



**Stably Transfected Cell Line - Product Data Sheet**  
**hP2X4-HEK**  
**Catalog Number CT6189**

**Related Services and Products**

FLIPR® screening services

Additional information available at [www.chantest.com](http://www.chantest.com)

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## 1 Cell Line Description

### 1.1 Background

P2X4 is an ionotropic purinergic receptor that forms a cation-selective channel. Expressed in the central nervous system, vascular endothelium, and airway smooth muscle, P2X4 is a potential therapeutic target in treatment of pain, heart failure, and asthma.

### 1.2 Pore-forming subunit identifier: hP2X4

Class: Ionotropic purinergic receptor  
Species: Human  
Gene name: P2RX4

### 1.3 Sequence Information

The cDNA sequence of the P2RX4 gene used to create this cell line was confirmed prior to transfection. The amino acid sequence encoded by the transfected cDNA is identical to the translated sequence for GenBank accession number NM\_002560.2.

### 1.4 Expression System

HEK293 (human embryonic kidney) cells, tetracycline-inducible expression.

### 1.5 Product Format

Cryopreserved cells, 1 x10<sup>6</sup> cells/vial.

### 1.6 Mycoplasma Status: Negative

The absence of mycoplasma species in this cell line was confirmed with the MycoAlert Kit (Lonza Rockland, Inc.).

### 1.7 Cell Line Stability

Channel expression has been shown to be stable for at least 49 passages.

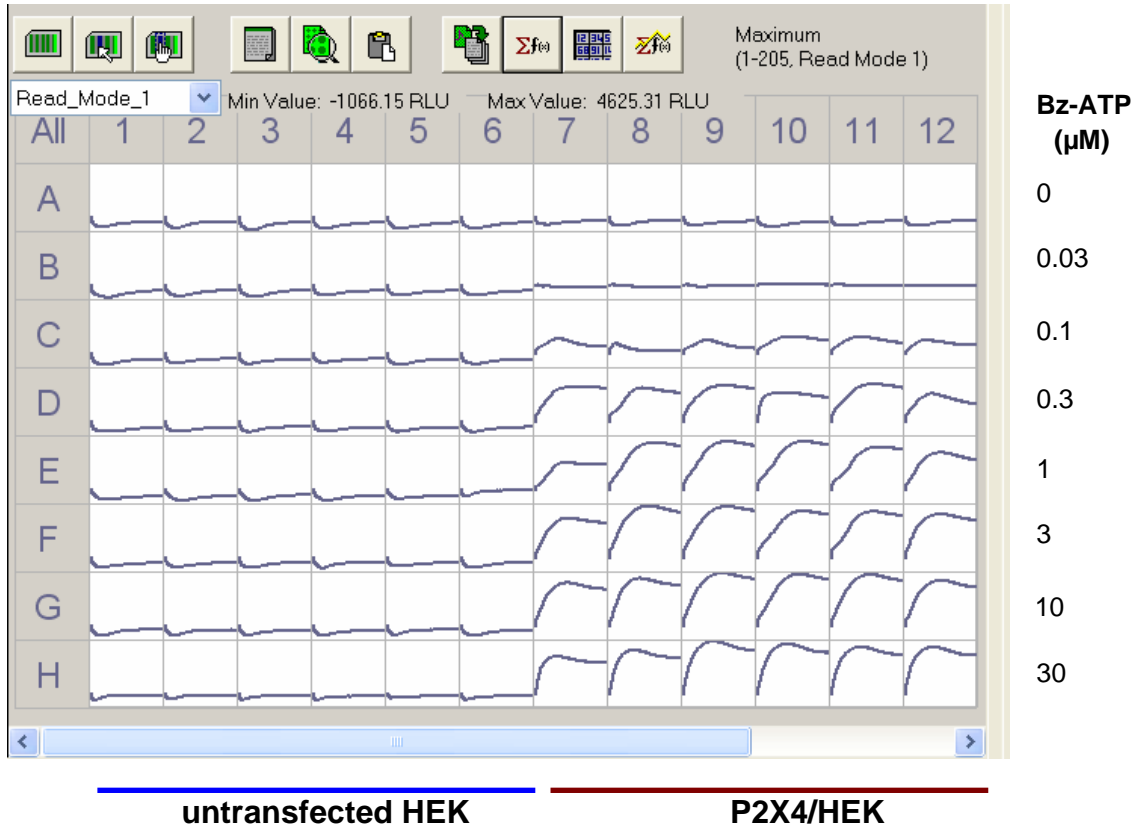
## 2 Validated Test Platforms

Electrophysiological and pharmacological verification of the functional properties of the cloned channels was assessed in the following test platforms:

