Preladenant is a potent (Ki = 1.1nM) and selective (>1000-fold over other adenosine receptors) adenosine A2A antagonist. It has shown efficacy in rodent and primate models of Parkinson’s disease without inducing dyskinesias and displays antidepressant effects. It has been shown that activation of the adenosine A2A receptor blocks the activation of immune cells and increases the number of regulatory T-cells. Currently in clinical trials as combination therapy with pembrolizumab.

1) Hodgson et al. (2009), Characterization of the potent and selective A2A 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 A2A and 5HT1A/B receptor ligands in two animal models of Parkinson’s disease; Mov.Disord. 31 501
4) Beavis et al. (2013), Blockade of A2A receptors potently suppresses the metastasis of CD73+ tumors; Proc.Natl.Acad.Sci USA. 110 14711
5) Hatfield and Sitkovsky (2016), A2A adenosine receptor antagonist to weaken the hypoxia-HIF-1a 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: C25H29N9O3
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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