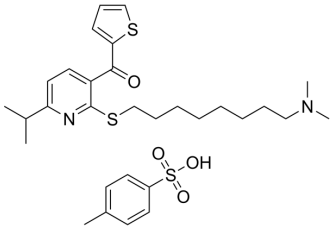
Y-29794 tosylate

Cat. No.:	HY-15113A
CAS No.:	143984-17-2
Molecular Formula:	$C_{30}H_{42}N_2O_4S_3$
Molecular Weight:	590.86
Target:	Prolyl Endopeptidase (PREP)
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Y-29794 tosylate is a selective, orally active inhibitor for non-peptide prolyl endopeptidase (PPCE), with an IC_{50} of 3 nM and a K_i of 0.95 nM. Y-29794 tosylate enhances the effect of thyrotropin-releasing hormone (TRH) on the release of ACh in the rat hippocampus, exhibits potential neuroprotective efficacy. Y-29794 tosylate exhibits anticancer activity through inhibition of the IRS1-AKT-mTORC1 pathway. Y-29794 tosylate penetrates the brain-blood barrier (BBB)[1][2].

IC_{50} & Target

PREP
3 nM (IC_{50})

In Vitro

Y-29794 tosylate inhibits proliferations of TNBC cancer cells BT20, BT549, MDA453, DU4475, MDA 231, MDA468 and SUM159PT (0-10 μM, 4 days), arrest cell cycle at G1/sub G1 pahse (0-10 μM, 48 h), induces cell death (5-10 mM)[1].

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

Cell Proliferation Assay[1]

Cell Line:	TNBC, BT20, BT549, MDA453, DU4475, MDA 231, MDA468, SUM159PT
Concentration:	0-10 μM
Incubation Time:	4 days
Result:	Inhibited cell proliferation, induced cell death.

Cell Cycle Analysis[1]

Cell Line:	MDA231, MDA468
Concentration:	0-10 μM
Incubation Time:	48 h
Result:	Arrested cell cycle at G1 phase at 0-5 μM, arrested cell cycle at sub G1 phase at 5-10 μM.

In Vivo

Y-29794 tosylate (12.5-50 mg/kg, i.p. daily, 5 times a week for 5 weeks) exhibits antitumor efficacy against triple-negative breast cancer in NOD-SCID mice models, without significant toxicity[1].

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Animal Model:	TNBC xenograft NOD-SCID mice model[1]
Dosage:	12.5-50 mg/kg
Administration:	i.p., daily, 5 times a week for 5 weeks
Result:	Inhibited tumor growth, maintained body weight.

REFERENCES

[1]. Perez RE, et al. Prolyl endopeptidase inhibitor Y-29794 blocks the IRS1-AKT-mTORC1 pathway and inhibits survival and in vivo tumor growth of triple-negative breast cancer. Cancer Biol Ther. 2020 Nov 1;21(11):1033-1040.

[2]. Perez RE, et al., Prolyl endopeptidase inhibitor Y-29794 blocks the IRS1-AKT-mTORC1 pathway and inhibits survival and in vivo tumor growth of triple-negative breast cancer. Cancer Biol Ther. 2020 Nov 1;21(11):1033-1040.

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