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Inhibitors, Screening Libraries, Proteins

NADPH Oxidase

NOX

NADPH-oxidase (NOX) is a highly regulated dynamic complex comprising membrane and cytosolic proteins and is the major source of nonmitochondrial cellular reactive oxygen species (ROS). The ROS generated by NADPH oxidases have crucial roles in various physiological processes, including innate immunity, modulation of redox-dependent signalling cascades, and as cofactors in the production of hormones.

NOX enzymes are a family of transmembrane proteins comprising seven members (NOX1-NOX5 and DUOX1 and DUOX2), each with a specific tissue distribution and activation mechanism. They catalyze the reduction of molecular oxygen to superoxide anion, which in turn reacts quickly to generate other ROS, such as hydrogen peroxide. Although basic NOX activity is crucial for normal physiology, overshooting activity of NOX enzymes leads to disease. NADPH oxidases are increasingly recognized as interesting drug targets.

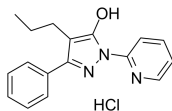
NADPH Oxidase Inhibitors

APX-115

(Ewha-18278)

Cat. No.: HY-120801

APX-115 (Ewha-18278) 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 effectively prevents kidney injury.



Purity: $\geq 98.0\%$

Clinical Data:

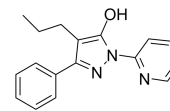
Size: 1 mg

APX-115 free base

(Ewha-18278 free base)

Cat. No.: HY-120801A

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.



Purity: 99.47%

Clinical Data: No Development Reported

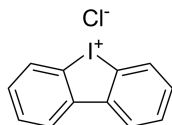
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Diphenyleneiodonium chloride

(DPI)

Cat. No.: HY-100965

Diphenyleneiodonium chloride is a **NADPH oxidase (NOX)** inhibitor and also functions as a **TRPA1** activator with an EC_{50} of 1 to 3 μM . Diphenyleneiodonium chloride selectively inhibits intracellular **reactive oxygen species**.



Purity: 99.90%

Clinical Data: No Development Reported

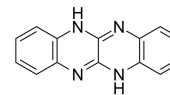
Size: 10 mM \times 1 mL, 10 mg, 50 mg, 100 mg

Fluoflavine

(ML-090)

Cat. No.: HY-126195

Fluoflavine (ML-090) is a selective **NOX1** inhibitor with an IC_{50} of 90 nM. Fluoflavine has >100 selectivity for **NOX1** over **NOX2**, **NOX3**, **NOX4** (all $\text{IC}_{50} > 10 \mu\text{M}$). ML-090 has an IC_{50} of 360 nM in HEK293 cells.



Purity: $>98\%$

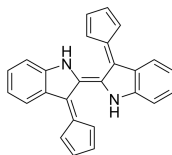
Clinical Data: No Development Reported

Size: 1 mg, 5 mg

Fulvene-5

Cat. No.: HY-12803

Fulvene-5 is a potent **NADPH oxidase 4 (NOX4)** inhibitor with antioxidant properties. Fulvene-5 is a **reactive oxygen species (ROS)** modifying agent and a potent radioprotector. Fulvene-5 has antitumor activity.



Purity: $>98\%$

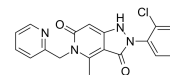
Clinical Data: No Development Reported

Size: 1 mg, 5 mg

GKT136901

Cat. No.: HY-101499

GKT136901 is a potent, selective and orally active inhibitor of **NADPH oxidase (NOX1/4)**, with K_i s of 160 and 165 nM, respectively. GKT136901 is also a selective and direct scavenger of peroxynitrite.



Purity: 99.12%

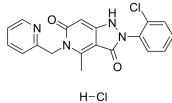
Clinical Data: No Development Reported

Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GKT136901 hydrochloride

Cat. No.: HY-101499A

GKT136901 hydrochloride is a potent, selective and orally active inhibitor of **NADPH oxidase (NOX1/4)**, with K_i s of 160 and 165 nM, respectively. GKT136901 hydrochloride is also a selective and direct scavenger of peroxynitrite.



