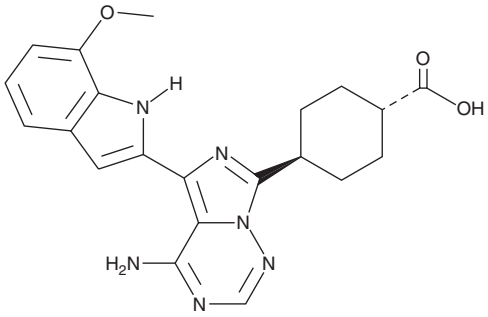
PRODUCT INFORMATION

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:	$C_{21}H_{22}N_6O_3$
FW:	406.4
Purity:	≥98%
Stability:	≥2 years at -20°C
Supplied as:	A crystalline solid
UV/Vis.:	λ_{max}: 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_{50}s = 22 and 65 nM, respectively).[1,2] It is selective for mTOR over phosphatidylinositol 3-kinase isoforms and DNA protein kinase.[2] OSI-027 prohibits proliferation, induces autophagy, and potentiates apoptosis in BCR-ABL transformed cells and other cancer cells at 10 µM.[1,3,4] 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.[2,5]

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).

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