# Prexasertib Mesylate Hydrate

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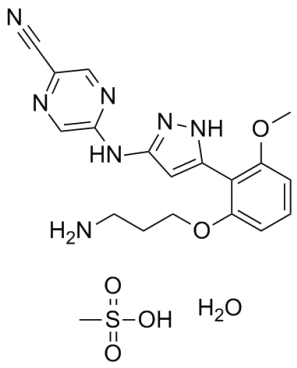
| **Cat. No.:** | HY-18174B |
| **CAS No.:** | 1234015-57-6 |
| **Molecular Formula:** | $C_{19}H_{25}N_7O_6S$ |
| **Molecular Weight:** | 479.51 |
| **Target:** | Checkpoint Kinase (Chk) |
| **Pathway:** | Cell Cycle/DNA Damage |
| **Storage:** | Please store the product under the recommended conditions in the COA. |



## BIOLOGICAL ACTIVITY

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| **Description** | Prexasertib Mesylate Hydrate (LY2606368 Mesylate Hydrate) is a potent, selective, ATP competitive **CHK1** and **CHK2** inhibitor, with a **$K_i$** of 0.9 nM for CHK1 and **$IC_{50}$**s of <1 nM, 8 nM for CHK1 and CHK2, respectively. Prexasertib Mesylate Hydrate inhibits HT-29 CHK1 autophosphorylation (S296) and HT-29 CHK2 autophosphorylation (S516). Prexasertib Mesylate Hydrate shows potent anti-tumor activity, significantly abrogates the G2/M checkpoint in p53 deficient HeLa cells with an $EC_{50}$ of 9 nM[1]. | | |
| **$IC_{50}$ & Target** | Chk1<br>0.9 nM (Ki) | Chk1<br><1 nM ($IC_{50}$) | Chk2<br>8 nM ($IC_{50}$) |
| **In Vitro** | Prexasertib Mesylate Hydrate (LY2606368 Mesylate Hydrate) is an ATP competitive CHK1 inhibitor, with a $K_i$ of 0.9 nM and an $IC_{50}$ of <1 nM[1].<br>Prexasertib (LY2606368) shows high anti-tumor activity against U-2 OS, Calu-6 and HeLa cells ($IC_{50}$, 3, 3, 37 nM, respectively), causes DNA damage during S-phase requiring CDC25A and CDK2 at 4 μM[1].<br>Prexasertib (0-20 nM) synergizes with olaparib (0-20 μM) to decrease cell viability in HGSOC cells[2]. | | |

## PROTOCOL

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| **Animal Administration** | Prexasertib (1, 3.3 or 10 mg/kg s.c.) is well tolerated, and significantly inhibits tumor inhibition in mice bearing Calu-6 xenograft tumors[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## CUSTOMER VALIDATION

- **Nat Commun**. 2019 Aug 2;10(1):3485.
- **Mol Cancer Res**. 2019 Jul 23. pii: molcanres.0381.2019.
- **Methods Mol Biol**. 2018;1711:351-398.

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## REFERENCES

[1]. King C, et al. LY2606368 Causes Replication Catastrophe and Antitumor Effects through CHK1-Dependent Mechanisms. Mol Cancer Ther. 2015 Sep;14(9):2004-13.

[2]. Brill E, et al. Prexasertib, a cell cycle checkpoint kinases 1 and 2 inhibitor, increases in vitro toxicity of PARP inhibition by preventing Rad51 foci formation in BRCA wild type high-grade serous ovarian cancer. Oncotarget. 2017 Oct 31;8(67):111026-111040.



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