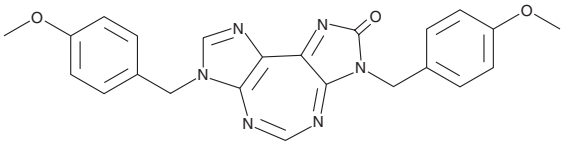
PRODUCT INFORMATION

RK-33

Item No. 20312

CAS Registry No.: 1070773-09-9
Formal Name: 3,7-dihydro-3,7-*bis*[(4-methoxyphenyl)methyl]-2H-diimidazo[4,5-d:4',5'-f][1,3]diazepin-2-one
MF: $C_{23}H_{20}N_6O_3$
FW: 428.4
Purity: ≥98%
UV/Vis.: λ_{max}: 226, 258, 383 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

RK-33 is supplied as a crystalline solid. A stock solution may be made by dissolving the RK-33 in the solvent of choice. RK-33 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of RK-33 in these solvents is approximately 20 mg/ml.

RK-33 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, RK-33 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. RK-33 has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

RK-33 is an inhibitor of DEAD-box helicase 3 (DDX3), an ATP-dependent RNA helicase.[1] It reduces the helicase activity of Ded1p, the yeast homolog of DDX3, when used at concentrations of 50, 100, and 200 nM. RK-33 reduces the viability of lung cancer cells highly expressing DDX3, including A549, H1299, H23, and H460 cells (IC_{50}s = 4.4-8.4 µM), but not those with low expression of DDX3, such as NCI H3255 cells (IC_{50} = >25 µM). It sensitizes tumors to stereotactic ablative radiation therapy (SABR) in a Twist1/$KRAS^{G12D}$-inducible mouse model of lung cancer when administered at a dose of 20 mg/kg. RK-33 prevents bone metastasis in an MDA-MB-231-Luc breast cancer mouse xenograft model.[2] It also reduces replication of severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2), decreases the levels of SARS-CoV-2 non-structural protein 8 (nsp8) and open reading frame 7a (ORF7a), and inhibits apoptosis in SARS-CoV-2-infected Vero E6 cells when used at a concentration of 10 µM.[3]

References

1. Bol, G.M., Vesuna, F., Xie, M., *et al.* Targeting DDX3 with a small molecule inhibitor for lung cancer therapy. *EMBO Mol. Med.* **7(5)**, 648-669 (2015).
2. Winnard, P.T., Jr., Vesuna, F., Bol, G.M., *et al.* Targeting RNA helicase DDX3X with a small molecule inhibitor for breast cancer bone metastasis treatment. *Cancer Lett.* **604**, 217260 (2024).
3. Ciccosanti, F., Di Rienzo, M., Romagnoli, A., *et al.* Proteomic analysis identifies the RNA helicase DDX3X as a host target against SARS-CoV-2 infection. *Antiviral Res.* **190**, 105064 (2021).

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