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DATASHEET

4-Hydroxytamoxifen (E) and (Z) isomers (50:50)

Product overview

Name	4-Hydroxytamoxifen (E) and (Z) isomers (50:50)
Cat No	HB6095
Alternative names	4-OHT, Afimoxifene, H6278, 4-HT, 4-OH-TAM, OHT, TAM, 4-Hydroxytamoxifen, tamoxifen, z-4oht
Biological action	Activator
Purity	>98%
Description	Synthetic estrogen receptor ligand. Widely used in genome engineering (e.g. CreER/ CRISPR-Cas9).

Biological Data

Biological description Cell permeable, synthetic estrogen receptor ligand. Widely used in genome engineering. (E) and (Z) isomer mix (50:50).

CreER system:

De facto standard compound in drug-inducible manipulation of CreER recombinase. Allows external temporal control of Cre activity *in vivo*.

May also be used for TRAPing / in the TRAP / TRAP2 system (Targeted recombination in active populations).

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.

Pure (Z)-4-hydroxytamoxifen also available.

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

Solubility & Handling

Storage instructions
Solubility overview

-20 °C
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	387.51
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 monohydroxylated metabolite of tamoxifen with potent antioestrogenic activity.

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

PubMedID

[591813](#)

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.

Small molecule-triggered Cas9 protein with improved genome-editing specificity. (2015) *Nat Chem Biol* 11(5)

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[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](#)