

Catalog # 10-4760

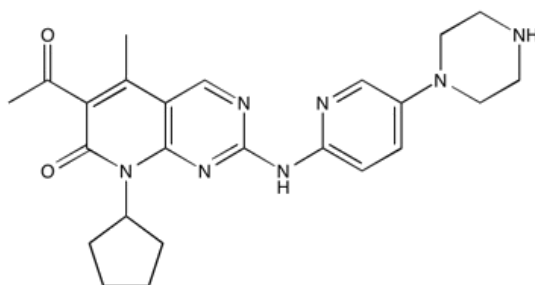
Palbociclib

CAS# 571190-30-2

6-Acetyl-8-cyclopentyl-5-methyl-2-[[5-piperazin-1-yl]pyridine-2-yl]amino]-8H-pyrido[2,3-d]pyrimidin-7-one

PD-332991

Lot # X107932



Potent and selective inhibitor of Cdk4, IC₅₀ = 11 nM and Cdk6, IC₅₀ = 16 nM.¹ Inhibits phosphorylation of Rb protein and cell cycle progression through G1 in primary 5T33MM cells and sensitized these cells to killing by a proteasome inhibitor (bortezomib) in mouse models.² Induces autophagy and senescence in AGS gastric cancer cells.³ Clinically useful breast cancer agent.⁴ Cell cycle inhibitors boost tumor immunogenicity.⁵ Palbociclib is able to regulate the PRMT5-MDM4 axis leading to decreased MDM4 protein expression and subsequent p53 activation via CDK4 inhibition.⁶

- 1) El-Rayes *et al.* (2004), *Cyclooxygenase-2-dependent and -independent effects of celecoxib in pancreatic cancer cell lines*; Mol. Cancer Ther., **3** 1427
- 2) Menu *et al.* (2008), *A novel therapeutic combination using PD 0332991 and bortezomib: study in 5T33MM myeloma model*; Cancer Res., **68** 5519
- 3) Valenzuela *et al.* (2017), *Palbociclib-induced autophagy and senescence in gastric cancer cells*; Exp. Cell Res., **360** 390
- 4) Palanisamy *et al.* (2016), *Palbociclib: A new hope in the treatment of breast cancer*; J. Cancer Res. Ther., **12** 1220
- 5) Goel *et al.* (2017) *CDK4/6 inhibition triggers anti-tumour immunity*; Nature, **548** 471
- 6) AbuHammad *et al.* (2019), *Regulation of PRMT5-MDM4 axis is critical in the response to CDK4/6 inhibitors in melanoma*; Proc. Natl. Acad. Sci. USA **116** 179909

PHYSICAL DATA

Molecular Weight:	447.53
Molecular Formula:	C ₂₄ H ₂₉ N ₇ O ₂
Purity:	99% by HPLC/TLC
	NMR: (Conforms)
Solubility:	DMSO (up to 2 mg/ml with warming)
Physical Description:	Yellow solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

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