PRODUCT INFORMATION



PD 0332991-d₈

Item No. 25421

CAS Registry No.:	1628752-83-9		
Formal Name:	6-acetyl-8-cyclopentyl-5-methyl-2-[[5-(1-		
	piperazinyl-2,2,3,3,5,5,6,6-d ₈)-2-pyridinyl]		
	amino]-pyrido[2,3-d]pyrimidin-7(8H)-one		
Synonym:	Palbociclib-d ₈		
MF:	$C_{24}H_{21}D_8N_7O_2$		\checkmark
FW:	455.6		
Chemical Purity:	≥98% (PD 0332991)	N	
Deuterium			
Incorporation:	≥99% deuterated forms (d ₁ -d ₈); ≤1% d ₀	C	
Supplied as:	A solid		Ď X ľ
Storage:	-20°C		
Stability:	≥4 years		
1 6 1			

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PD 0332991-d₈ is intended for use as an internal standard for the quantification of PD 0332991 (Item No. 16273) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

PD 0332991-d₈ is supplied as a solid. A stock solution may be made by dissolving the PD 0332991-d₈ in the solvent of choice. PD 0332991-d_e is soluble in the organic solvent DMSO, which should be purged with an inert gas.

Description

PD 0332991 is an orally active, selective inhibitor of the cyclin D kinases Cdk4 (IC₅₀ = 11 nM) and Cdk6 (IC_{s0}= 16 nM) with no activity against a panel of 36 additional protein kinases.¹ It has been reported to have antiproliferative activity against retinoblastoma-positive tumor cells, blocking retinoblastoma phosphorylation and inducing G₁ arrest at nanomolar concentrations. PD 0332991 can inhibit the growth of certain ER-positive or HER2-amplified breast cancer cells (IC50 as low as 4 nM) and demonstrates synergy with tamoxifen (Item No. 13258) and trastuzumab, respectively.² PD 0332991 inhibition of Cdk4 activity has been used to demonstrate a role for insulin-activated cyclin D1-Cdk4 signaling in the control of glucose metabolism that is independent of cell cycle progression.³

References

- 1. Fry, D.W., Harvey, P.J., Keller, P.R., et al. Specific inhibition of cyclin-dependent kinase 4/6 by PD 0332991 and associated antitumor activity in human tumor xenografts. Mol. Cancer Ther. 3(11), 1427-1438 (2004).
- 2. Finn, R.S., Dering, J., Conklin, D., et al. PD 0332991, a selective cyclin D kinase 4/6 inhibitor, preferentially inhibits proliferation of luminal estrogen receptor-positive human breast cancer cell lines in vitro. Breast Cancer Res. 11(5), 1-13 (2009).
- 3. Lee, Y., Dominy, J.E., Choi, Y.J., et al. Cyclin D1-Cdk4 controls glucose metabolism independently of cell cycle progression. Nature 510(7506), 547-551 (2014).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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1180 EAST ELLSWORTH RD ANN ARBOR, MI 48108 · USA PHONE: [800] 364-9897 [734] 971-3335 FAX: [734] 971-3640 CUSTSERV@CAYMANCHEM.COM WWW.CAYMANCHEM.COM