

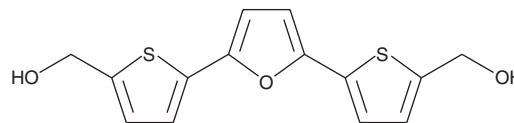
Product Information



RITA

Catalog No. 10006426

CAS Registry No.: 213261-59-7
Formal Name: 5,5'-(2,5-furandiyl)bis-2-thiophenemethanol
Synonyms: 2,5-bis(5-hydroxymethyl-2-thienyl)Furan, NSC 652287
MF: C₁₄H₁₂O₃S₂
FW: 292.4
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid



Laboratory Procedures

For long term storage, we suggest that RITA be stored as supplied at -20°C. It should be stable for at least two years.

RITA is supplied as a crystalline solid. A stock solution may be made by dissolving the RITA in an organic solvent purged with an inert gas. RITA is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of RITA in these solvents is approximately 1, 20, and 30 mg/ml, respectively.

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

HDM-2 (human double minute-2; MDM-2 in mouse) is a key negative regulator of p53 that binds to and targets p53 for proteasomal degradation. Overexpression of HDM-2 in some tumors leads to inactivation of p53 thereby allowing tumor cells to escape p53-induced apoptosis.¹ RITA (reactivation of p53 and induction of tumor cell apoptosis) is a small molecule inhibitor of p53-HDM-2 interaction that can reactivate the tumor suppressor function of wild-type p53.² It binds to p53 with an apparent K_d of 1.5 nM and prevents interaction with HDM-2 resulting in p53 stabilization, accumulation and activation.² RITA potently inhibits the growth of a variety of cancer cell lines in the sub-micromolar range, inducing both DNA-protein and DNA-DNA cross links in human renal cancer cells.³ RITA reduced tumor size by 90% at a dose of 10 mg/kg in SCID mice bearing HCT116 tumors, and caused complete regression of A-498 tumor cell xenografts in nude mice at a dose of 45 mg/kg.^{2,4}

References

1. Chène, P. Inhibiting the p53-MDM2 interaction: An important target for cancer therapy. *Nature Cancer* **3**, 102-109 (2003).
2. Issaeva, N., Bozko, P., Enge, M., *et al.* Small molecule RITA binds to p53, blocks p53-HDM-2 interaction and activities p53 function in tumors. *Nature Med.* **10(12)**, 1321-1328 (2004).
3. Nieves-Neira, W., Rivera, M.I., Kohlhagen, G., *et al.* DNA protein cross-links produced by NSC 652287, a novel thiophene derivate active against human renal cancer cells. *Mol. Pharmacol.* **56**, 478-484 (1999).
4. Rivera, M.I., Stinson, S.F., Vistica, D.T., *et al.* Selective toxicity of the tricyclic thiophene NSC 652287 in renal carcinoma cell lines. Differential accumulation and metabolism. *Biochem. Pharmacol.* **57**, 1283-1295 (1999).

Related Products

PRIMA-1 - Cat. No. 63520 • β-Catenin Polyclonal Antibody - Cat. No. 100029 • Nutlin-3 - Cat. No. 10004372 • Caylin-1 - Cat. No. 10004985 • Caylin-2 - Cat. No. 10005002 • p53-PAK - Cat. No. 10005291

WARNING: THIS PRODUCT IS FOR LABORATORY RESEARCH ONLY: NOT FOR ADMINISTRATION TO HUMANS. NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

MATERIAL SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Material Safety Data Sheet, which has been sent via email to your institution.

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