SEROTONERGIC LIGAND-SET™

ProductInformation

Product Number **L6662**
Storage Temperature -20°C

Product Description
The Serotonergic LIGAND-SET™ is a set of 80 small organic ligands which are ligands for Serotonin receptors. These ligands are arrayed in a standard 96-well plate format; each well has a capacity of 1 ml.

This set can be used for screening new drug targets, for guiding secondary screens of larger, more diverse libraries and for standardizing and validating new screening assays.

Serotonin receptors are widely distributed throughout the mammalian body. Serotonin is synthesized from L-tryptophan in enterochromaffin cells of the gastrointestinal tracts as well as in serotonergic neurons. It serves as a major neurotransmitter in the CNS.

In the periphery, the primary source of serotonin are blood platelets which sequester the monoamine via an active transport mechanism and store it as a serotonin:ATP complex. As a consequence, serotonin is released when platelets aggregate at a site of vascular injury where its primary function appears to be to promote hemostasis. Serotonin is also released from enterochromaffin cells following exposure to radiation or cancer chemotherapeutics such as cisplatin. The ensuing activation of 5-HT_3 receptors on vagal afferents in the gut wall and/or within the area postrema promotes nausea and vomiting.

Currently, thirteen distinct human subtypes of serotonin receptors are recogized on the basis of structural, transductional and pharmacological characteristics. These subtypes are segregated into seven subclasses (5-HT_1 - 5-HT_7), although in some cases only a gene encoding a putative serotonin receptor has been identified. These gene products are ascribed a lower case appellation e.g. 5-ht_{1E} or 5-ht_{1F} and are promoted to upper case only when unambiguous evidence that the receptor exists as an endogenous, physiologically-important entity has been obtained. Further structural and operational diversity is introduced by the existence of receptor isoforms. To date, two isoforms of the human 5-HT_4 receptor and three isoforms of the human 5-HT_7 receptor are known to be produced by alternative splicing of the receptor mRNA. Additionally, up to seven isoforms of the 5-HT_{2C} receptor, varying in the amino acid composition of the second intracellular loop, have recently been shown to be produced by editing the receptor pre-mRNA.

Components/Reagents
The Serotonergic LIGAND-SET™ contains 2 mg of each ligand per well. Stock solutions can be readily prepared by adding 1 ml of DMSO to each well. The set also comes with a diskette containing a structure database, or SD file, and a Microsoft Excel file containing the catalog number, name, rack position and pharmacological characteristics of each ligand. The following is a listing of all the ligands included:

149853	TFMPP hydrochloride
A-164	Alaproclate hydrochloride
B-134	BMY 7378 dihydrochloride
B-173	BRL 54443 maleate
B-175	BW723C86
B7148	Buspirone hydrochloride
B9929	BRL 15572
C-106	CGS-12066A maleate
C-117	5-Carboxamidotryptamine maleate
C-144	1-(m-Chlorophenyl)-biguanide hydrochloride
C5584	1-(3-Chlorophenyl)piperazine dihydrochloride
C6022	Cyproheptadine hydrochloride
C6506	p-Chlorophenylalanine
C7291	Clomipramine hydrochloride
D0136	5,7-Dihydroxytryptamine creatinine sulfate
D0411	WB-4101 hydrochloride
D-101	(±)-DOI hydrochloride
D-132	N,N-Dipropyl-5-carboxamidotryptamine maleate

F-132	Fluoxetine hydrochloride
F-133	Norfluoxetine hydrochloride
H-133	Trimethylserotonin iodide
H8876	5-Hydroxyindolacetic acid
H9523	Serotonin (5-hydroxytryptamine) hydrochloride
H9772	5-Hydroxy-L-tryptophan
H9876	Hydroxylamine hydrochloride
I7379	Imipramine hydrochloride
I7627	Iproniazid phosphate
L-107	LY-53,857 maleate
M6628	5-Methoxytryptamine hydrochloride
L-129	L-694,247
M-003	R(-)-Deprenyl hydrochloride
M0763	Metoclopramide hydrochloride
291552	6-Methoxy-1,2,3,4-tetrahydro-9H-pyrido[3,4b] indole
M-109	2-Methyl-5-hydroxytryptamine maleate
M-110	alpha-Methyl-5-hydroxytryptamine maleate
M-137	Methysergide maleate
M-149	Methiothepin mesylate
M-153	Mesulergine hydrochloride
M-204	p-MPPI hydrochloride
M-226	p-MPPF dihydrochloride
M2381	5-Methoxy DMT oxalate
M2525	Mianserin hydrochloride
M3668	Metergoline
M3778	Clorgyline hydrochloride
N1392	Nialamide
N-178	5-(Nonyloxy)-tryptamine hydrogen oxalate
N9765	NAN-190 hydrobromide

