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Product Data Sheet

Edoxaban tosylate monohydrate

Cat. No.: HY-10264B

CAS No.: 1229194-11-9

Molecular Formula: $C_{31}H_{40}CIN_7O_8S_2$

Molecular Weight: 738.27

Target: Factor Xa; Thrombin

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture

* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

SOLVENT & SOLUBILITY

In Vitro

DMSO: 50 mg/mL (67.73 mM; Need ultrasonic) H₂O: 1 mg/mL (1.35 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent Mass Concentration | 1 mg | 5 mg | 10 mg |
|------------------------------|-------------------------------|-----------|-----------|------------|
| | 1 mM | 1.3545 mL | 6.7726 mL | 13.5452 mL |
| | 5 mM | 0.2709 mL | 1.3545 mL | 2.7090 mL |
| | 10 mM | 0.1355 mL | 0.6773 mL | 1.3545 mL |

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (3.39 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (3.39 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (3.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Edoxaban (DU-176b) monohydrate is an orally active, highly potent, selective, and direct Factor Xa (FXa) inhibitor with K_i s of 0.561 and 2.98 nM for free human FXa and prothrombinase. Edoxaban monohydrate exhibits more than 10,000-fold selectivity over other coagulation proteases. Edoxaban monohydrate can be used for preventing thromboembolic disease research^[1].

IC₅₀ & Target

IC50: 2.90 μ M (platelet aggregation), Ki: 0.561 nM (free human FXa), 2.98 nM (prothrombinase), 0.715 nM (cynomolgus monkey FXa), 0.457 nM (rabbit FXa) $^{[1]}$

In Vitro

Edoxaban monohydrate (1, 1 and 5 minutes respectively) prolongs PT, TT and APTT of human plasma in a concentration-dependent manner [1].

?Edoxaban monohydrate inhibits thrombin-induced platelet aggregation, with an IC $_{50}$ of 2.90 μ M $^{[1]}$.

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

Cell Viability Assay^[1]

| Cell Line: | Human, rat, cynomolgus monkey and rabbit plasma; Human platelet | |
|------------------|---|--|
| Concentration: | | |
| Incubation Time: | 1 and 5 minutes | |
| Result: | Antithrombin. | |

In Vivo

Edoxaban monohydrate (0.5, 2.5 and 12.5 mg/kg; p.o.; once) significantly and dose-dependently reduces the thrombus formation and prolongs $PT^{[1]}$.

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

| Animal Model: | Male Slc: Wistar rats (210-240 g); Male New Zealand White rabbits (2.5-3.5 kg) (Both are venous stasis thrombosis model) $^{[1]}$. |
|-----------------|---|
| Dosage: | 0.5, 2.5 and 12.5 mg/kg |
| Administration: | Oral administration; once |
| Result: | Inhibited exogenous FXa activity. Antithrombotic. |

CUSTOMER VALIDATION

- Molecules. 2023 Feb 28.
- Thromb Res. 2021 Jan;197:141-143.
- Authorea. 2023 Apr 17.

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REFERENCES

[1]. Furugohri T, et al. DU-176b, a potent and orally active factor Xa inhibitor: in vitro and in vivo pharmacological profiles. J Thromb Haemost. 2008 Sep;6(9):1542-9.

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

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