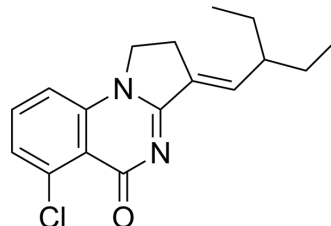


## PBRM1-BD2-IN-1

Cat. No.:	HY-151528
CAS No.:	1915012-21-3
Molecular Formula:	C <sub>17</sub> H <sub>19</sub> ClN <sub>2</sub> O
Molecular Weight:	302.8
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	PBRM1-BD2-IN-1 is a selective and cell-active polybromo-1 (PBRM1) bromodomain inhibitor. PBRM1-BD2-IN-1 has binding affinity and inhibitory activity for PBRM1-BD2 with $K_d$ and $IC_{50}$ values of 0.7 $\mu$ M and 0.2 $\mu$ M, respectively. PBRM1-BD2-IN-1 can be used for the research of cancer <sup>[1]</sup> .								
<b>IC<sub>50</sub> &amp; Target</b>	$K_d$ : 0.7 $\mu$ M (PBRM1-BD2), 0.35 $\mu$ M (PBRM1-BD5), 8.1 $\mu$ M (SMARCA2B), 5.0 $\mu$ M (SMARCA4) <sup>[1]</sup> . $IC_{50}$ : 0.2 $\mu$ M (PBRM1-BD2) <sup>[1]</sup> .								
<b>In Vitro</b>	<p>PBRM1-BD2-IN-1 (0, 0.1, 1, and 10 <math>\mu</math>M; 5 days) selectively inhibit growth of a PBRM1-dependent prostate cancer cell line<sup>[1]</sup>. PBRM1-BD2-IN-1 has binding affinity for PBRM1-BD2, PBRM1-BD5, SMARCA2B and SMARCA4 with <math>K_d</math> values of 0.7 <math>\mu</math>M, 0.35 <math>\mu</math>M, 8.1 <math>\mu</math>M and 5.0 <math>\mu</math>M, respectively<sup>[1]</sup>.</p> <p>PBRM1-BD2-IN-1 has inhibitory activity for PBRM1-BD2 with <math>IC_{50}</math> value of 0.2 <math>\mu</math>M<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Viability Assay<sup>[1]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>Human prostate cell lines LNCaP, PC3, and RWPE-1</td> </tr> <tr> <td>Concentration:</td> <td>0, 0.1, 1, and 10 <math>\mu</math>M</td> </tr> <tr> <td>Incubation Time:</td> <td>5 days</td> </tr> <tr> <td>Result:</td> <td>Inhibited LNCaP growth at higher concentrations.</td> </tr> </table>	Cell Line:	Human prostate cell lines LNCaP, PC3, and RWPE-1	Concentration:	0, 0.1, 1, and 10 $\mu$ M	Incubation Time:	5 days	Result:	Inhibited LNCaP growth at higher concentrations.
Cell Line:	Human prostate cell lines LNCaP, PC3, and RWPE-1								
Concentration:	0, 0.1, 1, and 10 $\mu$ M								
Incubation Time:	5 days								
Result:	Inhibited LNCaP growth at higher concentrations.								

### REFERENCES

[1]. Shifali Shishodia, et al. Selective and Cell-Active PBRM1 Bromodomain Inhibitors Discovered through NMR Fragment Screening. J Med Chem. 2022 Oct 13.

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**Caution: Product has not been fully validated for medical applications. For research use only.**

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