

# PRODUCT INFORMATION

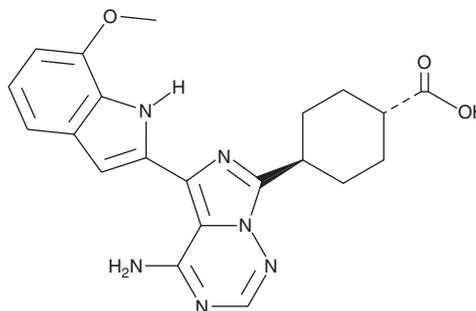


**OSI-027**

Item No. 17379

**CAS Registry No.:** 936890-98-1  
**Formal Name:** *trans*-4-[4-amino-5-(7-methoxy-1H-indol-2-yl)imidazo[5,1-f][1,2,4]triazin-7-yl]-cyclohexanecarboxylic acid

**MF:** C<sub>21</sub>H<sub>22</sub>N<sub>6</sub>O<sub>3</sub>  
**FW:** 406.4  
**Purity:** ≥98%  
**Stability:** ≥2 years at -20°C  
**Supplied as:** A crystalline solid  
**UV/Vis.:** λ<sub>max</sub>: 216, 294, 347 nm



## Laboratory Procedures

For long term storage, we suggest that OSI-027 be stored as supplied at -20°C. It should be stable for at least two years.

OSI-027 is supplied as a crystalline solid. A stock solution may be made by dissolving the OSI-027 in the solvent of choice. OSI-027 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of OSI-027 in ethanol is approximately 0.1 mg/ml and approximately 10 mg/ml in DMSO and DMF.

OSI-027 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, OSI-027 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. OSI-027 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

## Description

The mammalian target of rapamycin (mTOR) is a serine-threonine kinase that is central to two protein complexes, mTORC1 and mTORC2. These complexes are differentially regulated (e.g., only mTORC1 is sensitive to rapamycin (Item No. 13346)) and regulate different pathways. OSI-027 is an inhibitor of the catalytic site of mTOR and, as a result, inhibits both mTORC1 and mTORC2 (IC<sub>50</sub>s = 22 and 65 nM, respectively).<sup>1,2</sup> It is selective for mTOR over phosphatidylinositol 3-kinase isoforms and DNA protein kinase.<sup>2</sup> OSI-027 prohibits proliferation, induces autophagy, and potentiates apoptosis in BCR-ABL transformed cells and other cancer cells at 10 μM.<sup>1,3,4</sup> OSI-027 is effective *in vivo*, blocking the phosphorylation of targets of mTORC1 and mTORC2 and suppressing tumor growth in several different human xenograft models.<sup>2,5</sup>

## References

1. Carayol, N., Vakana, E., Sassano, A., *et al. Proc. Natl. Acad. Sci. USA* **107(28)**, 12469-12474 (2010).
2. Falcon, B.L., Barr, S., Gokhale, P.C., *et al. Cancer Res.* **71(5)**, 1573-1583 (2011).
3. Gupta, M., Hendrickson, A.E.W., Yun, S.S., *et al. Blood* **119(2)**, 476-487 (2012).
4. Vakana, E., Sassano, A., and Platanias, L.C. *Autophagy* **6(7)**, 966-967 (2010).
5. Bhagwat, S.V., Gokhale, P.C., Crew, A.P., *et al. Mol. Cancer Ther.* **10(8)**, 1394-1406 (2011).

### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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## CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD  
ANN ARBOR, MI 48108 · USA

**PHONE:** [800] 364-9897

[734] 971-3335

**FAX:** [734] 971-3640

CUSTSERV@CAYMANCHEM.COM

WWW.CAYMANCHEM.COM