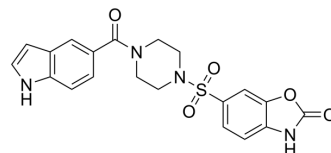


TH1760

Cat. No.:	HY-139193
CAS No.:	2567914-01-4
Molecular Formula:	C ₂₀ H ₁₈ N ₄ O ₅ S
Molecular Weight:	426.45
Target:	Others
Pathway:	Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	TH1760 is an inhibitor of NUDIX-type 15 (NUDT15) with an IC ₅₀ value of 25 nM. TH1760 sensitizes cells to 6-thioguanine by enhancing the accumulation of 6-thio- (d) GTP in nucleic acids. TH1760 enhances the anti-leukemia effect of thiopurine ^{[1][2]} .																
IC₅₀ & Target	NUDIX-type 15, NUDT15 ^[1]																
In Vitro	<p>TH1760 (0-100 μM) maintains the thermal denaturation of NUDT15 with dose-dependent manner^[1].</p> <p>TH1760 (0, 5, 10, 20 and 50 μM) increases the accumulation of thiopurine (6-TG) with dose-dependent manner in NB4 and HL-60 cells^[1].</p> <p>TH1760 (10 μM) increases the sensitivity of HCT116 and HCT116 3-6 cells to 6-TG. TH1760. TH1760 is more sensitive to BJ-RAS cells than BJ-hTERT cells^[1].</p> <p>TH1760 (10 μM; 16 h) promotes the accumulation and incorporation of 6-TG in HL-60 cells. TH1760 increases the expression of γH2AX, caspase3, Cleaved and cPARP in HL-60 cells^[1].</p> <p>TH1760 (0.05, 0.17, 0.55 and 1.8 μM; 4 d) enhances the anti-leukemia effect of 6-TG in a dose dependent manner^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Western Blot Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>HCT116 cells.</td> </tr> <tr> <td>Concentration:</td> <td>10 μM.</td> </tr> <tr> <td>Incubation Time:</td> <td>4 h.</td> </tr> <tr> <td>Result:</td> <td>Inhibited the expression of NUDT15.</td> </tr> </table> <p>Western Blot Analysis^[2]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>AML HL-60 cells.</td> </tr> <tr> <td>Concentration:</td> <td>10 μM.</td> </tr> <tr> <td>Incubation Time:</td> <td>3 h.</td> </tr> <tr> <td>Result:</td> <td>Significantly inhibited the expression of NUDT15 when the temperature was higher than 54℃.</td> </tr> </table>	Cell Line:	HCT116 cells.	Concentration:	10 μM.	Incubation Time:	4 h.	Result:	Inhibited the expression of NUDT15.	Cell Line:	AML HL-60 cells.	Concentration:	10 μM.	Incubation Time:	3 h.	Result:	Significantly inhibited the expression of NUDT15 when the temperature was higher than 54℃.
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REFERENCES

- [1]. Zhang SM, et al. Development of a chemical probe against NUDT15. Nat Chem Biol. 2020 Oct;16(10):1120-1128.
- [2]. Rehling D, et al. Crystal structures of NUDT15 variants enabled by a potent inhibitor reveal the structural basis for thiopurine sensitivity. J Biol Chem. 2021 Jan-Jun;296:100568.
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Caution: Product has not been fully validated for medical applications. For research use only.

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