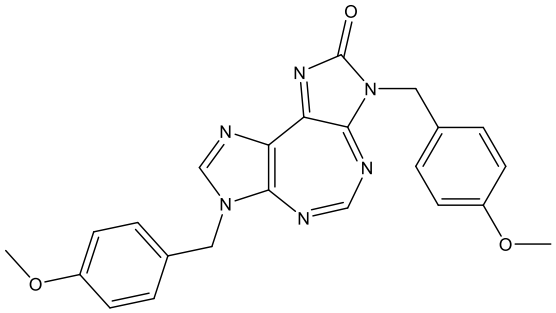
Catalog # 10-4839

RK-33

CAS# 1070773-09-9

3,7-Bis(4-methoxybenzyl)-3,7-dihydro-1,3,4,6,7,9-hexaza-2H-cyclopenta[e]azulene-2-one

Lot # FBS3042



RK-33 is an inhibitor of the RNA helicase DDX3 – IC_{50}'s = 4.4-8.4 µM in high DDX3 expressing lung cancer cell lines A549, H1299, H23, and H460.[1,2] Inhibition of DDX3 led to activation of cell death pathways, inhibition of Wnt pathway signaling, and abrogation of non-homologous end-joining (NHEJ) DNA repair. RK-33 was also active in colorectal cancer [3], prostate cancer[4], and medulloblastoma cancer[5] cell lines. RK-33 caused radiosensitization in breast cancer through inhibition of mitochondrial translation.[6] RK-33 facilitates differentiation in human embryonic stem cells (hESC) and decreases pluripotency markers as well as reducing teratoma formation.[7]

1) Kondaskar *et al*. (2011), *Novel, Broad Spectrum Anticancer Agents Containing the Tricyclic 5:7:5-Fused Diimidazodiazepine Ring System;* ACS Med. Chem. Lett., **2** 252
2) Bol *et al*. (2015), *Targeting DDX3 with a small molecule inhibitor for lung cancer therapy;* EMBO Mol. Med., **7** 648
3) Heerma van Voss *et al*. (2015), *Identification of the DEAD box RNA helicase DDX3 as a therapeutic target in colorectal cancer*; Oncotarget, **6** 28312
4) Xie *et al*. (2016), *RK-33 Radiosensitizes Prostate Cancer Cells by Blocking the RNA Helicase DDX3;* Cancer Res., **76** 6340
5) Tantravedi *et al*. (2019), *Targeting DDX3 in Medulloblastoma Using the Small Molecule Inhibitor RK-33;* Transl. Oncol., **12** 96
6) Heerma van Voss *et al*. (2018), *Targeting mitochondrial translation by inhibiting DDX3: a novel radiosensitization strategy for cancer treatment;* Oncogene, **37** 63
7) Kerr *et al*. (2019), *Targeting RNA helicase DDX3 in stem cell maintenance and teratoma formation;* Genes Cancer, **10** 11

PHYSICAL DATA

Molecular Weight:	428.44
Molecular Formula:	$C_{23}H_{20}N_6O_3$
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (20 mg/ml)
Physical Description:	Yellow solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

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