Parmodulin 2

Cat. No.: HY-13965

CAS No.: 423735-93-7

Molecular Formula: $C_{17}H_{17}BrN_2O_2$

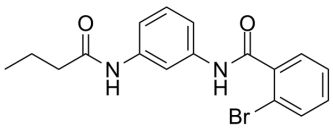
Molecular Weight: 361.23

Target: Protease Activated Receptor (PAR)

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (276.83 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7683 mL	13.8416 mL	27.6832 mL
5 mM	0.5537 mL	2.7683 mL	5.5366 mL
10 mM	0.2768 mL	1.3842 mL	2.7683 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: ≥ 2.5 mg/mL (6.92 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.92 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.92 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Parmodulin 2 (ML161) is an allosteric inhibitor of protease-activated receptor 1 (PAR1) with an IC_{50} of 0.26 μM[1]. Parmodulin 2 is a potent and non-competitive inhibitor of SFLLRN-induced P-selectin expression leading to inhibition of platelet aggregation in vitro and platelet thrombus formation in vivo[2].

IC_{50} & Target

IC50: 0.26 μM (PAR1)[1]

In Vitro

Parmodulin 2 (ML161; 10 μM; for 30 minutes) inhibits proinflammatory signaling in endothelial HUVECs cells[3].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Parmodulin 2 (ML161; 5 mg/kg; IV) significantly inhibits platelet thrombus formation, with a 73% inhibition in AUC (area under the curve)[2]. Parmodulin 2 inhibits platelet thrombus formation in vivo, and it does not prolong bleeding time. Parmodulin 2 selectively inhibits platelet aggregation through Par1 and the α2A-adrenergic receptor[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57BL/6J wild type mice[2]
Dosage:	5 mg/kg (Pharmacokinetic Analysis)
Administration:	IV
Result:	Significantly inhibited platelet thrombus formation, with a 73% inhibition in AUC.

REFERENCES

[1]. Gandhi DM, et al. Characterization of Protease-Activated Receptor (PAR) ligands: Parmodulins are reversible allosteric inhibitors of PAR1-driven calcium mobilization in endothelial cells. Bioorg Med Chem. 2018 May 15;26(9):2514-2529.

[2]. Susanna F Gunnink, et al. Allosteric inhibition of protease activated receptor 1: a new antiplatelet therapy.

[3]. Aisiku O, et al. Parmodulins inhibit thrombus formation without inducing endothelial injury caused by vorapaxar. Blood. 2015 Mar 19;125(12):1976-85.

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