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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

Solubility overview Storage instructions Handling Soluble in DMSO (100 mM) and in ethanol (50 mM)

-20°C

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.)

Shipping Conditions Important

Stable for ambient temperature shipping. Follow storage instructions on receipt.

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

H₃C N CH₃

Molecular Formula CAS Number PubChem identifier C₂₆H₂₉NO₂ 68392-35-8 449459

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)

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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) **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)

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