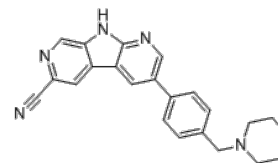


Product Name : GNE-900
Cat. No. : PC-60310
CAS No. : 1200126-26-6
Molecular Formula : C₂₃H₂₁N₅
Molecular Weight : 367.45
Target : Checkpoint Kinase (Chk)
Solubility : 10 mM in DMSO



Biological Activity

GNE-900 (GNE900) is a potent, selective, ATP-competitive, and orally bioavailable **Chk1** inhibitor with IC₅₀ of <1 nM. GNE-900 displays >300-fold selectivity over Aurora, PLK, CDK1/2) and Chk2. GNE-900 abrogates DNA damage-induced S and G2-M checkpoints (EC₅₀=61.8 nM in H-29 cells), and exacerbates DNA double-strand breaks (DSB) to induce cell death, accelerates the demise of damaged HCT-116 colorectal cancer cells in a p53-dependent manner in vitro. GNE-900 potentiates the efficacy of gemcitabine in tumor xenografts.

References

- Blackwood E, et al. *Mol Cancer Ther.* 2013 Oct;12(10):1968-80.
Xiao Y, et al. *Mol Cancer Ther.* 2013 Nov;12(11):2285-95.
Gazzard L, et al. *J Med Chem.* 2015 Jun 25;58(12):5053-74.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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