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Data Sheet

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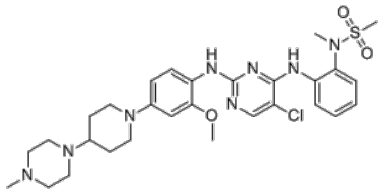
Product Name	:	OBX02-011
Cat. No.	:	PC-47006
CAS No.	:	2349336-18-9
Molecular Formula	:	$C_{29}H_{39}ClN_8O_3S$
Molecular Weight	:	615.194
Target	:	EGFR
Solubility	:	10 mM in DMSO



Biological Activity

OBX02-011 is a potent, reversible, fourth-generation **EGFR** tyrosine kinase inhibitor (TKI) that overcomes the **EGFR C797S** mutation, inhibits triple mutants Del19/T790M/C797S and L858R/T790M/C797S with IC50 of 0.134 and 2.09 nM, respectively.
OBX02-011 occupied the ATP-binding site in a similar manner to WZ-4002.
OBX02-011 showed broad activity at low nanomolar concentrations against mutant EGFRs, more potent in Del19/T790M/C797S and L858R/T790M than in other EGFR mutations.
OBX02-011 was highly effective against EGFR triple mutations, and showed substantial selectivity compared with WT EGFR (IC50= 1471 nM in WT, 96.3 nM in Del19/T790M/C797S, and 94.8 nM in L858R/T790M/C797S) in Ba/F3 cell lines expressing EGFR.
OBX02-011 (25 mg/kg, i. p.) effectively inhibited tumor growth and EGFR activity, leading to enhanced survival in transgenic mouse models (EGFRL858R/T790M/C797S).

References

Yun Jung Choi, et al. ***Cancer Res.*** 2022 Jun 14;canres.0394.2022-2-3 21:03:12.200.

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