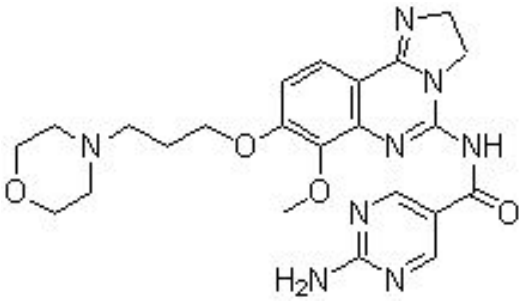
Product Introduction

BAY 80-6946 (Copanlisib)

BAY 80-6946 is a potent and highly selective reversible PI3K inhibitor for **PI3Kα/β** with **IC50** of 0.469 nM/3.72 nM. Phase 1.

Technical Data:

Molecular Weight (MW):	480.52	
Formula:	$C_{23}H_{28}N_8O_4$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 0.002 mg/mL	
	Water 0.002 mg/mL	
	Ethanol 0.01 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	1032568-63-0	

Biological Activity

BAY 80-6946 is a phosphoinositide 3-kinase (PI3K) inhibitor with potential antineoplastic activity. BAY 86-9766 inhibits proliferation with IC50 of 147 nM in HuCCT-1 ($KRAS^{G12D}$) and 137 nM in EGI-1 ($KRAS^{G12D}$) cell lines. [2]

BAY 80-6946 is generally well tolerated through the MTD (maximum tolerated dose) of 0.8 mg/kg. PK (pharmacokinetics) results support weekly dosing. Grade 2/3 hyperglycemia in the first 24 hrs after receiving a dose is common at the MTD. PK, clinical SD and FDG-PET data are consistent with effective

exposure and PI3K pathway inhibition. [1]

References

[1] Patnaik A, et al. J Clin Oncol, 29, 2011, (suppl, abstr 3035)

[2] Andrea H, et al. Cancer Res, 2012; 72(8), (suppl, Abstract 869)

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