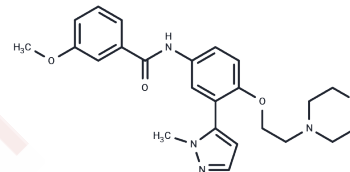


Temanogrel

Chemical Properties

CAS No. :	887936-68-7
Formula:	C ₂₄ H ₂₈ N ₄ O ₄
Molecular Weight:	436.5
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	Temanogrel (APD791) is a highly selective antagonist of the 5-HT _{2A} receptor (K _i : 4.9 nM).
Targets(IC ₅₀)	5-HT Receptor
In vitro	Temanogrel inhibits inositol phosphate accumulation (IC ₅₀ : 5.2 nM). Temanogrel shows effective inhibition of serotonin mediated amplification of ADP-stimulated human and dog platelet aggregation (IC ₅₀ =8.7 and 23.1 nM, respectively). Pretreatment of aortic rings with Temanogrel prevents the vasoconstriction caused by 20 μM 5-HT in a concentration-dependent manner. Preincubation with Temanogrel also significantly inhibits the 5-HT-stimulated DNA synthesis (IC ₅₀ : 13±7 nM) [1][3].
In vivo	Plasma Temanogrel levels show a rapid and sustained increase, averaging 25.5±4.1, 28.7±4.6, and 31.2±4.5 ng/mL, respectively, at 10 min, 1.25 h, and 2.25 h after the start of treatment in dogs assigned to receive Temanogrel. There are no differences in heart rate or mean arterial pressure between saline-treated and Temanogrel-treated groups at any time during the experiment [3].

Solubility Information

Solubility	DMSO: 125 mg/mL (286.37 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (11.45 mM),Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.291 mL	11.4548 mL	22.9095 mL
5 mM	0.4582 mL	2.291 mL	4.5819 mL
10 mM	0.2291 mL	1.1455 mL	2.291 mL
50 mM	0.0458 mL	0.2291 mL	0.4582 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

Xiong Y, et al. Discovery and structure-activity relationship of 3-methoxy-N-(3-(1-methyl-1H-pyrazol-5-yl)-4-(2-morpholinoethoxy)phenyl)benzamide (APD791): a highly selective 5-hydroxytryptamine_{2A} receptor inverse agonist for the treatment of arterial thrombosis. *J Med Chem.* 2010 Jun 10;53(11):4412-21.

Przyklenk K, et al. Targeted inhibition of the serotonin 5HT_{2A} receptor improves coronary patency in an in vivo model of recurrent thrombosis. *J Thromb Haemost.* 2010 Feb;8(2):331-40.

Adams JW, et al. APD791, 3-methoxy-n-(3-(1-methyl-1h-pyrazol-5-yl)-4-(2-morpholinoethoxy)phenyl)benzamide, a novel 5-hydroxytryptamine 2A receptor antagonist: pharmacological profile, pharmacokinetics, platelet activity and vascular biology. *J Pharmacol Exp Ther.* 2009 Oct;331(1):96-103.

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