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Data Sheet

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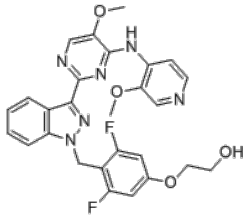
Product Name	:	BAY-1816032
Cat. No.	:	PC-63128
CAS No.	:	1891087-61-8
Molecular Formula	:	$C_{27}H_{24}F_2N_6O_4$
Molecular Weight	:	534.524
Target	:	Checkpoint Kinase (Chk)
Solubility	:	10 mM in DMSO



2. Siemeister G, et al. ***Clin Cancer Res.*** 2018 Nov 14. pii: clincanres.0628.2018.

Biological Activity

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

References

Gerhard Siemeister, et al. Abstract 287: BAY 1816032, a novel BUB1 kinase inhibitor with potent antitumor activity. ***AACR***. DOI: 10.1158/1538-7445.