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The Synthesis and biological testing of nucleoside derivatives

Panayides, Jenny-Lee

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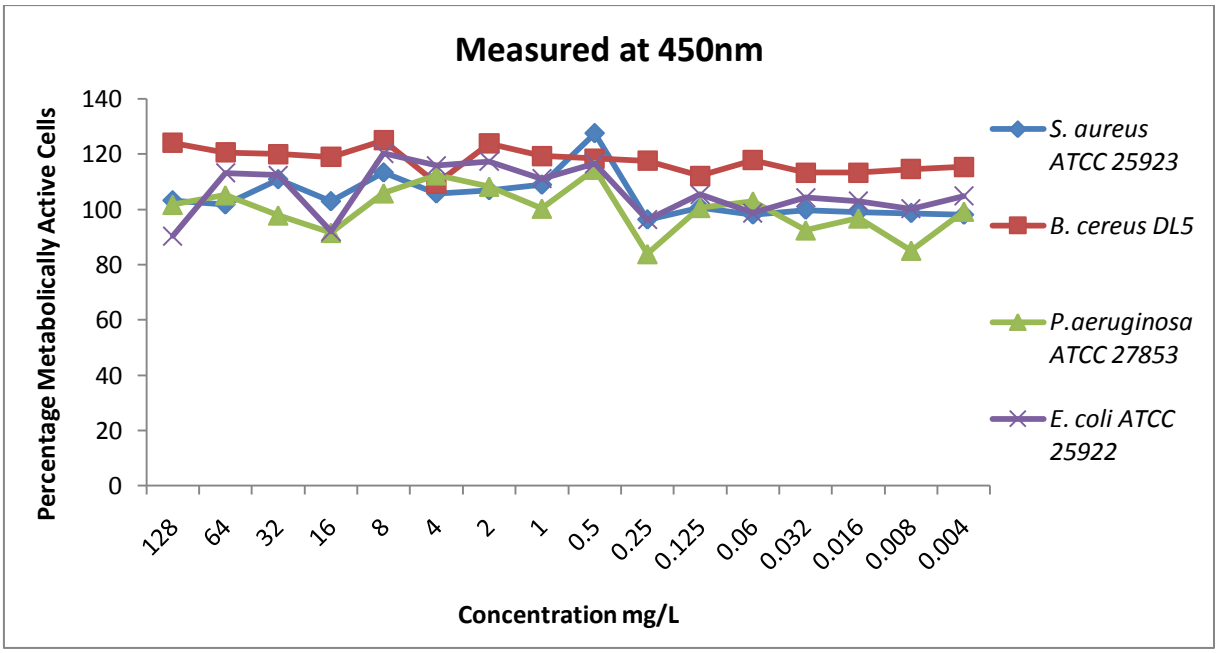
SUPPORTING INFORMATION – SELECTED GRAPHS OF BIOLOGICAL ASSAY DATA

Supporting Information from TTC Assay for Anti-Bacterial Activity –

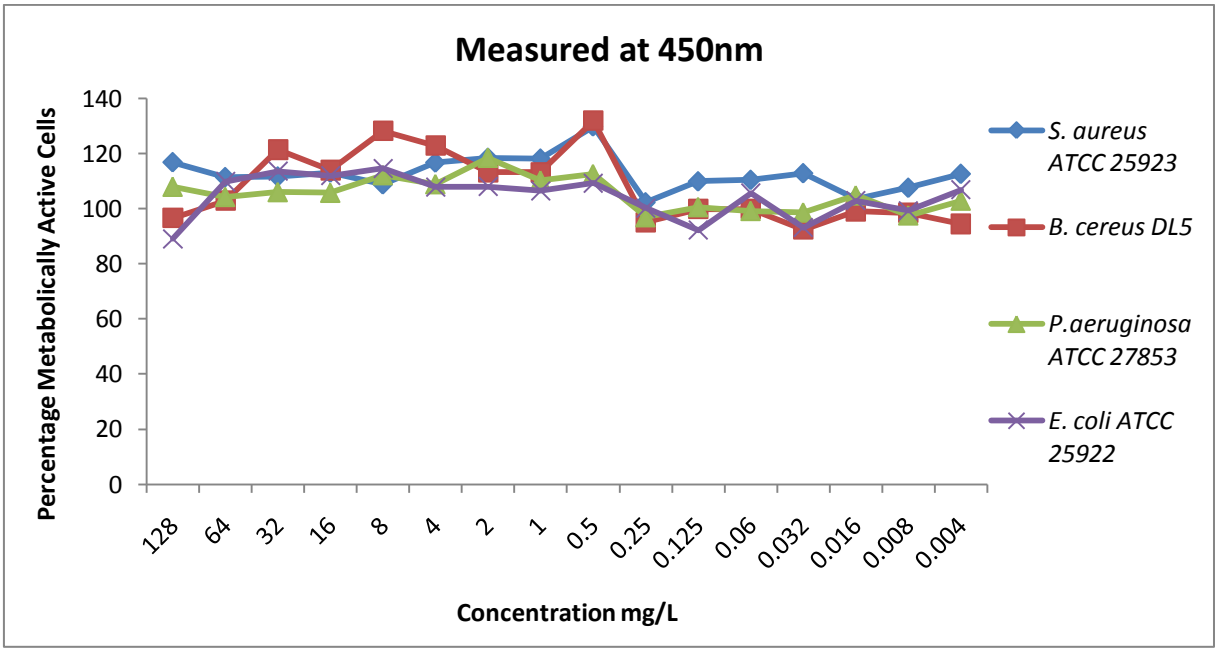
"First Generation Compounds"

All spectrophotometric data used for preparing the graphs are uncorrected and represent each data point as an average of four readings. Four bacterial strains were used in the assay: *Staphylococcus aureus* strain ATCC 25923, *Bascillus cereus* strain DL5, *Pseudomonas aeruginosa* strain ATCC 27853 and *Escherichia coli* strain ATCC 25922.

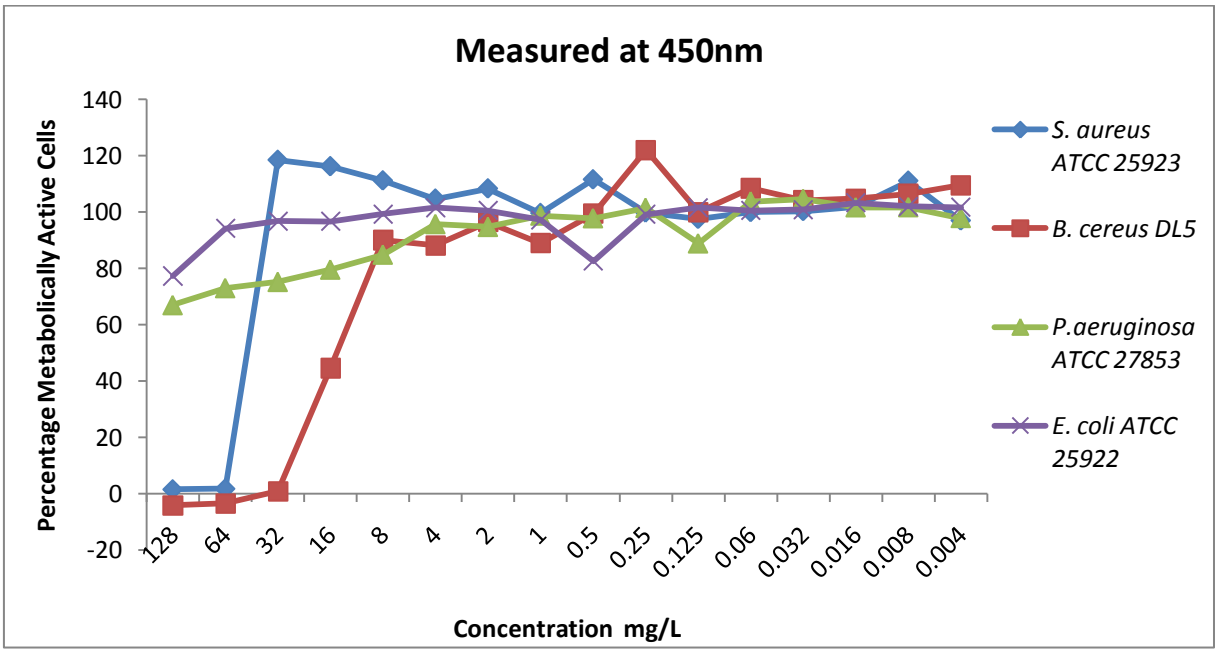
- □***-(-)-Ribose Derivatives***



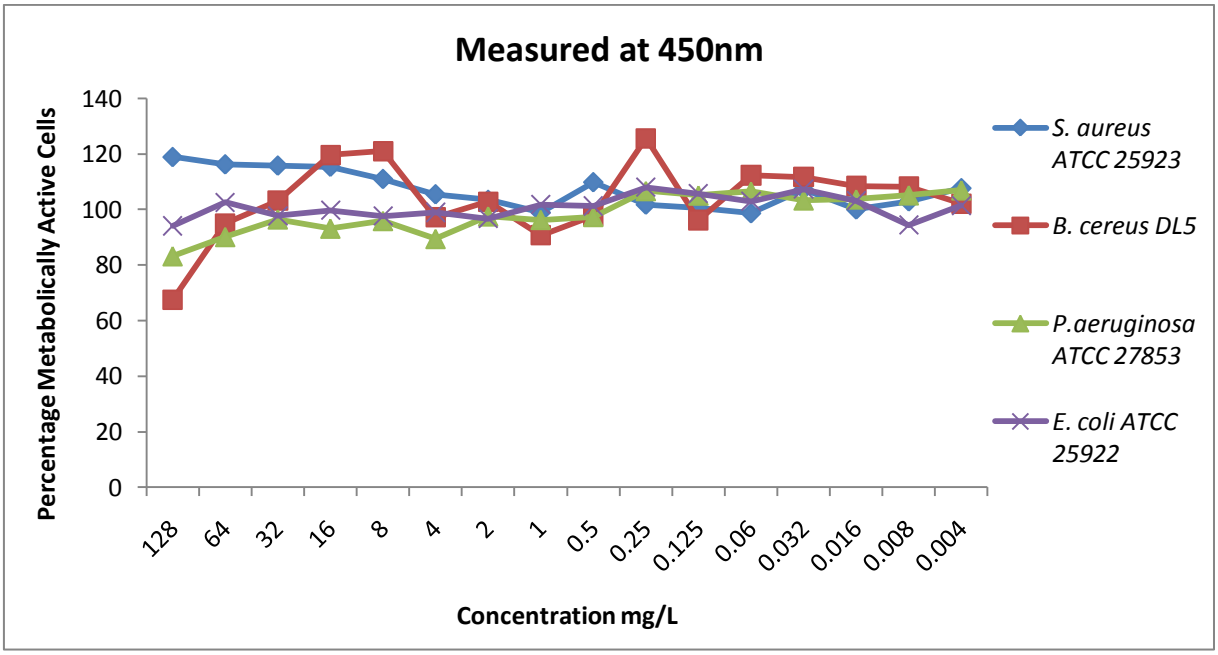
Graph 1 Standard curve for □-(-)-ribose **100**



