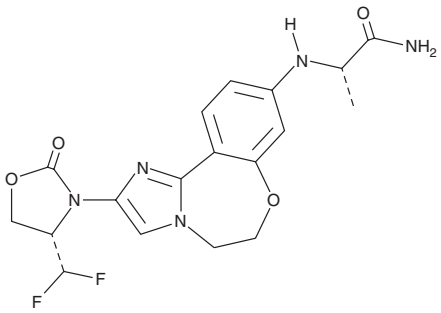
PRODUCT INFORMATION

Inavolisib

Item No. 37576

CAS Registry No.:	2060571-02-8
Formal Name:	2S-[[2-[(4S)-4-(difluoromethyl)-2-oxo-3-oxazolidinyl]-5,6-dihydroimidazo[1,2-d][1,4]benzoxazepin-9-yl]amino]-propanamide
Synonyms:	GDC-0077, RG-6114
MF:	$C_{18}H_{19}F_2N_5O_4$
FW:	407.4
Purity:	≥95%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Inavolisib is supplied as a solid. A stock solution may be made by dissolving the inavolisib in the solvent of choice, which should be purged with an inert gas. Inavolisib is soluble in ethanol, methanol, and DMSO.

Description

Inavolisib is an inhibitor of PI3Kα (K_i = 0.034 nM).[1] It is selective for PI3Kα over PI3Kβ, PI3Kδ, and PI3Kγ (K_is = 99.7, 12.2, and 18.2 nM, respectively) and is 4.4-fold selective in decreasing the phosphorylated levels of proline-rich Akt substrate 40 kDa (PRAS40) in HCC1954 breast cancer cells, which express wild-type PI3K catalytic subunit p110α and p110α containing a histidine-to-arginine mutation at position 1047 ($p110\alpha^{H1047R}$), over HDQ-P1 breast ductal carcinoma cells, which express only wild-type p110α. Inavolisib (111 nM) induces poly(ADP-ribose) polymerase (PARP) cleavage, a marker of apoptosis, in HCC1954 cells. It reduces the levels of phosphorylated Akt, PRAS40, and mutant p110α in HCC1954 cells, but not HDQ-P1 cells, when used at concentrations of 111, 333, and 1,000 nM. *In vivo*, inavolisib (25 or 50 mg/kg per day) decreases tumor volume in an HCC1954 mouse xenograft model.

Reference

1. Hanan, E.J., Braun, M.G., Heald, R.A., *et al.* Discovery of GDC-0077 (inavolisib), a highly selective inhibitor and degrader of mutant PI3K. *J. Med. Chem.* **65(24)**, 16589-16621 (2022).

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