Product Name	:	BX430
Synonyms	:	——
Cat No.	:	M22122
CAS Number	:	688309-70-8
Molecular Formula	:	C15H15Br2N3O
Formula Weight	:	413.11
Chemical Name	:	——
Description	:	BX430 is used for chronic pain and cardiovascular disease and it is a potent and selective noncompetitive allosteric human P2X4 receptor channels antagonist with an IC50 of 0.54 μM. BX430 has species specificity.BX430, with submicromolar potency (IC50 = 0.54 M). BX430 is highly selective, having virtually no functional impact on all other P2X subtypes, namely, P2X1-P2X3, P2X5, and P2X7, at 10-100 times its IC50.?Unexpected species differences were noticed, as BX430 is a potent antagonist of zebrafish P2X4 but has no effect on rat and mouse P2X4 orthologs.?The concentration-response curve for ATP on human P2X4 in the presence of BX430 shows an insurmountable blockade, indicating a noncompetitive allosteric mechanism of action.?Using a fluorescent dye uptake assay, we observed that BX430 also effectively suppresses ATP-evoked and ivermectin-potentiated membrane permeabilization induced by P2X4 pore dilation.?Finally, in single-cell calcium imaging, we validated its selective inhibitory effects on native P2X4 channels at the surface of human THP-1 cells that were differentiated into macrophages.?In summary, this ligand provides a novel molecular probe to assess the specific role of P2X4 in inflammatory and neuropathic conditions, where ATP signaling has been shown to be dysfunctional.
Pathway	:	Neuroscience
Target	:	P2 Receptor
Receptor	:	human P2X4 receptor channels
Solubility	:	——
SMILES	:	CC(C)c1cc(Br)c(NC(=O)Nc2cccnc2)c(Br)c1
Storage	:	(-20℃)
Stability	:	≥ 2 years
Reference	:	

1. Ase AR, et al. Identification and characterization of a selective allosteric antagonist of human P2X4 receptor channels. Mol Pharmacol.?2015 Apr;87(4):606-16.?