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DATASHEET

(Z)-4-Hydroxytamoxifen (Z-4-OHT)

Product overview

Name	(Z)-4-Hydroxytamoxifen (Z-4-OHT)
Cat No	HB2508
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.

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.

4-Hydroxytamoxifen (70:30 mixture of (Z):(E) isomers) also available.

Solubility & Handling

Storage instructions	-20 °C
Solubility overview	Soluble in DMSO (100 mM) and in ethanol (50 mM)
Handling	<u>Storage of solid</u>

Storage instructions

-20 °C

- 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-[(1Z)-1-[4-[2-(Dimethylamino)ethoxy]phenyl]-2-phenyl-1-buten-1-yl]phenol
Molecular Weight	387.51
Chemical structure	
Molecular Formula	C ₂₆ H ₂₉ NO ₂
CAS Number	68047-06-3
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
MDL number	MFCD00278780
Appearance	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](#)