Product Data Sheet

Chemical Properties

Product Name: DMXAA (Vadimezan)
Cas No.: 117570-53-3
M.Wt: 282.29
Formula: C17H14O4
Synonyms: AS-1404, 5,6-MeXAA, NSC-640488, Vadimezan
Chemical Name: 2-(5,6-dimethyl-9-oxoxanthen-4-yl)acetic acid
Canonical SMILES: CC1=C(C2=C(C=C1)C(=O)C3=C(O2)C(=CC=C3)CC(=O)O)C
Solubility: ≥14.1mg/mL in DMSO
Storage: Store at -20°C
General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: Angiogenesis
Pathways: VDA
Description:
DMXAA (Vadimezan, AS-1404) is a selective inhibitor of DT-diaphorase with Ki50 and IC50 value of 20 μM and 62.5 μM, respectively [1, 2].
DT-diaphorase (DTD) is an obligate two-electron reductase and it has been reported that the expression of DTD is elevated in a variety of cancers [2].
DMXAA (Vadimezan) a potent DT-diaphorase inhibitor and is also reported as a multi-inhibitor for several kinases. When tested with sections of colon 38 tumors isolated from C57Bl/6 mice at...
different time, DMXAA (Vadimezan) (25 mg/kg) showed a high induction on endothelium cell apoptosis after 30 min treatment and showed intensely apoptotic vessels and large areas of necrosis of the tumor after 3 h treatment [2]. In NSCLC cell line A549 cells, DMXAA (Vadimezan) treatment arrested cell in G1 phase and induced cell apoptosis and autophagy by increasing cytosolic level of cytochrome and activation of caspase3 in a dose dependent manner from 0.1 μM to 10 μM [3].

In C57Bl/6 mice model with luciferase-expressing murine GL261 glioma cells subcutaneous xenograft, administration of DMXAA (Vadimezan) (25 mg/kg) resulted in widespread necrosis at 24 h, a 9-day growth delay and complete regressions in 50 % mice. Furthermore, co-administration of lenalidomide (100 mg/kg) significantly increased the growth delay to 20 days and the percentage of cures to 83 % [4].

It is reported that DMXAA (Vadimezan) is a multi-inhibitor to several kinases, with most potent effects being on members of the VEGFR (vascular endothelial growth factor receptor) tyrosine kinase family. In zebrafish embryos and HUVECs (human umbilical vein endothelial cells), DMXAA (Vadimezan) blocked the angiogenesis and VEGFR2 signalling [5].

Reference:

Protocol

Cell experiment:

Cell lines
HECPP cells

Preparation method
The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reacting conditions
IC50: 500 μg/mL, 24 hours

Applications
DMXAA did not induce mRNA for TNF or interferons in the HECPP cells. The mRNA of IP-10 was up-regulated following 2 h incubation with DMXAA at 400 μg/mL. Apoptotic cells were seen after 6 h
incubation with DMXAA at this concentration. And the numbers increased with prolonged exposure. Apoptotic cell numbers at 24 h increased linearly with increasing dose of DMXAA above 100 μg/mL. The DMXAA concentration that induced 50% apoptosis after incubation for 24 h was 500 μg/mL.

Animal experiment [3]:

Animal models: Male 129/Sv mice injected with 344SQ-ELuc cells

Dosage form: Intraperitoneal injection, 25 mg/kg

Applications: Once tumors were established (day 7 or day 14 for subcutaneous tumors), mice were given 25 mg/kg of DMXAA by i.p. injection. BLI was carried out at 6 and 24 hours. 344SQ-ELuc NSCLC subcutaneous tumors respond dramatically to DMXAA, with a marked (~2-logs) decrease in bioluminescence (BLI) signals post-drug injection. The drop in BLI following DMXAA treatment was not due to direct tumor cell toxicity since DMXAA had no detrimental effect on 344SQ-ELuc cell viability.

Other notes: Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:

Product Citations

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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