Ticagrelor

Cat. No.: HY-10064

CAS No.: 274693-27-5

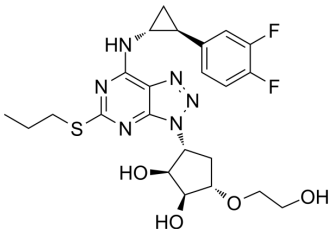
Molecular Formula: $C_{23}H_{28}F_2N_6O_4S$

Molecular Weight: 522.57

Target: P2Y Receptor

Pathway: GPCR/G Protein

Storage: -20°C, protect from light, stored under nitrogen
* In solvent : -80°C, 1 years; -20°C, 6 months (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (95.68 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	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

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 mg/mL (3.83 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2 mg/mL (3.83 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2 mg/mL (3.83 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ticagrelor (AZD6140) is a reversible oral P2Y12 receptor antagonist for the treatment of platelet aggregation.

IC_{50} & Target

P2Y12 Receptor

In Vitro

Ticagrelor promotes a greater inhibition of adenosine 5′-diphosphate (ADP)–induced Ca^{2+} release in ished platelets vs other P2Y12R antagonists. This additional effect of ticagrelor beyond P2Y12R antagonism is in part as a consequence of ticagrelor inhibiting the equilibrative nucleoside transporter 1 (ENT1) on platelets, leading to accumulation of extracellular adenosine

	and activation of Gs-coupled adenosine A2A receptors[1]. B16-F10 cells exhibit decreased interaction with platelets from ticagrelor-treated mice compared to saline-treated mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In B16-F10 melanoma intravenous and intrasplenic metastasis models, mice treated with a clinical dose of ticagrelor (10 mg/kg) exhibits marked reductions in lung (84%) and liver (86%) metastases. Furthermore, ticagrelor treatment improves survival compared to saline-treated animals. A similar effect is observed in a 4T1 breast cancer model, with reductions in lung (55%) and bone marrow (87%) metastases following ticagrelor treatment[2]. Single oral administration of ticagrelor (1-10 mg/kg) causes dose-related inhibitory effect on platelet aggregation. Ticagrelor, at the highest dose (10 mg/kg) significantly inhibits platelet aggregation at 1 h after dosing and the peak inhibition is observed at 4 h after dosing[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [3]	Rats: Prasugrel (10 mg/kg, p.o.) and ticagrelor (30 mg/kg, p.o.), doses that produced similar levels of inhibition of platelet aggregation, are administered to rats 4 h before the bleeding time measurements. Fresh, washed platelets (1 × 1010 platelets/mL) are prepared from other rats and suspended in Hank's balanced salt solution. Platelets are transfused via the jugular vein to rats 1 h before the bleeding time measurements and the bleeding time is determined[3]. [2]Mice: Female BALB/c mice are inoculated subcutaneously in the fourth mammary pad with 4T1 breast cancer cells. Once a tumor is palpable, mice receive daily injections of PBS or ticagrelor (10 mg/kg). One week later, mice undergo primary tumor resection. At 28 days mice are sacrificed and lungs, femurs and tibiae harvested. Dissociated cells from lung and bone marrow are plated in medium containing 60 μM 6-thioguanine. After 14 days, culture plates are fixed with methanol and stained with 0.03% methylene blue to enumerate metastatic 4T1 colonies[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Curr Biol. 2023 May 6;S0960-9822(23)00529-8.
- Mol Neurobiol. 2022 Jan 9.
- Biomed Res Int. 2022 Sep 20;2022:8265898.
- Research Square Preprint. 2021 Mar.

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REFERENCES

[1]. Aungraheeta R, et al. Inverse agonism at the P2Y12 receptor and ENT1 transporter blockade contribute to platelet inhibition by ticagrelor. Blood. 2016 Dec 8;128(23):2717-2728.

[2]. Gebremeskel S, et al. The reversible P2Y12 inhibitor ticagrelor inhibits metastasis and improves survival in mouse models of cancer. Int J Cancer. 2015 Jan 1;136(1):234-40.

[3]. Sugidachi A, et al. A comparison of the pharmacological profiles of prasugrel and ticagrelor assessed by platelet aggregation, thrombus formation and haemostasis in rats. Br J Pharmacol. 2013 May;169(1):82-9.

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

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