

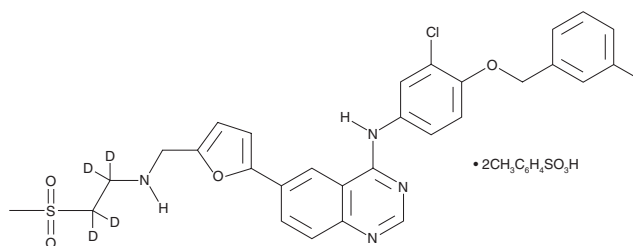
# PRODUCT INFORMATION



## Lapatinib-d<sub>4</sub> (tosylate)

Item No. 25459

**CAS Registry No.:** 2749856-05-9  
**Formal Name:** N-[3-chloro-4-[(3-fluorophenyl)methoxy]phenyl]-6-[[[2-(methylsulfonyl)ethyl-d<sub>4</sub>]amino]methyl]-2-furanyl]-4-quinazolinamine, 4-methylbenzenesulfonate (1:2)  
**MF:** C<sub>29</sub>H<sub>22</sub>ClD<sub>4</sub>FN<sub>4</sub>O<sub>4</sub>S • 2C<sub>7</sub>H<sub>8</sub>O<sub>3</sub>S  
**FW:** 929.5  
**Chemical Purity:** ≥98% (Lapatinib)  
**Deuterium Incorporation:** ≥99% deuterated forms (d<sub>1</sub>-d<sub>4</sub>); ≤1% d<sub>0</sub>  
**Supplied as:** A 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

Lapatinib-d<sub>4</sub> (tosylate) is intended for use as an internal standard for the quantification of lapatinib (Item No. 11493) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Lapatinib-d<sub>4</sub> (tosylate) is supplied as a solid. A stock solution may be made by dissolving the lapatinib-d<sub>4</sub> (tosylate) in the solvent of choice, which should be purged with an inert gas. Lapatinib-d<sub>4</sub> (tosylate) is soluble in the DMSO.

### Description

Lapatinib is a dual inhibitor of the EGF receptor (EGFR) and ErbB2 (IC<sub>50</sub>s = 19 and 3 nM, respectively).<sup>1</sup> It inhibits the growth of EGFR-overexpressing A431 skin cancer and ErbB2-overexpressing SK-BR-3 breast cancer cells (IC<sub>50</sub>s = 0.14 and 0.124 μM, respectively). Lapatinib also inhibits the growth of *ErbB2*-amplified OD19 esophageal and NCI-N87 gastric cancer cells (IC<sub>50</sub>s = 0.09 and 0.01 μM, respectively) as well as several types of gastric cancer cells in which *ErbB2* is not amplified (IC<sub>50</sub>s = 0.35-8.58 μM).<sup>2</sup> It induces apoptosis in NCI-N87 and OD19 cells when used at a concentration of 1 μM. Lapatinib (50 mg/kg) reduces tumor growth in a BT474 breast cancer mouse xenograft model.<sup>3</sup> It also reduces tumor growth in an NCI-N87 mouse xenograft model when administered at a dose of 100 mg/kg and induces tumor regression when used in combination with trastuzumab.<sup>2</sup> Formulations containing lapatinib have been used in combination with other therapeutics in the treatment of ErbB2/HER2-overexpressing breast cancer.

### References

1. Cho, T.P., Jun, F., Li, H., *et al. Bioor. Med. Chem. Lett.* **19(22)**, 6437-6440 (2009).
2. Wainberg, Z.A., Anghel, A., Desai, A.J., *et al. Clin Cancer Res.* **16(5)**, 1509-1519 (2010).
3. Chefrour, M., Milano, G., Formento, P., *et al. Fundam. Clin. Pharmacol.* **26(4)**, 530-537 (2012).

#### 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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#### CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD

ANN ARBOR, MI 48108 · USA

**PHONE:** [800] 364-9897

[734] 971-3335

**FAX:** [734] 971-3640

CUSTSERV@CAYMANCHEM.COM

WWW.CAYMANCHEM.COM