# PAR4 antagonist 3

**Cat. No.:** HY-162408

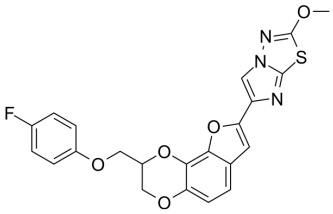
**Molecular Formula:** $C_{22}H_{16}FN_3O_5S$

**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 3 (Compound 36) is a selective antagonist for protease activated receptor 4 (PAR4). PAR4 antagonist 3 exhibits antiplatelet efficacy with $IC_{50}$ of 26.1 nM. PAR4 antagonist 3 improves metabolic stablility in human liver microsomes with $T_{1/2}$ of 97.6 min[1].

**In Vitro**

PAR4 antagonist 3 (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 3 (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 3 (2 mg/kg, iv or 12 mg/kg, po) shows pharmacokinetics profils as shown in table below:

Pharmacokinetic Analysis of PAR4 antagonist 3 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 | 11.3 | - | - | 1250 | 1428 | - |
| p.o. | 12 mg/kg | 7.32 | 1.67 | 325 | 3460 | - | 45 |

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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