



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

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 (IC₅₀<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

FOR RESEARCH USE ONLY

NEUROMICS' REAGENTS ARE FOR IN VITRO AND CERTAIN NON-HUMAN IN VIVO EXPERIMENTAL USE ONLY AND NOT INTENDED FOR USE IN ANY HUMAN CLINICAL INVESTIGATION, DIAGNOSIS, PROGNOSIS, OR TREATMENT. THE ABOVE ANALYSES ARE MERELY TYPICAL GUIDES. THEY ARE NOT TO BE CONSTRUED AS BEING SPECIFICATIONS. ALL OF THE ABOVE INFORMATION IS, TO THE BEST OF OUR KNOWLEDGE, TRUE AND ACCURATE. HOWEVER, SINCE THE CONDITIONS OF USE ARE BEYOND OUR CONTROL, ALL RECOMMENDATIONS OR SUGGESTIONS ARE MADE WITHOUT GUARANTEE, EXPRESS OR IMPLIED, ON OUR PART. WE DISCLAIM ALL LIABILITY IN CONNECTION WITH THE USE OF THE INFORMATION CONTAINED HEREIN OR OTHERWISE, AND ALL SUCH RISKS ARE ASSUMED BY THE USER. WE FURTHER EXPRESSLY DISCLAIM ALL WARRANTIES OF MERCHANTABILITY AND FITNESS FOR A PARTICULAR PURPOSE.-V2/08/2012