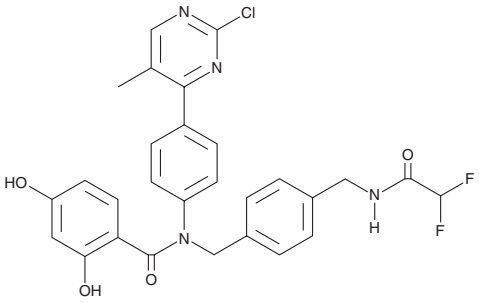
PRODUCT INFORMATION

VER-246608

Item No. 19182

CAS Registry No.:	1684386-71-7
Formal Name:	N-[4-(2-chloro-5-methyl-4-pyrimidinyl) phenyl]-N-[[4-[[(2,2-difluoroacetyl) amino]methyl]phenyl]methyl]-2,4-dihydroxy-benzamide
MF:	$C_{28}H_{23}ClF_2N_4O_4$
FW:	553.0
Purity:	≥98%
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

VER-246608 is supplied as a solid. A stock solution may be made by dissolving the VER-246608 in the solvent of choice, which should be purged with an inert gas. VER-246608 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of VER-246608 in these solvents is approximately 2 mg/ml.

VER-246608 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, VER-246608 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. VER-246608 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

VER-246608 is a pan-inhibitor of pyruvate dehydrogenase kinase (PDHK; IC_{50}s = 35, 84, 40, and 91 nM for PDHK1, -2, -3, and -4, respectively).[1] It is selective for PDHKs over heat shock protein 90 (Hsp90; IC_{50} = >100 µM), as well as a panel of 97 kinases at 10 µM. VER-246608 (10 and 20 µM) decreases the production of L-lactate, a marker of glycolytic activity, in PC3 cells cultured in D-glucose- and L-glutamine-depleted media. It reduces the growth of, and induces cell cycle arrest at the G_1 phase in, serum-starved PC3 cells when used at a concentration of 20 µM.

Reference

1. Moore, J.D., Staniszewska, A., Shaw, T., *et al.* VER-246608, a novel pan-isoform ATP competitive inhibitor of pyruvate dehydrogenase kinase, disrupts Warburg metabolism and induces context-dependent cytostasis in cancer cells. *Oncotarget* **5(24)**, 12862-12876 (2014).

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