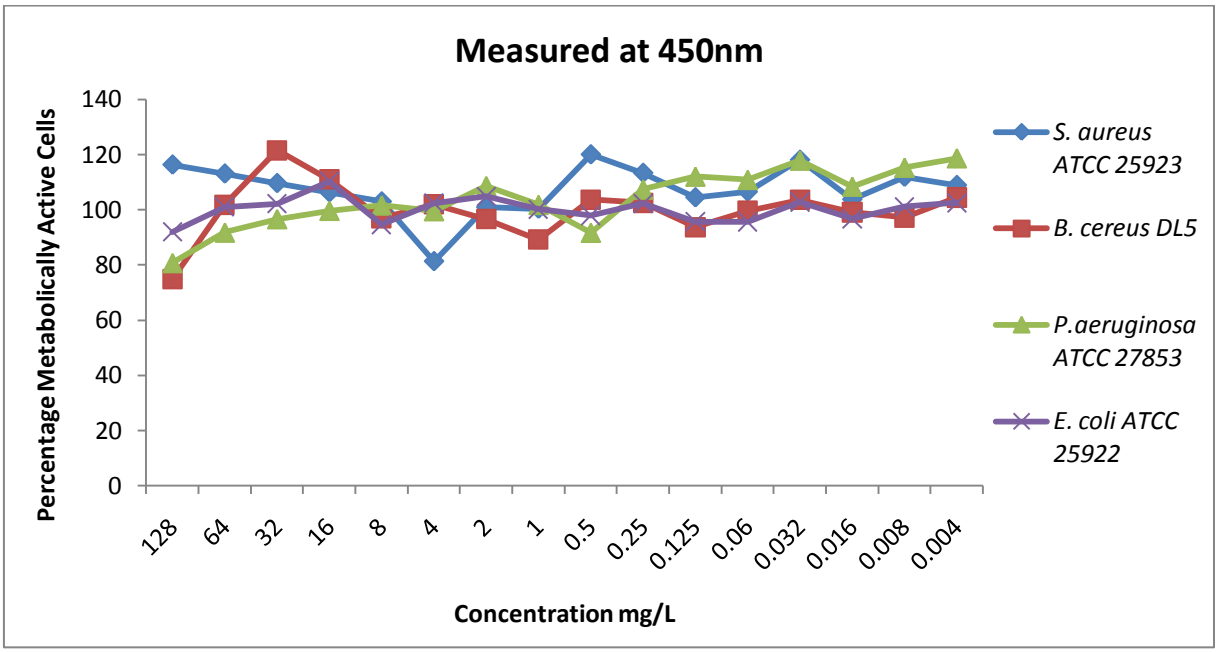
Graph 2 Standard curve for 1'-*O*-methoxy-□-(-)-ribose **101**



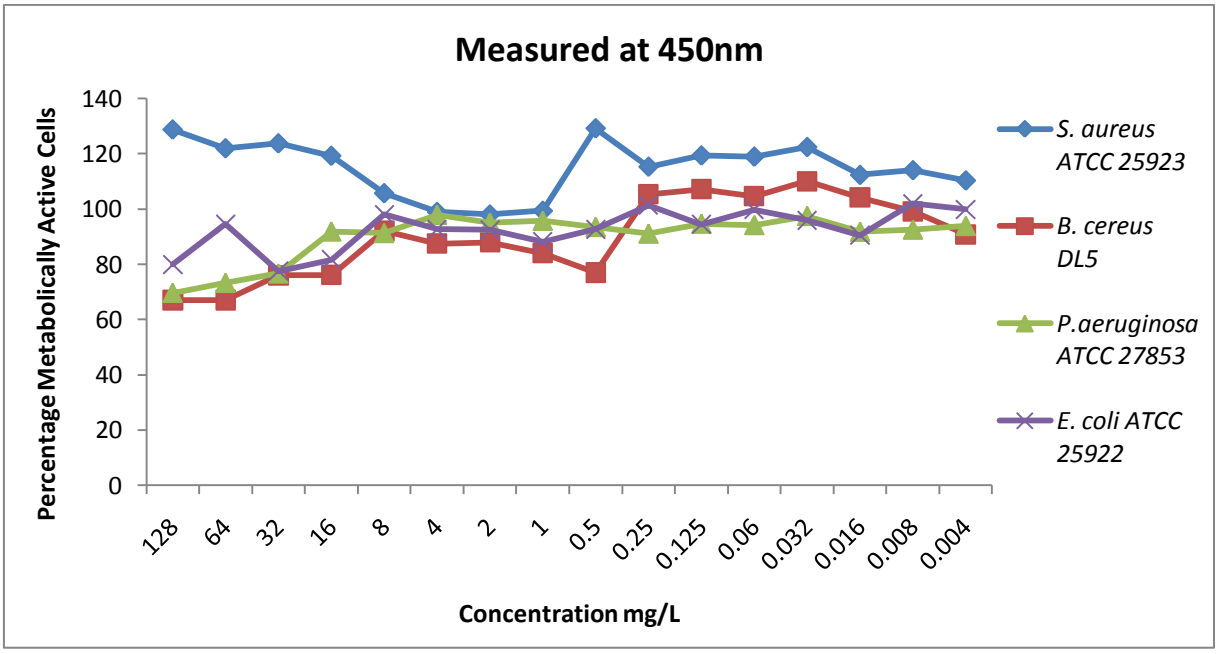
Graph 3 Standard curve for 5'-*O*-(*tert*-butyldiphenylsilyl)-1'-*O*-methoxy-□-(-)-ribose **102**



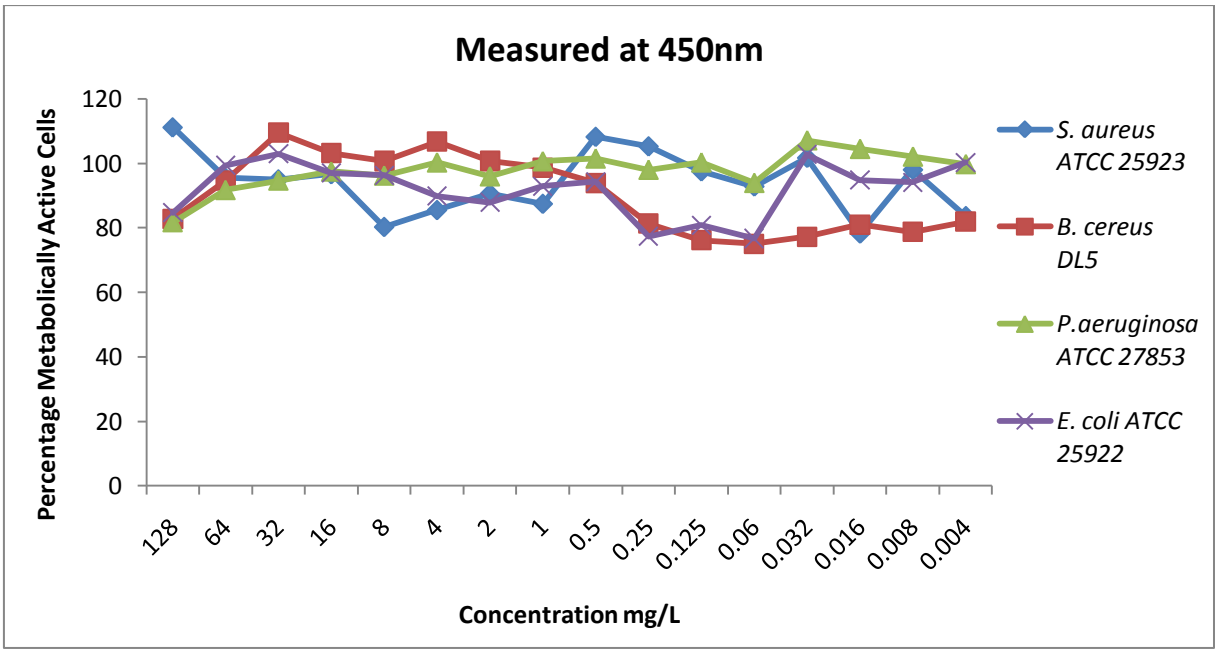
Graph 4 Standard curve for 2',3'-*O*-diallyl-5'-*O*-(*tert*-butyldiphenylsilyl)-1'-*O*-methoxy-□-(-)-ribose **103**



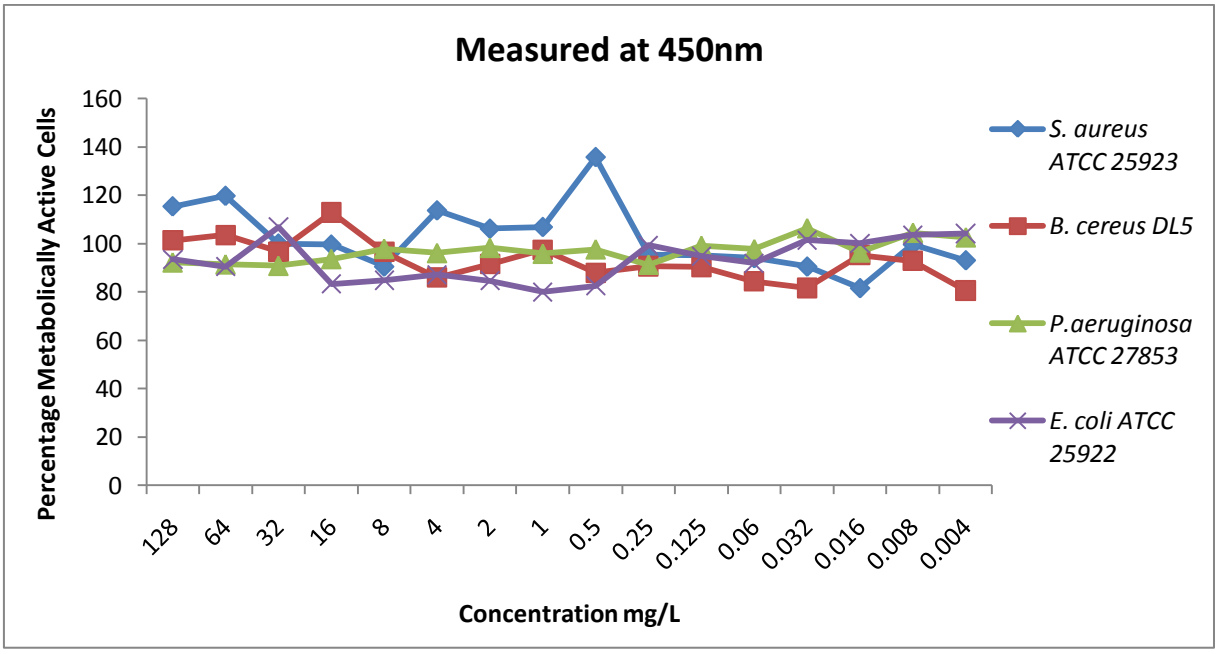
Graph 5 Standard curve for 5'-*O*-(4,4'-dimethoxytrityl)-1'-*O*-methoxy-□-(-)-ribose **105**



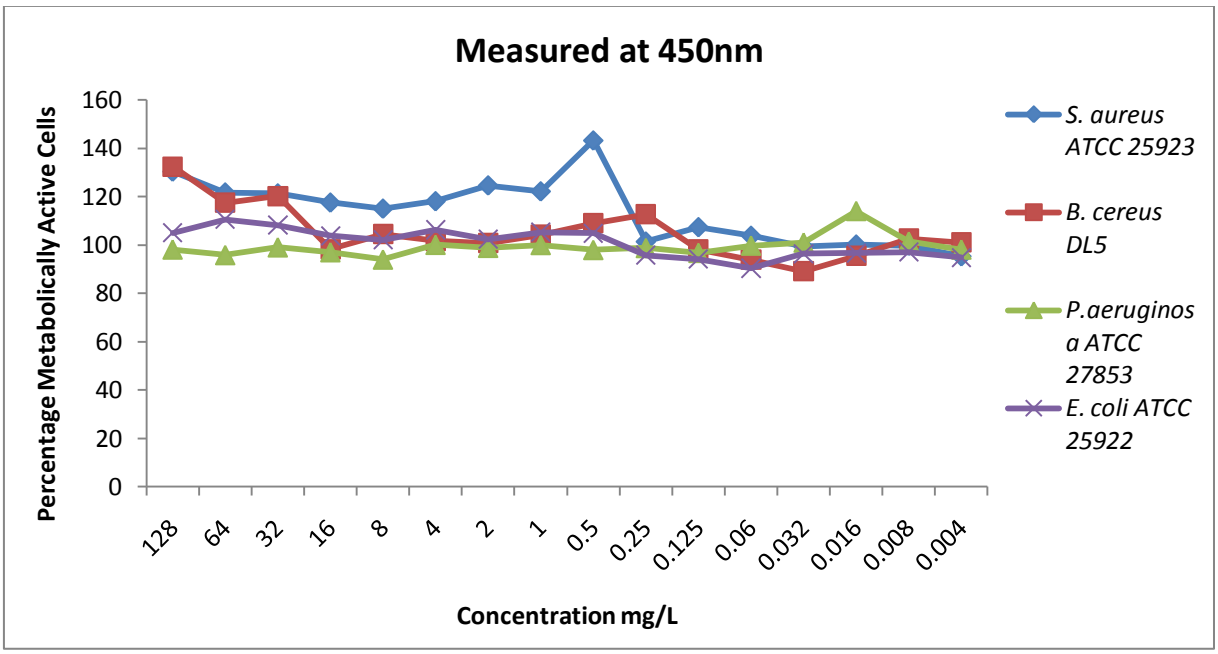
Graph 6 Standard curve 2',3'-*O*-diacetyl-5'-*O*-(*tert*-butyldiphenylsilyl)-1'-*O*-methoxy-□-(-)-ribose **119**



