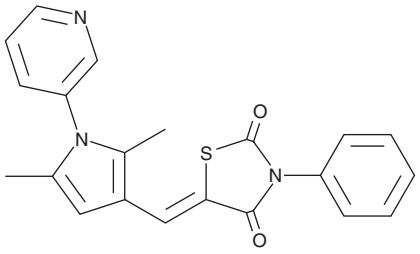
PRODUCT INFORMATION

iCRT14

Item No. 22132

CAS Registry No.: 677331-12-3
Formal Name: 5-[[2,5-dimethyl-1-(3-pyridinyl)-1H-pyrrol-3-yl]methylene]-3-phenyl-2,4-thiazolidinedione
MF: $C_{21}H_{17}N_3O_2S$
FW: 375.4
Purity: ≥98%
UV/Vis.: λ_{max}: 371 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

iCRT14 is supplied as a crystalline solid. A stock solution may be made by dissolving the iCRT14 in the solvent of choice, which should be purged with an inert gas. iCRT14 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of iCRT14 in these solvents is approximately 2 mg/ml.

iCRT14 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, iCRT14 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. iCRT14 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

iCRT14 is a potent inhibitor of β-catenin-responsive transcription (CRT) that inhibits Wnt signaling in a reporter assay *in vitro* (IC_{50} = 40.3 nM).[1] It inhibits the interaction between β-catenin and T cell factor 4 (Tcf4) in quantitative reporter assays of β-catenin/Tcf4 binding (K_i = 53.51 µM).[2] It inhibits Notch, hedgehog (Hh), and JAK/STAT signaling in reporter assays with IC_{50} values of 69.2, 194, and 70 nM, respectively. iCRT14 (50 mg/kg, i.p.) reduces the number of proliferating cells and leads to a decrease of approximately 50% in the initial tumor growth rate in mouse xenograft models of colon carcinoma. iCRT14 also inhibits proliferation of leukemia cell lines and suppresses ATP-driven migration of the MCF-7 and MDA-MB-231 breast cancer cell lines.[3,4]

References

1. Gonsalves, F.C., Klein, K.O., Carson, B.B., *et al.* An RNAi-based chemical genetic screen identifies three small-molecule inhibitors of the Wnt/wingless signaling pathway. *Proc. Natl. Acad. Sci. U.S.A.* **108(15)**, 5954-5963 (2011).
2. Huang, Z., Zhang, M., Burton, S.D., *et al.* Targeting the Tcf4 $G^{13}ANDE^{17}$ binding site to selectively disrupt β-catenin/T-cell factor protein-protein interactions. *ACS Chem Biol.* **9(1)**, 193-201 (2014).
3. Dandekar, S., Romanos-Sirakis, E., Pais, F., *et al.* Wnt inhibition leads to improved chemosensitivity in paediatric acute lymphoblastic leukemia. *Br. J. Haematol.* **167(1)**, 87-99 (2014).
4. Zhang, J.L., Liu, Y., Yang, H., *et al.* ATP-P2Y2-β-catenin axis promotes cell invasion in breast cancer cells. *Cancer Sci.* **108(7)**, 1318-1327 (2017).

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