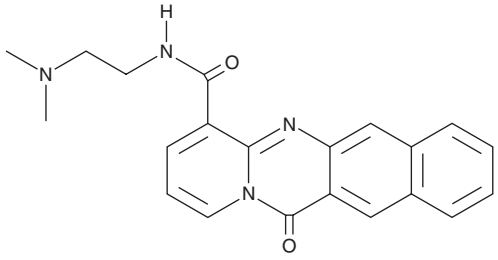
PRODUCT INFORMATION

BMH-21

Item No. 22282

CAS Registry No.:	896705-16-1
Formal Name:	N-[2-(dimethylamino)ethyl]-12-oxo-12H-benzo[g]pyrido[2,1-b]quinazoline-4-carboxamide
MF:	$C_{21}H_{20}N_4O_2$
FW:	360.4
Purity:	≥95%
UV/Vis.:	λ_{max}: 233, 271, 364, 383 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

BMH-21 is supplied as a crystalline solid. A stock solution may be made by dissolving the BMH-21 in the solvent of choice. BMH-21 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of BMH-21 in these solvents is approximately 0.2, 1, and 2 mg/ml, respectively.

BMH-21 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BMH-21 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. BMH-21 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BMH-21 is an inhibitor of RNA polymerase I.[1] It binds to GC-rich sequences in DNA and ribosomal DNA (rDNA) and inhibits RNA polymerase I transcription *in vitro*. It inhibits expression of the 47S rRNA transcript (IC_{50} = 60 nM), disrupts the structure of the nucleolus, and leads to removal of the RNA polymerase complex from rDNA by inducing dissociation and destruction of RPA194 through a proteasome-dependent mechanism. BMH-21 also activates p53 in the nanomolar range.[2] It reduces viability of several cancer cell lines but not of non-cancerous cells (IC_{50}s = 0.7, 1.9, ≥40, and 2.7 µM for A375, human diploid fibroblasts, primary human melanocytes, and HIMEC cells, respectively). BMH-21 intercalates with DNA but does not induce DNA damage *via* the ataxia-telangiectasia mutated (ATM) kinase pathway. BMH-21 (25 mg/kg) inhibits tumor growth in melanoma and colon cancer mouse xenograft models.[1]

References

1. Peltonen, K., Colis, L., Liu, H., *et al.* A targeting modality for destruction of RNA polymerase I that possesses anticancer activity. *Cancer Cell* **25(1)**, 77-90 (2014).
2. Peltonen, K., Colis, L., Liu, H., *et al.* Identification of novel p53 pathway activating small-molecule compounds reveals unexpected similarities with known therapeutic agents. *PLoS One* **5(9)**, e12996 (2010).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM