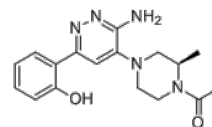


Product Name : GNE-064
Cat. No. : PC-49210
CAS No. : 1997321-20-6
Molecular Formula : C₁₇H₂₁N₅O₂
Molecular Weight : 327.388
Target : Bromodomain
Solubility : 10 mM in DMSO



Biological Activity

GNE-064 (GNE064) is a potent, selective, and orally bioavailable inhibitor of the bromodomains of **SMARCA2** (BRM), **SMARCA4** (BRG1) and **PBRM1** (polybromo-1) bromodomain 5 (PBRM1(5)) with IC₅₀ of 10 nM, 16 nM and 18 nM, respectively.

GNE-064 exhibits modest affinity for PBRM1(2) (IC₅₀, 490 nM), and low micromolar affinity for BRD7 and BRD9, >250-fold selective for SMARCA2, SMARCA4, and PBRM1(5) compared to all nonfamily VIII bromodomains.

GNE-064 showed sub-μM activity (IC₅₀=0.1 μM) in an engineered cellular target-engagement assay, had highly soluble, and demonstrated promising stability in hepatocytes.

References

Taylor AM, et al. *J Med Chem*. 2022 Aug 5. doi: 10.1021/acs.jmedchem.2c00662.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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