mTOR Inhibitor - OSI-027

Chemical Name: \((1r,4r)-4-(4\text{-amino}-5\text{-}(7\text{-methoxy}-1\text{-H-indol}-2\text{-yl})\text{imidazo}[5,1-f][1,2,4]\text{triazin}-7\text{-yl})\text{cyclohexanecarboxylic acid}\)

<table>
<thead>
<tr>
<th>Molecular Weight:</th>
<th>406.44</th>
</tr>
</thead>
<tbody>
<tr>
<td>Formula:</td>
<td>(C_{21}H_{22}N_{6}O_{3})</td>
</tr>
<tr>
<td>Purity:</td>
<td>(\geq98%)</td>
</tr>
<tr>
<td>CAS#:</td>
<td>936890-98-1</td>
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<tr>
<td>Solubility:</td>
<td>DMSO up to 50 mM</td>
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| Storage           | Powder: 4 \(^\circ\)C 1 year  
                                DMSO: 4 \(^\circ\)C 3 month  
                                -20 \(^\circ\)C 1 year |

Biological Activity:

OSI-027 is a selective and potent dual inhibitor of mTORC1 and mTORC2 with IC\(_{50}\) of 22 nM and 65 nM, respectively. It shows more than 100-fold selectivity for mTOR relative to PI3K\(_{\alpha}\), PI3K\(_{\beta}\), PI3K\(_{\gamma}\), and DNA-PK. It inhibits phosphorylation of the mTORC1 substrates 4E-BP1 and S6K1 as well as the mTORC2 substrate AKT in diverse cancer models in vitro and in vivo. OSI-027 shows robust antitumor activity in several different human xenograft models representing various histologies. In COLO 205 and GEO colon cancer xenograft models, OSI-027 showed superior efficacy compared with rapamycin. OSI-027 is currently in Phase I clinical trials in patients with advanced solid tumors or lymphoma.

How to Use:

**In vitro:** OSI-027 was used at 1-10 \(\mu\text{M}\) in vitro and in cellular assays.

**In vivo:** OSI-027 was orally dosed to mice at 50-65 mg/kg once per day.

Reference:


Products are for research use only. Not for human use.