Product Data Sheet

Chemical Properties

Product Name: DMAT
Cas No.: 749234-11-5
M.Wt: 476.79
Formula: C9H7Br4N3
Synonyms: Casein kinase II Inhibitor; CK2 Inhibitor

Chemical Name: 4,5,6,7-tetrabromo-N,N-dimethyl-1H-benzimidazol-2-amine
Canonical SMILES: CN(C)C1=NC2=C(N1)C(=C(=C2Br)Br)Br

Solubility: ≥23.85mg/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: PI3K/Akt/mTOR Signaling
Pathways: CK2

Description:
IC50 value: 0.13uM. DMAT also displays submicromolar IC50 values with almost all of the other kinases with special reference to PKD1, PIM3 and PIM1[3]. Protein kinase CK2 is involved in cell proliferation and survival, and found overexpressed in virtually all types of human cancer, including breast cancer. We demonstrate that inhibition of CK2 with 2-dimethylamino-4,5,6,7-tetrabromo-benzimidazole (DMAT), a potent and specific CK2 inhibitor, results in caspase-mediated killing of human breast cancer cells with acquired resistance to
antiestrogens [1]. In vitro: Treatment with DMAT decreases the secretion of aldosterone, dehydroepiandrosterone sulfate, and androstendione in H295R human adrenocortical cancer cell line and results in an accumulation of 17-OH-progesterone. Cell growth as measured by the MTT and 5-bromo-2'-deoxyuridine incorporation assays is inhibited, and cell cycle analysis has revealed a slight induction of apoptosis[2]. PIM1 is also inhibited by DMAT by a mechanism which is competitive with respect to ATP. However, IC50 determinations at increasing ATP concentration denote weak competition by ATP which, at almost physiological concentration (0.6 mM), causes only a 5.3-fold decrease in DMAT inhibition, as compared with 1 μM ATP concentration, whereas in the same range of ATP concentration the IC50 with CK2 increases 22.1-fold, doubling the value calculated with PIM1 (1.2 μM) [3]. in vivo: Similar to Sorafenib, DMAT interfered with NFκB activation and Wnt-signaling. Of the kinases inhibited by DMAT at almost equimolar IC50, CK2 and PIM-3 were found to be over-expressed or more active in hepatoma cells and human HCC tissue. Knockdown of PIM-3 or CK2 by shRNA revealed that both kinases are important for hepatoma cell proliferation and survival [4]. DMAT, might represent a promising therapeutic approach in future HCC therapy. Clinical trial: Prostate cancer diagnosis among men with isolated high-grade intraepithelial neoplasia enrolled onto a 3-year prospective phase III clinical trial of oral toremifene[3].

Reference:

Protocol

Cell experiment:

<table>
<thead>
<tr>
<th>Cell lines</th>
<th>Human pluripotent adrenocortical cell line H295R (CRL-2128)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Preparation method</td>
<td>Soluble in DMSO &gt; 23.9mg/mL. 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.</td>
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<tr>
<td>Reacting conditions</td>
<td></td>
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<tr>
<td>Applications</td>
<td>Treatment with DMAT decreased the secretion of aldosterone, dehydroepiandrosterone sulfate, and androstendione and resulted in an accumulation of 17-OH-progesterone(17-Hydroxyprogesterone). Cell growth was inhibited, and cell cycle analysis had revealed a slight induction of apoptosis.</td>
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</tbody>
</table>

Animal experiment [3]:

| Animal models         | 6-8-week old male NMRI mice bearing HepG2 human hepatoma |
Dosage form

500 μg/kg in DMSO/PBS; daily for 10 days; intraperitoneal injection

Applications

DMAT application in vivo reduced tumor growth in a xenotransplant model by interference with tumor cell proliferation. DMAT reduced HCC (Hepatocellular carcinoma) growth by interference with NFκB- and Wnt-signaling.

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. Shortterm 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.