 treatment results in significant tumor regressions compared to vehicle alone in both T790M containing murine models. Histological evaluation of the lungs following treatment confirms significant resolution of the tumor nodules with only few small residual nodules and nodule remnants that has evidence of treatment effect with decreased cellularity and increased fibrosis consistent with remodeling/scarring[1].