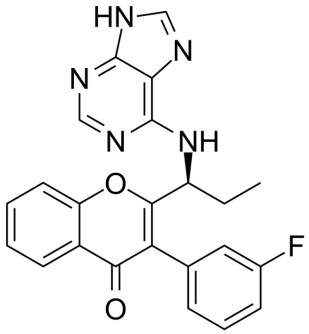
Tenalisib



Cat. No.:	HY-17645
CAS No.:	1639417-53-0
Molecular Formula:	$C_{23}H_{18}FN_5O_2$
Molecular Weight:	415.42
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 : ≥ 100 mg/mL (240.72 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4072 mL	12.0360 mL	24.0720 mL
5 mM	0.4814 mL	2.4072 mL	4.8144 mL
10 mM	0.2407 mL	1.2036 mL	2.4072 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.02 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.02 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.02 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Tenalisib (RP6530) is a novel, potent, and selective PI3Kδ and PI3Kγ inhibitor with IC_{50} values of 25 and 33 nM, respectively.
IC_{50} & Target	PI3Kδ 25 nM (IC_{50}) PI3Kγ 33 nM (IC_{50})
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Exp Ther Med. 2018 Feb;15(2):1225-1232.

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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

[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;

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