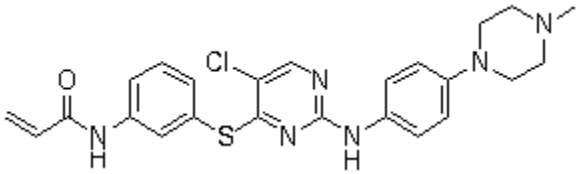
Product Introduction

WZ8040

WZ8040 is a novel mutant-selective irreversible EGFRT790M **inhibitor, does not inhibit ERBB2 phosphorylation (T798I).**

Technical Data:

Molecular Weight (MW):	481.01	
Formula:	$C_{24}H_{25}ClN_6OS$	
Solubility (25 ℃) * <1 mg/ml means slightly soluble or insoluble:	DMSO 96 mg/mL	
	Water <1 mg/mL	
	Ethanol 3 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	1214265-57-2	

Biological Activity

WZ8040 is 30- to 100-fold more potent against EGFR T790M, and up to 100-fold less potent against wild-type EGFR, than quinazoline-based EGFR inhibitors such as CL-387785 and HKI-272. WZ8040 treatment potently inhibits the growth of HCC827 (EGFR Del E746_A750), PC9 (EGFR Del E746_A750), H3255 (EGFR L858R), H1975 (EGFR L858R/T790M), and PC9 GR (EGFR Del E746_A750/T790M) with IC50 of 1 nM, 6 nM, 66 nM, 9 nM, and 8 nM, respectively. WZ8040 weakly inhibits the growth of HCC827 GR (EGFR E746_A750/MET amp), H1819 (ERBB2 amp), Calu-3 (ERBB2 amp), H1781 (ERBB2 Ins G776V, C), and HN11 (EGFR & ERBB2 WT) with IC50 of >3.3 μM, 738 nM, 915 nM, 744 nM, and 1.82 μM,

respectively. WZ8040 is not toxic up to 10 μM against A549 (KRAS mutant) or H3122 (EML4-ALK) cells. [1] Inhibits EGFR T790M mutation and not wild-type EGFR.

References

[1] Zhou W, et al. Nature, 2009, 462(7276), 1070-1074.

Note：Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer’s risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.