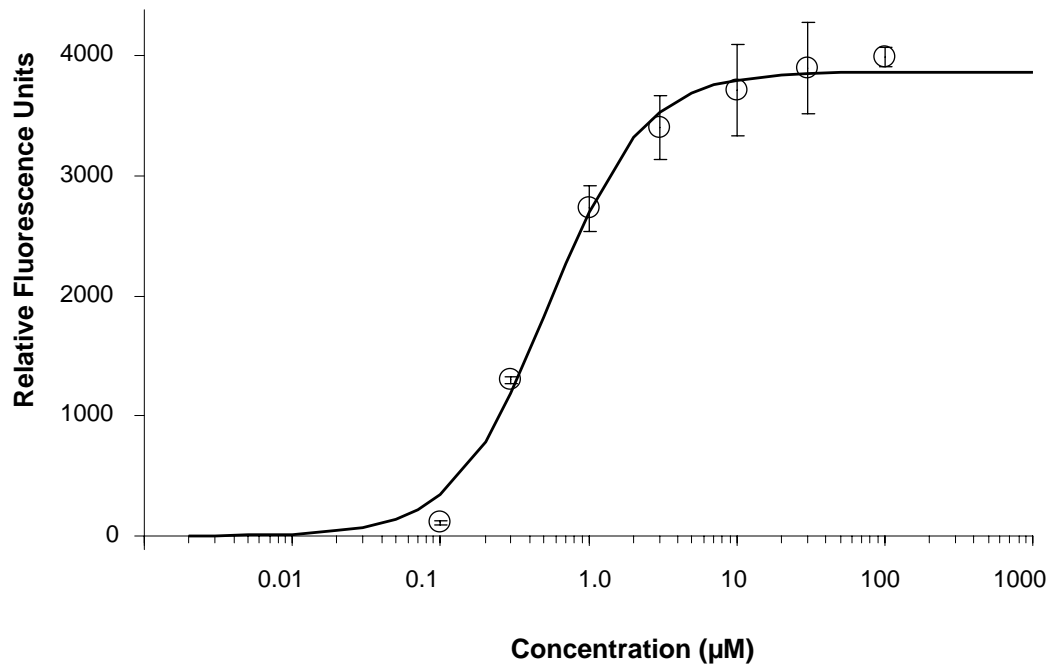
FLIPR<sup>®</sup> (MDS-AT)

## 2.1 FLIPR<sup>®</sup> Representative Data

### 2.1.1 Bz-ATP activation of P2X4



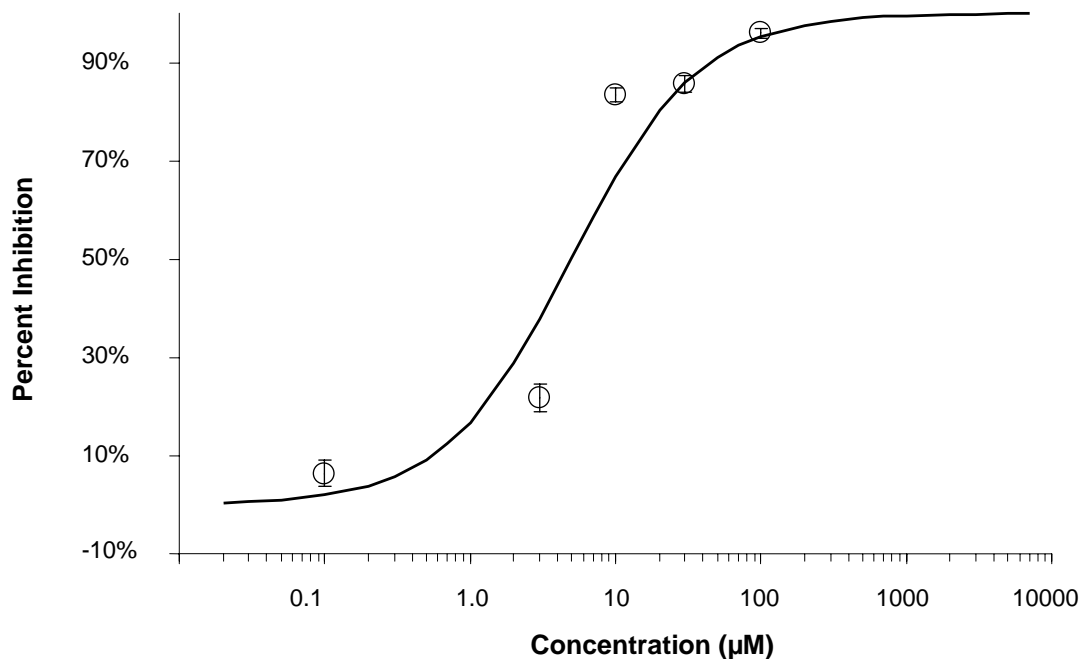
**Figure 1. Benzoylbenzoyl-ATP (BzATP) activation of P2X4-HEK in FLIPR<sup>®</sup>**  
BzATP evoked a concentration-dependent depolarization in hP2X4-HEK cells (columns 7 - 12) cells but had no significant effect in untransfected HEK cells (columns 1 - 6).



