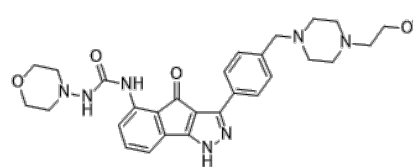


Product Name : RGB-286638 free base
Cat. No. : PC-27675
CAS No. : 784210-88-4
Molecular Formula : C₂₉H₃₅N₇O₄
Molecular Weight : 545.64
Target : Cyclin-dependent Kinase (CDK)
Solubility : 10 mM in DMSO



Biological Activity

RGB-286638 free base is a small-molecule multi-targeted cyclin-dependent kinase (CDK) inhibitor with IC₅₀ of 1-5 nM for cyclin T1-CDK9, cyclin B1-CDK1, cyclin E-CDK2, cyclin D1-CDK4, cyclin E-CDK3, and p35-CDK5.

RGB-286638 is less potent against cyclin H-CDK7 and cyclin D3-CDK6.

RGB-286638 also inhibits several tyrosine and serine/threonine non-CDK enzymes with IC₅₀ of 1-50 nM, i.e. GSK-3β, TAK1, AMPK, Jak2, MEK1.

RGB-286638 potently inhibits cell growth of human p53-wt (MM.1S, MM.1R, and H929) and p53-mutant (U266, OPM1, and RPMI) MM cells with EC₅₀ of 20-70 nM.

RGB-286638 (50nM) reduces the phosphorylation of Rb at S807/811 in MM.1S and MM.1R cells, but has no effects on p-Rb S780.

RGB-286638 induces cytotoxicity in p53 knockdown MM.1S, exhibits anti-MM activity in vivo.

References

Cirstea D, et al. Leukemia. 2013 Dec;27(12):2366-75.

van der Biessen DA, et al. Clin Cancer Res. 2014 Sep 15;20(18):4776-83.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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