Graph 7 Standard curve for 2',3'-*O*-diacetyl-5'-*O*-(4,4'-dimethoxytrityl)-1'-*O*-methoxy-□-(-)-ribose **120**

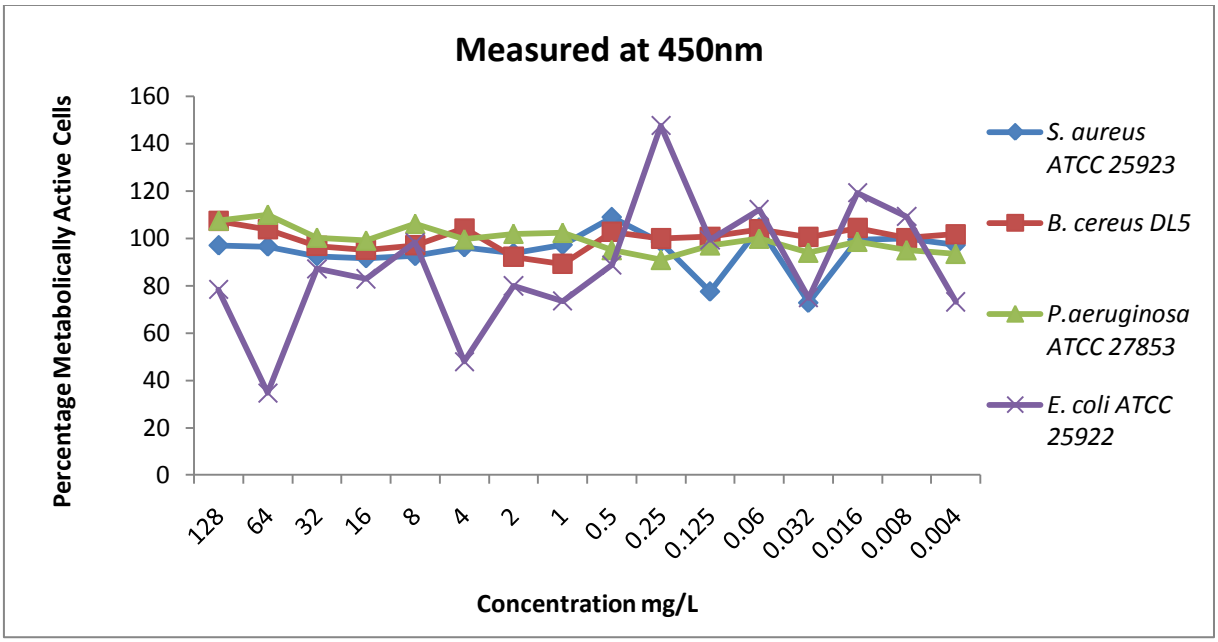


Graph 8 Standard curve for 2',3',5'-*O*-triacetyl-1'-*O*-methoxy-□-(-)-ribose **121**

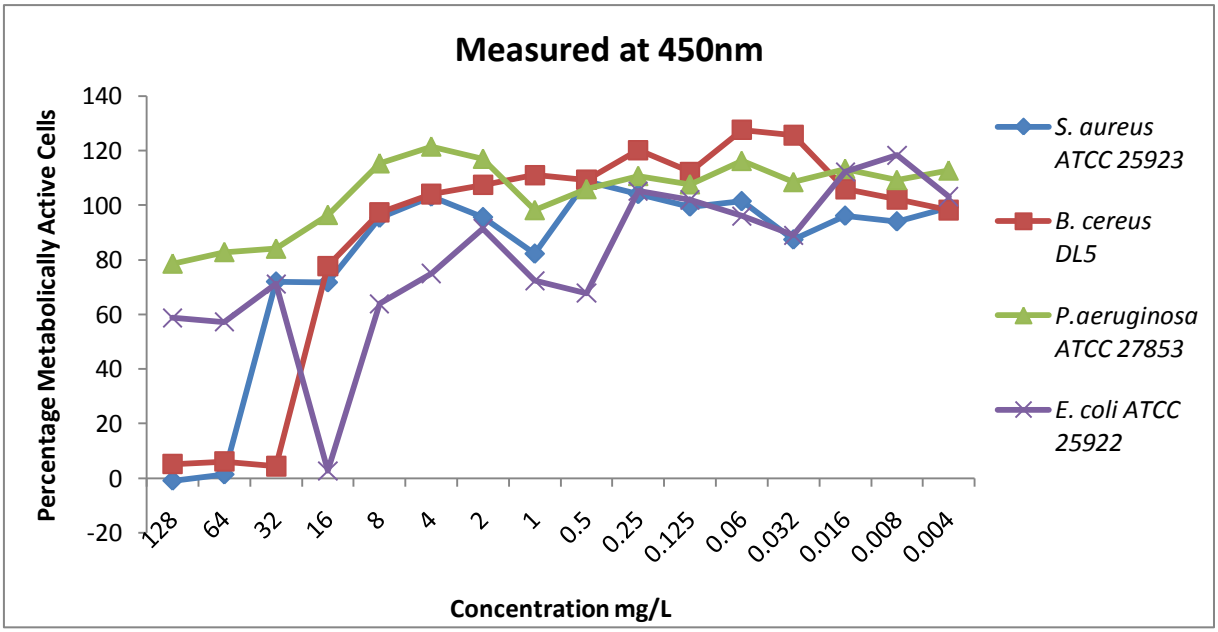


Graph 9 Standard curve for 2',3',5'-*O*-triallyl-1'-*O*-methoxy-□-(-)-ribose **122**

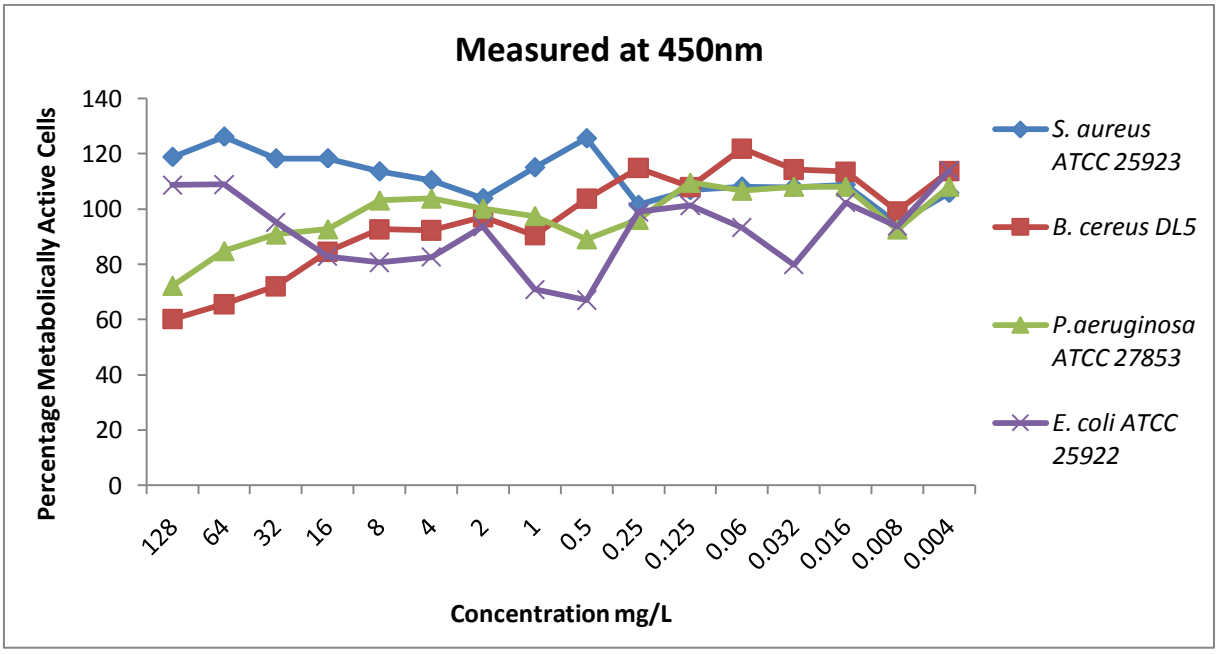
- ***Pyrimidine Based Nucleoside Derivatives***



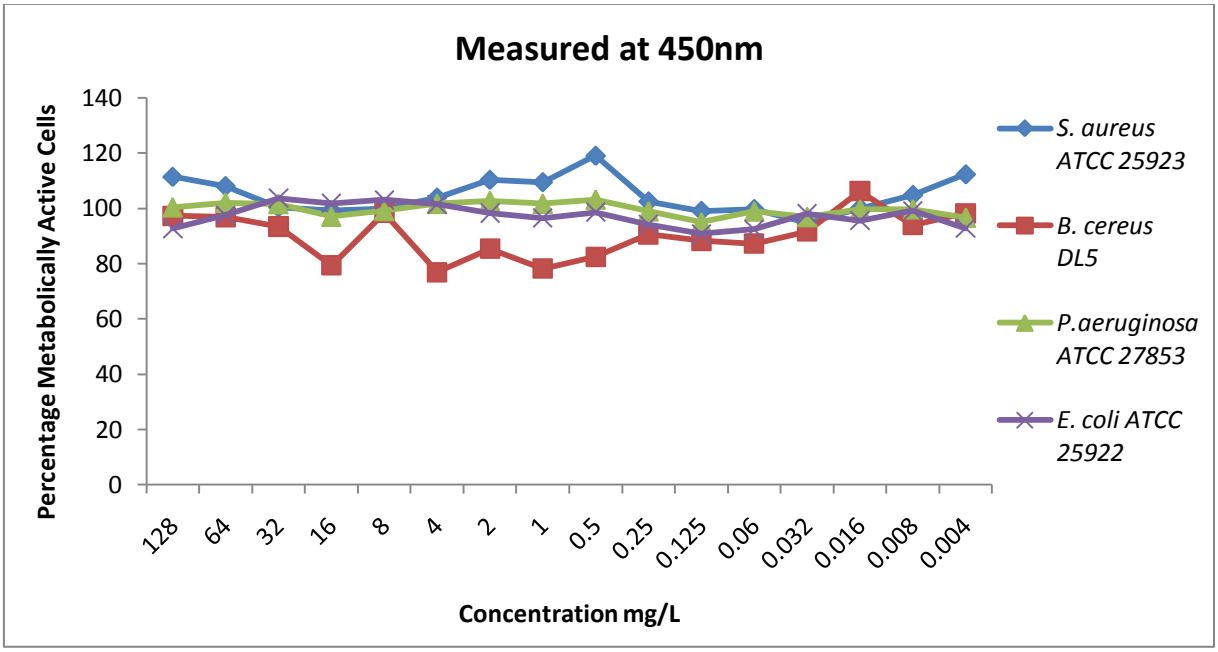
Graph 10 Standard curve for uridine **12**



