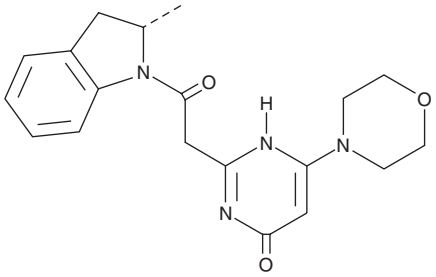
PRODUCT INFORMATION

SAR260301

Item No. 17391

CAS Registry No.:	1260612-13-2
Formal Name:	2-[2-[(2S)-2,3-dihydro-2-methyl-1H-indol-1-yl]-2-oxoethyl]-6-(4-morpholinyl)-4(3H)-pyrimidinone
MF:	$C_{19}H_{22}N_4O_3$
FW:	354.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 233, 254 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

SAR260301 is supplied as a crystalline solid. A stock solution may be made by dissolving the SAR260301 in the solvent of choice, which should be purged with an inert gas. SAR260301 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of SAR260301 in ethanol is approximately 15 mg/ml and approximately 20 mg/ml in DMSO and DMF.

SAR260301 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SAR260301 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. SAR260301 has a solubility of approximately 0.12 mg/ml in a 1:7 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

SAR260301 is an inhibitor of phosphatidylinositol 3-kinase (PI3K) isoform β (IC_{50} = 52 nM).[1] It is selective for p110β over other PI3K isoforms.[1] SAR260301 demonstrates significant *in vivo* activity in a UACC-62 xenograft model in mice.[1] It has synergistic antitumor activity when combined with the BRAF inhibitor vemurafenib (PLX4032; Item No. 10618) or the MEK inhibitor selumetinib (AZD 6244; Item No. 11599) in PTEN-deficient/BRAF-mutated human melanoma tumor models.[2]

References

1. Certal, V., Carry, J.C., Halley, F., *et al.* Discovery and optimization of pyrimidone indoline amide PI3Kβ inhibitors for the treatment of phosphatase and tensin homologue (PTEN)-deficient cancers. *J. Med. Chem.* **57(3)**, 903-920 (2014).
2. Bonnevaux, H., Lemaitre, O., Vincent, L., *et al.* Concomitant inhibition of PI3Kβ and BRAF or MEK in PTEN-deficient/BRAF-mutant melanoma treatment: Preclinical assessment of SAR260301 oral PI3Kβ-selective inhibitor. *Mol. Cancer Ther.* **15(7)**, 1460-1471 (2016).

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