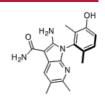


WWW.PROBECHEM.COM

Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	RP-6306
Cat. No.	:	PC-73399
CAS No.	:	2719793-90-3
Molecular Formula	:	C ₁₈ H ₂₀ N ₄ O ₂
Molecular Weight	:	324.384
Target	:	Wee1
Solubility	:	10 mM in DMSO



Biological Activity

RP-6306 (Lunresertib, RP6306) is a first-in-class, potent and selective, orally available inhibitor of **PKMYT1** with IC50 of 2.4 nM, displays less potency (>35-fold) against EphA1-2, and EphB2-4, and Wee1.

RP-6306 inhibits the phosphorylation of CDK1 Thr14 in vivo in tumor tissue and inhibits CCNE1-amplified tumor cell growth in several preclinical xenograft models.

PKMYT1 is an important regulator of CDK1 phosphorylation and is a compelling therapeutic target for the treatment of certain types of DNA damage response cancers due to its established synthetic lethal relationship with CCNE1 amplification.

PKMYT1 is a member of the Wee-kinase family protein kinases, PKMYT1 is involved in G2/M checkpoint regulation of the cell cycle.

References

Janek Szychowski, et al. Discovery of an orally bioavailable and selective PKMYT1 inhibitor RP-6306. *ChemRxiv*. DOI 10.26434/chemrxiv-2022-2g6m6.

Caution: Product has not been fully validated for medical applications. Lab Use Only! E-mail: tech@probechem.com