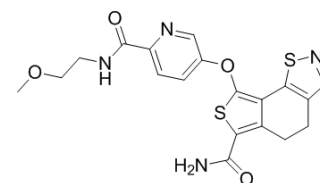


## CDK8/19-IN-1

Cat. No.:	HY-111427
CAS No.:	1818427-07-4
Molecular Formula:	C <sub>19</sub> H <sub>18</sub> N <sub>4</sub> O <sub>4</sub> S <sub>2</sub>
Molecular Weight:	430.5
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	CDK8/19-IN-1 is a potent, selective and oral bioavailable CDK8/19 dual inhibitor, with IC <sub>50</sub> s of 0.46 nM, 0.99 nM and 270 nM for CDK8, CDK19 and CDK9, respectively.		
<b>IC<sub>50</sub> &amp; Target</b>	CDK8/CycC 0.46 nM (IC <sub>50</sub> )	CDK19/CycC 0.99 nM (IC <sub>50</sub> )	CDK9 270 nM (IC <sub>50</sub> )
<b>In Vitro</b>	CDK8/19-IN-1 (52h) is a potent CDK8/19 dual inhibitor, with IC <sub>50</sub> s of 0.46 nM, 0.99 nM and 270 nM for CDK8, CDK19 and CDK9, respectively. CDK8/19-IN-1 also weakly inhibits CDK2, with 62% inhibition at 1 μM. CDK8/19-IN-1 (1 μM) shows >50% inhibition against GSK3β, PLK1, ASK1, CK1δ, PKA, ROCK1, PKCθ, CDC7. CDK8/19-IN-1 shows K <sub>d</sub> s of 25, 46, 81, 86, 97, 160 and >3000 nM for CDK19, CDK8, DYRK1B, HASPIN, YSK4, HIPK1 and EPHA3, respectively. CDK8/19-IN-1 displays potent antitumor activity, with GI <sub>50</sub> of 0.43-2.5 nM for colon, multiple myeloma, acute myelogenous leukemia (AML), lung cancer cells <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.		
<b>In Vivo</b>	CDK8/19-IN-1 (52h; 1.25 mg/kg twice daily or 2.5 mg/kg once daily, p.o.) significantly suppresses tumor growth in mice bearing RPMI8226 human hematopoietic and lymphoid cells <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.		

### REFERENCES

[1]. Ono K, et al. Design and synthesis of selective CDK8/19 dual inhibitors: Discovery of 4,5-dihydrothieno[3',4':3,4]benzo[1,2-d]isothiazole derivatives. *Bioorg Med Chem.* 2017 Apr 15;25(8):2336-2350.

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

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