Artesunate is an inhibitor of both STAT-3 and exported protein 1 (EXP1).

**IC50 & Target: STAT-3[1], EXP1[2]**

**In Vitro:** Artesunate is an inhibitor of both STAT-3[3] and exported protein 1 (EXP1)[2]. Artesunate treatment for 24 h causes a significant increase in the levels of reactive oxygen species (ROS) in a dose-dependent manner in both cell lines. Moreover, Western blotting shows that the levels of γ-H2AX are significantly elevated when cancer cells are treated with Artesunate in the higher dose range for 24 h. Artesunate also shows a time-dependent effect on the level of RAD51 in A2780 and HO8910 cells. In two types of non-malignant cells, normal human fibroblasts and immortalized epithelial cells, FTE-187, the level of RAD51 is not altered by Artesunate. In A2780 cells, the level of RAD51 mRNA is indeed decreased by the addition of Artesunate, in a dose-dependent manner. Correspondingly, the promoter activity of RAD51 is significantly inhibited by Artesunate. In contrast, the RAD51 mRNA level in H8910 cells is not affected by Artesunate[3].

**In Vivo:** Tumor growth is significantly reduced in the group receiving combined treatment of Artesunate and cisplatin (P<0.01). In comparison, Artesunate alone has no significant effect on the growth of tumor xenografts for both cell lines[3].

**PROTOCOL (Extracted from published papers and Only for reference)**

**Kinase Assay:**[3] After treatment with Artesunate for 24 h, cells are harvested and lysed in 1× cell lysis buffer. Total proteins of 15 to 25 μg are separated by SDS-PAGE and transferred to polyvinylidenedifluoride (PVDF) membranes. Membranes are blocked with 5% non-fat milk for 1 to 2 h at room temperature and then probed with primary antibodies and incubated at 4°C overnight. After extensive washing with TBS-T, membranes are incubated with appropriate HRP-conjugated secondary antibody for 1 h at room temperature, and then are detected by Western ECL-enhanced luminol reagent [3].

**Cell Assay:** Artesunate is a dissolved in dimethyl sulfoxide (DMSO) (25 mg/mL) as a stock solution and stored at -20°C in aliquots until use.[3] A2780 and HO8910 cells are cultured in RPMI 1640, Normal human fibroblasts (NHF) in DMEM, and FTE-187 in M199, supplemented with 10% fetal bovine serum, 100 units/mL penicillin, and 100 mg/mL streptomycin. All the cells are incubated in a humidified atmosphere of 95% air and 5% CO₂. Artesunate is applied to the cultured cells at the concentration of 0, 5, 10, 25, or 50 μg/mL for various periods. The reactive oxygen species (ROS) production following Artesunate treatment is determined. Briefly, cells are loaded with 5 μM of CM-H2DCFDA and incubated at 37°C for 20 min after treatment with Artesunate. Cells are resuspended using preserving fluid and analyzed with a FACS Canto II. The peak excitation wavelength for oxidized CM-H2DCFDA is 490 nm and emission is 530 nm[3].

**Animal Administration:**[3] Four to six weeks old female athymic nude mice (BALB/c, nu/nu) are used. A2780 and HO8910 cells are harvested and resuspended in 0.1 ml of PBS, 5×10⁵ cells/0.2 mL are injected subcutaneously into the left inguinal area of the mice. Two weeks later, mice bearing tumors (~70 mm³ for A2780 and HO8910) are randomly divided into 4 groups. Artesunate is administered daily via i.p. injection at doses of 50 mg/kg alone for 16 days. The tumor growth is monitored every other day. Tumor volume is determined by the formula 1/2a×b² where a is the long diameter (mm) and b is the short diameter (mm)[3].
References:


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