Web: www.taiclone.com
Tel: +886-2-2735-9682
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Setanaxib

Catalog No: tcsc3290

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Specifications

CAS No:
1218942-37-0

Formula:
$C_{21}H_{19}ClN_4O_2$

Pathway:
Others

Target:
Others

Purity / Grade:
>99%

Solubility:
DMSO : ≥ 37 mg/mL (93.71 mM)

Alternative Names:
Setanaxib; GKT137831

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Observed Molecular Weight:
394.85

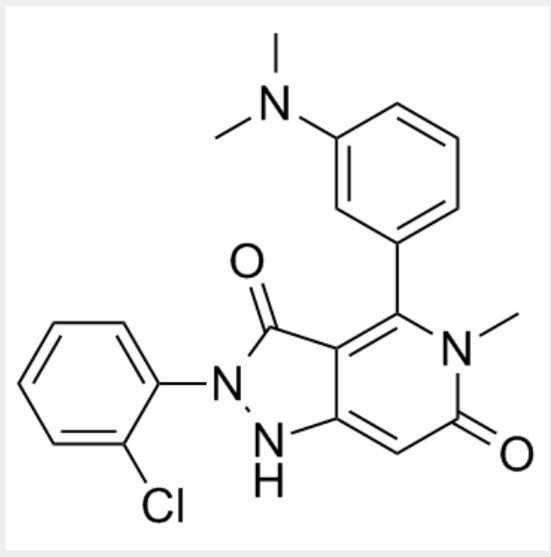
Product Description

GKT137831 is a novel, specific dual NADPH oxidase (**NOX1/4**) inhibitor. GKT137831 has potency both on human **Nox4** ($\mathbf{K_i}$=140±40 nM) and human **Nox1** ($\mathbf{K_i}$=110±30 nM) and is found 15-fold less potent on **Nox2** ($\mathbf{K_i}$=1750±700 nM) and 3-fold less potent on **Nox5** ($\mathbf{K_i}$=410±100 nM).

IC50 & Target: Ki: 140±40 nM (Nox4), 110±30 nM (Nox1)[1]

In Vitro: GKT137831 is a potent Nox4 inhibitor (K_i=120±30 nM) with an affinity similar to the irreversible and unspecific flavoprotein inhibitor Diphenyliodonium (DPI; K_i=70±10 nM)[1]. Administration of GKT137831 throughout the 72-hour period of normoxia or hypoxia exposure attenuates HPASMC proliferation under normoxic conditions at the 20 μM concentration but had no effect on proliferation in normoxic HPAECs. In the prevention paradigm, GKT137831 attenuates hypoxia-induced HPASMC and HPAEC proliferation at 5 and 20 μM. Complementary assays of cell proliferation measuring the expression of PCNA or manual cell counting confirmed that GKT137831 attenuates hypoxia-induced pulmonary vascular cell proliferation[2].

In Vivo: During the last half of CCl_4 injections, some mice are treated with GKT137831 daily. CCl_4-induced liver fibrosis is more pronounced in SOD1mu compared to WT mice. Liver fibrosis in both SOD1mu and WT mice is attenuated by GKT137831 treatment. The increased hepatic α-SMA expression is markedly decreased in SOD1mu mice treated with GKT137831, to a level similar to that of WT mice given the NOX1/4 inhibitor[1].



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