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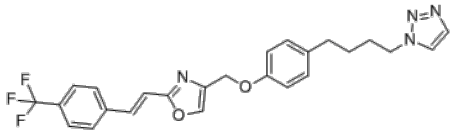
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Mubritinib
Cat. No.	:	PC-20781
CAS No.	:	366017-09-6
Molecular Formula	:	$C_{25}H_{23}F_3N_4O_2$
Molecular Weight	:	468.47
Target	:	EGFR
Solubility	:	10 mM in DMSO



CAS: 366017-09-6

Biological Activity

Mubritinib (TAK-165) is a potent inhibitor of human epidermal growth factor receptor 2 (**HER2**, ERBB2) tyrosine kinase with IC50 of 6 nM, also is a potent **Complex I** inhibitor with IC50 of 51 nM.
Mubritinib (TAK-165) does not inhibit other types tyrosine kinase up to 25,000 nM.
Mubritinib (TAK-165) inhibits HER2 phosphorylation and its down-stream Akt and MAPK in HER2 strongly expressing cells (BT474 breast cancer cell line).
Mubritinib (TAK-165) shows synergistic effect with trametinib in KRAS-mutant cell lines.
Mubritinib exhibits strong anti-leukemic effects in vitro and in vivo, functions through ubiquinone-dependent inhibition of electron transport chain (ETC) complex I activity.
Mubritinib (TAK-165) inhibits bladder, kidney and androgen-independent prostate cancer in vitro and in vivo.

References

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Uitdehaag JC, et al. ***PLoS One***. 2015 May 27;10(5):e0125021.

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