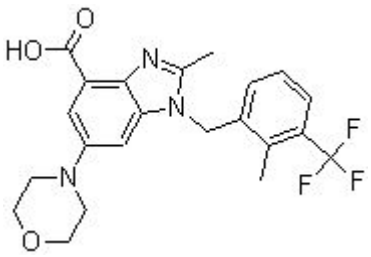
Product Introduction

GSK2636771

GSK2636771 is a potent, orally bioavailable, **PI3Kβ**-selective inhibitor, sensitive to PTEN null cell lines. Phase 1/2a.

Technical Data:

Molecular Weight (MW):	433.42	
Formula:	$C_{22}H_{22}F_3N_3O_3$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 28 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	1372540-25-4	

Biological Activity

GSK-2636771 shows selectively inhibitory activity in PTEN null cell lines (human prostate adenocarcinoma PC-3 and breast cancer HCC70) with EC50 of 36 nM and 72 nM, respectively. [1] GSK2636771 significantly decreases cell viability in p110β-reliant PTEN-deficient PC3 prostate and BT549 and HCC70 breast cancer cell lines, and leads to a marked decrease of AKT phosphorylation only in the control prostate and breast cancer cell lines. [2]

GSK-2636771 decreases phosphorylated protein kinase Akt (Ser473) levels in these xenograft models. GSK-2636771 (100 mg/kg) do not increase glucose/insulin levels in mice. [1]

References

[1] Macauley D, et al. Drugs Fut, 2012, 37(6), 451.
[2] Weigelt B, et al. Clin Cancer Res. 2013, 19(13), 3533-3544.

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