

Product Data Sheet

Product Name: Prexasertib-d 4

Cat. No.: GC79867

Chemical Properties

Cas. No.

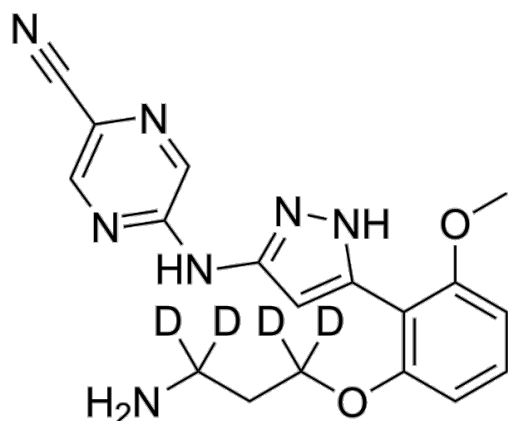
Formula $C_{18}H_{15}D_4N_7O_2$ M.Wt 369.41

Solubility DMSO: 12.5 mg/mL (33.84 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Caution: Product has not been fully validated for medical applications. For research use only.

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Background

Prexasertib -d 4 (LY2606368-d 4) is the deuterium labeled Prexasertib. Prexasertib (LY2606368) is a selective, ATP-competitive second-generation checkpoint kinase 1 (CHK1) inhibitor with a K_i of 0.9 nM and an IC_{50} of <1 nM. Prexasertib inhibits CHK2 (IC_{50} =8 nM) and RSK1 (IC_{50} =9 nM).

Prexasertib causes double-stranded DNA breakage and replication catastrophe resulting in apoptosis. Prexasertib shows potent anti-tumor activity [1] [2].

In Vitro, Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs.

References:

[1]. King C, et al. LY2606368 Causes Replication Catastrophe and Antitumor Effects through CHK1-Dependent Mechanisms. Mol Cancer Ther. 2015 Sep;14(9):2004-1

[2]. Yin Y, et al. Chk1 inhibition potentiates the therapeutic efficacy of PARP inhibitor BMN673 in gastric cancer. Am J Cancer Res. 2017 Mar 1;7(3):473-483.

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