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DATASHEET

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

Product overview

Name	4-Hydroxytamoxifen $\geq 70\%$ 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	<i>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</i> <i>Perfect (4-Hydroxytamoxifen $\geq 70\%$ 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</i>
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. $\geq 70\%$ Z isomer (remainder primarily E-isomer).
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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 ~ 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.)

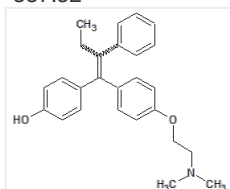
Important

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

C₂₆H₂₉NO₂
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

InChiKey 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)

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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)

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A monohydroxylated metabolite of tamoxifen with potent antioestrogenic activity.

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

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Temporal evolution of cortical ensembles promoting remote memory retrieval

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

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