Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

KI696

Catalog No: tcsc0020903

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1799974-70-1

Formula:
$C_{28}H_{30}N_4O_6S$

Pathway:
NF-κB

Target:
Keap1-Nrf2

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
550.63

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Product Description

KI696 is a high affinity probe that disrupts the **Keap1/NRF2** interaction.

IC50 & Target: Target: Keap1-NRF2[1]

In Vitro: KI696 (compound 7) exhibits very high affinity for the KEAP1 Kelch domain (ITC K_d=1.3 nM with the exception of the organic anion transporting polypeptide 1B1 (OATP1B1) (IC_{50}=2.5 μM), the bile salt export pump BSEP (IC_{50}=4.0 μM), and the phosphodiesterase PDE3A (IC_{50}=10 μM), no significant cross-reactivity is observed. No cytotoxicity is observed towards BEAS-2B cells with KI696 at concentrations up to 10 μM. KI696 increases NRF2 Nuclear Translocation in Normal Human Bronchial Epithelial cells. KI696 increases mRNA expression of the NRF2-dependent genes NQO1 and GCLM in NHBE cells transfected with the non-targeting siRNA, while NRF2 gene silencing significantly decreases compound activity. KI696 increases NQO1 Activity in an NRF2-Dependent Manner. Treatment with tBHP clearly has a detrimental effect on cell health and appearance while pre-treatment of cells with 1 μM KI696 before the exposure to tBHP maintained cell morphology consistent with the DMSO control. KI696 Induces the Expression of NRF2-Regulated Genes in COPD patient-derived bronchial epithelial cells[1].

In Vivo: KI696 induces the expression of each of the *Nqo1, Ho-1, Txnrd1, Srxn1, Gsta3, Gclc* genes in a dose-dependent manner, with maximum increases over vehicle controls of 37-(Nqo1), 17-(Ho-1), 9-(Txnrd1), 28-(Srxn1), 15-(Gsta3) and 13-fold (Gclc) occurring at the 50 μmol/kg dose. EC_{50} values are 44.0, 25.7, 42.6, 33.8, 28.4, and 44.1 μmol/kg, respectively, giving an average EC_{50} value of 36.4±3.4 μmol/kg. KI696 attenuates ozone-Induced pulmonary inflammation. KI696 restores ozone-induced depletion of lung GSH levels. KI696 is administered to rats at 10, 35 and 50 μmol/kg by IV infusion, resulting in steady state compound concentrations in the blood of 407±44 nM, 946±50 nM and 1437±186 nM, respectively, over the 6 hour infusion period. Exposure to ozone 24 hours post-dose produces a significant depletion in lung levels of the anti-oxidant molecule, GSH, which is restored by KI696 in a dose-dependent manner[1].