

Small Molecules

CHIR99021

WNT pathway activator; Inhibits GSK3

Catalog # 72052
72054

1 mg
10 mg



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Product Description

CHIR99021 is an aminopyrimidine derivative that is an extremely potent glycogen synthase kinase (GSK) 3 inhibitor, inhibiting both GSK3 β ($IC_{50} = 6.7$ nM) and GSK3 α ($IC_{50} = 10$ nM). GSK3 is a serine/threonine kinase that is a key inhibitor of the WNT pathway; therefore CHIR99021 functions as a WNT activator. It shows little activity against a large panel of kinases including CDK2 and other serine/threonine kinases such as MAPK and PKB (Bain et al.).

Molecular Name: CHIR99021

Alternative Names: CT 99021

CAS Number: 252917-06-9

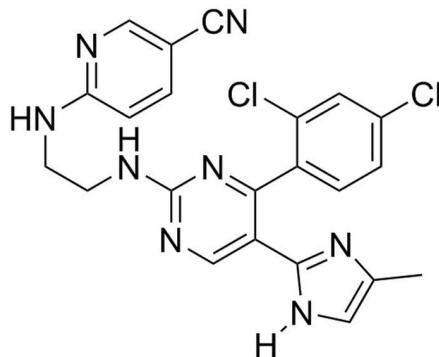
Chemical Formula: C₂₂H₁₈Cl₂N₈

Molecular Weight: 465.3 g/mol

Purity: $\geq 95\%$

Chemical Name: 6-[[2-[[4-(2,4-dichlorophenyl)-5-(5-methyl-1H-imidazol-2-yl)-2-pyrimidinyl]amino]ethyl]amino]-3-pyridinecarbonitrile

Structure:



Properties

Physical Appearance: An off-white crystalline solid

Storage: Product stable at -20°C as supplied. Protect from prolonged exposure to light. For product expiry date, please contact techsupport@stemcell.com.

Solubility:

- PBS (pH 7.2) ≤ 3 mM
- DMSO ≤ 100 mM

For example, to prepare a 10 mM stock solution in DMSO, resuspend 1 mg in 215 μ L of fresh DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

MAINTENANCE AND SELF-RENEWAL

- Maintains undifferentiated mouse embryonic stem (ES) cells in combination with PD0325901, in the absence of LIF (Ying et al.).
- Promotes self-renewal of human ES cells and mouse epiblast stem cells in combination with IWR-1 (Kim et al.).
- Allows derivation of ES cells from refractory mouse strains (Kiyonari et al., Ying et al.) and rat (Li P et al.) in combination with other small molecules.
- Maintains human and mouse hematopoietic stem cells in cytokine-free conditions, in combination with rapamycin (Huang et al.).
- Promotes growth of mouse and human intestinal stem cells (Wang et al.).

REPROGRAMMING

- Enables chemical reprogramming (without genetic factors) of mouse embryonic fibroblasts to induced pluripotent stem (iPS) cells, in combination with Forskolin, Tranylcypromine, Valproic Acid, 3-Deazaneplanocin A, and E-616452 (Hou et al.).
- Promotes reprogramming of human somatic cells to iPS cells using OCT4, in combination with other small molecules (Zhu et al.).
- Generates mouse-like or “ground state” iPS cells from human and rat somatic cells, in combination with PD0325901 and A83-01 (Li W et al. 2009).
- With OCT4, transdifferentiates human CD34+ hematopoietic cells to mesenchymal stem cells (Meng et al.).
- Direct lineage reprogramming of fibroblasts to mature neurons, in combination with Valproic Acid, RepSox, Forskolin, SP600125, Gö6983 and Y-27632 (Hu et al.).
- Direct lineage reprogramming of fibroblasts to mature neurons, in combination with Forskolin, ISX-9, SB431542, and I-BET151 (Li X et al.).

DIFFERENTIATION

- Promotes differentiation of insulin-producing cells from human iPS cells (Kunisada et al.).
- Promotes differentiation of cardiomyocytes from human ES and iPS cells (Lian et al.).
- Generates and maintains primitive neural stem cells from human ES cells, in combination with SB431542 and human LIF (Li W et al. 2011).

References

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Kim H et al. (2013) Modulation of β -catenin function maintains mouse epiblast stem cell and human embryonic stem cell self-renewal. *Nat Commun* 4: 2403.

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