Product Description

CHIR99021 is an aminopyrimidine derivative that is an extremely potent glycogen synthase kinase (GSK) 3 inhibitor, inhibiting both GSK3β (IC$_{50}$ = 6.7 nM) and GSK3α (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.).

**Structure:**

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CHIR99021
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**Chemical Name:**

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

**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 µL 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 IWR1 (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


Related Small Molecules

For a complete list of small molecules available from STEMCELL Technologies, please visit our website at www.stemcell.com/smallmolecules or contact us at techsupport@stemcell.com.
This product is hazardous. Please refer to the Safety Data Sheet (SDS).

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