

Product Data Sheet

Product Name: Ciraparantag acetate

Cat. No.: GC71531

Chemical Properties

Cas. No. 1644388-83-9

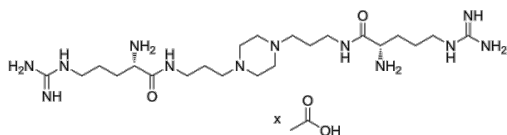
Formula $C_{22}H_{48}N_{12}O_2 \cdot xC_2H_4O_2$ M.Wt

Solubility H_2O : 125 mg/mL (Need ultrasonic) Storage 4°C, sealed storage, away from moisture and light

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Animal experiment [1]:

Animal models Sprague-Dawley rats

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Rats were administered an anticoagulant (e.g., edoxaban, dabigatran, apixaban, rivaroxaban, UFH, or enoxaparin) orally or intravenously. Ciraparantag (1.25 to 31.25mg/kg) was administered intravenously, either at the reported T_{max} of the anticoagulant (before a bleeding injury) or after a bleeding injury (tail transection or liver laceration). Blood loss volume or bleeding time was assessed.

Dosage form 1.25 to 31.25mg/kg; i.v.; Single injection.

Applications A single dose of Ciraparantag significantly reduced blood loss induced by a variety of anticoagulants. Ciraparantag produced a dose-dependent reversal of anticoagulation, restoring blood loss to baseline (sham) levels. Ciraparantag administered after a bleeding injury also significantly reduced blood loss.

References:

[1] Ansell J, Laulicht BE, Bakhru SH, et al. Ciraparantag, an anticoagulant reversal drug: mechanism of action, pharmacokinetics, and reversal of anticoagulants. *Blood*. 2021 Jan 7;137(1):115-125.

Background

Ciraparantag acetate is a small-molecule, synthetic, water-soluble broad-spectrum anticoagulant reversal agent. Ciraparantag binds to and neutralizes the anticoagulant activity of unfractionated heparin, low molecular weight heparin, fondaparinux, as well as direct factor Xa inhibitors and direct thrombin inhibitors through non-covalent hydrogen bonding and charge-charge interactions, thereby restoring hemostatic function without exhibiting significant procoagulant effects^[1-2]. Ciraparantag is suitable for the management of anticoagulant reversal in patients experiencing severe bleeding or requiring urgent surgery due to anticoagulant therapy^[3-4].

In vivo, in an SD rat tail transection bleeding model, a single intravenous injection of Ciraparantag (1.25–31.25mg/kg) was administered either at the reported time of peak concentration (T_{max}) of the anticoagulant (pre-injury) or after the injury. The anticoagulants used included oral edoxaban (10mg/kg), oral dabigatran (37.5mg/kg), oral apixaban (3.125mg/kg), oral rivaroxaban (5mg/kg),

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intravenous unfractionated heparin (1–2.5mg/kg), or intravenous enoxaparin (10mg/kg). Ciraparantag significantly reduced blood loss in the rats^[5].

References:

- [1] Chan NC, Weitz JI. Ciraparantag as a potential universal anticoagulant reversal agent. *Eur Heart J*. 2022 Mar 7;43(10):993-995.
- [2] Leentjens J, Middeldorp S, Jung C. A short review of ciraparantag in perspective of the currently available anticoagulant reversal agents. *Drug Discov Today*. 2022 Oct;27(10):103332.
- [3] Ansell J, Bakhru S, Laulicht BE, et al. Ciraparantag reverses the anticoagulant activity of apixaban and rivaroxaban in healthy elderly subjects. *Eur Heart J*. 2022 Mar 7;43(10):985-992.
- [4] Siegal DM. Ciraparantag: the next anticoagulant airbag? *Blood*. 2021 Jan 7;137(1):10-11.
- [5] Ansell J, Laulicht BE, Bakhru SH, et al. Ciraparantag, an anticoagulant reversal drug: mechanism of action, pharmacokinetics, and reversal of anticoagulants. *Blood*. 2021 Jan 7;137(1):115-125.

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