

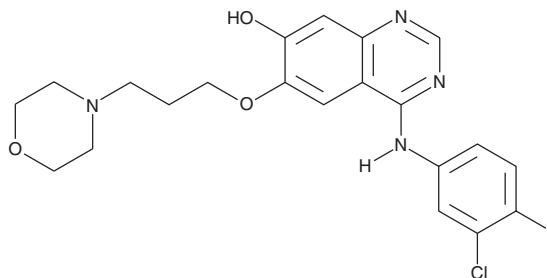
# PRODUCT INFORMATION



## O-Desmethyl Gefitinib

Item No. 22365

**CAS Registry No.:** 847949-49-9  
**Formal Name:** 4-[(3-chloro-4-fluorophenyl)amino]-6-[3-(4-morpholinyl)propoxy]-7-quinazolinol  
**MF:** C<sub>21</sub>H<sub>22</sub>ClFN<sub>4</sub>O<sub>3</sub>  
**FW:** 432.9  
**Purity:** ≥95%  
**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.

### Description

O-Desmethyl gefitinib is the major metabolite of gefitinib (Item No. 13166) in human plasma, formed by the cytochrome P450 isoform CYP2D6.<sup>1</sup> It is an active metabolite that inhibits EGFR similarly to gefitinib in subcellular assays (IC<sub>50</sub>s = 36 and 22 nM, respectively) but is less active in whole cell assays (IC<sub>50</sub>s = 760 and 49 nM, respectively).<sup>2</sup> In a LoVo tumor mouse xenograft model, the tumor concentration of O-desmethyl gefitinib was 6.8-fold lower than that of gefitinib and did not significantly reduce tumor growth. A high plasma concentration of O-desmethyl gefitinib in patients homozygous for CYP2D6 was not associated with an increase in adverse effects.<sup>3</sup>

### References

1. McKillop, D., Hutchison, M., Partridge, E.A., *et al.* Metabolic disposition of gefitinib, an epidermal growth factor receptor tyrosine kinase inhibitor, in rat, dog and man. *Xenobiotica* **34**(10), 917-934 (2004).
2. McKillop, D., Guy, S.P., Spence, M.P., *et al.* Minimal contribution of desmethyl-gefitinib, the major human plasma metabolite of gefitinib, to epidermal growth factor receptor (EGFR)-mediated tumour growth inhibition. *Xenobiotica* **36**(1), 29-39 (2006).
3. Kobayashi, H., Sato, K., Niioka, T., *et al.* Effects of polymorphisms in CYP2D6 and ABC transporters and side effects induced by gefitinib on the pharmacokinetics of the gefitinib metabolite, O-desmethyl gefitinib. *Med. Oncol.* **33**(6), 1-8 (2016).

#### 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.

#### WARRANTY AND LIMITATION OF REMEDY

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