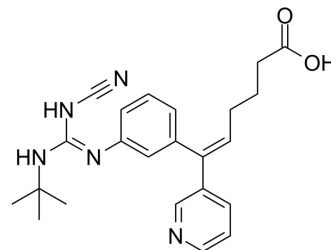


Terbogrel

Cat. No.:	HY-19189
CAS No.:	149979-74-8
Molecular Formula:	C ₂₃ H ₂₇ N ₅ O ₂
Molecular Weight:	405.49
Target:	Prostaglandin Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Terbogrel is an orally available thromboxane A ₂ receptor antagonist and a thromboxane A ₂ synthase inhibitor, with both IC ₅₀ s of about 10 nM.
IC₅₀ & Target	IC ₅₀ : apr 10 nM (thromboxane A ₂ receptor), appr 10 nM (thromboxane A ₂ synthase) ^[1]
In Vitro	<p>Pretreatment of platelets with terbogrel 1 μM completely inhibits thrombin-induced thromboxane A₂ formation (2±1 ng/mL) but does not result in any inhibition of platelet aggregation. Terbogrel (1 μM) completely inhibits U46619-induced platelet aggregation, and the IC₅₀ value is 10 nM. Terbogrel inhibits both platelet aggregation and thromboxane A₂ formation with an IC₅₀ of about 10 nM^[1]. Terbogrel inhibits the thromboxane A₂ synthase in human gel-filtered platelets with an IC₅₀ value of 4.0 ± 0.5 nM. Terbogrel blocks the thromboxane A₂/endoperoxide receptor on washed human platelets with an IC₅₀ of 11 ± 6 nM (n = 2) and with an IC₅₀ of 38 ± 1 nM (n = 15) in platelet-rich plasma. Terbogrel inhibits the collagen-induced platelet aggregation in human platelet-rich plasma and whole blood with an IC₅₀ of 310 ± 18 nM (n = 8) and 52 ± 20 nM (n = 6), respectively^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>Terbogrel (0.1–3.0 mg/kg) demonstrates an impressive antithrombotic efficacy in rabbits. Terbogrel (10 mg/kg, po) is rapidly and well (90%) absorbed with a systemic availability of about 30% in rats^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

REFERENCES

- [1]. Muck S, et al. Effects of terbogrel on platelet function and prostaglandin endoperoxide transfer. *Eur J Pharmacol.* 1998 Feb 26;344(1):45-8.
- [2]. Soyka R, et al. Guanidine derivatives as combined thromboxane A₂ receptor antagonists and synthase inhibitors. *J Med Chem.* 1999 Apr 8;42(7):1235-49.

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

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