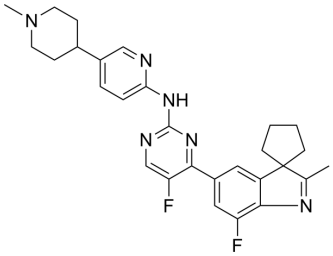
Crozbaciclib

Cat. No.:	HY-112280
CAS No.:	2099128-41-1
Molecular Formula:	$C_{28}H_{30}F_2N_6$
Molecular Weight:	488.57
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



BIOLOGICAL ACTIVITY

Description	Crozbaciclib (CDK4/6/1 Inhibitor) is a CDK4/6 inhibitor with IC_{50}s of 3 and 1 nM, respectively.
IC_{50} & Target	IC50: 3 nM (CDK4), 1 nM (CDK6)[1]
In Vitro	Crozbaciclib is a potent anti-proliferative agent that arrests U87MG cell line exclusively in G1 (IC_{50}=15.3 ± 2.9 nM in the anti-proliferation assay). Crozbaciclib (13.72 nM; 24 h) significantly increases in the percentage of cells in G1 in U87MG cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Crozbaciclib (3.125-50 mg/kg;) has tumor growth inhibition values ranging from 62% to 99% in an orthotopic xenograft mouse model of glioblastoma multiforme. And Crozbaciclib results insignificant body weight loss. Crozbaciclib increases life span based on the median survival time of vehicle-treated animals in mice is significant at 162%, at a dose of 50 mg/kg[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	The U87MG glioblastoma cells are treated with CDK4/6/1 and incubated for 72 h at 37°C. Then the medium is removed, 4% paraformaldehyde (50 μL/well) is added to the wells, and the cells are fixed for 30 min at RT. Cells are washed twice with phosphate-buffered saline (PBS) solution, and permeabilized in 0.2% Triton-X100 for 5 min. Cells are ished twice with PBS, after which 50 μL DAPI (1 μg/mL) is added to the wells, followed by incubation of the cells in the dark for 20 min. After ishing three times with PBS, PBS (100 μL/well) is added to the wells. The plates are scanned using IN Cell Analyzer 2200[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice[1] In the U87MG-Luc orthotopic xenograft mouse model, mice are treated with 3.125, 6.25, 12.5, 25, 50 mg/kg QD CDK4/6/1 Inhibitor for 28 days MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Yin L, et al. A highly potent CDK4/6 inhibitor was rationally designed to overcome blood brain barrier in gliobastoma therapy. Eur J Med Chem. 2018 Jan 20;144:1-28.

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