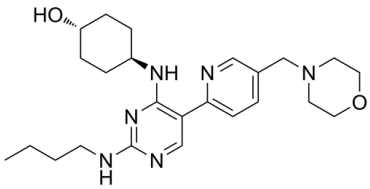
Data Sheet

Product Name:	UNC2250
Cat. No.:	CS-2318
CAS No.:	1493694-70-4
Molecular Formula:	$C_{24}H_{36}N_6O_2$
Molecular Weight:	440.58
Target:	TAM Receptor
Pathway:	Protein Tyrosine Kinase/RTK
Solubility:	DMSO : ≥ 10 mg/mL;0.1 M HCL : 12.5 mg/mL (ultrasonic;adjust pH to 3 with HCl)



BIOLOGICAL ACTIVITY:

UNC2250 is a potent and selective **Mer** inhibitor with an **IC_{50}** of 1.7 nM, about 160- and 60-fold selectivity over the closely related kinases Axl/Tyro3. IC50 & Target: IC50: 1.7 nM (Mer)[1] ***In Vitro:*** UNC2250 (5-500 nM; 1 hour) inhibits Mer phosphorylation in 697 B-ALL cells with an IC_{50} value of 9.8 nM[1].

UNC2250 efficiently inhibits ligand-dependent phosphorylation of a chimeric protein consisting of the extracellular and transmembrane domains of the epidermal growth factor (EGF) receptor and the intracellular tyrosine kinase domain of Mer[1].

UNC2250 incubation inhibits colony formation in soft agar cultures of the BT-12 rhabdoid tumor and the Colo699 NSCLC cell lines[1] .

PROTOCOL (Extracted from published papers and Only for reference)

Cell assay [1] BT-12 rhabdoid tumor cells (10,000 cells) were cultured in 2.0 mL of 0.35% soft agar containing 0.5× RPMI medium, 7.5% FBS, and the indicated concentrations of UNC2250 or DMSO vehicle only and overlaid with 0.5 mL of 1× RPMI medium containing 15% FBS and UNC2250 or DMSO vehicle only. Medium and UNC2250 or vehicle were refreshed 2 times per week. Colonies were stained with thiazolyl blue tetrazolium bromide and counted after 3 weeks. Colo699 NSCLC cells (15,000 cells) were cultured in 1.5 mL of 0.35% soft agar containing 1× RPMI medium and 10% FBS and overlaid with 2.0 mL of 1× RPMI medium containing 10% FBS and the indicated concentrations of UNC2250 or DMSO vehicle only. Medium and UNC2250 or vehicle were refreshed 3 times per week. Colonies were stained with nitrotetrazolium blue chloride and counted after 2 weeks.

References:

[1]. Zhang, W., et al., Pseudo-cyclization through intramolecular hydrogen bond enables discovery of pyridine substituted pyrimidines as new Mer kinase inhibitors. J Med Chem, 2013. 56(23): p. 9683-92.

[2]. Xiaodong Wang, et al. Pyrimidine compounds for the treatment of cancer.WO2013177168A1.

CAIndexNames:

Cyclohexanol, 4-[[2-(butylamino)-5-[5-(4-morpholinylmethyl)-2-pyridinyl]-4-pyrimidinyl]amino]-, trans-

SMILES:

CCCCNC1=NC(N[C@@H]2CC[C@@H](O)CC2)=C(C3=CC=C(CN4CCOCC4)C=N3)C=N1

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

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