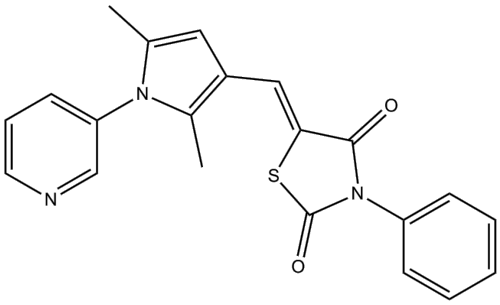
Product Data Sheet

Product Name: iCRT 14
Cat. No.: GC16640

Chemical Properties

Cas. No.	677331-12-3		
Formula	C21H17N3O2S	M.Wt	375.44
Solubility	≥ 18.75mg/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

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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 μM; iCRT-5, 200 μM; iCRT-14, 50 μM; IWP-4, 5 μM and XAV-939, 10 μM) 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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References:

[1] Gonsalves F C, Klein K, Carson B B, et al. An RNAi-based chemical genetic screen identifies three small-molecule inhibitors of the Wnt/wingless signaling pathway. Proceedings of the National Academy of Sciences, 2011, 108(15): 5954-5963.

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

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