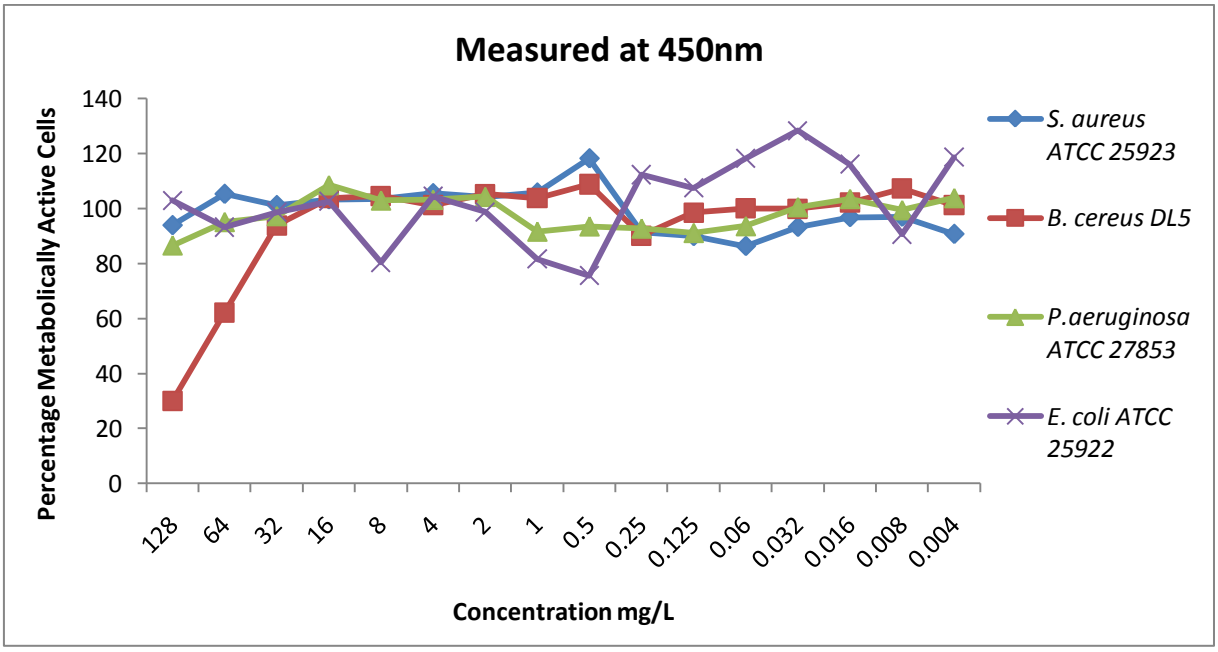
Graph 11 Standard curve for 5'-*O*-(*tert*-butyldiphenylsilyl)uridine **107**



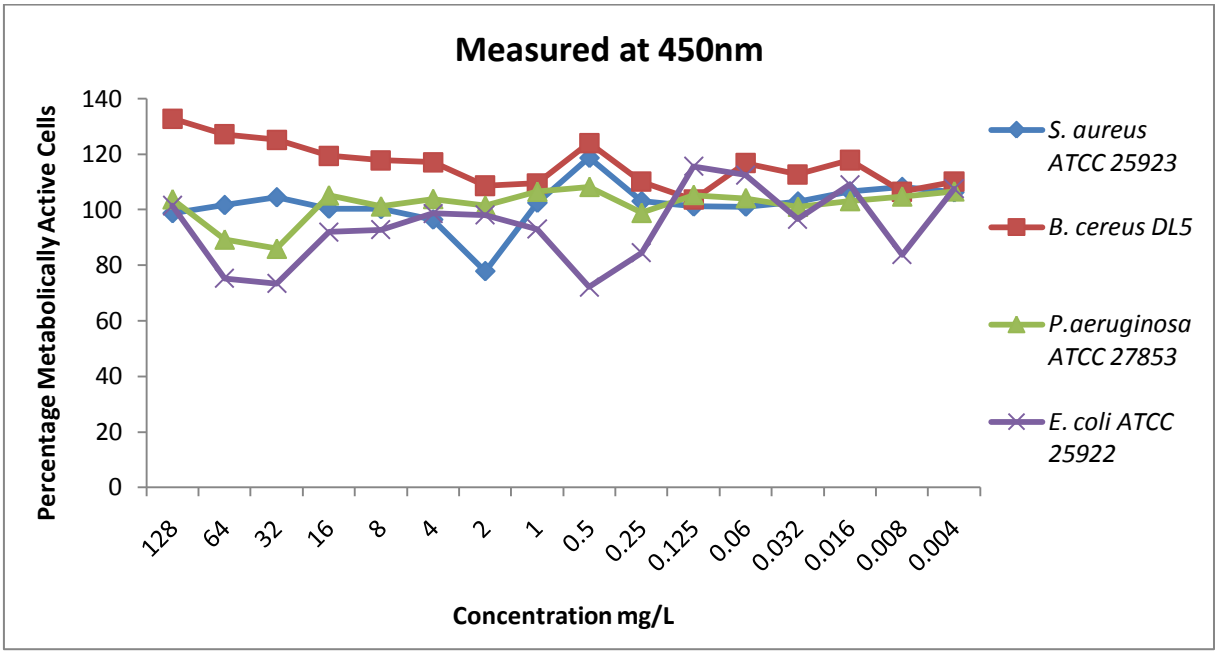
Graph 12 Standard curve for 2',3'-*O*-diacetyl-5'-*O*-(*tert*-butyldiphenylsilyl)uridine **123**



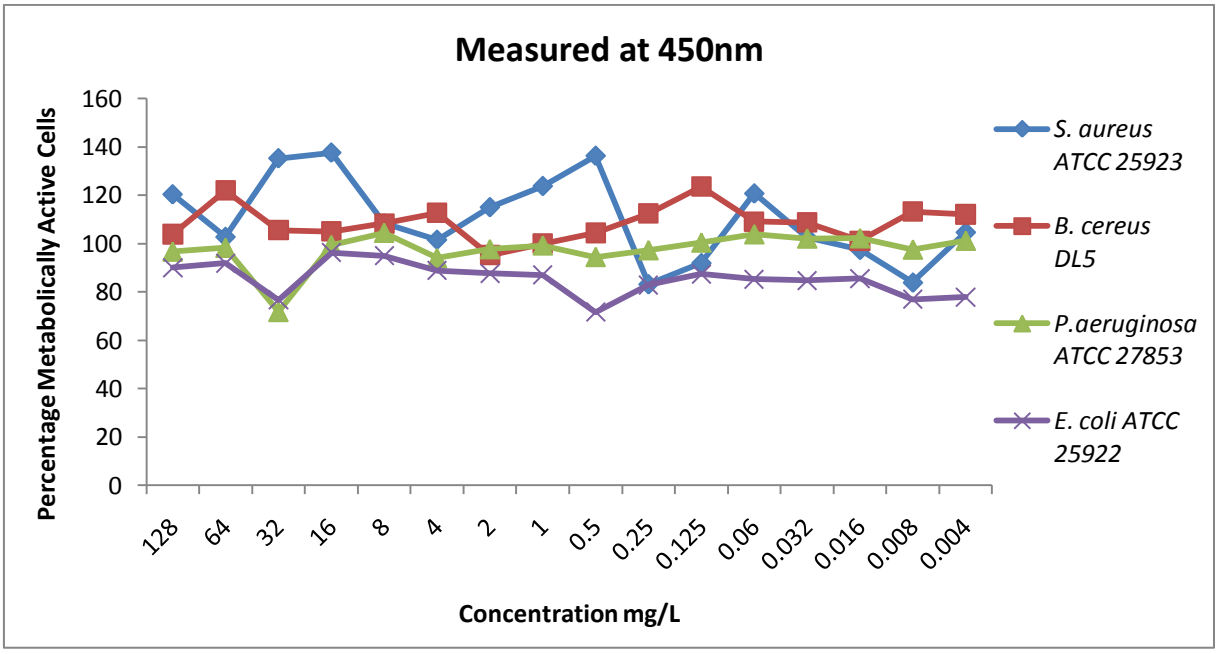
Graph 13 Standard curve for 3-*N*-benzoyl-2',3'-*O*-diacetyl-5'-*O*-(*tert*-butyldiphenyl-silyl)uridine **124**



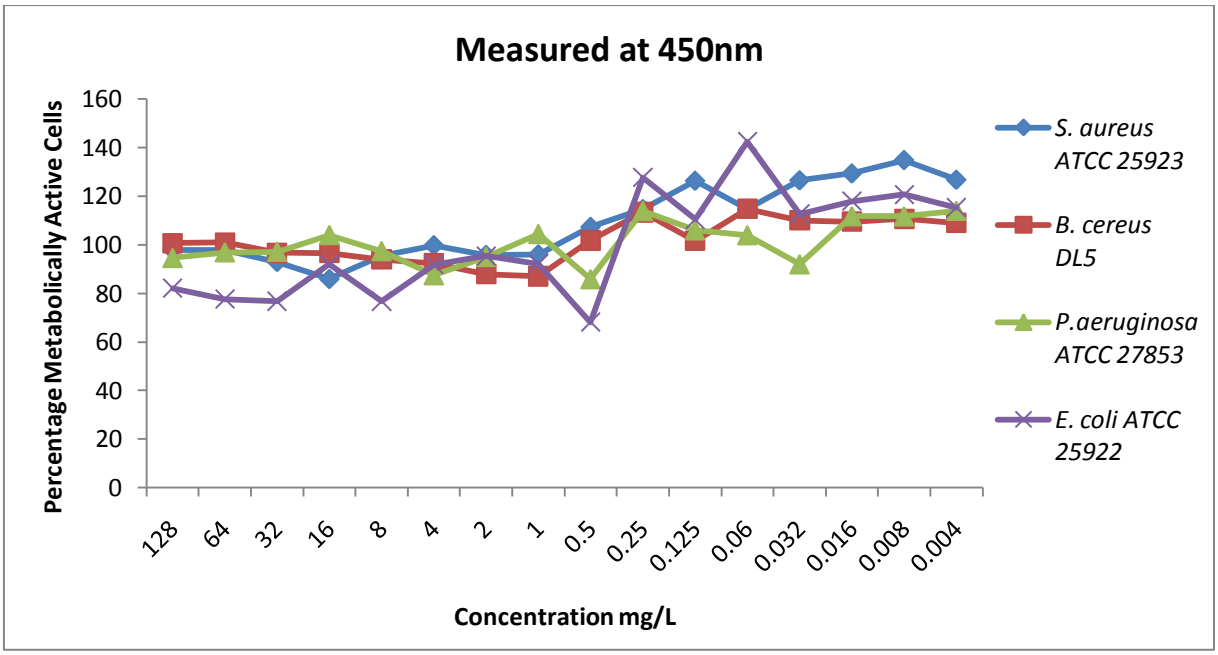
Graph 14 Standard curve for 5'-*O*-(4,4'-dimethoxytrityl)uridine **126**



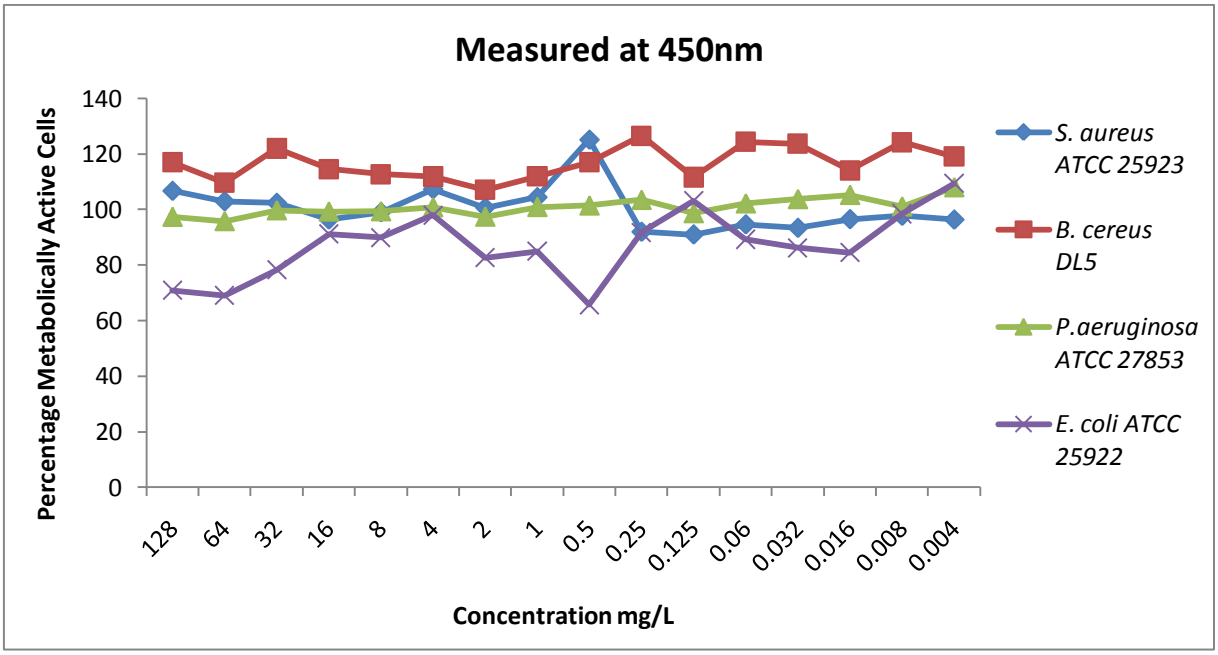
Graph 15 Standard curve for 2',3'-*O*-dicacetyl-5'-*O*-(4,4'-dimethoxytrityl)uridine **127**



