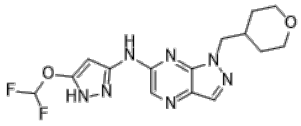
ProbeChem®
Expedite Your Scientific Research

WWW.PROBECHEM.COM

Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	BLU-222
Cat. No.	:	PC-23995
CAS No.	:	2888704-84-3
Molecular Formula	:	$C_{15}H_{17}F_2N_7O_2$
Molecular Weight	:	365.34
Target	:	Cyclin-dependent Kinase (CDK)
Solubility	:	10 mM in DMSO



Biological Activity

Cirtociclib (BLU-222) is a potent, highly selective, ATP-competitive **CDK2** inhibitor with IC50 of 2.6 nM (CDK2/cyclinE1) with strong selectivity (>200-fold) over CDK family members (1/4/6/7/9).
BLU-222 has excellent kinome selectivity with an S(10) of 0.045, measured by KINOMEscan at 3 μM.
BLU-222 displays nanomolar cellular potency on phosphorylated Rb (pRb) T821/826 with strong selectivity over pLamin S22, a measure of CDK1 activity in CDK2-dependent cell line OVCAR-3.
BLU-222 displays nanomolar cellular potency on phosphorylated Rb (pRb) T821/826 with strong selectivity over pLamin S22, a measure of CDK1 activity. BLU-222 potently and dose-dependently inhibited proliferation in CCNE1amplified ovarian/endometrial cancer cell lines, while sparing CCNE1-normal (diploid, mRNA not overexpressed) cell lines.
BLU-222 disrupts Rb signaling in CCNE1-amplified cells to arrest cell cycle progression. BLU-222 demonstrated robust activity in combination with carboplatin or paclitaxel in CCNE1-aberrant models, rendering chemotherapy-resistant tumors strongly sensitive to the combination.

References

Dommer AP, et al. ***Cancer Res.*** 2025 Feb 13. doi: 10.1158/0008-5472.CAN-24-2244.

House NC, et al. ***Cancer Res***. 2025 Feb 13. doi: 10.1158/0008-5472.CAN-24-2360.

E-mail: tech@probechem.com