

5-Aminosalicylic Acid (Mesalazine)

Catalog No: tcsc2219

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Target:

PPAR;PAK;PAK;NF-ĸB

Purity / Grade:

>98%

Solubility:

DMSO : \geq 33.33 mg/mL (217.64 mM); H2O : 2 mg/mL (13.06 mM; ultrasonic and warming and heat to 60°C)

Alternative Names:

Mesalamine;5-ASA;Mesalazine

Observed Molecular Weight: 153.14

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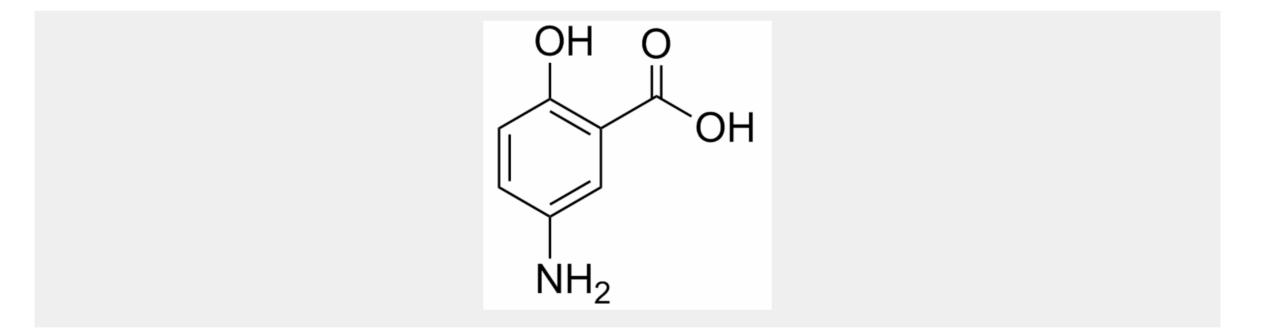
Product Description

5-Aminosalicylic acid acts as a specific **PPARγ** agonist and also inhibits p21-activated kinase 1 (**PAK1**) and **NF-κB**.

IC50 & Target: PPARγ, PAK1, NF-κB^[1]

In Vitro: 5-Aminosalicylic acid (5-ASA) is a specific agonist for PPARγ, and only PPARγ but not PPARα or PPARδ induces p65 degradation. 5-Aminosalicylic acid induces degradation of p65 protein indicative of PPARγ\'s E3 ubiquitin ligase activity. 5-Aminosalicylic acid also inhibits PAK1 at the mRNA level which is suggestive of an additional mechanism independent of PPARγ ligand activation. 5-Aminosalicylic acid blocks NF-κB in intestinal epithelial cells (IECs) through inhibition of PAK1^[1]. Pretreatment with 5-Aminosalicylic acid (5-ASA) or Nimesulide at different concentration (10-1000 µmol/L) for 12-96 h, inhibits the growth of HT-29 colon carcinoma cells in a dose and time-dependent manner. However, the suppression of 5-Aminosalicylic acid or Nimesulide has no statistical significance. The growth of HT-29 colon carcinoma cells is inhibited dose-dependently when pretreated with different doses of combined 5-Aminosalicylic acid and Nimesulide. Combined 5-Aminosalicylic acid (final concentration 10-1000 µM) inhibits the proliferation of HT-29 colon carcinoma cells in a dose-dependent manner, being more potent than corresponding dose of Nimesulide. Similarly, combined Nimesulide (final concentration 100 µM) and 5-Aminosalicylic acid (final concentration 10-1000 µM) also inhibits the proliferation of these cells dose-dependently, being more potent than corresponding dose of 5-Aminosalicylic acid^[2].

In Vivo: 5-Aminosalicylic acid (5-ASA) has an antineoplastic effect in a xenograft tumor model. To evaluate the in vivo antineoplasic effect of 5-Aminosalicylic acid, SCID mice engrafted with HT-29 colon cancer cells are treated daily for 21 consecutive days with 5-Aminosalicylic acid at 50 mM. At the end of the treatment, a reduction of 80-86% of tumor weight and volume is observed in SCID mice receiving 5-Aminosalicylic acid compared with control mice or mice treated with GW9662 alone. The antineoplastic effect of 5-Aminosalicylic acid is already detectable after 10 days of 5-Aminosalicylic acid treatment. Similar results are obtained with mice treated with 5-Aminosalicylic acid at 5 mM. Antitumorigenic effect of 5-Aminosalicylic acid is completely abolished at 21 days by simultaneous intraperitoneal administration of GW9662. Thus, the observed antineoplastic effect of 5-Aminosalicylic acid is at least partially dependent on PPARγ^[3].



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