PR-619

Cat. No.: HY-13814
CAS No.: 2645-32-1
Molecular Formula: C₇H₅N₅S₂
Molecular Weight: 223.28
Target: Deubiquitinase; Autophagy
Pathway: Cell Cycle/DNA Damage; Autophagy
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: ≥ 21 mg/mL (94.05 mM)
*“≥” means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Concentration</th>
<th>Mass</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mg</td>
<td>5 mg</td>
</tr>
<tr>
<td>1 mM</td>
<td>4.4787 mL</td>
<td>22.3934 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.8957 mL</td>
<td>4.4787 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.4479 mL</td>
<td>2.2393 mL</td>
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</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 (11.20 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description
PR-619 is a broad-range DUB inhibitor with EC₅₀ of 3.93, 4.9, 6.86, 7.2, and 8.61 μM for USP4, USP8, USP7, USP2, and USP5, respectively.

IC₅₀ & Target
EC₅₀: 3.93 μM (USP4), 4.9 μM (USP8), 6.86 μM (USP7), 7.2 μM (USP2), 8.61 μM (USP5)[1]

In Vitro
PR-619, a deubiquitylase inhibitor, prevents degradation, indicating KCa3.1 is targeted for degradation by ubiquitylation. In PR-619 treated cells, channel degradation is significantly inhibited with 87±1% of KCa3.1 still remaining after 24 hrs (n=3; P<0.05)[2]. Cell viability is determined by MTT assay and revealed that PR-619 exerted concentration-dependent cytotoxicity in a very narrow concentration range of 7-10 μM[3].

PROTOCOL

Kinase Assay [1]
Recombinant enzymes in 20 mM Tris-HCl, pH 8.0, 2 mM CaCl$_2$ and 2 mM β-mercaptoethanol (DUB assay buffer) are preincubated with single doses or dose ranges of PR-619 or P22077 for 30 minutes in a 96 well plate before the addition of Ub-PLA2 and NBD C6-HPC. The liberation of a fluorescent product within the linear range of the assay is monitored at room temperature using a Perkin Elmer Envision fluorescence plate reader. Vehicle (2% (v/v) DMSO) and 10mM N-ethylmaleimide are included as controls. Where ≥60% inhibition is observed, EC$_{50}$ values are determined using a sigmoidal dose response equation. A similar assay protocol is used to measure other in vitro enzyme assay activities[1].

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

Cell Assay [1]
Growth inhibition is determined with the exception that HCT-116 or HEK293T cells maintained in DMEM supplemented with 10% (v/v) FBS, 1% (v/v) penicillin/streptomycin and 2 mM L-glutamine are exposed to dose ranges of PR-619 (1, 5, 10, 20, and 50 μM) or P22077 for 72 hours[1].

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

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REFERENCES

