AG 555

Cat. No.: HY-15336
CAS No.: 133550-34-2
Molecular Formula: C₁₉H₁₈N₂O₃
Molecular Weight: 322.36
Target: EGFR; Reverse Transcriptase
Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Anti-infection
Storage: Powder
-20°C 3 years
4°C 2 years
In solvent
-80°C 6 months
-20°C 1 month

SOLVENT & SOLUBILITY

In Vitro
DMSO : ≥ 100 mg/mL (310.21 mM)
* “≥” means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Preparing Mass</th>
<th>Solvent Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>3.1021 mL</td>
<td>15.5106 mL</td>
<td>31.0212 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.6204 mL</td>
<td>3.1021 mL</td>
<td>6.2042 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.3102 mL</td>
<td>1.5511 mL</td>
<td>3.1021 mL</td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

In Vivo
1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.76 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.76 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
AG 555 is an EGFR tyrosine kinase inhibitor.

IC₅₀ & Target
EGFR

In Vitro
The tyrosine kinase inhibitor (Tyrphostin) AG 555 selectively interferes with viral transcription in bovine papillomavirus type 1 (BPV-1)-transformed fibroblasts and induces suppression of cyclin-dependent kinase activity and cell cycle arrest. AG 555 treatment also leads to an activation of the mitogen-activated protein kinase pathway by enhancing phosphorylation of JNK and p38. Tyrphostin AG 555 disturbs the balance of negative and positive regulatory factors.
necessary to maintain the homeostasis of a virus-transformed phenotype. Tyrphostin AG 555 can selectively suppress BPV-1 transcription through MAP kinase pathway activation and binding of phosphorylated Jun/ATF-2 at a novel intragenic regulatory sequence. AG 555 affects the transcription of the major regulatory viral protein E2 by shifting the ratio between E2 transactivator in favor to the repressor function. Cell incubation in the presence of 30 μM AG 555 results in a selective down-regulation of the most abundant viral transcripts already 4 h after drug application[2]. Tyrphostins AG555, which blocks Cdk2 activation, induces growth arrest of immortalized cells at G1-S and early S and is very effective in arresting the growth of EGFR overexpressor cells. AG55 inhibits the growth of HPV16-immortalized cells. AG555 is already effective at 10 μM (IC50=6.4, respectively), and the cells remain arrested after withdrawal of the compound on day 5 as monitored on days 8 and 12[3].

PROTOCOL

Cell Assay [2]

ID13 mouse fibroblasts are maintained in Dulbecco’s modified Eagle’s medium supplemented with 5% (v/v) fetal calf serum, 100 units/mL Penicillin, and 100 μg/mL Streptomycin. Cells are seeded at 2.5×10⁴ cells/cm² to ensure logarithmic growth. The final concentration of the Tyrphostin AG 555 is 30 μM in all experiments. Stock solutions of 10 mM in Me₂SO are stored at -80°C. To exclude potential Me₂SO effects, the same final concentrations (0.3%) of Me₂SO are added to nontreated controls. Actinomycin D is dissolved in water and added to cells in a final concentration of 5 μg/mL. SB 203580 is dissolved in Me₂SO (stock concentration: 50 mM) and used in a final concentration of 10 μM[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

