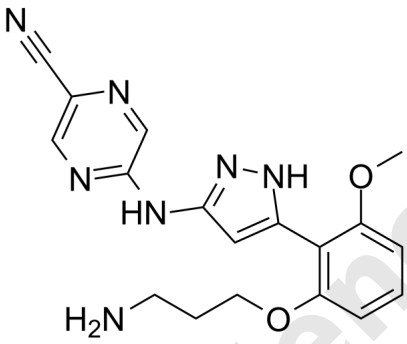
Data Sheet

Product Information

Catalog Number	BP10276
Product Name	Prexasertib
Description	Prexasertib is an inhibitor of checkpoint kinase 1 (chk1) with potential antineoplastic activity.
Targets&IC50	Chk1: <1 nM, Chk2: 8 nM
In vitro	LY2606368 is broadly antiproliferative with IC50s of 3 nM, 3 nM, 10 nM, 37 nM, and 68 nM against U-2 OS, Calu-6, HT-29, HeLa, and NCI-H460 cell lines, respectively. LY2606368 (25 μM) exhibits inhibitory activities against proliferation of AGS and MKN1 cells. LY2606368 (20 nM) inhibits HR repair capacity DR-GFP cells.
In vivo	LY2606368 is formulated in vehicle consisting of 20% Captisol.Female CD-1 nu-/nu- mice (26-28 g) are used for this study. Tumor growth is initiated by subcutaneous injection of 1×106 Calu-6 cells in a 1:1 mixture of serum-free growth medium and Matrigel in the rear flank of each subject animal. When tumor volumes reach approximately 150 mm3 in size, the animals are randomized by tumor size and body weight, and placed into their respective treatment groups. Vehicle consisting of 20% Captisol pH4 or LY2606368 is administered by subcutaneous injection in a volume of 200 μL. Four, eight, 12, 24, and 48 hours after drug administration, blood for plasma drug exposure is extracted via cardiac puncture and assayed on a Sciex API 4000 LC/MS-MS system. The xenograft tissue is promptly removed and prepared. Lysates are analyzed by immunoblot analysis for protein phosphorylation levels. Group means, SEs and P values are calculated using Kronos.
Synonyms	LY2606368
CAS No.	1234015-52-1

Chemical Formula	C18H19N7O2
Molecular Weight	365.397
Solubility	DMSO: 60 mg/mL
Storage	Powder: -20°C for 2 years In solvent: -80°C for 1 year
Chemical Structure OR Tested Image	

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