

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 : \geq 100 mg/mL (202.03 mM)

Observed Molecular Weight:

494.97

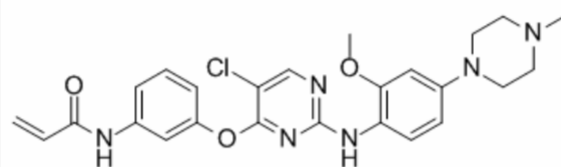
Product Description

WZ4002 is a mutant selective **EGFR** inhibitor with **IC₅₀**s of 2, 8, 3 and 2 nM for EGFR^{L858R}, EGFR^{L858R/T790M}, EGFR^{E746_A750} and EGFR^{E746_A750/T790M}, respectively.

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].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!