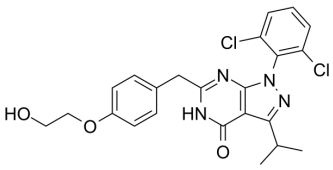
RGB-286147

Cat. No.:	HY-112346
CAS No.:	784211-09-2
Molecular Formula:	$C_{23}H_{22}Cl_2N_4O_3$
Molecular Weight:	473.35
Target:	CDK; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

RGB-286147 is a selective and ATP-competitive CDK and CDK-related kinases (CRK) inhibitor with IC_{50} values ranging from 9-839 nM. RGB-286147 shows less active against other non-CDK/CRK kinases. RGB-286147 induces cell apoptosis, and exhibits anti-tumor activity[1].

IC_{50} & Target

CDK1/cyclinB 48 nM (IC_{50})	CDK2/E 15 nM (IC_{50})	CDK4/D1 839 nM (IC_{50})	cdk6/cyclin D3 232 nM (IC_{50})

In Vitro

RGB-286147 (50-100 nM; 24-48 hr) induces cell cycle arrest in the G1 phase, causes a marked inhibition of DNA replication, and induces apoptosis in HCT116 cells[1].

RGB-286147 (100 nM; 48 hr) results in proteolytic cleavage of PARP in HCT116 cells[1].

RGB-286147 (24-72 hr) shows potent and irreversible cell killing activity in HCT116 cells. The IC_{50} value for inhibition of colony formation by RGB-286147 is 57 nM[1].

RGB-286147 (48 hr) exhibits broad anti-tumor activity with an average GI_{50} value of <10 nM for 60 tumorigenic cell lines. And also inhibits growth of non-cycling HCT116 cells with an IC_{50} value of 40 nM[1].

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

Cell Cycle Analysis[1]

Cell Line:	HCT116 cells
Concentration:	50 nM and 100 nM
Incubation Time:	24 or 48 hr
Result:	Caused a marked inhibition of DNA replication and induced cell cycle arrest.

Western Blot Analysis[1]

Cell Line:	HCT116 cells
Concentration:	100 nM
Incubation Time:	48 hr
Result:	Resulted in proteolytic cleavage of PARP.

REFERENCES

[1]. Maureen Caligiuri, et al. A proteome-wide CDK/CRK-specific kinase inhibitor promotes tumor cell death in the absence of cell cycle progression. Chem Biol. 2005 Oct;12(10):1103-15.

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