APX-115 free base

Cat. No.: HY-120801A

CAS No.: 1270084-92-8

Molecular Formula: $C_{17}H_{17}N_3O$

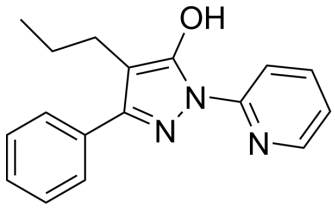
Molecular Weight: 279.34

Target: NADPH Oxidase

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (894.97 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.5799 mL	17.8993 mL	35.7987 mL
	5 mM	0.7160 mL	3.5799 mL	7.1597 mL
	10 mM	0.3580 mL	1.7899 mL	3.5799 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: ≥ 2.08 mg/mL (7.45 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (7.45 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (7.45 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

APX-115 free base (Ewha-18278 free base) is a potent, orally active pan NADPH oxidase (Nox) inhibitor with K_i values of 1.08 μM, 0.57 μM, and 0.63 μM for Nox1, Nox2 and Nox4, respectively. APX-115 free base effectively prevents kidney injury[1].

IC_{50} & Target	NOX1	NOX2	NOX4

In Vitro

APX-115 free base (5 μM; 60 min) almost completely suppresses high glucose-induced proinflammatory and profibrotic molecule expression in the mouse podocyte cell line[2].

In the kidney, APX-115 free base attenuates Nox gene upregulation and protein expression while improving inflammatory and fibrotic processes[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

APX-115 free base (oral gavage; 60?mg/kg/day; for 12 weeks) significantly improves insulin resistance in diabetic mice[2].

APX-115 free base treatment decreases the urinary excretion of albumin and plasma creatinine levels[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Six-week-old male diabetic db/db mice (C57BLKS/J-lepr^{db}/lepr^{db})[2]
Dosage:	60 mg/kg
Administration:	Oral gavage; per day; for 12 weeks
Result:	Significantly improved insulin resistance in diabetic mice.

CUSTOMER VALIDATION

- Nat Immunol. 2021 Sep;22(9):1107-1117.

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REFERENCES

[1]. Kwon G, et al. A novel pan-Nox inhibitor, APX-115, protects kidney injury in streptozotocin-induced diabetic mice: possible role of peroxisomal and mitochondrial biogenesis. Oncotarget. 2017 Jun 16;8(43):74217-74232.

[2]. Cha JJ, et al. APX-115, a first-in-class pan-NADPH oxidase (Nox) inhibitor, protects db/db mice from renal injury. Lab Invest. 2017 Apr;97(4):419-431.

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