

# Product data sheet



MedKoo Cat#: 100946 Name: Lapatinib ditosylate CAS#: 388082-77-7 (ditosylate) Chemical Formula: C <sub>43</sub> H <sub>42</sub> ClFN <sub>4</sub> O <sub>10</sub> S <sub>3</sub> Molecular Weight: 925.46	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.

## 1. Product description:

Lapatinib is a synthetic, orally-active quinazoline with potential antineoplastic activity. Lapatinib reversibly blocks phosphorylation of the epidermal growth factor receptor (EGFR), ErbB2, and the Erk-1 and-2 and AKT kinases; it also inhibits cyclin D protein levels in human tumor cell lines and xenografts. EGFR and ErbB2 have been implicated in the growth of various tumor types. Check for active clinical trials or closed clinical trials using this agent.

## 2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

## 3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	125.0	135.07

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.08 mL	5.40 mL	10.81 mL
5 mM	0.22 mL	1.08 mL	2.16 mL
10 mM	0.11 mL	0.54 mL	1.08 mL
50 mM	0.02 mL	0.11 mL	0.22 mL

## 5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

## 6. Recommended literature which reported protocols for in vitro and in vivo study

### In vitro study

- Mu Y, Sun D. Lapatinib, a Dual Inhibitor of Epidermal Growth Factor Receptor (EGFR) and HER-2, Enhances Radiosensitivity in Mouse Bladder Tumor Line-2 (MBT-2) Cells In Vitro and In Vivo. *Med Sci Monit.* 2018 Aug 20;24:5811-5819. doi: 10.12659/MSM.909865. PMID: 30125265; PMCID: PMC6113922.
- Sakai K, Maeda S, Saeki K, Nakagawa T, Murakami M, Endo Y, Yonezawa T, Kadosawa T, Mori T, Nishimura R, Matsuki N. Anti-tumour effect of lapatinib in canine transitional cell carcinoma cell lines. *Vet Comp Oncol.* 2018 Dec;16(4):642-649. doi: 10.1111/vco.12434. Epub 2018 Sep 23. PMID: 30246405.

### In vivo study

- Mu Y, Sun D. Lapatinib, a Dual Inhibitor of Epidermal Growth Factor Receptor (EGFR) and HER-2, Enhances Radiosensitivity in Mouse Bladder Tumor Line-2 (MBT-2) Cells In Vitro and In Vivo. *Med Sci Monit.* 2018 Aug 20;24:5811-5819. doi: 10.12659/MSM.909865. PMID: 30125265; PMCID: PMC6113922.
- Sakai K, Maeda S, Saeki K, Nakagawa T, Murakami M, Endo Y, Yonezawa T, Kadosawa T, Mori T, Nishimura R, Matsuki N. Anti-tumour effect of lapatinib in canine transitional cell carcinoma cell lines. *Vet Comp Oncol.* 2018 Dec;16(4):642-649. doi: 10.1111/vco.12434. Epub 2018 Sep 23. PMID: 30246405.

## 7. Bioactivity

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Biological target: Lapatinib ditosylate is an inhibitor of the ErbB-2 and EGFR tyrosine kinase domains with IC50 values against purified EGFR and ErbB-2 of 10.2 and 9.8 nM, respectively.

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## In vitro activity

The anti-tumour effect of lapatinib on canine TCC (transitional cell carcinoma) cell lines was examined in vitro. Five canine TCC cell lines (TCCUB, Love, Sora, LCTCC, and MCTCC) were used. Western blotting showed that HER2 protein expression was observed in all of the canine TCC cell lines. Lapatinib inhibited phosphorylation of HER2 and cell growth in a dose-dependent manner. Cell cycle analyses using flow cytometry showed that lapatinib significantly increased the sub-G1 and G0/G1 phase fractions and significantly decreased the S and G2/M phase fractions in the cell lines (Sora and TCCUB).

Reference: Vet Comp Oncol. 2018 Dec;16(4):642-649. <https://onlinelibrary.wiley.com/doi/abs/10.1111/vco.12434>

## In vivo activity

For the in vivo experiments, the canine TCC cells (Sora) were subcutaneously injected into nude mice. Six days after inoculation, lapatinib (100 mg/kg) or vehicle was administered daily via intraperitoneal administration for 14 days. Tumour volume was significantly smaller in the lapatinib group compared with the vehicle control group.

Reference: Vet Comp Oncol. 2018 Dec;16(4):642-649. <https://onlinelibrary.wiley.com/doi/abs/10.1111/vco.12434>

*Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.*