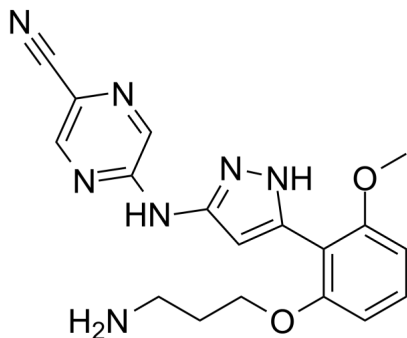


## Certificate of Analysis

Catalog Number	BP10276
Product Name	Prexasertib

## Physical and Chemical Properties

Synonyms	LY2606368
CAS No.	1234015-52-1
Chemical Formula	C <sub>18</sub> H <sub>19</sub> N <sub>7</sub> O <sub>2</sub>
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	 <p>The chemical structure of Prexasertib (LY2606368) is shown. It features a pyrimidine ring with a nitrile group at position 6 and an amino group at position 2. The amino group is linked to a pyrazole ring at position 4. The pyrazole ring is further substituted with a methoxy group at position 3 and a 3-aminopropoxy group at position 5. The pyrazole ring is also linked to a benzene ring at position 2, which has a methoxy group at position 4.</p>

## Product Information

Description	Prexasertib is an inhibitor of checkpoint kinase 1 (chk1) with potential antineoplastic activity.
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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 1×10 <sup>6</sup> 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 mm <sup>3</sup> 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.

## Analytical Data

HPLC	Shows Min >99% purity
H-NMR	Consistent with structure
Stability and Solubility Advice	Information on product stability, especially in solution, has rarely been reported and in most cases we can only provide a general guideline. We recommend that once the stock solution has been prepared, it be stored in equal quantities in sealed vials and used within 1 month. Avoid repeated freezing and thawing cycles. Storage conditions for some special products should be referred to their storage details.

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