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DATASHEET

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

Product overview

Name	(Z)-4-Hydroxytamoxifen (Z-4-OHT)
Cat No	HB2508
Description	Synthetic estrogen receptor ligand. Widely used in genome engineering (e.g. CreER/ CRISPR-Cas9).
Alternative names	4-HT, 4-OHT, 4-OH-TAM, OHT, TAM, 4-Hydroxytamoxifen
Biological action	Activator
Purity	>98%

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 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.

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	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.

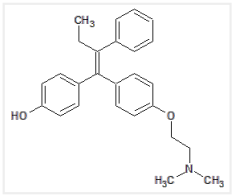
Storage of solutions

- 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 (particulaly solvents with a low dielectric contstant). 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