



# BRM014

# Data Sheet

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<b>Catalog Number:</b>	MC11125	<b>Product Type:</b>	Small Molecule
<b>Bio-Activity:</b>	BRM / BRG1 ATPase inhibitor	<b>CAS #:</b>	2270879-17-7
<b>Research Categories:</b>	Epigenetics, cancer	<b>Chemical Name:</b>	1-[3-(Difluoromethyl)isothiazol-5-yl]-3-[2-fluoro-5-(hydroxymethyl)pyridin-4-yl]urea
<b>Solubility:</b>	Soluble in DMSO (70 mg/ml)	<b>Molecular Formula:</b>	C11H9F3N4O2S
<b>Purity:</b>	> 98%	<b>Molecular Weight:</b>	318.3
<b>Format:</b>	Powder	<b>Ship Temp:</b>	Ambient
<b>Storage:</b>	-20°C		

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## Application Notes

### Description/Data:

SWI/SNF-related, matrix-associated, actin-dependent regulator of chromatin subfamily A member 2 (SMARCA2), also known as Brahma homologue (BRM), together with its close homologue Brahma-related gene 1 (BRG1), or SMARCA4, are ATPases of the large ATP-dependent SWI/SNF chromatin-remodeling complexes involved in transcriptional regulation of gene expression. BRM014 is an allosteric dual inhibitor of BRM and BRG1 (IC<sub>50</sub><5 nM for both) [1]. It downregulated BRM-dependent gene expression and displayed antiproliferative activity in a BRG1-mutant-lung-tumor xenograft model after oral administration. Inhibition of BRG1/BRM ATPase by BRM014 inhibits chromatin openness [2]. For example, it reduced DNA accessibility to core regulatory factors (RUNX1, LM01 and MEIS1) at transcription factor PU.1 sites in AML cells [3]. P583 cells formed markedly large spheroids when treated with BRM014 [4].

### References:

- 1) JPN Papillon et al. J. Med. Chem. 2018 61 10155
- 2) DC Hargreaves et al. Nat. Genet 2021 53:263
- 3) C Chambers et al. Cancer Res. 2023 83:983
- 4) AJ Krieg et al. Gynecol. Oncol. Rep. 2023 48 Supp 1:S32

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