**Biological Activity**

<table>
<thead>
<tr>
<th>Description</th>
<th>Tubastatin A is a potent HDAC8 inhibitor with IC50 of 15 nM.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Targets</td>
<td>HDAC1  HDAC8</td>
</tr>
<tr>
<td>IC50</td>
<td>15 nM 854 nM[1]</td>
</tr>
</tbody>
</table>

**In vitro**

Tubastatin A is substantially selective for all 11 HDAC isoforms and maintains over 1000-fold selectivity against all isoforms excluding HDAC6, where it has approximately 57-fold selectivity. In homocysteic acid (HCA) induced neurodegeneration assays, Tubastatin A displays dose-dependent protection against HCA-induced neuronal cell death starting at 5 μM with near complete protection at 10 μM. At 100 ng/mL, Tubastatin A increases Fop3+ T-regulatory cells (Tregs) suppression of T cell proliferation in vitro.[2] Tubastatin A treatment in C2C12 cells would lead to myotube formation impairment when alpha-tubulin is hyperacetylated early in the myogenic process; however, myotube elongation occurs when alpha-tubulin is hyperacetylated in myotubes.[3] A recent study indicates that Tubastatin A treatment increases cell elasticity as revealed by atomic force microscopy (AFM) tests without exerting drastic changes to the actin microfilament or microtubule networks in mouse ovarian cancer cell lines, MOSE-E and MOSE-L.[4]

**Clinical Trials**

**Features**

**Protocol (Only for Reference)**

**Kinase Assay:[1]**

**Enzyme Inhibition Assays**

Enzyme inhibition assays are performed by the Reaction Biology Corporation, Malvern, PA, using the Reaction Biology HDAC Spectrum platform. (www.reactionbiology.com) The HDAC1, 2, 4, 5, 6, 7, 8, 9, 10, and 11 assays use isolated recombinant human protein; HDAC3/NcoR2 complex is used for the HDAC3 assay. Substrate for HDAC1, 2, 3, 4, 6, 8, 9, 10, and 11 assays is a fluorogenic peptide from p53 residues 379-382 (RHKKAc). Substrate for HDAC8 is fluorogenic diacyl peptide based on residues 379-382 of p53 (RHKKAc). Acetyl-Lys (trifluoroacetyl)-AMC substrate is used for HDAC4, 5, 7, and 9 assays. Tubastatin A is dissolved in DMSO and tested in 10-dose IC50 mode with 3-fold serial dilution starting at 30 μM. Control Compound Trichostatin A (TSA) is tested in a 10-μM concentration. The HDAC6 assay is a fluorogenic diacyl peptide based on residues 379-382 of p53 (RHKKAc). Acetyl-Lys (trifluoroacetyl)-AMC substrate is used for HDAC4, 5, 7, and 9 assays. Tubastatin A is dissolved in DMSO and tested in 10-dose IC50 mode with 3-fold serial dilution starting at 30 μM. Control Compound Trichostatin A (TSA) is tested in a 10-μM concentration. The HDAC6 assay is a fluorogenic diacyl peptide based on residues 379-382 of p53 (RHKKAc).

**Cell Assay:[1]**

**Cell Lines**

Primary cortical neuron of fetal Sprague-Dawley rats (embryonic day 17)

**Concentrations**

0-10 μM

**Incubation Time**

24 hours

**Methods**

Primary cortical neuron cultures are obtained from the cerebral cortex of fetal Sprague-Dawley rats (embryonic day 17) as described previously. All experiments are initiated 24 hours after plating. Under these conditions, the cells are not susceptible to glutamate-mediated excitotoxicity. For cytotoxicity studies, cells are rinsed with warm PBS and then placed in minimum essential medium (Dmitro) containing 5.5 g/L glucose, 10% fetal calf serum, 2 mM L-glutamine, and 100 μM cystine. Oxidative stress was induced by the addition of the glutamate analogue homocysteic acid (HCA) 5 mM) to the media. HCA is diluted from 100-fold concentrated solutions that are adjusted to pH 7.5. In combination with HCA, neurons are treated with Tubastatin A at the indicated concentrations. Viability is assessed after 24 hours by MTT assay (3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide) method.

**Animal Study:[2]**

**Animal Models**

Naïve CD45RBlh CD4+ CD25- cells (1 x 10^6) from WT or HDAC6-/- mice are injected i.p. into B6/Rag1-/- mice.

**Formulation**

Tubastatin A is dissolved in dimethyl sulfoxide (DMSO).

**References**

[1] Selleck Chemicals wishes you the best possible online shopping experience with our 365 day unconditional Return Policy. If you are not satisfied with your purchase, either for protocol related or product related problems, you may return any item(s) within 365 days from the original purchase date. Please see the following instructions when you return products.

1. All requests for returns should be communicated to Selleck Chemicals prior to shipping. Any items returned to Selleck Chemicals should be in the original packaging and in the same condition as originally purchased.

2. When returning purchased goods, please inform us of the purchase order number or package tracking number.

3. Return shipping is absolutely FREE.

4. This offer is only valid for products purchased directly from Selleck and its authorized distributors.

5. Once your return request is received and approved, your refund will be processed or automatically applied to your credit card within 7 days. Please note that depending on your credit card company, it may take additional 2-10 business days for us to post the refund to your account.

**Toll Free:**

(877) 796-6397

-- USA and Canada only--

**Fax:**

+1-713-796-9816

**Orders:**

+1-832-582-8158

sales@selleckchem.com

**Tech Support:**

tech@selleckchem.com

(chemistry support)

techbio@selleckchem.com

(biology support)

**Website:**

www.selleckchem.com
<table>
<thead>
<tr>
<th>Uses</th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td>Administration</td>
<td>Tubastatin A is injected i.p. daily.</td>
</tr>
</tbody>
</table>

**References**


PLEASE KEEP THE PRODUCT UNDER -20°C FOR LONG-TERM STORAGE.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE

Specific storage and handling information for each product is indicated on the product datasheet. Most Selleck products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality, but save your shipping charges by using the most economical storage conditions for an overnight shipment. Upon receipt of the product, follow the storage recommendations on the product datasheet.