

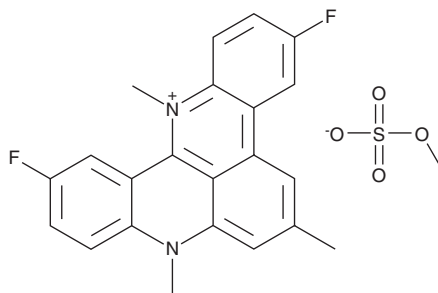
PRODUCT INFORMATION



RHPS4 (methyl sulfate)

Item No. 28543

CAS Registry No.: 390362-78-4
Formal Name: 3,11-difluorohydro-6,8,13-trimethyl-quinol[4,3,2-kl]acridinium, methyl sulfate
MF: C₂₂H₁₇F₂N₂ • CH₃SO₄
FW: 458.5
Purity: ≥98%
UV/Vis.: λ_{max}: 237, 294 nm
Supplied as: A 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

RHPS4 (methyl sulfate) is supplied as a solid. A stock solution may be made by dissolving the RHPS4 (methyl sulfate) in the solvent of choice, which should be purged with an inert gas. RHPS4 (methyl sulfate) is soluble in DMSO.

Description

RHPS4 is a telomerase inhibitor (IC₅₀ = 0.33 μM).¹ It is a ligand for the guanine quadruplex (G-quadruplex), a four-stranded DNA secondary structure formed from G-rich DNA sequences, that intercalates and stabilizes the G-quadruplex, which inhibits the activity of telomerase.^{1,2} RHPS4 (1 μM) induces phosphorylation of H2AX on telomeres, indicating telomeric DNA damage, in BJ fibroblasts expressing human telomerase.³ It inhibits cell growth in the NCI-60 panel of cancer cell lines (mean GI₅₀ = 13.18 μM), as well as induces cell cycle arrest at the S-G₂/M and apoptosis in M14 melanoma cells when used at concentrations ranging from 0.5 to 10 μM.^{4,5} RHPS4 (10 mg/kg) reduces tumor growth in several mouse xenograft models, including melanoma, lung, breast, and colon cancer models.⁶

References

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2. Ma, Y., Iida, K., and Nagasawa, K. Topologies of G-quadruplex: Biological functions and regulation by ligands. *Biochem. Biophys. Res. Commun.* **531**(1), 3-17 (2020).
3. Salvati, E., Leonetti, C., Rizzo, A., *et al.* Telomere damage induced by the G-quadruplex ligand RHPS4 has an antitumor effect. *J. Clin. Invest.* **117**(11), 3236-3247 (2007).
4. Heald, R.A., Modi, C., Cookson, J.C., *et al.* Antitumor polycyclic acridines. 8.1 Synthesis and telomerase-inhibitory activity of methylated pentacyclic acridinium salts. *J. Med. Chem.* **45**(3), 590-597 (2002).
5. Leonetti, C., Amodei, S., D'Angelo, C., *et al.* Biological activity of the G-quadruplex ligand RHPS4 (3,11-difluoro-6,8,13-trimethyl-8H-quinol[4,3,2-kl]acridinium methosulfate) is associated with telomere capping alteration. *Mol. Pharmacol.* **66**(5), 1138-1146 (2004).
6. Leonetti, C., Scarsella, M., Riggio, G., *et al.* G-quadruplex ligand RHPS4 potentiates the antitumor activity of camptothecins in preclinical models of solid tumors. *Clin. Cancer Res.* **14**(22), 7284-7291 (2008).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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