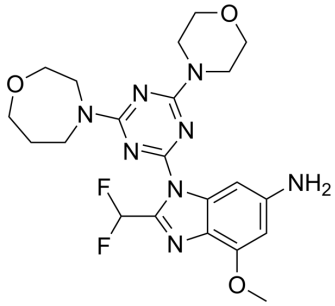
KTC1101

Cat. No.:	HY-162382
CAS No.:	2764833-47-6
Molecular Formula:	$C_{21}H_{26}F_2N_8O_3$
Molecular Weight:	476.48
Target:	PI3K; Akt; mTOR
Pathway:	PI3K/Akt/mTOR
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

KTC1101 is an orally active pan-PI3K inhibitor. KTC1101 can inhibit the PI3K signaling pathway, reduce downstream AKT and mTOR phosphorylation, and reduces the expression of Ki67. The anti-tumor effect of KTC1101 has a dual mechanism of action: directly inhibiting tumor cell growth and dynamically enhancing immune response[1].

IC_{50} & Target

Ki67	PI3Kα 3.72 nM (IC_{50})	PI3Kβ 36.29 nM (IC_{50})	PI3Kδ 1.22 nM (IC_{50})
PI3Kγ 17.09 nM (IC_{50})	PI3K		

In Vitro

KTC1101 (0.1-50000 nM, 48 h) can dose-dependently induce cell cycle stagnation in G1 phase in all tested cell lines (PC3, TMD8, HSC2, HSC4, and CAL33 cells). KTC1101 has anti-proliferative activity, with the IC_{50} ranging from 20 nM to 130 nM, but has no significant promotion of apoptosis[1].

KTC1101 (0.1-1000 nM, 1 h) exhibits significant inhibitory activity against all PI3K isoforms in the Adapta kinase assay. The IC_{50} values of KTC1101 for PI3Kα, PI3Kβ, PI3Kδ and PI3Kγ are 3.72 nM, 36.29 nM, 1.22 nM and 17.09 nM respectively[1].

KTC1101 (0-125 nM, 48 h) effectively inhibits the PI3K signaling pathway in WB experiments, reduces the phosphorylation of PI3K downstream effectors AKT and mTOR[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	39 human tumor cell lines, PC3, TMD8, HSC2, HSC4, CAL33 cells, etc.
Concentration:	0.1, 1, 10, 100, 1000, 25000, 50000 nM
Incubation Time:	48 h
Result:	Exhibited an average GI_{50} value of 23.4 nM across all cell lines tested, significantly lower than ZSTK474 (HY-50847) (320 nM) and Copanlisib (HY-15346) (134 nM).

Western Blot Analysis[1]

Cell Line:	PC3 cells, TMD8 cells
Concentration:	0, 5, 25, 125 nM

Incubation Time:	48 h
Result:	Showed better inhibitory performance in TMD8 cells compared with ZSTK474 (HY-50847) and Copanlisib (HY-15346).

In Vivo

KTC1101 (0-125 mg/kg/day for 14 days, p.o.) can arrest tumor growth in mice with human tumor xenografts and show no signs of recurrence[1].

Pharmacokinetic Analysis in tumor xenograft mouse model[1]

Route	Dose (mg/kg)	$t_{1/2}$ (h)	T_{max} (h)	C_{max} (μg/mL)	AUC_{0-t} (μg/mL*h)	Vz/F> (L/kg)	CLz/F (L/h/kg)
p.o.	100	7.19	0.67	1.66	9.33	117.96	10.71

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Peng X, et al. A novel pan-PI3K inhibitor KTC1101 synergizes with anti-PD-1 therapy by targeting tumor suppression and immune activation. Mol Cancer. 2024 Mar 14;23(1):54.

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