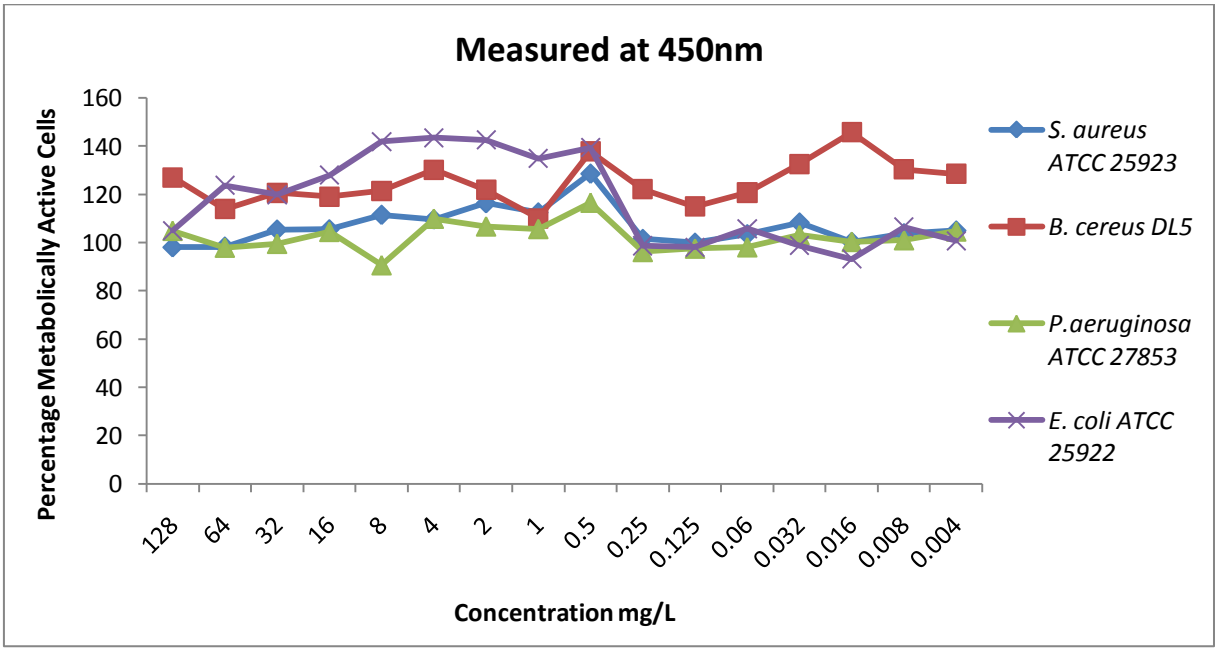
Graph 16 Standard curve for 3-*N*-benzoyl-2',3'-*O*-dicacetyl-5'-*O*-(4,4'-dimethoxy-trityl)uridine **128**



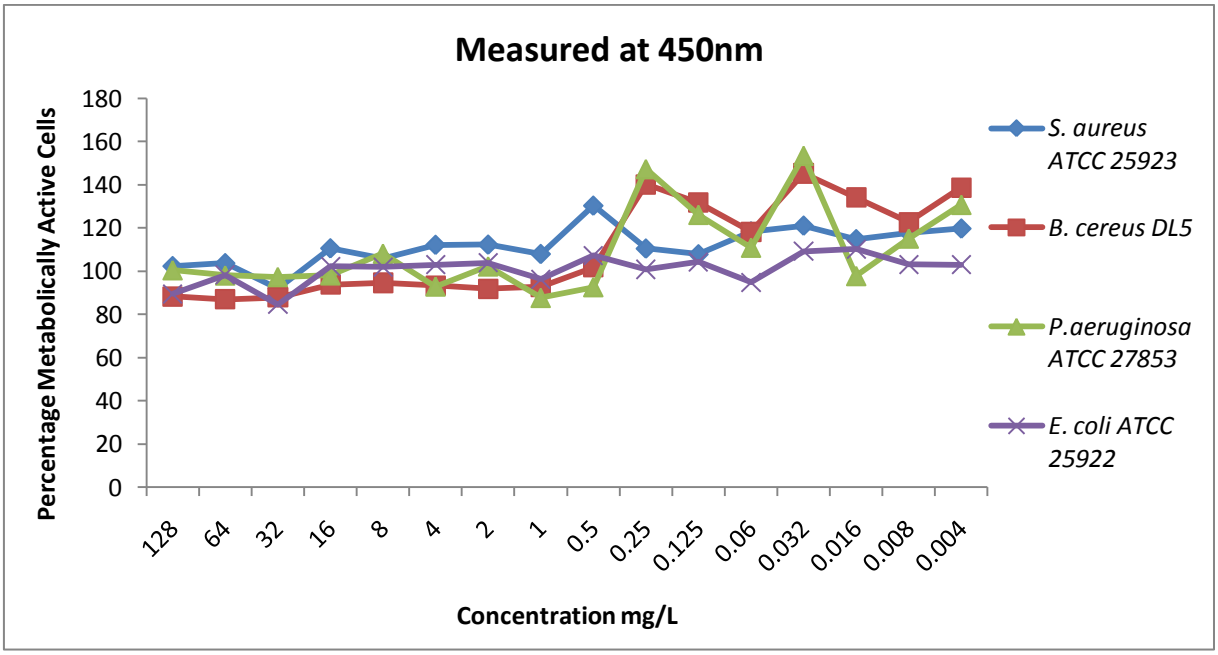
Graph 17 Standard curve for 2',3',5'-*O*-triacetyluridine **130**



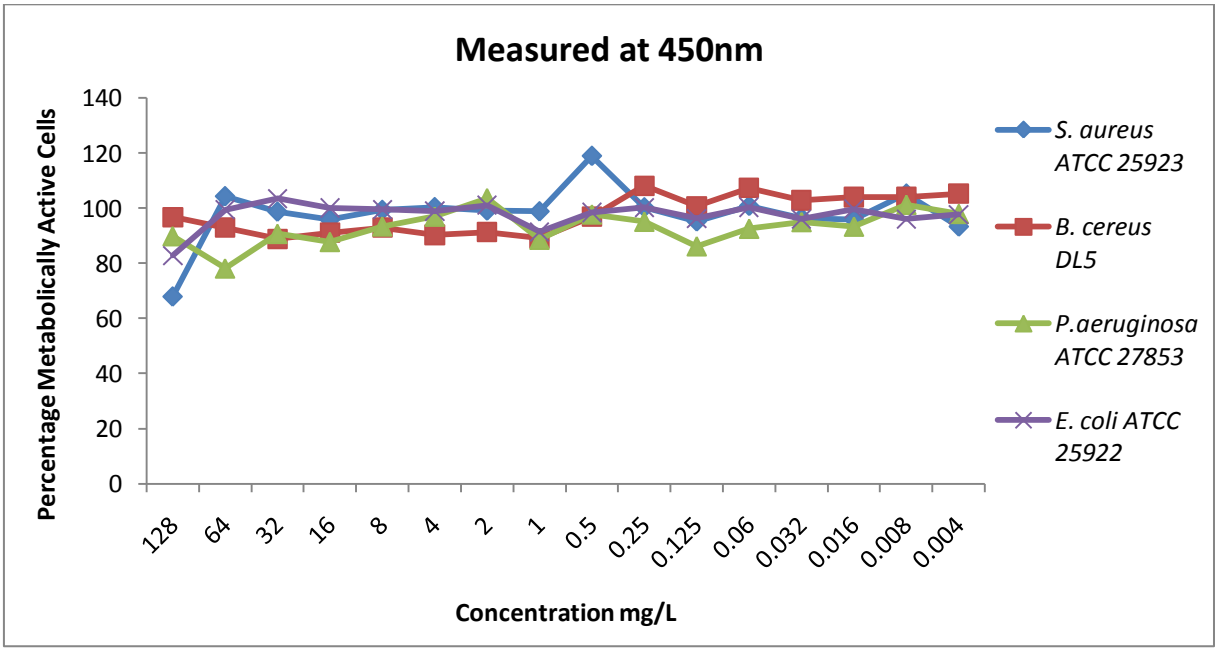
Graph 18 Standard curve for 3-*N*-benzoyl-2',3',5'-*O*-triacetyluridine **131**



