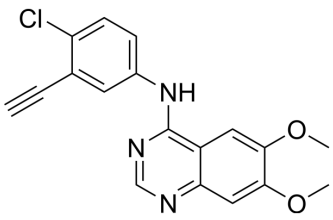
UNC-CA359

Cat. No.:	HY-150782
CAS No.:	2676156-05-9
Molecular Formula:	$C_{18}H_{14}ClN_3O_2$
Molecular Weight:	339.78
Target:	EGFR
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	UNC-CA359 is a potent epidermal growth factor receptor (EGFR) inhibitor, with an IC_{50} value of 18 nM. UNC-CA359 exhibits strong anti-tumor activity, can be used to Chordoma research[1]. UNC-CA359 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.
IC_{50} & Target	IC50: 18 nM (EGFR)[1]
In Vitro	UNC-CA359 (compound 45) loses activity on U-CH1, leaves some activity on U-CH2, and maintains inhibition on EGFR, with IC_{50}s of >100 μM, 35 μM, and 18 nM, respectively[1]. UNC-CA359 (1 nM-0.1 mM; 72 h) has activity against chordoma with IC_{50}s of 1.2 μM (CH22), and 3.0 μM (U-CH12), respectively[1]. UNC-CA359 shows UNC-CA359 (compound 102) has three main collateral kinase targets, and shows high potency towards SLK/STK10 with a promising selectivity ratio (NAK over SLK/STK10) of 22, while the binding constant K_i values are 3.4 nM (GAK), 0.33 μM (SLK), 0.075 μM (STK10), respectively[2]. GAK: cyclin G associated kinase; SLK: STE20-like serine/threonine-protein kinase; STK10: serine/threonine-protein kinase 10. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay[1]

Cell Line:	Chordoma cell lines: CH22, UM-Chor1, U-CH12 and U-CH7; WS1
Concentration:	1 nM-100 μM
Incubation Time:	72 hours
Result:	Demonstrated good potential against chordoma cells, with IC_{50}s of 1.2 μM (CH22), 3.0 μM (U-CH12), 60 μM (UM-Chor1), 74 μM (U-CH7), respectively. Showed no toxicity towards WS1 cell (IC_{50} >100 μM).

REFERENCES

[1]. Bieberich AA, et al. Optimization of the 4-anilinoquin(az)oline scaffold as epidermal growth factor receptor (EGFR) inhibitors for chordoma utilizing a toxicology profiling assay platform. Sci Rep. 2022 Jul 27. 12(1):12820.

[2]. Asquith CRM, et al. Design and Analysis of the 4-Anilinoquin(az)oline Kinase Inhibition Profiles of GAK/SLK/STK10 Using Quantitative Structure-Activity Relationships. ChemMedChem. 2020 Jan 7. 15(1):26-49.

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