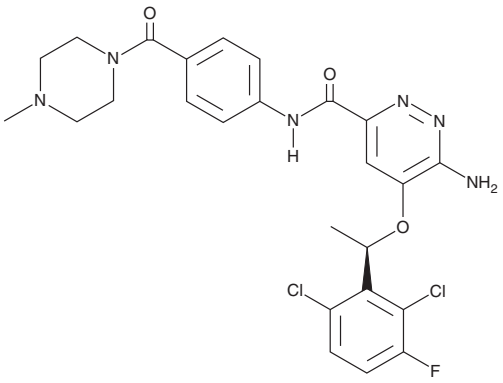
PRODUCT INFORMATION

Ensartinib

Item No. 21341



CAS Registry No.:	1365267-27-1
Formal Name:	6-amino-5-[(1R)-1-(2,6-dichloro-3-fluorophenyl)ethoxy]-N-[4-[(4-methyl-1-piperazinyl)carbonyl]phenyl]-3-pyridazinecarboxamide
MF:	$C_{25}H_{25}Cl_2FN_6O_3$
FW:	547.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 244, 296 nm
Supplied as:	A crystalline 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

Ensartinib is supplied as a crystalline solid. A stock solution may be made by dissolving the ensartinib in the solvent of choice. Ensartinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of ensartinib in these solvents is approximately 5, 14, and 20 mg/ml, respectively.

Ensartinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ensartinib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Ensartinib has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Ensartinib is a potent and selective inhibitor of anaplastic lymphoma kinase (ALK; IC_{50} < 0.4 nM in a KINOMEscan kinase activity assay).[1] It reduces ALK autophosphorylation and inhibits endogenous ALK phosphorylation and activation of downstream targets ERK and Akt in H3122 cells. Ensartinib reduces growth of H3122 lung cancer cells harboring gain-of-function ALK-fusion proteins (IC_{50} = 15 nM) but has no effect on cell growth driven by other mutant kinases or that of non-cancerous HepG2 cells. Ensartinib, at a dose of 25 mg/kg, reduces H3122 xenograft growth with no effect on body weight in nude mice. It is also brain-permeable to a concentration of 65 nM.

Reference

1. Lovly, C.M., Heuckmann, J.M., de Stanchina, E., *et al.* Insights into ALK-driven cancers revealed through development of novel ALK tyrosine kinase inhibitors. *Cancer Res.* **71(14)**, 4920-4931 (2011).

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