

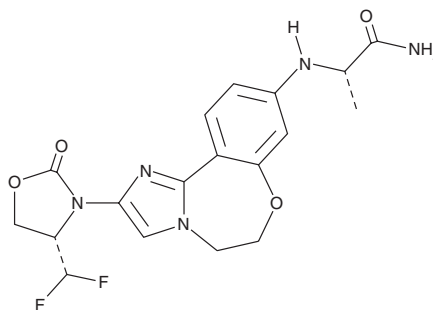
# PRODUCT INFORMATION



## 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<sub>18</sub>H<sub>19</sub>F<sub>2</sub>N<sub>5</sub>O<sub>4</sub>  
**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 PI3K $\alpha$  ( $K_i = 0.034$  nM).<sup>1</sup> It is selective for PI3K $\alpha$  over PI3K $\beta$ , PI3K $\delta$ , and PI3K $\gamma$  ( $K_i$ s = 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 p110 $\alpha$  and p110 $\alpha$  containing a histidine-to-arginine mutation at position 1047 (p110 $\alpha$ <sup>H1047R</sup>), over HDQ-P1 breast ductal carcinoma cells, which express only wild-type p110 $\alpha$ . 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 p110 $\alpha$  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).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

#### WARRANTY AND LIMITATION OF REMEDY

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