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## DATASHEET

4-Hydroxytamoxifen  $\geq 70\%$  Z isomer (remainder primarily E-isomer)

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### Product overview

<b>Name</b>	4-Hydroxytamoxifen $\geq 70\%$ Z isomer (remainder primarily E-isomer)
<b>Cat No</b>	HB6040
<b>Alternative names</b>	4-OHT, Afimoxifene, H6278, 4-HT, 4-OH-TAM, OHT, TAM, 4-Hydroxytamoxifen, tamoxifen, z-4oht
<b>Biological action</b>	Activator
<b>Purity</b>	$>98\%$
<b>Customer comments</b>	<i>Great product, works great. Our normal vendor was backordered for 2 months, got excellent customer service from Hello Bio. Product worked great &amp; delivery was fast. But best of all the price was great and we saved enough to buy other needed laboratory essentials. <b>Researcher, University of Texas, USA</b></i>  <i>Perfect (4-Hydroxytamoxifen <math>\geq 70\%</math> Z isomer). No problem or concern about the quality: we compared with Sigma one and it works the same, but less expensive, immediate availability and fast delivery..<b>Researcher, University of Lyon, France</b></i>
<b>Description</b>	Estrogen receptor ligand. For inducible genome manipulation (e.g. Cre-LoxP (CreER)/ TRAP/ CRISPR-Cas9).

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### Biological Data

**Biological description** Cell permeable, synthetic estrogen receptor ligand. Active metabolite of tamoxifen. Widely used for inducible genome manipulation.  $\geq 70\%$  Z isomer (remainder primarily E-isomer).

Cre-LoxP / CreER system:

De facto standard for use in the inducible Cre-LoxP system for manipulation of CreER/CreERT2 recombinase for genome/ genetic manipulation (e.g. gene deletion). 4-OHT allows external temporal control of Cre activity *in vivo*.

TRAP / TRAP2

Used as part of the TRAP/TRAP2 systems (targeted recombination in active populations) (e.g. FosTRAP, ArcTRAP) to provide genetic access to neurons.

CRISPR/Cas9 gene editing:

Activates an inactivated Cas9 nuclease (rendered inactive by insertion of a 4-OHT dependent-intein) to reduce off-target CRISPR-mediated gene editing (once bound with 4-OHT, conditionally active Cas9s modify target genomic sites with  $\sim 25$ -fold higher specificity than wild-type Cas9).

Also allows tight, repeated on-off control of the nuclease activity of the 'iCas' Cas9 variant which shows high editing efficiency at multiple loci once bound with 4-OHT.

## Cancer:

Chemotherapeutic agent. Induces apoptosis through an ER-dependent mechanism and inhibits proliferation of multiple myeloma cells *in vitro*.

Pure (Z)-4-hydroxytamoxifen (100% isomer) also available.

## Solubility & Handling

### Storage instructions Solubility overview Handling

-20 °C  
Soluble in DMSO (100 mM) and in ethanol (50 mM)  
Storage of solid

- This compound is light sensitive; exposure to light may affect compound performance. You should therefore store the material in the dark and protect from light.

### Storage of solutions

- Do not store the material in solution; make up solutions and use immediately.
- The compound has been shown to isomerise rapidly in solution in most solvents (particularly solvents with a low dielectric constant). You should therefore make up and use solutions immediately.
- The isomerisation process can be precluded by storage of the compound at -25 °C in the dark as a THF solution containing ca. 0.025% BHT. (Katzenellenbogen et al (1982) J. Org. Chem. 47 2387.)

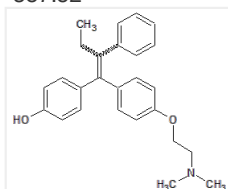
### Important

This product is for RESEARCH USE ONLY and is not intended for therapeutic or diagnostic use. Not for human or veterinary use.

## Chemical Data

### Chemical name Molecular Weight Chemical structure

4-(1-[4-(Dimethylaminoethoxy)phenyl]-2-phenyl-1-butenyl)phenol  
387.52



### Molecular Formula CAS Number PubChem identifier SMILES Source InChi

C<sub>26</sub>H<sub>29</sub>NO<sub>2</sub>  
68392-35-8  
449459  
CC/C(=C(\C1=CC=C(C=C1)O)/C2=CC=C(C=C2)OCCN(C)C)/C3=CC=CC=C3  
Synthetic  
InChI=1S/C26H29NO2/c1-4-25(20-8-6-5-7-9-20)26(21-10-14-23(28)15-11-21)22-12-16-24(17-13-22)29-19-18-27(2)3/h5-17,28H,4,18-19H2,1-3H3/b26-25-  
TXUZVZSFRXZGTL-QPLCGJKRSA-N  
Off-white solid

## References

### A chemical-inducible CRISPR-Cas9 system for rapid control of genome editing.

Liu et al (2016) Nat Chem Biol 12(11)

PubMedID [27618190](#)

### Small molecule-triggered Cas9 protein with improved genome-editing specificity.

Davis et al (2015) Nat Chem Biol 11(5)

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### Simple and efficient production of (Z)-4-hydroxytamoxifen, a potent estrogen receptor modulator.

Yu and Forman (2003) J Org Chem 68(24)

PubMedID

14629178

**A monohydroxylated metabolite of tamoxifen with potent antioestrogenic activity.**

Jordan et al (1977) J Endocrinol 75(2)

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591813

**Temporal evolution of cortical ensembles promoting remote memory retrieval**

Luo et al (2019) Nat Neurosci. 22(3)

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30692687

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