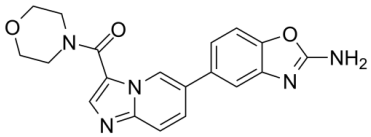
Data Sheet

Product Name:	Serabelisib
Cat. No.:	CS-5490
CAS No.:	1268454-23-4
Molecular Formula:	C19H17N5O3
Molecular Weight:	363.37
Target:	PI3K
Pathway:	PI3K/Akt/mTOR
Solubility:	DMSO : 6.4 mg/mL (17.61 mM; Need ultrasonic and warming)



BIOLOGICAL ACTIVITY:

Serabelisib (MLN1117) is a selective **p110α** inhibitor with an **IC_{50}** of 15 nM. IC50 & Target: IC50: 15 nM (p110α), 4500 nM (p110β), 1900 nM (p110γ), 13900 nM (p110δ), 1670 nM (mTOR)[1] **In Vitro:** Serabelisib (MLN1117) inhibits Akt phosphorylation and growth in PIK3CA mutant breast cancer cells with IC_{50}s around 2 μM, yet has no effect on cells lacking PTEN. BCR-stimulated B cells treated with 1 μM Serabelisib (MLN1117) displays a significant reduction (up to 50%) in the magnitude of the phosphorylated Akt (p-Akt) signal measured by intracellular flow cytometry. The effect of Serabelisib is dose-dependent[1]. **In Vivo:** Treatment with Serabelisib (MLN1117) at 30 and 60 mg/kg causes little reduction of TNP-specific IgG3. Notably, reduction of TNP-specific IgG3 at higher doses of Serabelisib (MLN1117) (120 mg/kg) is observed, consistent with the partial reduction in cell division in B cells treated with Serabelisib before anti-IgM stimulation. However, 120 mg/kg is above the effective dose of Serabelisib (MLN1117) for tumor growth inhibition (30-60 mg/kg)[1].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: [1]A total of 5000 SK-OV-3 and U87MG cell lines/well in low serum media (0.2% FBS) are seeded in triplicate wells of a 96-well flat bottom culture plate for 18 h to adhere. Media is aspirated and inhibitors in 0.2% FBS media are added to each well at the indicated concentrations. After 48 h, cell viability is determined using the MTS assay (Cell Titer 96 Aqueous One solution cell proliferation assay kit) with absorbance (490 nm) measured in a microplate spectrophotometer[1]. **Animal Administration:** [1]Mice[1] Wild-type 8-week-old Balb/cJ mice are used for all experiments. Serabelisib and GDC-0941 are given by oral gavage using a sterile disposable 20-guage 1.5′ feeding needle. IC87114 is delivered via intraperitoneal injection. For the non-immunization experiment, 2 mice per group (Vehicle, GDC-0941, and Serabelisib (MLN1117)) are given the indicated drugs for 9 days before sacrificing on day 10. For the immunization experiment, 4 mice per group are used to perform two independent studies comparing GDC-0941 or IC87114 to Serabelisib (MLN1117). In all cases, the vehicle group receive both vehicles used to formulate the two different drugs. Mice are treated with the drugs throughout day -1 to day 13. On day 0, all mice are immunized with NP-OVA precipitated in alum. Drug treatment is stopped on day 13 and mice are sacrificed for collection of serum and spleens.

References:

[1]. So L, et al. Selective inhibition of phosphoinositide 3-kinase p110α preserves lymphocyte function. J Biol Chem. 2013 Feb 22;288(8):5718-31.

CAIndexNames:

Methanone, [6-(2-amino-5-benzoxazolyl)imidazo[1,2-a]pyridin-3-yl]-4-morpholinyl-

SMILES:

O=C(C1=CN=C2C=CC(C3=CC=C(OC(N)=N4)C4=C3)=CN21)N5CCOCC5

Caution: Product has not been fully validated for medical applications. For research use only.

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