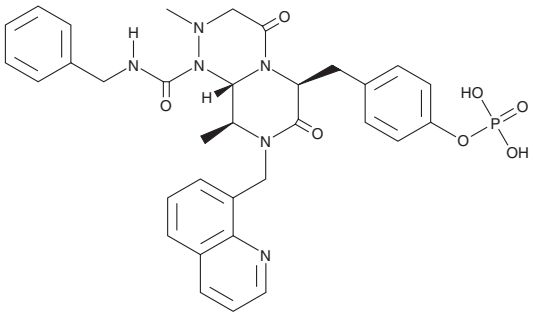
PRODUCT INFORMATION

PRI-724

Item No. 41728

CAS Registry No.:	1422253-38-0
Formal Name:	(6S,9S,9aS)-hexahydro-2,9-dimethyl-4,7-dioxo-N-(phenylmethyl)-6-[[4-(phosphonooxy)phenyl]methyl]-8-(8-quinolinylmethyl)-2H-pyrazino[2,1-c][1,2,4]triazine-1(6H)-carboxamide
MF:	$C_{33}H_{35}N_6O_7P$
FW:	658.7
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

PRI-724 is supplied as a solid. A stock solution may be made by dissolving the PRI-724 in the solvent of choice, which should be purged with an inert gas. PRI-724 is soluble (≥10 mg/ml) in DMSO.

Description

PRI-724 is a prodrug form of the β-catenin/CREB-binding protein (CBP) inhibitor C-82 and a derivative of ICG-001 (Item No. 16257).[1] It is cytotoxic to GES-1 gastric mucosal and HGC-27, MKN45, and AGS gastric cancer cells (IC_{50}s = 16.53, 9.8, 44.28, and 3.48 µM, respectively) and enhances decreases in viability induced by lonidamine (Item No. 14640) or 2-deoxy-D-glucose (2-DG; Item No. 14325) in CAL 27, SCC-25, and BICR 22 tongue squamous carcinoma cells.[2,3] PRI-724 (0.4 mg/animal) reduces hepatic fibrosis in a mouse model of liver fibrosis induced by carbon tetrachloride (CCl_4).[1] It reduces the proliferation of $CD4^+$ or $CD8^+$ stem cell memory and central memory T cells in a rhesus macaque model of antiretroviral therapy-suppressed simian immunodeficiency virus (SIV) infection when administered at doses of 10 or 20 mg/kg per day.[4]

References

1. Osawa, Y., Oboki, K., Imamura, J., *et al.* Inhibition of cyclic adenosine monophosphate (cAMP)-response element-binding protein (CREB)-binding protein (CBP)/β-catenin reduces liver fibrosis in mice. *EBioMedicine* **2(11)**, 1751-1758 (2105).
2. Yu, Z., Jiang, X., Qin, L., *et al.* A novel UBE2T inhibitor suppresses Wnt/β-catenin signaling hyperactivation and gastric cancer progression by blocking RACK1 ubiquitination. *Oncogene* **40(5)**, 1027-1042 (2021).
3. Kleszcz, R. and Paluszczak, J. The Wnt signaling pathway inhibitors improve the therapeutic activity of glycolysis modulators against tongue cancer cells. *Int. J. Mol. Sci.* **23(3)**, 1248 (2022).
4. Mavigner, M., Zanoni, M., Tharp, G.K., *et al.* Pharmacological modulation of the Wnt/β-catenin pathway inhibits proliferation and promotes differentiation of long-lived memory $CD4^+$ T cells in antiretroviral therapy-suppressed simian immunodeficiency virus-infected macaques. *J. Virol.* **94(1)**, e01094-19 (2019).

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