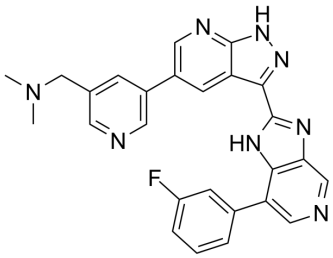
Ipivivint

Cat. No.:	HY-137443
CAS No.:	1481617-15-5
Molecular Formula:	$C_{26}H_{21}FN_8$
Molecular Weight:	464.5
Target:	CDK; Wnt
Pathway:	Cell Cycle/DNA Damage; Stem Cell/Wnt
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Ipivivint, a first-in-class, orally active and potent CDC-like kinase (CLK) inhibitor, inhibits CLK1 (IC_{50}=1.4 μM), CLK2 (IC_{50} =0.002 μM) and CLK3 (IC_{50}=0.022 μM). Ipivivint reduces Wnt pathway signaling gene expression through inhibiting CLK activity and serine and arginine rich splicing factor (SRSF) phosphorylation and disrupting spliceosome activity. Ipivivint can be used for the research of cancer[1].

IC_{50} & Target	Wnt	CDK1 1.4 μM (IC_{50})	CDK2 0.002 μM (IC_{50})	CDK3 0.022 μM (IC_{50})

In Vitro

Ipivivint (SW480 cells; 0.01~10 μM; 1 hour) potently inhibits SRSF5/6 phosphorylation[1].
Ipivivint (SW480 cells; 0.03 μM~3 μM; 48 hour) induced apoptosis[1].
.Ipivivint (HEK-293T cells; 0.03 μM~3 μM; 1 hour) inhibits Wnt/β-catenin signaling induced by Wnt3a[1].
Ipivivint (SW480 cells; 0.3~10 μM; 6 hour) increases nuclear speckle enlargement[1].
Ipivivint (SW480 cells; 0.3~3μM; 24hours) significantly decreases expression of Wnt target genes (AXIN2, LEF1, MYC, and TCF7) and TCF7L2. SM08502 (SW480 cells; 0.03~3μM; 24hours) inhibits cytoplasmic or nuclear fractions protein expression.
Ipivivint (NCI–N87 cells) inhibits proliferation[1].
Ipivivint strongly inhibits Wnt pathway signaling activity (EC50 = 0.046 μM) in SW480 colon cancer cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	SW480 cells
Concentration:	0.01~10 μM
Incubation Time:	1 hour
Result:	Potently inhibited SRSF5/6 phosphorylation.

Apoptosis Analysis[1]

Cell Line:	SW480 cells
Concentration:	0.01~10 μM
Incubation Time:	0.03 μM~3 μM

Result:	Induced apoptosis.

RT-PCR[1]

Cell Line:	HEK-293T cells
Concentration:	0.3~3 μM
Incubation Time:	1 hour
Result:	Inhibited Wnt/β-catenin signaling induced by Wnt3a.

Immunofluorescence[1]

Cell Line:	SW480 cells
Concentration:	0.3~10 μM
Incubation Time:	6 hours
Result:	Increased nuclear speckle enlargement.

In Vivo

Ipivivint (25 mg/kg; p.o.) potently inhibits tumor SRSF6 phosphorylation[1].

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

Animal Model:	Foxn1 mice[1]
Dosage:	25 mg/kg
Administration:	P.o.
Result:	Potently inhibited tumor SRSF6 phosphorylation.

REFERENCES

[1]. Tam BY, et al. The CLK inhibitor SM08502 induces anti-tumor activity and reduces Wnt pathway gene expression in gastrointestinal cancer models. Cancer Lett. 2020;473:186-197.

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