PrecisION® hGABAA α1/β3/γ2 Recombinant Stable Cell Line

Catalog Number CYL3053 **Lot Number** See Vial

Contents 2 Vials, 2 x 10^6 to 4 x 10^6 in 1 mL

Background Information

Gamma-aminobutyric acid (GABA)-gated ion channels are the major inhibitory neurotransmitter in the CNS, binding to fast-acting ionotropic $GABA_A$ receptors to cause inward flux of Cl- resulting in membrane hyperpolarization and thus reducing membrane excitability (Nutt et al., 2006). Excessive stimulation of these receptors can lead to sedation and ataxia whereas attenuation leads to arousal, insomnia and anxiety. Additional information can be found on page 2.

Product Information

Description Recombinant HEK 293 cell line expressing the human GABAA α1, β3 and γ2 subunits

Family Chloride, Ligand-Gated

Target GABAA α1/β3/γ2

	Target Protein	Accession Number
1	GABAA α1	NM_000806
2	GABAA β3	NM_000814
3	GABAA γ2	NM_000816
4	N/A	N/A

Species Human

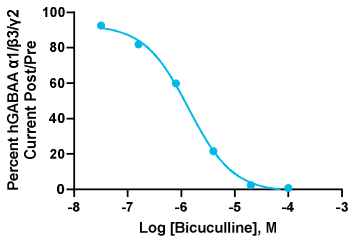
Host Cell Type HEK 293

Application Electrophysiology assay (conventional and automated patch clamp platforms)

Storage Vials are to be stored in vapor phase of liquid nitrogen

Functional Performance

HEK293 cells expressing hGABAA α1/β3/γ2 were characterized in terms of their pharmacological and biophysical properties using whole-cell patch clamp techniques.



Electrophysiology Method	IonFlux
Reference Agonist	GABAA
Reference Antagonist	Bicuculline
Antagonist IC_{50} (μM)	1.38

Passage Stability

This cell line has been confirmed to be stable through at least 12 passages with no significant drop in assay window or change in pharmacology.

Mycoplasma Testing

This lot was tested and found to be free of mycoplasma contamination. Data available upon request.

Notes

Additional functional (pharmacological and electrophysiological) validation on multiple platforms is available upon request.

Additional Ligand Information

Control Compound	Bicuculline
Vendor Name :	Tocris
Vendor Catalog No.	0130

Additional Background Information

Since modulation of these receptors has such profound physiological effects they have become important drug targets for the treatment of many conditions e.g. anxiety, epilepsy, sleep disorders and for anesthesia (Rudolph and Mohler, 2006). $GABA_A$ receptors are pentameric structures typically consisting of α, β and γ2 subunits in a stoichiometry of 2:2:1. The specific subunit composition is especially important since various combinations mediate different effects (Rudolph and Mohler, 2006). For example, α1-containing receptors, accounting for 60% of all $GABA_A$ receptors, mediate the sedative/hypnotic effects of benzodiazepines (BZPs) whereas the anxiolytic effects of these drugs are mediated by receptors containing α2 and α3 subunits (Korpi and Sinkkonen, 2006). Hence, developing selective allosteric modulators for these latter subunits should ultimately lead to anxiolytic drugs devoid of unwanted sedative effects.

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