PAR4 antagonist 4

Cat. No.: HY-162409

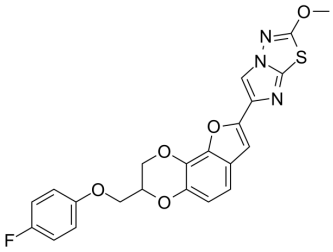
Molecular Formula: $C_{22}H_{16}FN_3O_5S$

Molecular Weight: 453.44

Target: Protease Activated Receptor (PAR)

Pathway: GPCR/G Protein

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

PAR4 antagonist 4 (Compound 37) is a selective antagonist for protease activated receptor 4 (PAR4). PAR4 antagonist 3 exhibits antiplatelet efficacy with IC_{50} of 14.2 nM. PAR4 antagonist 3 improves metabolic stablility in human liver microsomes with $T_{1/2}$ of 42.5 min[1].

In Vitro

PAR4 antagonist 4 (4 μM) exhibits antagonistic effect on GPVI, that inhibits collagen-induced platelet aggregation signaling pathway[1].

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

In Vivo

PAR4 antagonist 4 (3-12 mg/kg, po, single dose) suppresses the bleeding time, exhibits no impact on the coagulation system in C57BL/J6 mice tail cutting model[1].

PAR4 antagonist 4 (2 mg/kg, iv or 12 mg/kg, po) shows pharmacokinetics profils as shown in table below:

Pharmacokinetic Analysis of PAR4 antagonist 4 in ICR mice[1]

Route	Dose (mg/kg)	$T_{1/2}$ (h)	T_{max} (h)	C_{max} (ng/mL)	$AUC_{0\to t}$ (ng·h/mL)	Cl (mL/h·kg)	F (%)
i.v.	2 mg/kg	38.3	-	-	5386	180	-
p.o.	12 mg/kg	29.5	2	204	3042	-	9.61

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

Animal Model:	C57BL/J6 mice tail cutting model[1]
Dosage:	3-12 mg/kg
Administration:	po, single dose
Result:	Suppressed the bleeding time.

REFERENCES

[1]. Chen P, et al., Discovery of 2,3-Dihydro[1,4]dioxino[2,3-g]benzofuran Derivatives as Protease Activated Receptor 4 (PAR4) Antagonists with Potent Antiplatelet Aggregation Activity and Low Bleeding Tendency. J Med Chem. 2024 Apr 11;67(7):5502-5537.

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