WZ8040

Cat. No.: HY-12029

CAS No.: 1214265-57-2

Molecular Formula: $C_{24}H_{25}ClN_6OS$

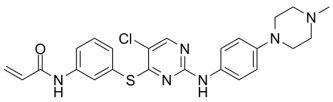
Molecular Weight: 481.01

Target: EGFR

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

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (51.97 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0790 mL	10.3948 mL	20.7896 mL
5 mM	0.4158 mL	2.0790 mL	4.1579 mL
10 mM	0.2079 mL	1.0395 mL	2.0790 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1 mg/mL (2.08 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1 mg/mL (2.08 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

WZ8040 is an irreversible mutated EGFR T790M inhibitor and inhibits EGFR phosphorylation. WZ8040 displays 100-fold greater activity against the mutated EGFR than the normal[1].

IC_{50} & Target

EGFR Del E746_A750 1 nM (IC_{50}, in HCC827 cells)	EGFR Del E746_A750 6 nM (IC_{50}, in PC9 cells)	EGFR L858R 66 nM (IC_{50}, in H3255 cells)	EGFR L858R/T790M 9 nM (IC_{50}, in H1975 cells)
EGFR Del E746_A750/T790M 8 nM (IC_{50}, in PC9 GR cells)	EGFR E746_A750/MET amp >3.3 μM (IC_{50}, in HCC827 GR cells)	ERBB2 amp 738 nM (IC_{50}, in H1819 cells)	ERBB2 amp 915 nM (IC_{50}, in Calu-3 cells)

	ERBB2 Ins G776V, C 744 nM (IC_{50}, in H1781 cells)	EGFR & ERBB2 WT 1.82 μM (IC_{50}, in HN11 cells)

In Vitro

WZ8040 exhibits IC_{50} values of 1 nM for HCC827 (EGFR Del E746_A750), 6 nM for PC9 (EGFR Del E746_A750), 66 nM for H3255 (EGFR L858R), 9 nM for H1975 (EGFR L858R/T790M), 8 nM for PC9 GR (EGFR Del E746_A750/T790M), >3.3 μM for HCC827 GR (EGFR E746_A750/MET amp), 738 nM for H1819 (ERBB2 amp), 915 nM for Calu-3 (ERBB2 amp), 744 nM for H1781 (ERBB2 Ins G776V, C), 1.82 μM for HN11 (EGFR & ERBB2 WT), respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	NSCLC cell lines and Ba/F3 cells.
Concentration:	0-3.3 μM.
Incubation Time:	24 hours.
Result:	Exhibited activity for EGFR-mutated NSCLC lines, such as HH827, PC9, H3255, H1819, Calu-3, H1781 and HN11 cells.

REFERENCES

[1]. Zhou W, et al. Novel mutant-selective EGFR kinase inhibitors against EGFR T790M. Nature. 2009 Dec 24;462(7276):1070-4.

Caution: Product has not been fully validated for medical applications. For research use only.

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