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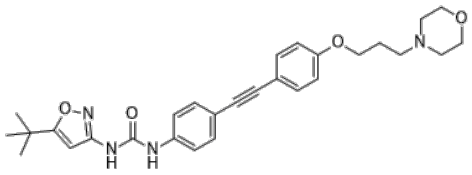
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Clifutinib
Cat. No.	:	PC-24405
CAS No.	:	1862226-99-0
Molecular Formula	:	$C_{29}H_{34}N_4O_4$
Molecular Weight	:	502.62
Target	:	FLT3
Solubility	:	10 mM in DMSO



CAS: 1862226-99-0

Biological Activity

Clifutinib (HEC73543) is potent, selective **FLT3-ITD** (internal tandem duplication mutations of FLT3) inhibitor with biochemical IC50 of 15.1 nM, exhibits significant antiproliferative activity against Ba/F3-FLT3-ITD cells with IC50 of 0.9 nM.
Clifutinib potently suppresses proliferation of MV-4-11 and MOLM-13 AML cells harboring FLT3-ITD with IC50 of 1.5 and 1.4 nM, respectively.
Clifutinib only exhibits >80% inhibition against 12 out of 414 kinases at 1 uM,, does not inhibit KIT kinase (IC50 = 1790 nM).
Clifutinib shows minimal or no antiproliferative effects were observed against FLT3-ITD-negative cell lines such as RS4;11, HL-60, MOLT-4, RPMI8226, and K562.
Clifutinib demonstrates significant antiproliferative activity against BaF3 cells driven by the most common FLT3-TKD mutations (D835Y, D835H, and D835V), with IC50 values of 5.4, 10.9, and 37.4 nM, respectively.
Clifutinib exhibits favorable antiproliferative activity against FLT3-ITD mutant leukemia cells but not against FLT3 wild-type cells.
Clifutinib induces apoptosis in FLT3-ITD mutant AML cells, inhibits the phosphorylation of FLT3, ERK, AKT, and STAT5 in a dose-dependent manner without affecting their total protein levels.
Clifutinib (1.5 or 4.5 mg/kg, orally once daily) exhibited rapid and near-complete tumor regression, with no tumor rebound observed during the 31-day post-treatment period in MV-4-11 and MOLM-13 xenograft tumor models.

References

Liu B, et al. ***J Med Chem.*** 2025 Apr 11. doi: 10.1021/acs.jmedchem.4c03023.

Cliff Cheng, et al. ***Cancer Res*** (2017) 77 (13_Supplement): 2093.

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

E-mail: tech@probechem.com