P-120	1-Phenylbiguanide
P-126	Pirenperone
P-150	Pindobind-5HT1A
P8013	Pargyline hydrochloride
P8511	Tranylcypromine hydrochloride
Q1004	Quipazine dimaleate
Q-107	Quipazine, N-methyl-, dimaleate
Q-109	Quipazine, 6-nitro-, maleate
Q3251	Quinacrine dihydrochloride
R-103	Ritanserin
R-106	Ro 16-6491 hydrochloride
R-107	Ro 41-1049 hydrochloride MAO-A inhibitor
R-118	Risperidone
R-140	Ro 04-6790
S-002	(±)-8-Hydroxy-DPAT hydrobromide
S-003	1-(1-Naphthyl)piperazine hydrochloride
S-006	Ketanserin tartrate
S-008	1-(2-Methoxyphenyl)piperazine hydrochloride
S-009	PAPP
S-103	Spiroxatrine
S-174	SDZ-205,557 hydrochloride
S-180	SB 206553 hydrochloride
S-201	SB 224089 hydrochloride
S2201	Semicarbazide hydrochloride
T0254	L-Tryptophan
T-104	3-Tropanyl-indole-3-carboxylate hydrochloride
T6154	Trazodone hydrochloride
T8160	3-Tropanyl-3,5-dichlorobenzoate
T9628	Tryptamine hydrochloride

U-108	S(-)-UH-301 hydrochloride
U-109	R(+)-UH-301 hydrochloride
W-108	WAY-100635 maleate
Z-101	Zimelidine dihydrochloride

Preparation Instructions

To create a new database in ISIS™/BASE :

- Open ISIS™/BASE.
- Choose **File>New database**.
- Enter **Serotonergic** or a preferred name in the File name field.
- Click **Save**.

The "Create Database" window will now be open.

- Enter **Catnum** for the Field name.
- Choose **Variable text** from the drop down window of the Type field.
- Click Add.
- Repeat the above steps for the following:

Field name	Type
Name	**Variable text**
Position	**Variable text**
Action	**Variable text**
Class	**Variable text**
Selectivity	**Variable text**
SecName	**Variable text**
Description	**Variable text**

- Enter **Structure** for the Field name.
- Choose **Structure** from the drop down window of the Type field.
- Enter ***Structure** for the External name.
- Click **Add**.
- Click **Save**.

The main ISIS™/BASE window will now be open.

To create the Form:

- Click on the "Draw a box" button (second button down on the left of the screen).
- Move the mouse to the bottom left hand corner and draw a box, ½ inch high, the length of the screen by clicking on the left mouse button and dragging the mouse across the screen. (see figure below)
- Above this box, draw another ½ inch high box the length of the screen. (see figure below)
- Above this box, draw a third ½ inch high box the length of the screen. (see figure below)
- Above these long boxes draw 3 ½ inch high x 3 inch wide boxes. (see figure below)
- Above these 3 boxes, draw another three the same size. (see figure below)
- Draw a final box to fit the remaining space of the screen above these boxes. (see figure below)

Double click on the top box. This will open the Box properties window.

- Click on **Structure**.
- Click **OK**.

- Repeat the same steps, clicking on the appropriate field name for the appropriate box:

Box	Field name
First small box	**ID**
Second small box	**Catnum**
Third small box	**Position**
Fourth small box	**Action**
Fifth small box	**Class**
Sixth small box	**Selectivity**
First long box	**Name**
Second long box	**SecName**
Bottom long box	**Description**

- Choose **File>Save form**.
- Enter Serotonergic or preferred name.
- Click **OK**.

Importing an SD file:

- Click **Update**.
- Choose **File>Import>SD File**. ***NOTE:*** *For MAC users, you must hold down the* ***option key*** *while choosing* **File>Import>SD File**. *If you do not, the Serotonergic.sdf will not be visible in the import window.*
- Enter **Serotonergic.sdf** (Located on the floppy diskette provided with the plate).
- Click **Open**.
  The Import SD File window will now be open.
- Click on **Add a new record including structure**, on both sides of the table.
- Click **OK**.

The database is now ready to use.

Storage/Stability

Store plate -20°C with cap strips firmly in place. Plate cover should only be removed when plate is in use to prevent loss of caps strips.

References

1. Eglen, R.M., et al., "The 5-HT_7 receptor – orphan found." *Trend Pharmacol. Sci.* **18**, 104-107 (1997).
2. Hoyer, D. and Martin, G.R., "5-HT receptor classification and nomenclature: Towards a harmonization with the human genome." *Neuropharmacology* **36**, 419-428 (1997).
3. Sadou, F. and Hen, R., "5-HT receptor subtypes: Molecular and functional diversity." *Med. Chem. Res.* **4**, 16-84 (1994).

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