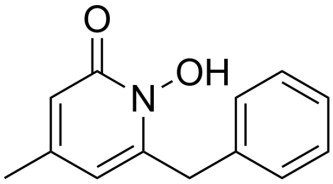
TC-E 5008

Cat. No.:	HY-110144
CAS No.:	50405-58-8
Molecular Formula:	$C_{13}H_{13}NO_2$
Molecular Weight:	215.25
Target:	Isocitrate Dehydrogenase (IDH)
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

TC-E 5008 is a potent mutant IDH1 inhibitor with K_i values of 190 nM and 120 nM for R132H and R132C IDH1 mutants, respectively. TC-E 5008 exhibits very weak activity against WT-IDH1 with a K_i value of 12.3 μM. TC-E 5008 has anti-proliferative activity on multiple ER positive breast cancer cell lines[1][2].

IC_{50} & Target

R132H IDH1	R132C IDH1	WT IDH1
190 nM (Ki)	120 nM (Ki)	12.3 μM (Ki)

In Vitro

TC-E 5008 (compound 2; 48 h) inhibits the production of D2HG with an EC_{50} value of 2.4 μM in HT1080 fibrosarcoma cells , which harbor an IDH1(R132C) mutation[1].

TC-E 5008 (2-10 μM; 72 h) has anti-proliferative activity on multiple ER positive breast cancer cell lines[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[2]

Cell Line:	MCF-7, ZR-75, T-47D, MDA-MB-23 cells
Concentration:	2, 4, 6, 8, 10 μM
Incubation Time:	72 h
Result:	Had anti-proliferative activity.

REFERENCES

[1]. Baisong Zheng, et al. Crystallographic Investigation and Selective Inhibition of Mutant Isocitrate Dehydrogenase. ACS Med Chem Lett. 2013 Jun 13;4(6):542-546.

[2]. Vasanth S. Murali, et al. Cancer drug discovery as a low rank tensor completion problem. bioRxiv, March 9, 2021.

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

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