

Product Data Sheet

Product Name: MN 64
Cat. No.: GC16914

Chemical Properties

Cas. No. 92831-11-3

Chemical Name 2-(4-isopropylphenyl)-4H-chromen-4-one

SMILES CC(C1=CC=C(C2=CC(C3=CC=CC=C3O2)=O)C=C1)C

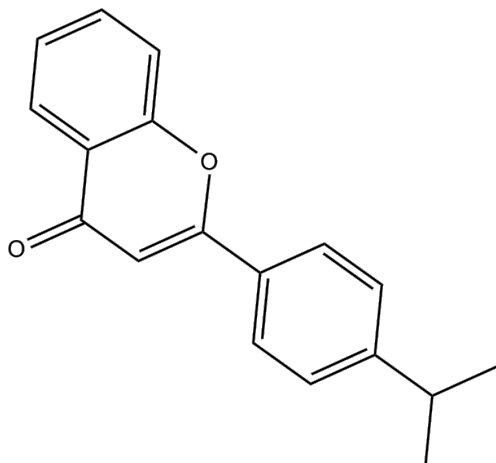
Formula $C_{18}H_{16}O_2$ M.Wt 264.32

Solubility $\geq 11.65\text{mg/mL}$ in DMSO 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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**Kinase
experiment:**

Inhibitory potency of compounds on Tankyrase-1 enzymatic activity is evaluated using a Scintillation Proximity Assay (SPA). The assay is designed to measure compound inhibition of Tankyrase-1 autoPARsylation (Tankyrase-1 is both enzyme and substrate in this assay). Truncated recombinant human Tankyrase-1 protein (amino acids E1023-T1327) is purified from SF9 cells. The assay is conducted using 0.11 μ M of Tankyrase-1 protein and 3 μ M nicotinamide adenine dinucleotide (NAD⁺, 2.12 μ M 3H-NAD⁺ with a specific radioactivity of 1690 Ci/mol, 0.88 μ M biotin- NAD⁺), in pH 7.5 Tris buffer (60 mM Tris, 1 mM DTT, 0.01% (v/v) Tween-20®, 2.5 mM MgCl₂, 0.3 mg/mL BSA). For IC₅₀ determination, 10 mM DMSO stock solution of a compound (MN-64) is sequentially diluted by two-fold in DMSO, and aliquots of the diluted solutions are transferred to 384-well assay plates and mixed with Tankyrase-1 solution[1].

References:

[1]. Narwal M, et al. Discovery of tankyrase inhibiting flavones with increased potency and isoenzyme selectivity. J Med Chem. 2013 Oct 24;56(20):7880-9.

Background

MN-64 is a type of flavone that act as a potent and selective tankyrase inhibitor (IC₅₀ = 6 and 72 nM for TNKS1 and TNKS2, respectively). [1]

Tankytase (TNKS) is a member of poly ADP ribose polymerases (PARPs). There are two related homologue, TNKS1 and TNKS2 that are mostly located in the nuclear pore, cytoplasm, mitotic centrosomes and the Golgi apparatus. They involve in cellular functions such as regulation of cell proliferation and promoting telomere elongation in human cells. [1]

During an assay for screening flavone TNKS inhibitors, MN-64 is discovered for abrogating STF luciferase activity at 200nM and potently inhibiting TNKS1 with IC₅₀ of 6nM. It also selectively inhibits TNKS1 and TNKS2 without affecting any other PARPs. Moreover, MN-64 is prominently more selective than other TNKS inhibitors such as XAV939. [1]

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1. Narwal M, Koivunen J, Haikarainen T et al. Discovery of tankyrase inhibiting flavones with increased potency and isoenzyme selectivity. J Med Chem. 2013 Oct 24;56(20):7880-9.

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