Graph 19 Standard curve for cytidine **11**

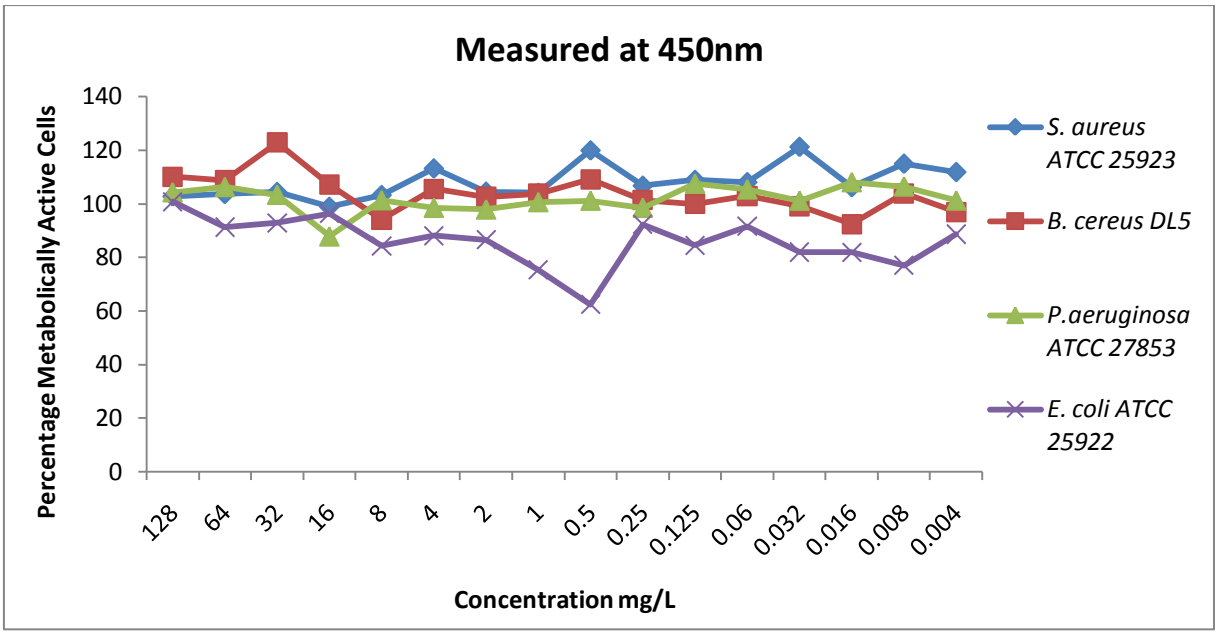


Graph 20 Standard curve for 4-*N*-benzoylcytidine **133**

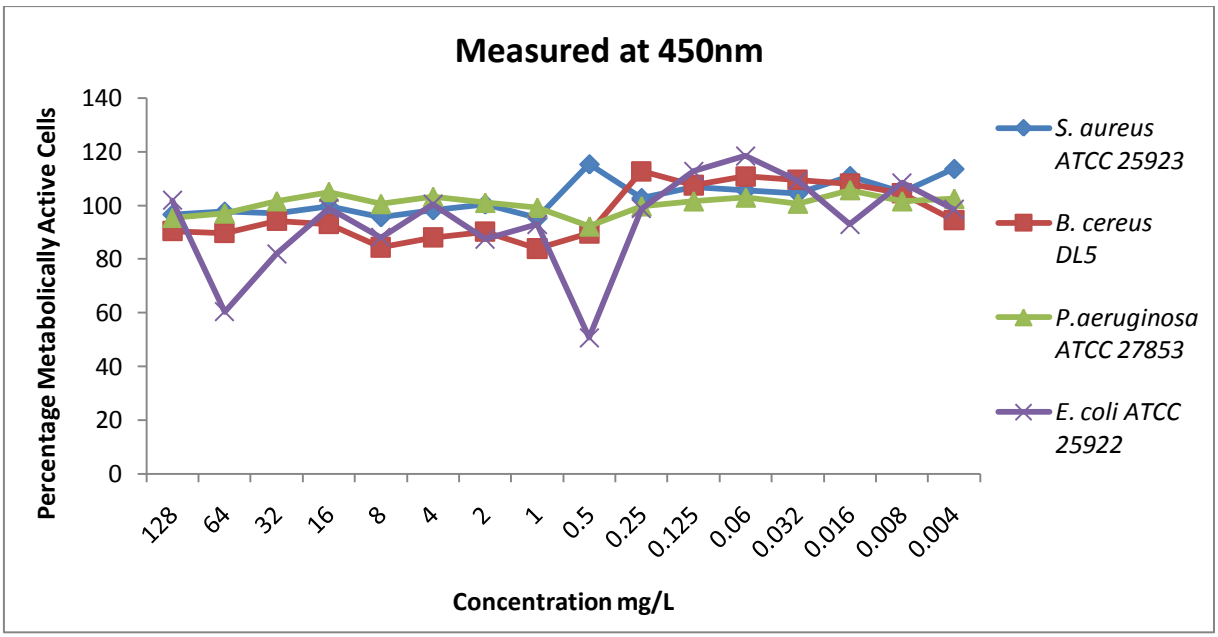


Graph 21 Standard curve for 5'-*O*-(*tert*-butyldiphenylsilyl)cytidine **134**

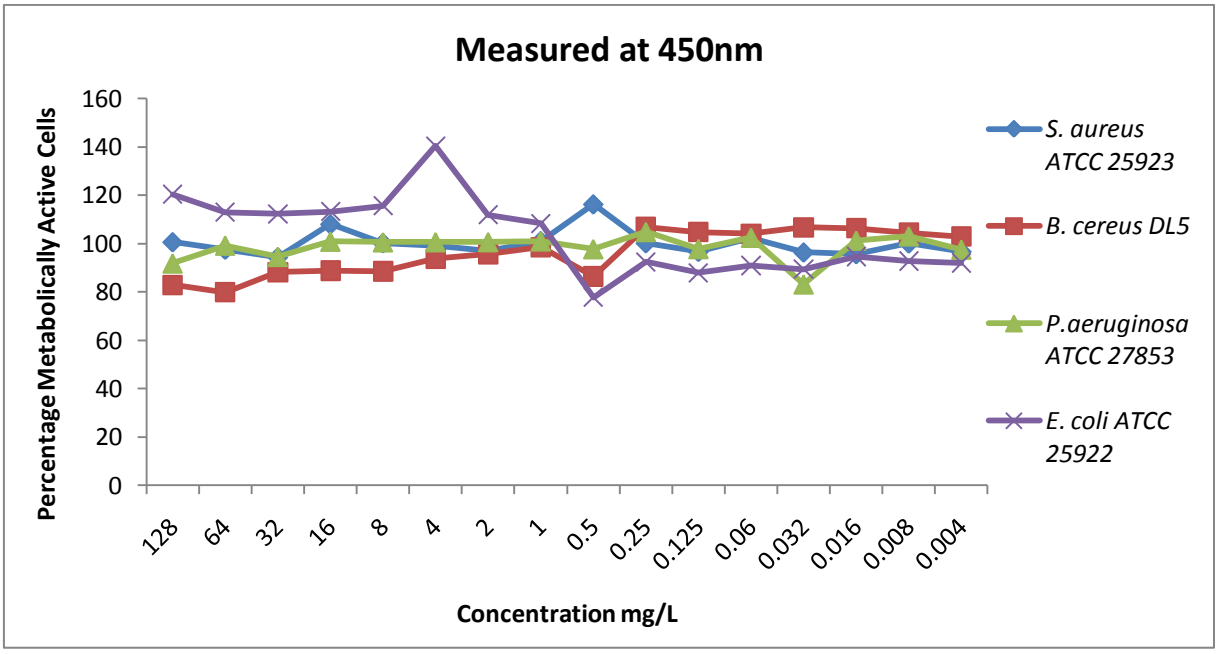
- ***Purine Based Nucleoside Derivatives***



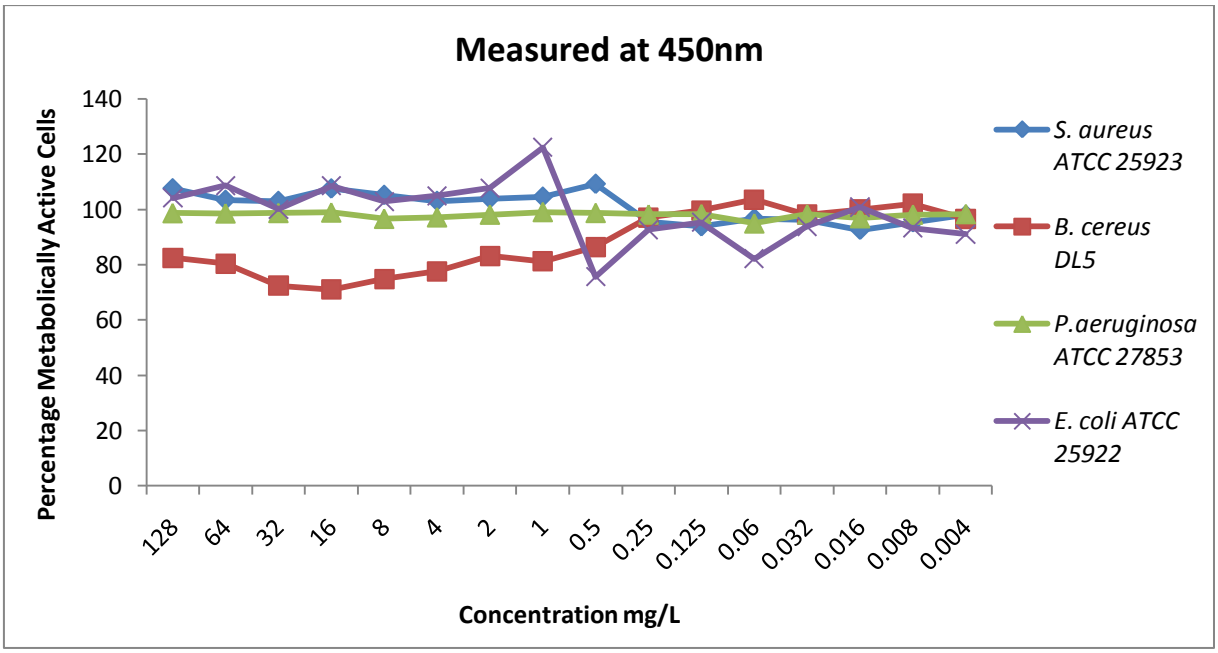
Graph 22 Standard curve for guanosine **9**



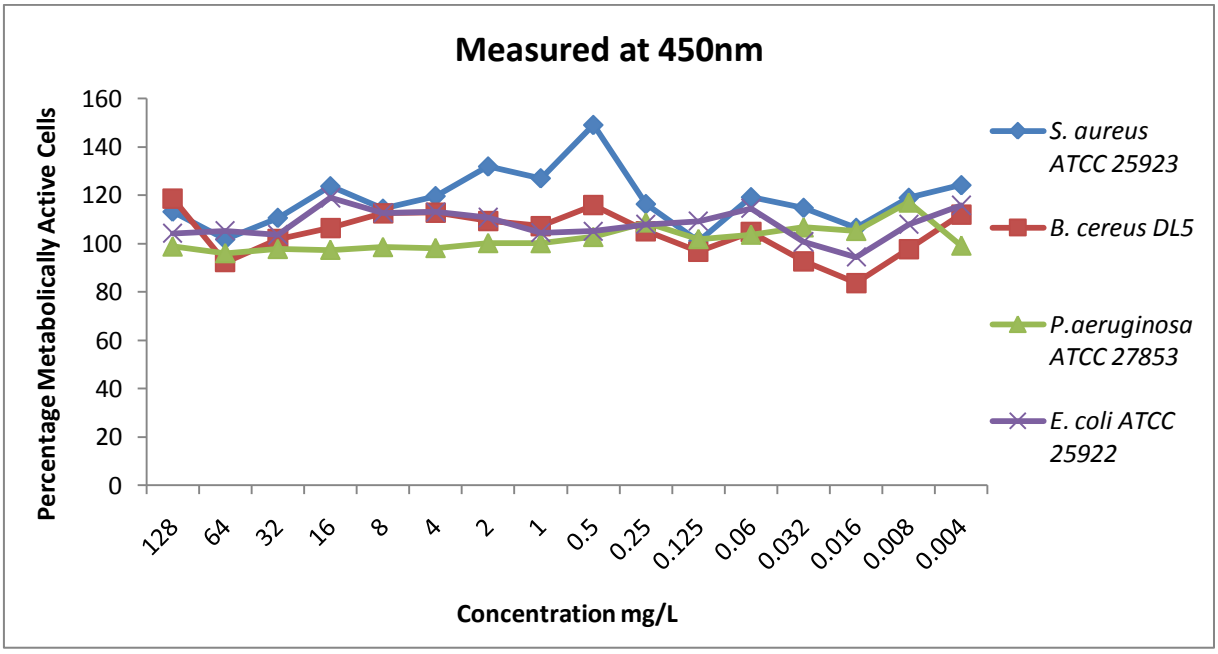
Graph 23 Standard curve for 6-*N*-(*N*,*N*-dimethylmethylimine)guanosine **112**



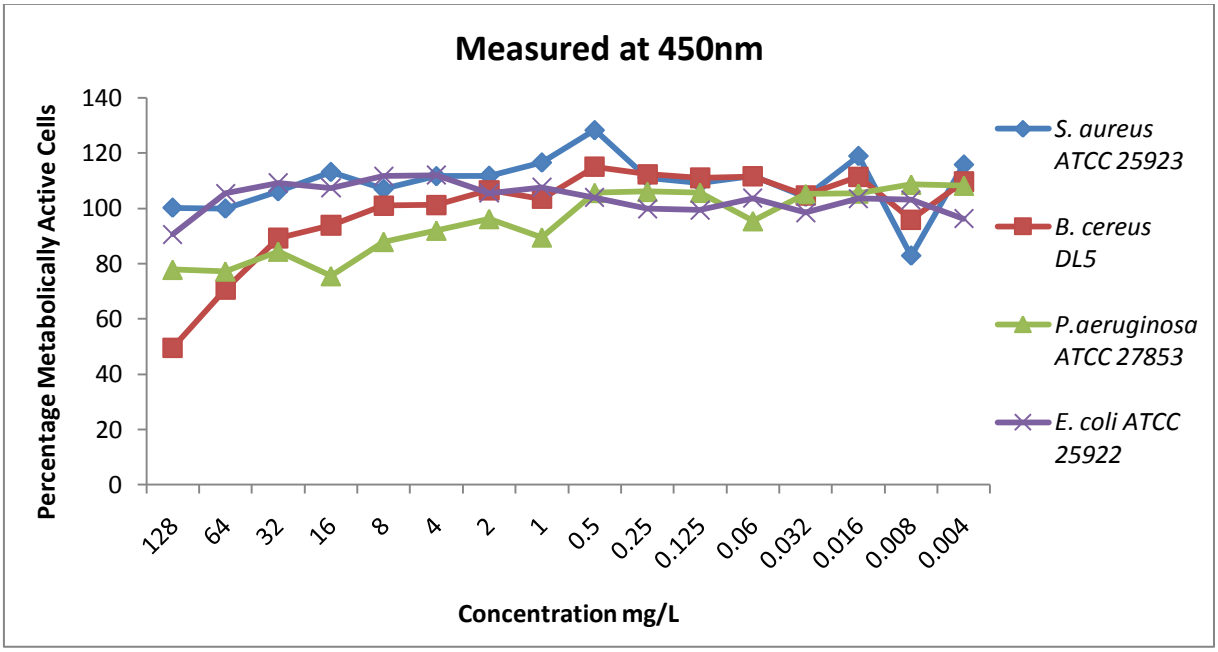
Graph 24 Standard curve for 5'-*O*-(4,4'-dimethoxytrityl)-6-*N*-(*N*,*N*-dimethyl-methylimine)-guanosine **113**



