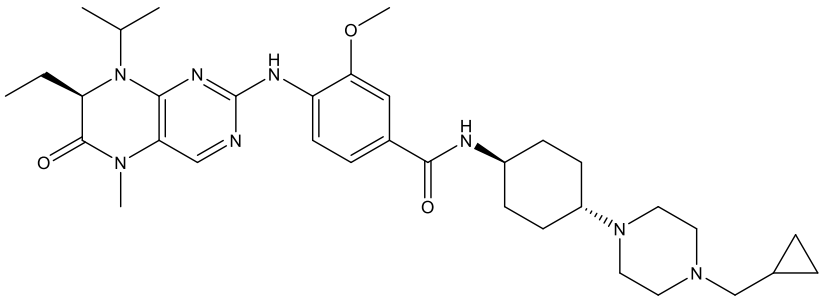
Catalog # 10-4818
Volasertib

CAS# 755038-65-4

N-[4-[4-(Cyclopropylmethyl)piperazin-1-yl]cyclohexyl]-4-[[(7*R*)-7-ethyl-5-methyl-6-oxo-8-propan-2-yl-7*H*-pteridin-2-yl]amino]-3-methoxybenzamide; BI 6727

Lot # FBS2020



Volasertib is an extremely potent and selective inhibitor (IC_{50}'s: Plk1= 0.87 nM, Plk2 = 5 nM, Plk3 = 56 nM) of Polo-like kinase 1, a critical controller of multiple essential steps of mitosis.[1] It has shown efficacy in multiple solid xenograft tumors models[1] and in clinical studies in patients with acute myeloid leukemia[2]. Volasertib has also been shown to potently inhibit BRD4[3] (Kd = 79 nM[3], IC_{50}'s bromodomains 1 and 2 of BRD4 = 300 and 770 nM respectively[2]).

1) Rudolph *et al.* (2009) *BI 6727, a Polo-like kinase inhibitor with improved pharmacokinetic profile and broad antitumor activity;* Clin. Cancer Res. **15** 3094
2) Rudolph *et al.* (2015) *Efficacy and Mechanism of Action of Volasertib, a Potent and Selective Inhibitor of Polo-Like Kinases, in Preclinical Models of Acute Myeloid Leukemia;* J. Pharmacol. Exp. Ther. **352** 579
3) Ciceri *et al.* (2014) *Dual kinase-bromodomain inhibitors for rationally designed polypharmacology;* Nat. Chem. Biol. **10** 305

PHYSICAL DATA

Molecular Weight:	618.82
Molecular Formula:	$C_{34}H_{50}N_8O_3$
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/ml)
Physical Description:	Off-white solid
Storage and Stability:	Store as supplied at -20ºC 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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