Product Data Sheet

Product Name: Terbogrel (BIBV 308SE)
Cat. No.: GC32550

Chemical Properties

Cas. No.	149979-74-8		
SMILES	O=C(O)CCC/C=C(C1=CC=CC(/N=C(NC#N)/NC(C)(C)C)=C1)/C2=CC=CN=C2		
Formula	$C_{23}H_{27}N_5O_2$	M.Wt	405.49
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Terbogrel (BIBV 308SE)		

Background

Terbogrel is an orally available thromboxane A2 receptor antagonist and a thromboxane A2 synthase inhibitor, with both IC50s of about 10 nM.

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].

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

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of about 30% in rats[2].

[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.