Serabelisib

Cat. No.: HY-12285

CAS No.: 1268454-23-4

Molecular Formula: $C_{19}H_{17}N_5O_3$

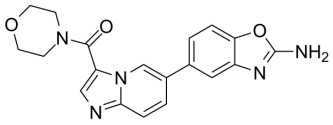
Molecular Weight: 363.37

Target: PI3K

Pathway: PI3K/Akt/mTOR

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 6.4 mg/mL (17.61 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7520 mL	13.7601 mL	27.5202 mL
	5 mM	0.5504 mL	2.7520 mL	5.5040 mL
	10 mM	0.2752 mL	1.3760 mL	2.7520 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Serabelisib (MLN1117) is a selective p110α inhibitor with an IC_{50} of 15 nM.

IC_{50} & Target

p110α 15 nM (IC_{50})	p110γ 1900 nM (IC_{50})	p110β 4500 nM (IC_{50})	p110δ 13900 nM (IC_{50})
mTOR 1670 nM (IC_{50})			

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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