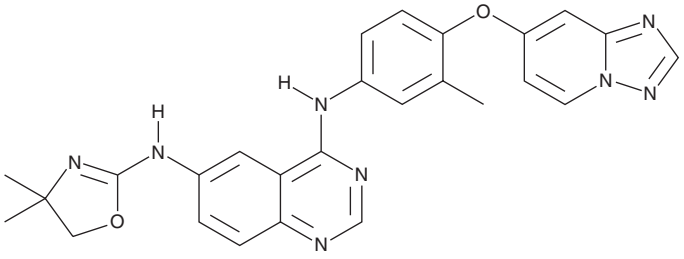
PRODUCT INFORMATION

Tucatinib

Item No. 31411

CAS Registry No.:	937263-43-9
Formal Name:	N^6-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-N^4-[3-methyl-4-([1,2,4]triazolo[1,5-a]pyridin-7-yloxy)phenyl]-4,6-quinazolinediamine
Synonyms:	ARRY-380, ONT-380
MF:	$C_{26}H_{24}N_8O_2$
FW:	480.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 214, 244 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

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

Tucatinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, tucatinib should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Tucatinib has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Tucatinib is an inhibitor of HER2 (IC_{50} = 14 nM).[1] It inhibits the phosphorylation of HER2 in BT474 breast carcinoma cells (IC_{50} = 21 nM). Tucatinib inhibits phosphorylation of AKT and induces apoptosis in BT474 cells. *In vivo*, tucatinib (25-100 mg/kg) inhibits tumor growth in NCI N87 gastric carcinoma and SKOV3 ovarian adenocarcinoma mouse xenograft models. Tucatinib also reduces intratumor phosphorylation of AKT and ERK and inhibits tumor growth in a BT474 mouse xenograft model.

Reference

1. Pheneger, T., Bouhana, K., Anderson, D., *et al.* Abstract #1795: *In vitro* and *in vivo* activity of ARRY-380: A potent, small molecule inhibitor of ErbB2. *AACR Cancer Res.* **69(9)**, 1795 (2009).

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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