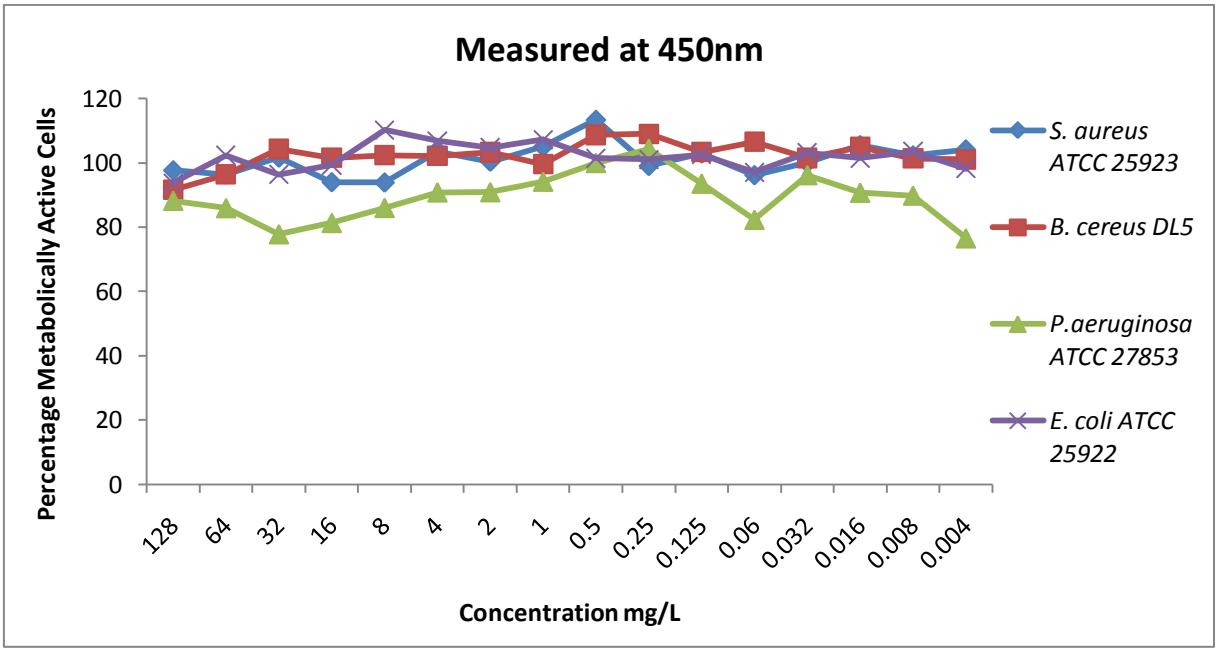
Graph 25 Standard curve for 2',3'-*O*-diallyl-5'-*O*-(4,4'-dimethoxytrityl)-6-*N*-(*N*,*N*-dimethyl-methylimine)guanosine **114**



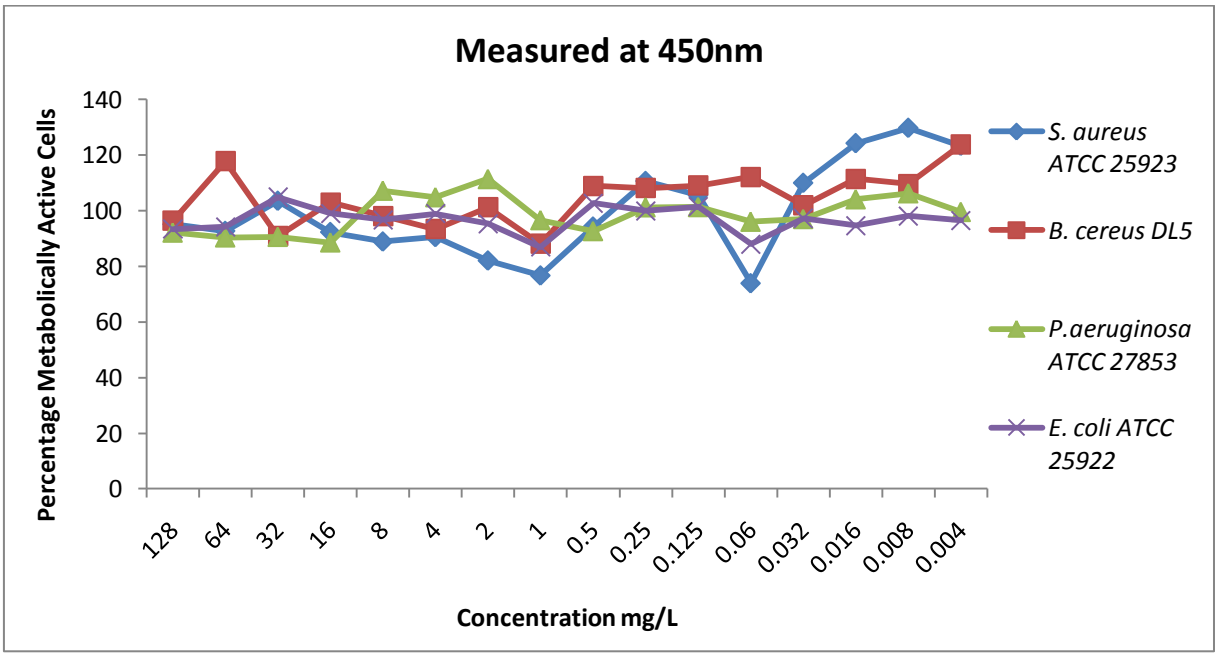
Graph 26 Standard curve for inosine **116**



Graph 27 Standard curve for 5'-*O*-(4,4'-dimethoxytrityl)inosine **117**

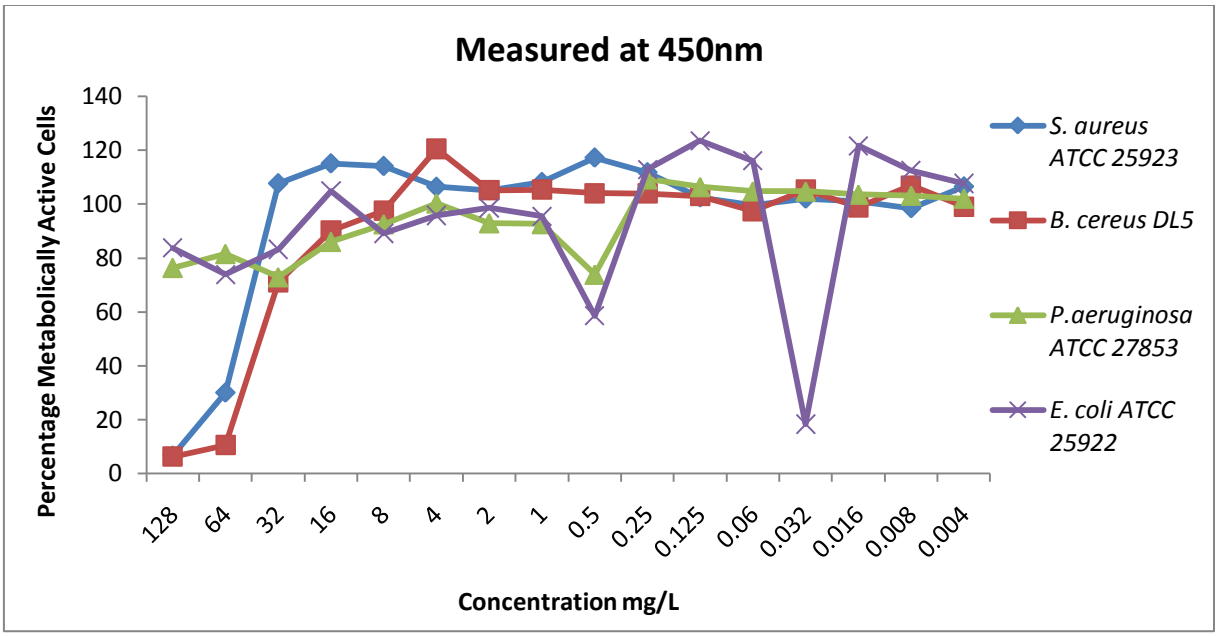


Graph 28 Standard curve for adenosine **8**

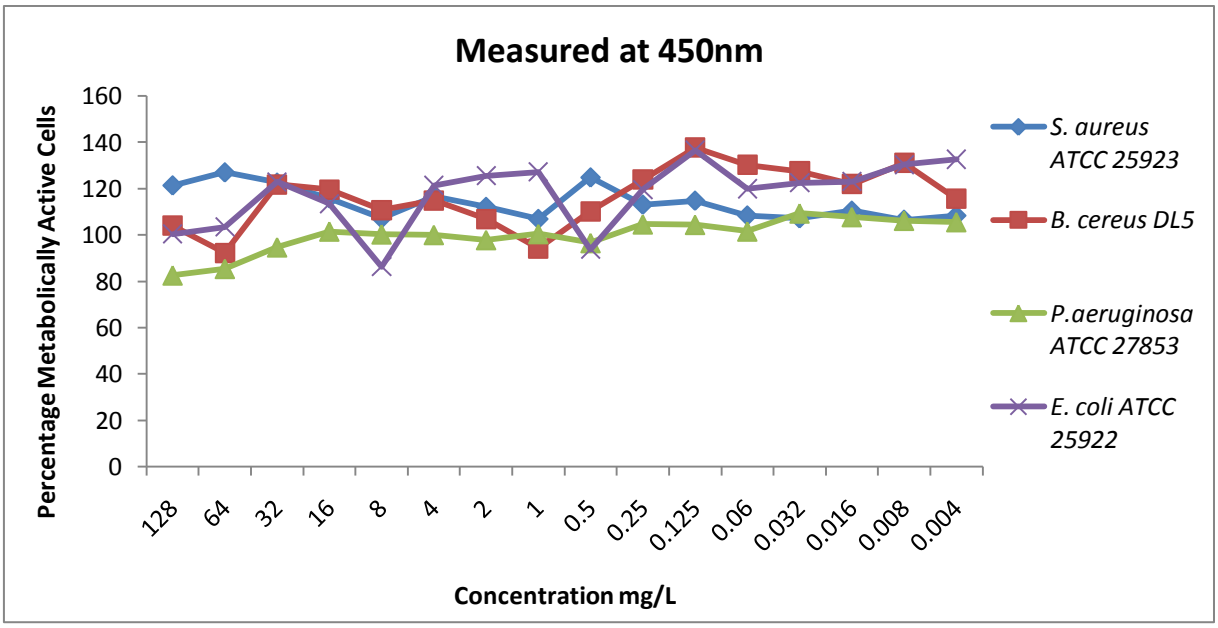


Graph 29 Standard curve for 5'-O-(*tert*-butyldiphenylsilyl)adenosine **142**

- ***Protecting Group Derivatives***



Graph 30 Standard curve for *tert*-butyldiphenylsilanol **145**



Graph 31 Standard curve for 4,4'-dimethoxytrityl alcohol **147**

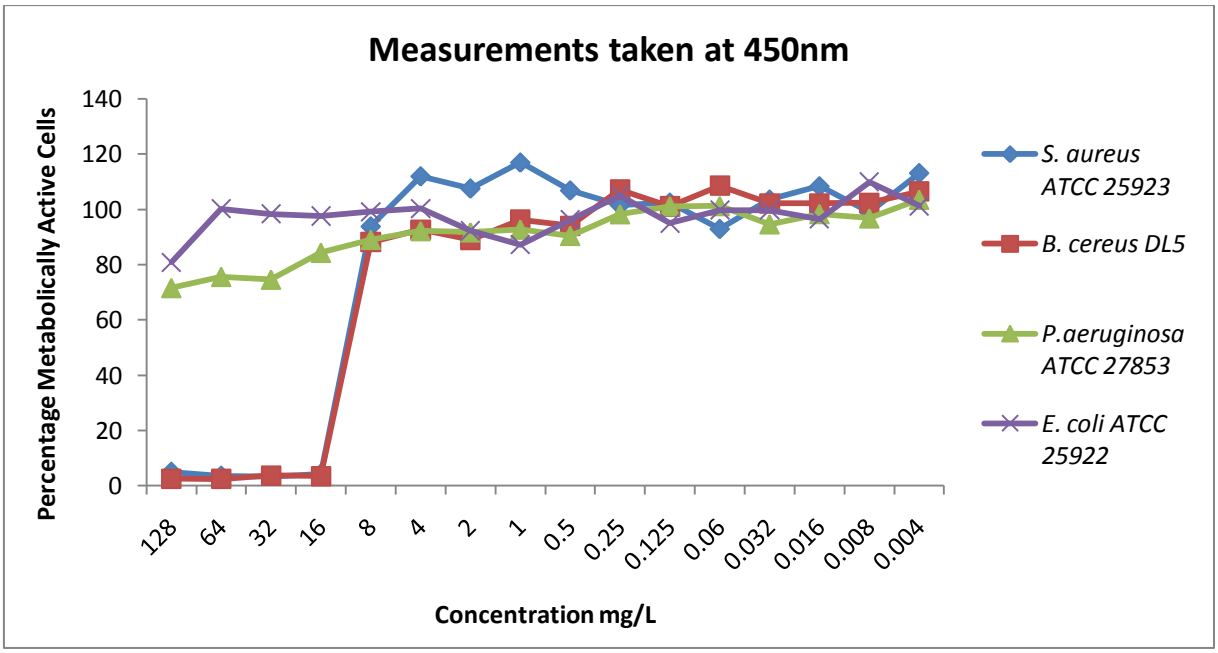
Supporting Information from TTC Assay for Anti-Bacterial Activity –

