# RGB-286147

**Cat. No.:** HY-112346

**CAS No.:** 784211-09-2

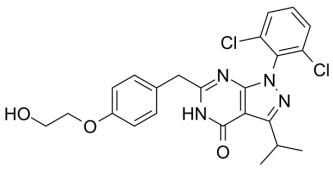
**Molecular Formula:** $C_{23}H_{22}Cl_2N_4O_3$

**Molecular Weight:** 473.35

**Target:** CDK; Apoptosis

**Pathway:** Cell Cycle/DNA Damage; Apoptosis

**Storage:** -20°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 30 mg/mL (63.38 mM; Need ultrasonic and warming)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.1126 mL | 10.5630 mL | 21.1260 mL |
| | 5 mM | 0.4225 mL | 2.1126 mL | 4.2252 mL |
| | 10 mM | 0.2113 mL | 1.0563 mL | 2.1126 mL |

Please refer to the solubility information to select the appropriate solvent.

## 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 | CDK2/E | CDK4/D1 | cdk6/cyclin D3 |
| 48 nM ($IC_{50}$) | 15 nM ($IC_{50}$) | 839 nM ($IC_{50}$) | 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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