

Product Datasheet

Temanogrel

Catalog No. **BP-02098** · CAS **887936-68-7** · Form **free base** · Physical state **other** · Color **White to light yellow** · Version **1.0** · Revision **2026-09-14**

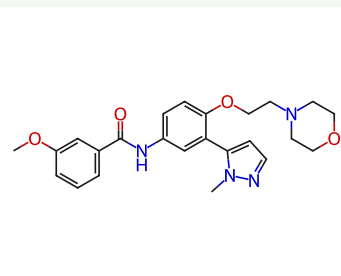
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Target 5-HT Receptor	Research area Neurological Disease
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Molecular formula **C₂₄H₂₈N₄O₄**

Molecular weight **436.5035**

STRUCTURE



DESCRIPTION

Temanogrel is a highly selective 5-HT_{2A} receptor antagonist with a K_i of 4.9 nM.

BIOLOGICAL ACTIVITY

In Vitro

Temanogrel is a highly selective 5-HT_{2A} receptor antagonist with a K_i of 4.9 nM. Temanogrel inhibits inositol phosphate accumulation with an IC₅₀ of 5.2 nM. Temanogrel exhibits potent inhibition of serotonin mediated amplification of ADP-stimulated human and dog platelet aggregation (IC₅₀=8.7 and 23.1 nM, respectively)[1]. 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 with an IC₅₀ of 13±7 nM.

In Vivo

There are no differences in heart rate or mean arterial pressure between saline-treated and Temanogrel-treated groups at any time during the experiment (that is, for mean arterial pressure, P=0.508 between groups, and P=0.540 for group-time interaction). In dogs assigned to receive Temanogrel, 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

SOLUBILITY

Soluble in DMSO

In vitro DMSO : 100 mg/mL

REFERENCES

- [1]. 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.
- [2]. 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.
- [3]. 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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