

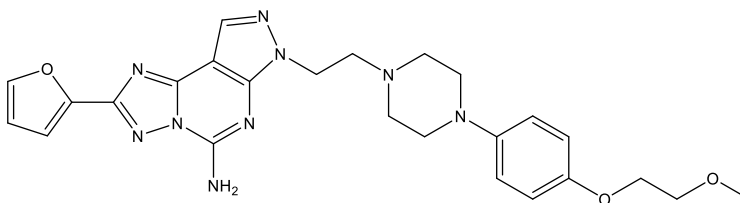
Catalog # 10-4102

Preladenant

CAS# 377727-87-2

4-(Furan-2-yl)-10-[2-[4-[4-(2-methoxyethoxy)phenyl]piperazin-1-yl]ethyl]-3,5,6,8,10,11-hexazatricyclo[7.3.0.0^{2,6}]dodeca-1(9),2,4,7,11-pentaen-7-amine; SCH 420814

Lot # FBS2013



Preladenant is a potent ($K_i = 1.1 \text{ nM}$) and selective (>1000 -fold over other adenosine receptors) adenosine A_{2A} antagonist.¹ It has shown efficacy in rodent and primate models of Parkinson's disease without inducing dyskinesias and displays antidepressant effects.¹⁻³ It has been shown that activation of the adenosine A_{2A} receptor blocks the activation of immune cells and increases the number of regulatory T-cells.⁴⁻⁶ Currently in clinical trials as combination therapy with pembrolizumab.⁷

- 1) Hodgson *et al.* (2009), *Characterization of the potent and selective A_{2A} receptor antagonists preladenant and SCH 412348 [7-[2-[4-2,4-difluorophenyl]-1-piperazinyl]ethyl]-2-(2-furanyl)-7H-pyrazolo[4,3-e][1,2,4]triazolo[1,5-c]pyrimidin-5-amine] in rat models of movement disorders and depression*; J.Pharmacol.Exp.Ther. **330** 294
- 2) Hodgson *et al.* (2010), *Preladenant, a selective A_{2A} receptor antagonist, is active in primate models of movement disorders*; Exp.Neurol. **225** 384
- 3) Pinna *et al.* (2016), *Antidyskinetic effect of A_{2A} and 5HT_{1A/B} receptor ligands in two animal models of Parkinson's disease*; Mov.Disord. **31** 501
- 4) Beavis *et al.* (2013), *Blockade of A_{2A} receptors potentially suppresses the metastasis of CD73+ tumors*; Proc.Natl.Acad.Sci USA. **110** 14711
- 5) Hatfield and Sitkovsky (2016), *A_{2A} adenosine receptor antagonist to weaken the hypoxia-HIF-1 α driven immunosuppression and improve immunotherapies of cancer*; Curr.Opin.Pharmacol. **29** 90
- 6) Ohta *et al.* (2016), *A metabolic immune checkpoint: adenosine in the tumor microenvironment*; Front.Immunol. **7** 1
- 7) NCT03099161

PHYSICAL DATA

Molecular Weight:	503.57
Molecular Formula:	C ₂₅ H ₂₉ N ₉ O ₃
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (5 mg/ml with warming)
Physical Description:	Beige solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

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