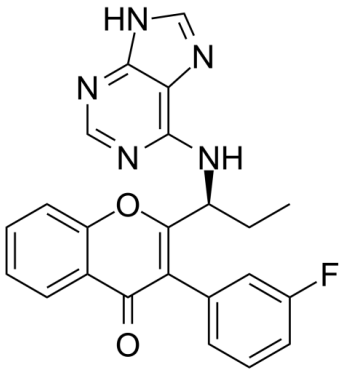
Data Sheet

Product Name:	Tenalisib
Cat. No.:	CS-6375
CAS No.:	1639417-53-0
Molecular Formula:	C23H18FN5O2
Molecular Weight:	415.42
Target:	PI3K
Pathway:	PI3K/Akt/mTOR
Solubility:	DMSO : ≥ 100 mg/mL (240.72 mM)



BIOLOGICAL ACTIVITY:

Tenalisib (RP6530) is a novel, potent, and selective **PI3Kδ** and **PI3Kγ** inhibitor with **IC_{50}** values of 25 and 33 nM, respectively. IC50 & Target: IC50: 25 nM (PI3Kδ), 33 nM (PI3Kγ)[1] **In Vitro:** Tenalisib shows selectivity over PI3K α (>300-fold) and β (>100-fold) isoforms. Tenalisib exhibits modest proliferation inhibition (33-46% inhibition @ 10 μM) in both HEL-RS and HEL-RR cells. Addition of 10 μM tenalisib to ruxolitinib is synergistic resulting in a near-complete inhibition of proliferation (>90% for HEL-RS and >70% for HEL-RR). Addition of 5 μM tenalisib, 4 h prior to the addition of ruxolitinib results in a significant reduction in $EC_{50}$of ruxolitinib (5.8 μM) in HEL-RR cells. Incubation of 10 μM tenalisib with ruxolitinib for 72 h increases the percent of apoptotic cells (55% in HEL-RS and 37% in HEL-RR) compared to either agent alone (16-27% in HEL-RS and 17-21% in HEL-RR)[1]. **In Vivo:** Tenalisib has been well tolerated in subjects with heavily pre-treated relapsed/refractory hematologic malignancies. Reported toxicities are manageable with no DLTs. Single agent activity is evident in difficult-to-treat subjects at ≥ 200 mg BID[2].

References:

[1]. Vakkalanka S, et al. RP6530, a dual PI3K δ/γ inhibitor, potentiates ruxolitinib activity in the JAK2-V617F mutant erythroleukemia cell lines. [abstract]. In: Proceedings of the 106th Annual Meeting of the American Association for Cancer Research; 2015 Apr 18-22; Philadelphia, PA. Philadelphia (PA): AACR; Cancer Res 2015;75(15 Suppl):Abstract nr 2704. doi:10.1158/1538-7445.AM2015-2704

[2]. Carmelo C, et al. A Dose Escalation Study of RP6530, a Novel Dual PI3K Delta/Gamma Inhibitor, in Patients with Relapsed/Refractory Hematologic Malignancies. Blood 2015 126:1495;

CAIndexNames:

4H-1-Benzopyran-4-one, 3-(3-fluorophenyl)-2-[(1S)-1-(9H-purin-6-ylamino)propyl]-

SMILES:

O=C1C(C2=CC=CC(F)=C2)=C([C@@H](NC3=C4NC=NC4=NC=N3)CC)OC5=CC=CC=C15

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

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