PRODUCT INFORMATION

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LOXO-292

Item No. 33515

CAS Registry No.:	2152628-33-4	
Formal Name:	6-(2-hydroxy-2-methylpropoxy)-4-[6-[6-[(6-methoxy-	\downarrow
	3-pyridinyl)methyl]-3,6-diazabicyclo[3.1.1]hept-3-yl]-	
	3-pyridinyl]-pyrazolo[1,5-a]pyridine-3-carbonitrile	
Synonyms:	ARRY-192, Selpercatinib	
MF:	C ₂₉ H ₃₁ N ₇ O ₃	, N
FW:	525.6	
Purity:	≥98%	$\langle \rangle$
UV/Vis.:	λ _{max} : 239, 335 nm	
Supplied as:	A crystalline solid	N
Storage:	-20°C	
Stability:	≥4 years	-0- ~
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Laboratory Procedures

LOXO-292 is supplied as a crystalline solid. A stock solution may be made by dissolving the LOXO-292 in the solvent of choice, which should be purged with an inert gas. LOXO-292 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of LOXO-292 in these solvents is approximately 1 mg/ml.

Description

LOXO-292 is an inhibitor of the receptor tyrosine kinase RET.¹ It inhibits the phosphorylation of a KIF5B-RET fusion protein expressed in HEK293 cells (IC50 = 4 nM). LOXO-292 selectively inhibits proliferation of cancer cells containing RET mutations or gene fusions, including RET^{M918T}-containing MZ-CRC-1 medullary thyroid and CCDC6-RET gene fusion-positive TPC-1 thyroid cancer cells, by 20 to 1,700-fold. It improves survival in a CCDC6-RET gene fusion-positive orthotopic patient-derived xenograft (PDX) mouse model of brain cancer when administered at a dose of 30 mg/kg. Formulations containing LOXO-292 have been used in the treatment of RET mutation- or gene fusion-positive lung or thyroid cancers.

Reference

1. Subbiah, V., Velcheti, V., Tuch, B.B., et al. Selective RET kinase inhibition for patients with RET-altered cancers. Ann. Oncol. 29(8), 1869-1876 (2018).

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.

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