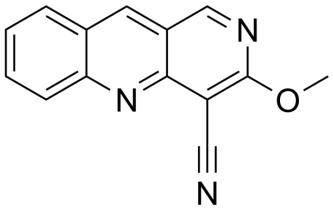
CCB02

Cat. No.:	HY-114302
CAS No.:	2100864-57-9
Molecular Formula:	$C_{14}H_9N_3O$
Molecular Weight:	235.24
Target:	Microtubule/Tubulin
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton
Storage:	-20°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (106.27 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.2510 mL	21.2549 mL	42.5098 mL
	5 mM	0.8502 mL	4.2510 mL	8.5020 mL
	10 mM	0.4251 mL	2.1255 mL	4.2510 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (10.63 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.63 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CCB02 is a selective CPAP-tubulin interaction inhibitor, binding to tubulin and competing for the CPAP binding site of β-tubulin, with an IC_{50} of 689 nM, and shows potent anti-tumor activity. CCB02 shows no inhibition on the cell cycle- and centrosome-related kinases, or the phosphorylation status of Aurora A, Plk1, Plk2, CDK2, and CHK1[1].

IC_{50} & Target

IC50: 689 nM (CPAP-tubulin)[1]

In Vitro

CCB02 perturbs CPAP PN2-3-tubulin interaction with an IC_{50} of 0.441 μM in a PN2-3 CPAP-GST pull-down assay[1].
CCB02 shows no inhibition on the cell cycle- and centrosome-related kinases, or the phosphorylation status of Aurora A, Plk1, Plk2, CDK2, and CHK1[1].
CCB02 (0.1-15 μM, 72 hours) inhibits the proliferation of cancer cells with extra centrosomes, IC_{50}s are 0.86-2.9 μM[1].
CCB02 activates spindle assembly checkpoint, induces PCM proteins recruitment to centrosomes, and enhances

microtubule nucleation activities of centrosomes[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	BT549, MDA-MB-231, Pop10, SCC13, SW1271$^{p53/pRb/CDKN2Adel}$, KYSE30$^{p53/MYC/CyclinD1}$, A549^{G12S}, PC-9$^{EGFR\text{-}Exon19del}$, HCC827-GR, HCC1833-GR, H1975^{T790M} cells
Concentration:	0.1-15 μM
Incubation Time:	72 hours
Result:	Exhibited IC_{50}s of 0.86 μM (Pop10), 1.2 μM (HCC827-GR), 1.5 μM (H1975$^{T790M\ p53/MYC/CyclinD1}$), 1.15 μM (HCC1833-GR), 1.61 μM (SW1271$^{p53/pRb/CDKN2Adel}$), 2.41 μM (SCC13), and 2.94 μM (PC-9$^{EGFR\text{-}Exon19del}$).

In Vivo

CCB02 (30 mg/kg, p.o. daily for 24 days) shows potent anti-tumor effect in nude mice bearing subcutaneous human lung (H1975^{T790M} cells) tumor xenografts[1].

CCB02 also suppresses MDA-MB-231 cell migration and cuases multipolar mitosis in mouse xenografts[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Nude mice bearing subcutaneous human lung (H1975T790M) tumor xenografts[1]
Dosage:	30 mg/kg
Administration:	P.O. daily for 24 days
Result:	Significantly decreased the tumor volume on day 24.

REFERENCES

[1]. Mariappan A, et al. Inhibition of CPAP-tubulin interaction prevents proliferation of centrosome-amplified cancer cells. EMBO J. 2019 Jan 15;38(2). pii: e99876.

Caution: Product has not been fully validated for medical applications. For research use only.

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