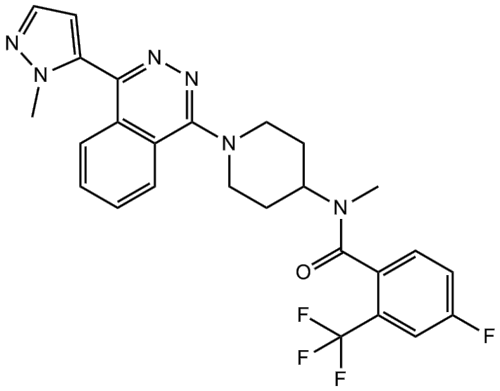
Product Data Sheet

Product Name: LY2940680
Cat. No.: GC15683

Chemical Properties

Cas. No.	1258861-20-9		
Chemical Name	4-fluoro-N-methyl-N-[1-[4-(2-methylpyrazol-3-yl)phthalazin-1-yl]piperidin-4-yl]-2-(trifluoro methyl)benzamide		
SMILES	CN1C(=CC=N1)C2=NN=C(C3=CC=CC=C32)N4CCC(CC4)N(C)C(=O)C5=C(C=C(C=C5)F)C(F)(F)F		
Formula	$C_{26}H_{24}F_4N_6O$	M.Wt	512.5
Solubility	≥ 51.3mg/mL in DMSO with ultrasonic and warming	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			

Background

LY2940680 is a selective inhibitor of Smo receptor and thus inhibits Hh signaling pathway [1].

Smoothened(Smo) receptor is a member of class F G protein-coupled receptors, which plays an important role in the main transducer of the Hedgehog (Hh) signaling pathway that implicated in a wide range of developmental and adult processes [2].

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LY2940680 is a potent Smo receptor inhibitor that binds mostly to extracelluar loops and has a different functioning site with the reported Smo receptor inhibitor SANT-1 which binds to 7TM [2]. When tested with cell lines containing a mutation in the gene encoding Smoothened that researchers had previously observed in patient with cancer who developed resistance to vismodegib, LY2940680 inhibited cell proliferation [3].

Many studies have shown that Hh signaling pathway plays a pivotal role in CSCs and Hh inhibition caused many aspects of transformation attributed to CSCs. In patients with basal cell carcinoma and medulloblastoma, LY2940680 showed good efficacy as a monotherapy [1].

References:
[1]. Justilien, V. and A.P. Fields, Molecular pathways: novel approaches for improved therapeutic targeting of Hedgehog signaling in cancer stem cells. Clin Cancer Res, 2015. 21(3): p. 505-13.
[2]. Hoch, L., et al., MRT-92 inhibits Hedgehog signaling by blocking overlapping binding sites in the transmembrane domain of the Smoothened receptor. Faseb j, 2015. 29(5): p. 1817-29.
[3]. Redmond, E.M., et al., Investigational Notch and Hedgehog inhibitors--therapies for cardiovascular disease. Expert Opin Investig Drugs, 2011. 20(12): p. 1649-64.

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