

Product Data Sheet

Product Name: iCRT 14
Cat. No.: GC16640

Chemical Properties

Cas. No. 677331-12-3

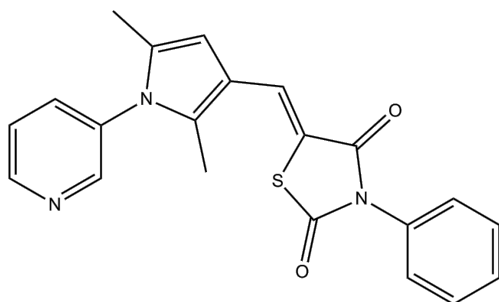
Formula C₂₁H₁₇N₃O₂S M.Wt 375.44

Solubility $\geq 18.75\text{mg/mL}$ in DMSO with ultrasonic and warming Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Protocol

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

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Cell experiment: Cells are seeded at 20,000 cells/well into 96-well plates. After overnight incubation, cells are treated with DMSO or each Wnt inhibitor (iCRT-3, 75 μ M; iCRT-5, 200 μ M; iCRT-14, 50 μ M; IWP-4, 5 μ M and XAV-939, 10 μ M) for 48 hours. Cell viability is determined using the Cell Titer-Glo luminescent cell viability assay kit. Luminescence is measured using FLUOstar microplate reader. All treatments are performed in triplicate, and each experiment is repeated three times.

References:

- [1]. Gonsalves FC, et al. An RNAi-based chemical genetic screen identifies three small-molecule inhibitors of the Wnt/wingless signaling pathway. Proc Natl Acad Sci USA. 2011 Apr 12;108(15):5954-63.
- [2]. Bilir B, et al. Wnt signaling blockage inhibits cell proliferation and migration, and induces apoptosis in triple-negative breast cancer cells. J Transl Med. 2013 Nov 4;11:280.

Background

iCRT 14 is a potent and specific inhibitor of β -catenin responsive transcription (CRT) with IC₅₀ value of 40.3 nM [1].

iCRT 14 belongs to the thiazolidinedione class and is screened out by the dTF12-luciferase reporter assay. It inhibits the direct interaction between β -catenin and TCF4 and does not affect the interaction of β -catenin with other cognate protein partners. iCRT 14 also inhibits the mammalian Wnt responsive STF16 luciferase reporter in HEK293 cells with IC₅₀ value of 40.3 nM. Besides that, iCRT 14 is specific against CRT over other conserved cell signaling pathways. It had no effect on the phosphorylation of Dvl induced by Wnt [1].

iCRT 14 can also interfere with TCF binding to DNA. Moreover, the inhibition of CRT resulted in the modulation of CRT-induced molecular and morphological changes including cell transformation phenotype and cell invasion. Furthermore, the treatment of HCT116 and HT29 cells with iCRT 14 exhibited cell cycle arrest in the G₀/G₁ phase. In the xenograft models of HCT116 and HT29, administration of iCRT 14 at dose of 50 mg/kg caused significant decrease in CycD1 and the subsequent suppression of tumor growth [1].

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