Product Data Sheet

Product Name: CFI-400945 free base
Cat. No.: GC35660

Chemical Properties

Cas. No.	1338806-73-7		
SMILES	O=C([C@@]12[C@H](C3=CC4=C(C=C3)C(/C=C/C5=CC=C(CN6C[C@@H](C)O[C@@H](C)C6)C=C5)=NN4)C1)NC7=C2C=C(OC)C=C7		
Formula	$C_{33}H_{34}N_4O_3$	M.Wt	534.65
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	CFI-400945 free base		

Background

CFI-400945 free base is a potent, selective and orally bioavailable PLK4 inhibitor with a Ki and an IC50 of 0.26 nM and 2.8 nM, respectively. PLK4|2.8 nM (IC50)|AURKA|140 nM (IC50)|AURKB/INCENP|98 nM (IC50)|TIE2/TEK|22 nM (IC50)|TRKA|6 nM (IC50)|TRKB|9 nM (IC50)

CFI-400945 (compound 48) shows potent inhibitory activities against a panel of kinases, including PLK4, TRKA, TRKB, AURKA, AURKB/INCENP, and TIE2/TEK, with IC50s of 2.8, 6, 9, 140, 98, 22 nM, and EC50s of 12, 84, 88, 510, 102, 117 nM, respectively. CFI-400945 exhibits growth inhibition effects on breast, lung, ovarian and colon cancer cells. The IC50s (in μM) are as follows: SKBr-3 (5.3), Cal-51 (0.26), BT-20 (0.058), A549 (0.005), OVCAR-3 (0.018), SW620 (0.38), Colo-205 (0.017), and HCT116+/+ (0.004) [1]. CFI-400945 inhibits autophosphorylation of PLK4 at serine 305 with an EC50 value of 12.3 nM in cells overexpressing PLK4. Cancer cells treated with CFI-400945 exhibit effects consistent with PLK4 kinase inhibition, including dysregulated centriole duplication, mitotic defects, and cell death[2].

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Oral administration of CFI-400945 (3.0, 9.4 mg/kg) to mice bearing human cancer xenografts results in the significant inhibition of tumor growth at doses that are well tolerated. Increased antitumor activity is observed in PTEN-deficient compared to PTEN wild-type cancer xenografts. The maximum tolerated dose for once-daily administration of CFI-400945 is estimated to be 7.5-9.5 mg/kg[2].

[1]. Sampson PB, et al. The discovery of Polo-like kinase 4 inhibitors: identification of (1R,2S).2-(3-((E).4-(((cis).2,6-dimethylmorpholino)methyl)styryl). 1H.indazol-6-yl)-5?rsquo;-methoxyspiro[cyclopropane-1,3?rsquo;-indolin]-2?rsquo;-one (CFI-400945) as a potent, orally active antitumor agent. J Med Chem. 2015 Jan 8;58(1):147-69. [2]. Mason JM, et al. Functional characterization of CFI-400945, a Polo-like kinase 4 inhibitor, as a potential anticancer agent. Cancer Cell. 2014 Aug 11;26(2):163-76.

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