**Figure 2. Bz-ATP concentration-response relationship.**

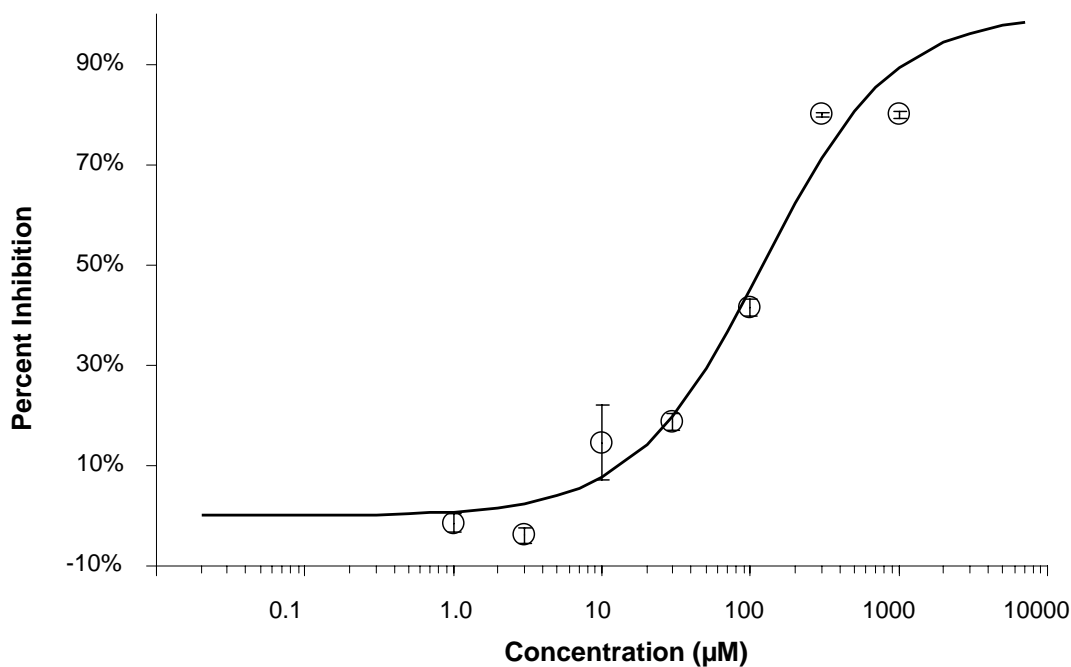
Mean ± SEM, n = 6 - 12 replicates/concentration. EC<sub>50</sub> = 0.54 µM.

### 2.1.2 Inhibition of Bz-ATP-induced activation of P2X4 by antagonists



**Figure 3. PPADS (pyridoxal-phosphate-6-azophenyl-2',4'-disulfonic acid) concentration-response relationship.**

Bz-ATP (3  $\mu\text{M}$ ) -induced activation. Mean depolarization  $\pm$  SEM, n = 12 replicates/concentration.  $\text{IC}_{50}$  = 4.9  $\mu\text{M}$ .



**Figure 4.  $\text{Cu}^{2+}$  concentration-response relationship for inhibition of Bz-ATP (3  $\mu\text{M}$ ) -induced activation.**

Mean depolarization  $\pm$  SEM, n = 6 replicates/concentration.  $\text{IC}_{50}$  = 120.9  $\mu\text{M}$ .

### 3 References

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Jones CA, et al., 2000. Functional characterization of the P2X4 receptor orthologues. *Br J Pharmacol* 129:388-394.

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