

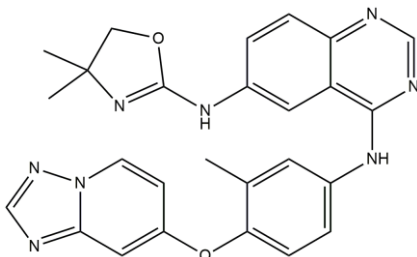
Tucatinib

ALTERNATE NAMES:

Irbinitinib; ONT-380; 6-DIAMINE; ARRY-380; 6-N-(4,4-dimethyl-5H-1,3-oxazol-2-yl)-4-N-[3-methyl-4-([1,2,4]triazolo[1,5-a]pyridin-7-yloxy)phenyl]quinazoline-4,6-diamine; 4,6-Quinazolinediamine, N6-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-N4-[3-Methyl-4-([1,2,4]triazolo[1,5-a]pyridin-7-yloxy)phenyl]-; N6-(4,4-dimethyl-1,3-oxazolidin-2-ylidene)-n4-(3-methyl-4-([1,2,4]triazolo[1,5-a]pyridin-7-yloxy)phenyl)quinazoline-4,6-diamine

CATALOG #:

B3025-5 5 mg
 B3025-25 25 mg

STRUCTURE:

MOLECULAR FORMULA:
 $C_{26}H_{24}N_8O_2$
MOLECULAR WEIGHT:

480.52

CAS NUMBER:

937263-43-9

APPEARANCE:

White to off-white solid powder

PURITY:
 $\geq 97\%$
SOLUBILITY:
 ~ 50 mg/ml in DMSO (may need ultrasonication)

DESCRIPTION:

Tucatinib is an oral, potent, selective and ATP-competitive small-molecule inhibitor of Receptor tyrosine-protein kinase ErbB2 (also called HER2 or human epidermal growth factor receptor 2) with an IC_{50} of 14 nM against ErbB2 enzyme. It inhibits the phosphorylation of ErbB2 in BT474 cells with an IC_{50} of 21 nM. It shows excellent anti-tumor activity in numerous mouse tumor models including breast (BT-474, MDA-MB-453), ovarian (SKOV-3) and gastric (N87) carcinoma models. In the BT-474 model, it showed significant dose-related tumor growth inhibition (TGI; 50% at 50 mg/kg/d and 96% at 100 mg/kg/d). It is approved to be used in combination chemotherapy for advanced HER2-positive breast cancer.

STORAGE TEMPERATURE:
 $-20^{\circ}C$. Store in the dark. Product is light sensitive. Protect from air. Store under desiccating conditions.

HANDLING:

Do not take internally. Wear gloves and mask when handling the product! Avoid contact by all modes of exposure.

REFERENCES:

1. Pheneger, T., Bouhana, K., Anderson, D., et al. In Vitro and in vivo activity of ARRY-380: A potent, small molecule inhibitor of ErbB2. Proc Am Assoc Cancer Res. Apr 18-22; AACR; 2009. Abstract 1795 (2009).
2. Lee, P., Anderson, D., Bouhana, K., et al. In Vivo Activity of ARRY-380, a Potent, Small Molecule Inhibitor of ErbB2 in Combination with RP-56976. Cancer Research 69(24 Suppl):Abstract nr 5104 (2009).

RELATED PRODUCTS:

Avitinib (Cat. No. B2995)
 Savolitinib (Cat. No. B3006)
 Roblitinib (Cat. No. B3008)
 Merestinib (Cat. No. B3007)
 Zanubrutinib (Cat. No. B2512)

DISCLAIMER:

FOR RESEARCH USE ONLY! Not to be used on humans.