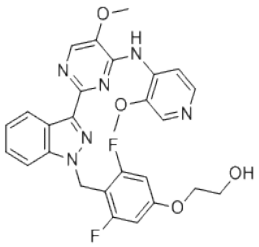
Data Sheet

WWW.UREIKO-CHEM.COM

Global Supplier of Chemical Probes, Inhibitors & Agonists

Product Name :BAY-1816032
Cat.No. :URK-V693
CAS No. :1891087-61-8
Molecular Formula :$C_{27}H_{24}F_2N_6O_4$
Molecular Weight :534.524
Target :Checkpoint Kinase(Chk)
Solubility :



Biological Activity

BAY-1816032 (BAY1816032) is a highly potent, selective, orally active BUB1 mitotic checkpoint serine/threonine kinase with IC50 of 7 nM, displays excellent selectivity on a panel of 395 kinases; abrogates nocodazole-induced Thr-120 phosphorylation of the major BUB1 target protein histone H2A in HeLa cells with IC50 of 29 nM, induces lagging chromosomes and mitotic delay, inhibits proliferation of various tumor cell lines with mean IC50 of 1.4 uM; demonstrates synergy or additivity with paclitaxel or docetaxel both in vitro and in vivo.

References

1. Gerhard Siemeister, et al. Abstract 287: BAY 1816032, a novel BUB1 kinase inhibitor with potent antitumor activity AACR. DOI: 10.1158/1538-7445.
2. Siemeister G, et al. Clin Cancer Res. 2018 Nov 14. pii: clincanres.0628.2018.

JACK@UREIKO-CHEM.COM