

Product Data Sheet

Product Name: BAY-1816032

Cat. No.: GC32824

Chemical Properties

Cas. No. 1891087-61-8

SMILES COC1=C(NC2=NC(C3=NN(CC4=C(F)C=C(OCCO)C=C4F)C5=C3C=CC=C5)=NC=C2OC)C=CN=C1

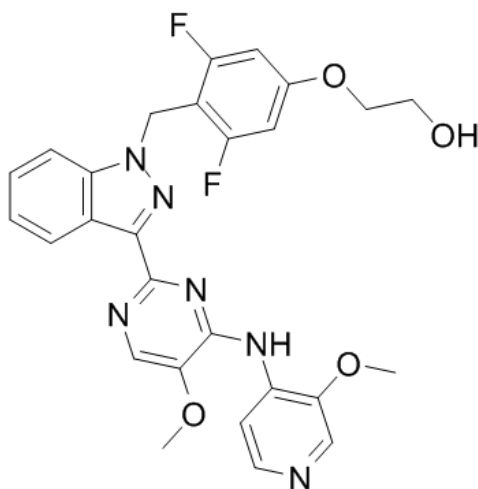
Formula $C_{27}H_{24}F_2N_6O_4$ M.Wt 534.51

Solubility DMSO : 25 mg/mL (46.77 mM); Water : < 0.1 mg/mL (insoluble) 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



Background

BAY-1816032 is a potent and oral available BUB1 (budding uninhibited by benzimidazoles 1) kinase inhibitor with an IC₅₀ of 7 nM.

BAY-1816032 inhibits BUB1 enzymatic activity with an IC₅₀ of 7 nM, shows slow dissociation kinetics resulting in a long target residence time of 87 min, and an excellent selectivity on a panel of

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395 kinases. Mechanistically BAY-1816032 abrogates nocodazole-induced Thr-120 phosphorylation of the major BUB1 target protein histone H2A in HeLa cells with an IC₅₀ of 29 nM, induced lagging chromosomes and mitotic delay. Persistent lagging chromosomes and missegregation are observed upon combination with low concentrations of paclitaxel. Single agent BAY-1816032 inhibits proliferation of various tumor cell lines with a median IC₅₀ of 1.4 μ M and demonstrates synergy or additivity with paclitaxel or docetaxel in almost all cell lines evaluated (minimal combination index 0.3) [1].

In tumor xenograft studies BAY 1816032 only marginally inhibits tumor growth as single agent upon oral administration, however, upon combination with paclitaxel or docetaxel a strong and statistically significant reduction of tumor size as compared to the respective monotherapy is observed[1].

[1]. Siemeister G, et al. BAY 1816032, a novel BUB1 kinase inhibitor with potent antitumor activity [abstract]. In: Proceedings of the American Association for Cancer Research Annual Meeting 2017; 2017 Apr 1-5; Ishington, DC. Philadelphia (PA): AACR; Cancer Res 2017;77(13 Suppl):Abstract nr 287. doi:10.1158/1538-7445.AM2017-287

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