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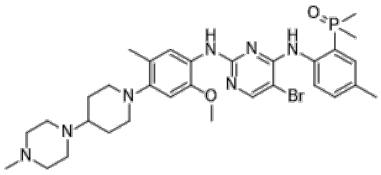
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	DA-0157
Cat. No.	:	PC-24040
CAS No.	:	2756978-82-0
Molecular Formula	:	$C_{31}H_{43}BrN_7O_2P$
Molecular Weight	:	656.61
Target	:	EGFR
Solubility	:	10 mM in DMSO



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Biological Activity

DA-0157 (DAJH-1050766) is a potent, dual inhibitor targeting **EGFR** C797S mutation, **ALK**-positive, and EGFR/ALK co-mutations with IC50 of 6.9 nM, 5.5 nM and 7.4 nM for EGFRDel19/T790M/C797S, ALK-WT and ALK-L1196M in Ba/F3 cell assays, respectively.
DA-0157 shows relatively poor activity against the EGFR WT (Ba/F3-EGFR WT) with IC50 of 0.83 uM.
DA-0157 shows excellent activity against all the tested EGFR mutants (GFR (d746-750/T790 M/C797S), EGFR (T790 M/C797S/L858R), EGFR (T790 M/L792F/C797S/L858R), EGFR (T790 M/C797S), etc.) resistant to Osimertinib with IC50 between 0.10 nM and 1.69 nM, with weak IC50 against EGFRWT as 63.7 nM.
DA-0157 displays significant activity against all the tested ALK kinases including ALK WT, various point mutations and fused mutations (EML4-ALK, NPM1-ALK) with lower IC50 values (0.77 nM-1.52 nM).
DA-0157 has significant inhibitory activity against human lung cancer cells PC-9 and NCI–H1975 expressing EGFRC797S mutation (NCI-H1975, EGFRDel19/T790M/C797S, IC50=0.21 uM), but not Erlotinib and Osimertinib.
DA-0157 substantially inhibited tumor growth in the LD1-0025-200717 EGFRDel19/T790M/C797S PDX model (40 mg/kg/d, TGI: 98.3 %), Ba/F3-EML-4-ALK-L1196 M CDX model (40 mg/kg/d, TGI: 125.2 %), and NCI–H1975 EGFRDel19/T790M/C797S & NCI–H3122 (EML4-ALK) dual-side implantation CDX model (40 mg/kg/d, TGI: 89.5 % & 113.9 %).

References

He P, et al. ***Eur J Med Chem***. 2025 Jan 25;287:117323.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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