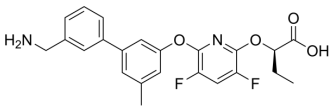
ZK824859

Cat. No.:	HY-114330
CAS No.:	2271122-53-1
Molecular Formula:	$C_{23}H_{22}F_2N_2O_4$
Molecular Weight:	428.43
Target:	PAI-1; Ser/Thr Protease
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	ZK824859 is an oral available and selective urokinase plasminogen activator (uPA) inhibitor with IC_{50}s of 79 nM, 1580 nM and 1330 nM for human uPA, tPA, and plasmin, respectively[1].
IC_{50} & Target	IC50: 79 nM (human uPA), 1580 nM (human tPA), 1330 nM (human plasmin)[1].
In Vitro	ZK824859 is 5 fold less potent and has lost selectivity in mouse: uPA IC_{50}=410 nM; tPA IC_{50}=910 nM; plasmin IC_{50}=1600 nM compared to human IC_{50} values of 79 nM, 1580 nM and 1330 nM respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	ZK824859 (50, 25 and 10 mg/kg; b.i.d.; 25 days) is used in a chronic mouse EAE model. ZK 824859 completely prevents the development of disease. However, two lower doses (25 and 10 mg/kg) have no effect on clinical scores[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female SJL mice with chronic mouse EAE model[1]
Dosage:	50, 25 and 10 mg/kg
Administration:	B.i.d.; 25 days
Result:	Prevented the development of disease at 50 mg/kg completely. However, 25 and 10 mg/kg had no effect on clinical scores.

REFERENCES

[1]. Islam I, et al. Discovery of selective urokinase plasminogen activator (uPA) inhibitors as a potential treatment for multiple sclerosis. Bioorg Med Chem Lett. 2018 Nov 1;28(20):3372-3375.

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

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