Purity: 98.02%

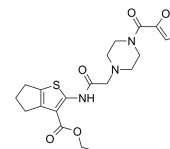
Clinical Data: No Development Reported

Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GLX351322

Cat. No.: HY-100111

GLX351322 is an inhibitor of **NADPH oxidase 4 (Nox4)**, and inhibits hydrogen peroxide production from **NOX4**-overexpressing cells with an IC_{50} of 5 μM .



Purity: 99.94%

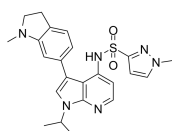
Clinical Data: No Development Reported

Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GSK2795039

Cat. No.: HY-18950

GSK2795039 is a **NADPH oxidase 2 (NOX2)** inhibitor with a mean pIC_{50} of 6 in different cell-free assays. GSK2795039 inhibits reactive oxygen species (ROS) production and NADPH consumption. GSK2795039 reduces **apoptosis**.



Purity: 99.71%

Clinical Data: No Development Reported

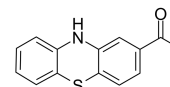
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

ML171

(2-Acetylphenothiazine; 2-APT)

Cat. No.: HY-12805

ML171 (2-Acetylphenothiazine; 2-APT) is a potent and selective **NADPH oxidase 1 (Nox1)** inhibitor that blocks **Nox1**-dependent ROS generation, with an IC_{50} of 0.25 μM in HEK293-**Nox1** confirmatory assay.



Purity: 99.90%

Clinical Data: No Development Reported

Size: 10 mM \times 1 mL, 100 mg, 500 mg

<p>Mulberrofuran G</p> <p>Cat. No.: HY-N3239</p>	<p>NoxA1ds</p> <p>Cat. No.: HY-P1435</p>
<p>Mulberrofuran G protects ischemic injury-induced cell death via inhibition of NOX4-mediated ROS generation and ER stress. Mulberrofuran G shows moderate inhibiting activity of hepatitis B virus (HBV) DNA replication with the IC_{50}.</p> <p>Purity: 96.42% Clinical Data: No Development Reported Size: 5 mg</p>	<p>NoxA1ds is a highly efficacious and selective Nox1 (NADPH oxidase isoform 1) inhibitor. NoxA1ds establishes a critical interaction site for Nox1-NOXA1 binding required for enzyme activation. NoxA1ds can be used for the research of hypertension, atherosclerosis and neoplasia.</p> <p>Purity: 98.82% Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg</p>
<p>NoxA1ds TFA</p> <p>Cat. No.: HY-P1435A</p>	<p>Setanaxib (GKT137831; GKT831)</p> <p>Cat. No.: HY-12298</p>
<p>NoxA1ds TFA is a potent and selective NADPH oxidase 1 (NOX1) inhibitor ($IC_{50}=20$ nM). NoxA1ds TFA exhibits selectivity for NOX1 over NOX2, NOX4, NOX5 and xanthine oxidase. NoxA1ds TFA inhibits NOX1-derived O₂⁻ production in HT-29 human colon cancer cells.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Setanaxib (GKT137831) is a selective NADPH oxidase (NOX1/4) inhibitor with K_s of 140 and 110 nM, respectively.</p> <p>Purity: 99.43% Clinical Data: Phase 3 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</p>
<p>VAS 3947</p> <p>Cat. No.: HY-111447</p>	<p>VAS2870</p> <p>Cat. No.: HY-12804</p>
<p>VAS 3947, a specific NADPH oxidase (NOX) inhibitor, exerts a potent antiplatelet effect. VAS3947 induces apoptosis independently of anti-NOX activity, via UPR activation, mainly due to aggregation and misfolding of proteins.</p> <p>Purity: 99.59% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>VAS2870 is a NADPH oxidase (NOX) inhibitor.</p> <p>Purity: 98.23% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>