BIOLOGICAL ACTIVITY

**Description**
NSC23925 is a novel, selective and effective **P-glycoprotein (Pgp)** inhibitor.

**IC₅₀ & Target**
P-glycoprotein[^1]

**In Vitro**
NSC23925 is a novel, selective and effective P-glycoprotein (Pgp) inhibitor. SKOV-3 cells with long-term exposure of 1 μM NSC23925 show stable growth in culture medium. NSC23925 specifically inhibits Pgp overexpression to prevent the emergence of paclitaxel resistance during paclitaxel treatment[^1]. NSC23925 reverses chemoresistance in a wide variety of tumor types where Multidrug resistance 1 (MDR1) is highly expressed. Maximal reversal of MDR is typically seen in NSC23925 doses between 0.5 and 1 μM. The IC₅₀ for NSC23925 is 8 μM in SKOV-3/SKOV-3⁴ and 25 μM in OVCAR8/OVCAR8⁴ cell lines, whereas the mean concentration of NSC23925 required for maximal reversal of resistance in SKOV-3⁴ or OVCAR8⁴ to cytotoxic drugs is 0.5 μM to 1 μM[^2].

**In Vivo**
Both saline alone and NSC23925 alone treated tumors grow progressively. The usage of NSC23925 in paclitaxel chemotherapy significantly prolongs anticancer efficacy of paclitaxel[^1].

PROTOCOL

**Cell Assay[^1]**
To determine whether NSC23925 can prevent the emergence of paclitaxel resistance, paclitaxel resistant ovarian cancer cells are used. In brief, 1×10⁵ SKOV-3 cells are suspended in culture media containing paclitaxel alone, 1 μM NSC23925 alone, or paclitaxel in combination with 1 μM NSC23925. When the cells are cultured to 90% confluence, 1×10⁵ cells are reseeded in a new tissue culture flask, and the paclitaxel dose is increased stepwise. The initial concentration of paclitaxel is 0.0001 μM. At different selection points cell sublines are collected and stored at liquid nitrogen for further analysis[^1].

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

**Animal Administration[^1]**
Nude female mice at approximately 3 to 4 weeks of age are used. To evaluate the effects of NSC23925 on the induction of paclitaxel resistance in vivo, the paclitaxel resistant cells are established in human ovarian cancer xenograft models. Briefly, on day 1, approximately 2×10⁶ parental sensitive SKOV-3 cells are injected subcutaneously.
with Matrigel into the flanks of 3 to 4-week-old female nude mice. Administration is initiated 12 days after injection of tumor cells. The mice are randomized into 4 groups and treated intraperitoneally with either saline alone, NSC23925 alone (50 mg/kg), paclitaxel (25 mg/kg) alone, or paclitaxel (25 mg/kg) in combination with NSC23925 (50 mg/kg) twice per week for 3 weeks followed by a treatment-free interval of 2 weeks. The second round of treatment is then continued. The size of tumors is recorded twice a week beginning on day 13. Tumor volume is measured with a digital caliper and calculated according to the formula (length×width²)/2\(^1\).

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**CUSTOMER VALIDATION**

- Viruses. 2019 Apr.

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**REFERENCES**
