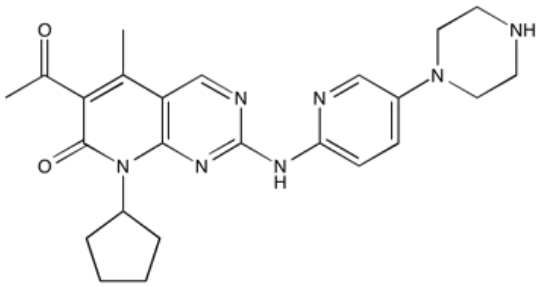
Catalog # 10-4760
Palbociclib

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, IC_{50} = 11 nM and Cdk6, IC_{50} = 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:	$C_{24}H_{29}N_7O_2$
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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