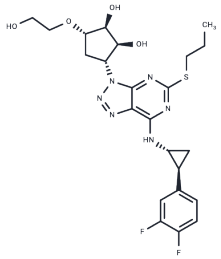
Data Sheet (Cat.No.T0179)

TargetMol

Ticagrelor

Chemical Properties



CAS No. : 274693-27-5

Formula: C23H28F2N6O4S

Molecular Weight: 522.57

Storage: Keep away from moisture
Pure form: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Ticagrelor (AR-C 126532XX), produced by AstraZeneca, is an inhibitor of platelet aggregation. Unlike clopidogrel, ticagrelor is not a prodrug required metabolic activation. The drug was approved for use in the European Union by the European Commission on December 3, 2010, and by the US FDA on July 20, 2011. Its trade names are Brilinta (US), Brilique(EU) and Possia(EU).
Targets(IC50)	Cytochromes P450,P2Y Receptor
In vitro	Ticagrelor has a half-life (t1/2) of approximately 7-8.5 hours and exhibits a dose-related inhibition of platelet aggregation; a 100-400 mg dose achieves complete inhibition within 2 hours. It is well-tolerated, with no serious adverse events or significant changes in laboratory values observed. Ticagrelor is rapidly absorbed, reaching peak levels in 1.3-2 hours. Within the studied dosage range, both the peak concentration of Ticagrelor and the area under the curve (from time zero to infinity) increase in a dose-proportional manner, indicating linear pharmacokinetics.
In vivo	In binding studies on CHO-K1 cells transfected with rh-P2Y12 receptors, Ticagrelor exhibited efficient and reversible binding, with a kon (association rate constant) of 0.00011/(nM·s), a Kd (equilibrium dissociation constant) of 10.5 nM, and a koff (dissociation rate constant) of 0.00087/s. The half-lives for association and dissociation were 4 and 14 minutes, respectively, suggesting that the concentration of the drug that binds to platelets determines the extent of platelet inhibition. Ticagrelor is an active drug that does not require metabolic activation. It does not directly compete with ADP at the ADP binding site but rather occupies a nearby site, causing a change in the conformation of the binding site that leads to a reversible conformational change in the receptor. The binding of Ticagrelor to the receptor is reversible with quick onset/off rates.

Solubility Information

Solubility	DMSO: 250 mg/mL (478.4 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	5% DMSO+40 % PEG300+5 % Tween 80+50 % Saline: 5 mg/mL (9.57 mM),Solution. 10% DMSO+90% Saline: < 10 mg/mL (19.14 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (19.14 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9136 mL	9.5681 mL	19.1362 mL
5 mM	0.3827 mL	1.9136 mL	3.8272 mL
10 mM	0.1914 mL	0.9568 mL	1.9136 mL
50 mM	0.0383 mL	0.1914 mL	0.3827 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

VAN Giezen JJ, et al. J Thromb Haemost, 2009, 7(9), 1556-1565.
Teng R, et al. Eur J Clin Pharmacol, 2010, 66(5), 487-496.
Zhou D, et al. Drug Metab Dispos, 2011, 39(4), 703-710.