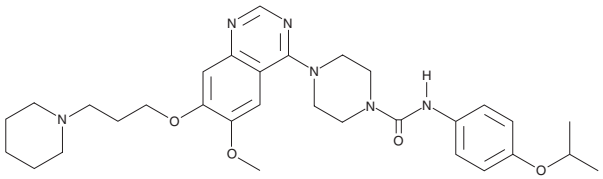
PRODUCT INFORMATION

Tandutinib

Item No. 12098

CAS Registry No.:	387867-13-2
Formal Name:	4-[6-methoxy-7-[3-(1-piperidinyl) propoxy]-4-quinazolinyl]-N-[4-(1-methylethoxy)phenyl]-1-piperazinecarboxamide
Synonyms:	CT 53518, MLN518
MF:	$C_{31}H_{42}N_6O_4$
FW:	562.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 247, 332 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

Tandutinib is supplied as a crystalline solid. A stock solution may be made by dissolving the tandutinib in the solvent of choice, which should be purged with an inert gas. Tandutinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of tandutinib in ethanol and DMSO is approximately 10 mg/ml and approximately 15 mg/ml in DMF.

Tandutinib is sparingly soluble in squeous buffers. For maximum solubility in aqueous buffers, tandutinib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Tandutinib has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Tandutinib is a potent antagonist of platelet-derived growth factor receptor β (PDGFRβ), FLT3, and c-Kit (IC_{50} = 200, 220, and 170 nM, respectively).[1] It less potently inhibits macrophage colony-stimulating factor 1 receptor (IC_{50} = 3.4 µM) and does not significantly inhibit other tyrosine or serine/threonine kinases.[1,2] Tandutinib blocks the growth of cells expressing an internal tandem duplication within the juxtamembrane domain of the FLT3 receptor, found in some acute myelogenous leukemia cells.[1,3] It also impairs the growth of colon cancer cells through its actions on the c-Kit receptor.[4] Tandutinib reverses multidrug resistance *in vitro* by impairing the efflux activity of the multidrug resistance protein 7.[5]

References

1. Kelly, L.M., Yu, J.-C., Boulton, C.L., *et al. Cancer Cell.* **1(5)**, 421-432 (2002).
2. Pandey, A., Volkots, D.L., Seroogy, J.M., *et al. J. Med. Chem.* **45(17)**, 3772-3793 (2002).
3. Griswold, I.J., Shen, L.J., La Rosée, P., *et al. Blood* **104(9)**, 2912-2918 (2004).
4. Ponnurangam, S., Standing, D., Rangarajan, P., *et al. Mol. Cancer Ther.* **12(5)**, 598-609 (2013).
5. Deng, W., Dai, C.-L., Chen, J.-J., *et al. Oncol. Rep.* **29(6)**, 2479-2485 (2013).

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