**Product Name**: TBB  
**Catalog Number**: T2695  
**CAS Number**: 17374-26-4  
**Molecular Formula**: C6HBr4N3  
**Molecular Weight**: 434.71

**Description**: TBB(NSC 231634) is a highly selective, ATP/GTP-competitive inhibitor of casein kinase-2 (CK2).

**Storage**: 2 years -80°C in solvent; 3 years -20°C powder;

**Solubility**

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Concentration</th>
</tr>
</thead>
<tbody>
<tr>
<td>Ethanol</td>
<td>100 mM</td>
</tr>
<tr>
<td>DMSO</td>
<td>43.5 mg/mL (100 mM)</td>
</tr>
</tbody>
</table>

(< 1 mg/ml refers to the product slightly soluble or insoluble)

**Receptor (IC50)**

<table>
<thead>
<tr>
<th>Receptor</th>
<th>IC50 (μM)</th>
</tr>
</thead>
<tbody>
<tr>
<td>CDK2</td>
<td>15.6 μM</td>
</tr>
<tr>
<td>CK2</td>
<td>1.6 μM</td>
</tr>
<tr>
<td>GSK-3β</td>
<td>11.2 μM</td>
</tr>
</tbody>
</table>

**In vitro Activity**

Investigation of the inhibitory power of TBB with a panel of 33 protein kinases shows highest potency for CK2 (casein kinase 2) (human CK2: IC50=1.6 μM at 100 μM ATP). TBB also inhibits three other kinases with less potency: CDK2 (IC50=15.6 μM), phosphorylase kinase (IC50=8.7 μM) and glycogen synthase kinase 3β (GSK3β) (IC50=11.2 μM). All other kinases tested have IC50 values 50-fold greater than that for CK2[1]. The viability of the androgen insensitive PC-3 cells may be diminished by TBB (60 μM TBB) acting either alone or combined with anticancer agents CPT or TRAIL when a proper time schedule of the administration is applied. The time schedule-dependent activity of TBB does not come from its effect on apoptosis in PC-3 cells[2]. TBB is an ATP/GTP competitive inhibitor of protein kinase casein kinase-2 (CK2), has been examined against a panel of 33 protein kinases, either Ser/Thr- or Tyr-specific. In the presence of 10 μM TBB (and 100 μM ATP) only CK2 is drastically inhibited (>85%) whereas three kinases (phosphorylase kinase, glycogen synthase kinase 3L and cyclin-dependent kinase 2/cyclin A) underwent moderate inhibition, with IC50 values one-two orders of magnitude higher than CK2 (IC50=0.9 μM). TBB also inhibits endogenous CK2 in cultured Jurkat cells[3].

**In vivo Activity**

The extent of retinal neovascularization in a mouse OIR model is reduced by approximately 60% after treatment with TBB (6 days at 60 mg/kg per day)[4].

**Cell Assay**

TBB is dissolved in DMSO and stored, and then diluted with appropriate media before use[2]. PC-3 or HeLa cells are cultured routinely in RPMI-1640 and DMEM media, respectively, which are supplemented with 10% FBS, Penicillin (100 U/mL) and Streptomycin (100 μg/mL) at 37°C in a humidified atmosphere of 5% CO2. Cells are seeded at 5×10^4 cells/well (PC-3) or 2×10^4 (HeLa) in 24-wells plates and cultured for 72 h. TBB (final concentration 60 μM), CPT (final concentration 5.8 nM), 2-deoxyglucose (2-DG; final concentration 0.5 mM) or TRAIL (final concentration 13.3 ng/mL) are added to the medium individually or in a combination and the cells are cultured for additional time, indicated on each figure. After treatment, the medium with the agent is removed and 500 μL of MTT mixture (0.5 mg/mL for PC-3 and 5.0 mg/mL for HeLa cells in medium without phenol red) is added to each well and incubated for an additional 1 h at 37°C. The formazan crystals are diluted in 250 μL of DMSO. The absorbance is measured at 570 nm[2].

**Animal Experiment**

Animal Model: C57BL/6J mice
Reference

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