Hello Bio, Inc.
304 Wall St., Princeton, NJ 08540 USA

T. 609-683-7500
F. 609-228-4994

customercare-usa@m2stage.hellobio.com

DATASHEET

4-Hydroxytamoxifen ≥70% Z isomer (remainder primarily E-isomer)

Product overview

Name	4-Hydroxytamoxifen ≥70% Z isomer (remainder primarily E-isomer)
Cat No	HB6040
Alternative names	4-OHT, Afimoxifene, H6278, 4-HT, 4-OH-TAM, OHT, TAM, 4-Hydroxytamoxifen, tamoxifen, z-4oht
Biological action	Activator
Purity	>98%
Customer comments	*Great product, works great. Our normal vendor was backordered for 2 months, got excellent customer service from Hello Bio. Product worked great & delivery was fast. But best of all the price was great and we saved enough to buy other needed laboratory essentials.* **Researcher, University of Texas, USA** *Perfect (4-Hydroxytamoxifen ≥70% 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..***Researcher, University of Lyon, France**
Description	Estrogen receptor ligand. For inducible genome manipulation (e.g. Cre-LoxP (CreER)/ TRAP/ CRISPR-Cas9).

Biological Data

Biological description

Cell permeable, synthetic estrogen receptor ligand. Active metabolite of tamoxifen. Widely used for inducible genome manipulation. ≥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 inactived 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 ~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 -20°C
Solubility overview Soluble in DMSO (100 mM) and in ethanol (50 mM)
Handling

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.

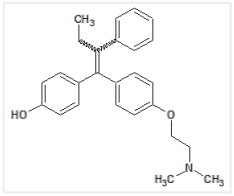
Storage of solutions

- 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 4-(1-[4-(Dimethylaminoethoxy)phenyl]-2-phenyl-1-butenyl)phenol
Molecular Weight 387.52
Chemical structure



Molecular Formula $C_{26}H_{29}NO_2$
CAS Number 68392-35-8
PubChem identifier 449459
SMILES CC/C(=C(\C1=CC=C(C=C1)O)/C2=CC=C(C=C2)OCCN(C)C)/C3=CC=CC=C3
Source Synthetic
InChi 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-
InChiKey TXUZVZSFRXZGTL-QPLCGJKRSA-N
Appearance 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)
PubMedID 25848930

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)
PubMedID 591813

Temporal evolution of cortical ensembles promoting remote memory retrieval

Luo et al (2019) Nat Neurosci. 22(3)
PubMedID 30692687