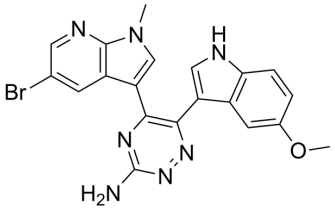
PDK-IN-1

Cat. No.:	HY-149814
CAS No.:	2897696-10-3
Molecular Formula:	$C_{20}H_{16}BrN_7O$
Molecular Weight:	450.29
Target:	PDHK; HSP
Pathway:	Metabolic Enzyme/Protease; Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PDK-IN-1 (compound 7o) is a pyruvate dehydrogenase kinase (PDK) inhibitor. PDK-IN-1 shows IC_{50} values of 0.03 and 0.1 μM for PDK1 and HSP90, respectively. PDK-IN-1 targets PDH/PDK axis thus reducing efficiently the tumor mass[1].
IC_{50} & Target	IC50: 0.03 ± 0.005 μM (PDK1); 0.1 μM (HSP90)[1]
In Vitro	PDK-IN-1 (compound 7o) shows 2D cytotoxic activity against PSN-1 and BxPC-3 pancreatic cell lines, with IC_{50} values of 0.1 ± 0.04 and 1.0 ± 0.2 μM, respectively. PDK-IN-1 also shows 3D cytotoxic activity, with IC_{50} values of 3.3 ± 0.2 and 11.9 ± 1.1 μM, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PDK-IN-1 (compound 7o) is slightly more effective than cisplatin (HY-17394) in reducing the tumor mass[1]. PDK-IN-1 intensely reduces PDH phosphorylation in Lewis Lung Carcinoma (LLC)-bearing mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	LLC-bearing C57BL mice[1]
Dosage:	20 mg/kg
Administration:	IP, daily, days 7-14
Result:	Reduced more tumor mass than cisplatin (HY-17394) (tumor inhibition by 86 and 84% for compound 7o and cisplatin, respectively). Induced a body weight loss much lower than that induced by cisplatin (HY-17394) and gemcitabine (HY-17026).

REFERENCES

[1]. Pecoraro C, et al. 1,2,4-Amino-triazine derivatives as pyruvate dehydrogenase kinase inhibitors: Synthesis and pharmacological evaluation. Eur J Med Chem. 2023 Mar 5;249:115134.

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

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