"Second Generation Compounds"

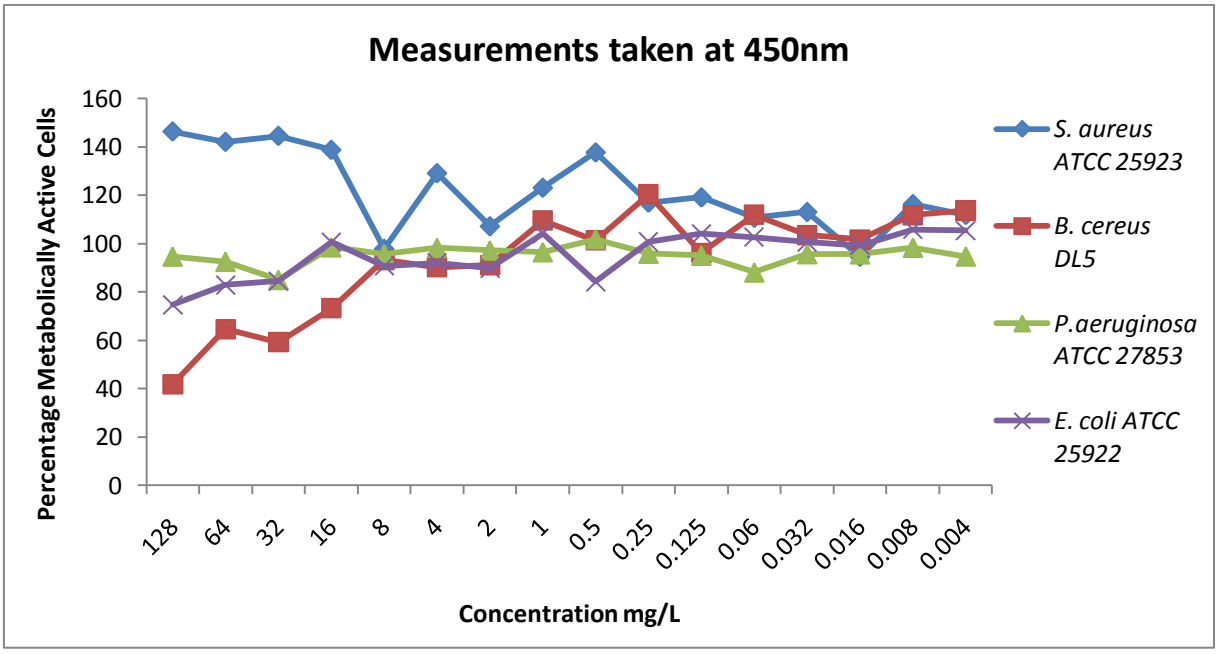
All spectrophotometric data used for preparing the graphs are uncorrected and represent each data point as an average of four readings. Four bacterial strains were used in the assay: *Staphylococcus aureus* strain ATCC 25923, *Bascillus cereus* strain DL5, *Pseudomonas aeruginosa* strain ATCC 27853 and *Escherichia coli* strain ATCC 25922.

- ***Uridine Derivatives***

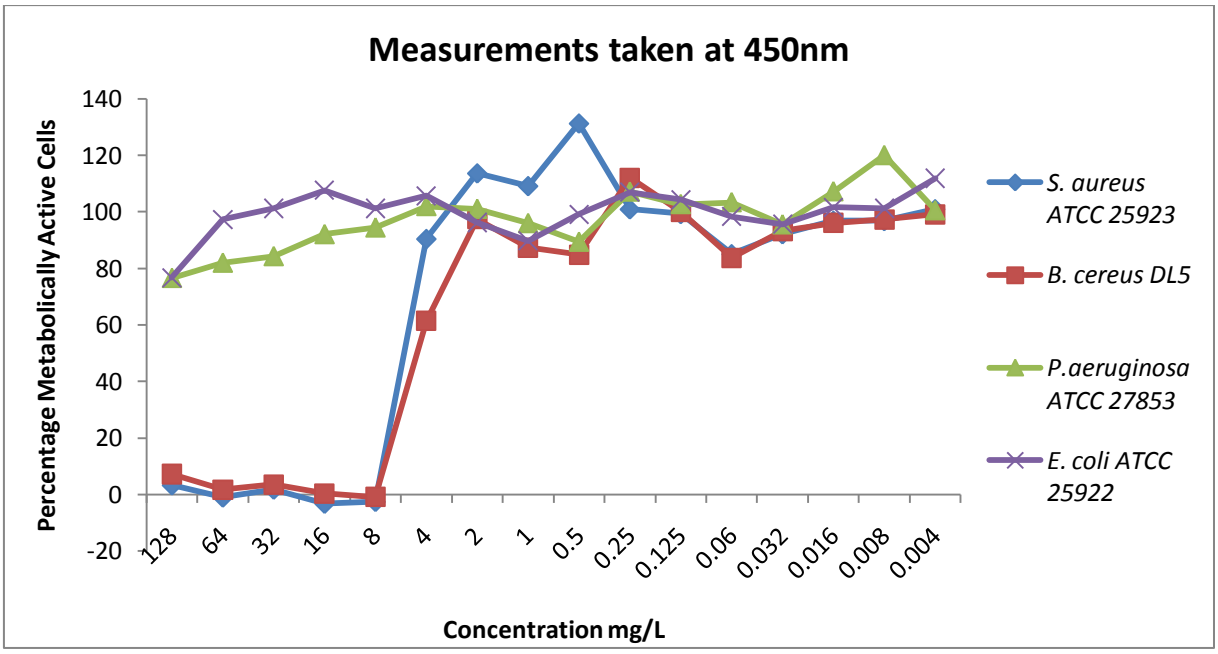
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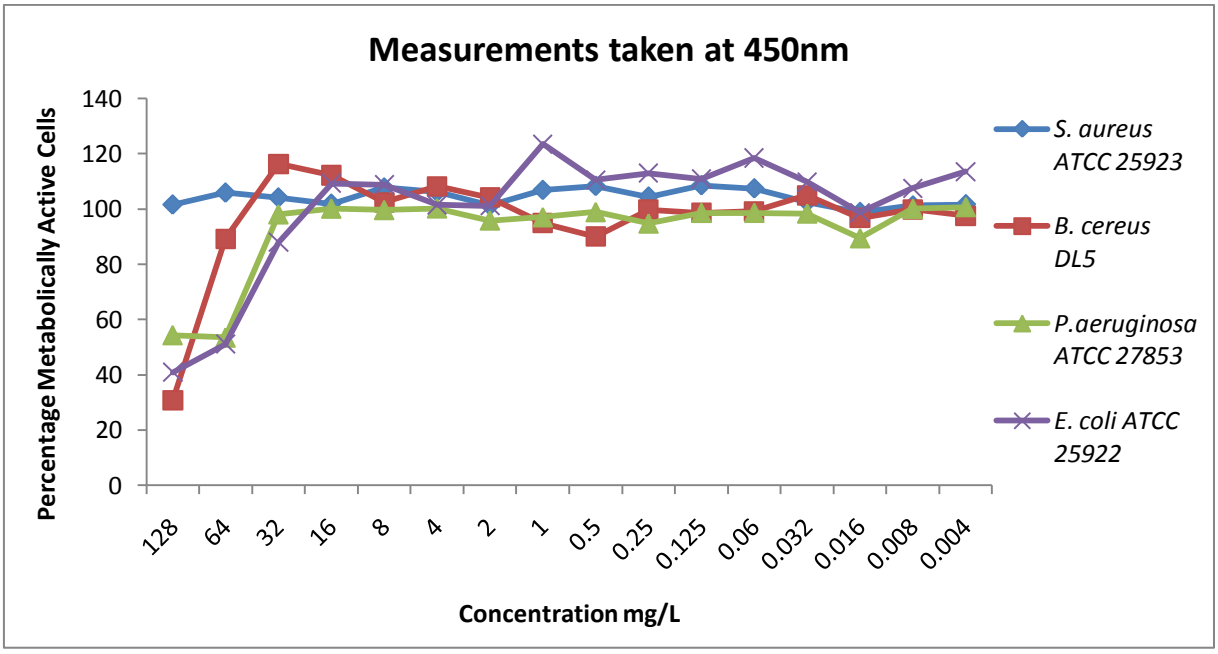
Graph 32 Standard curve for 1-[(6a*R*,8*R*,9*R*,9a*S*)-9-hydroxy-2,2,4,4-tetraisopropyltetrahydro-6*H*-furo[3,2-*f*][1,3,5,2,4]trioxadisilocin-8-yl]pyrimidine-2,4(1*H*,3*H*)-dione **[234]**



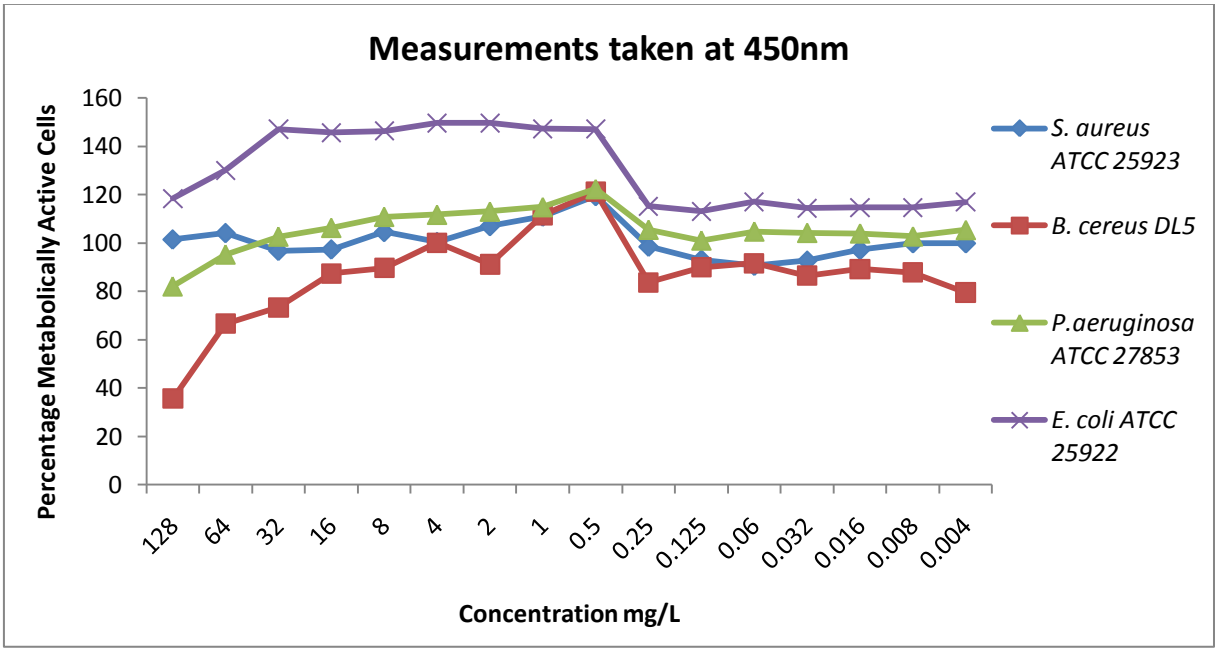
Graph 33 Standard curve 3-benzoyl-1-[(6a*R*,8*R*,9*R*,9a*S*)-9-hydroxy-2,2,4,4-tetraiso-propyl-tetrahydro-6*H*-furo[3,2-*f*][1,3,5,2,4]trioxadisilocin-8-yl]pyrimidine-2,4(1*H*,3*H*)-dione **[235]**



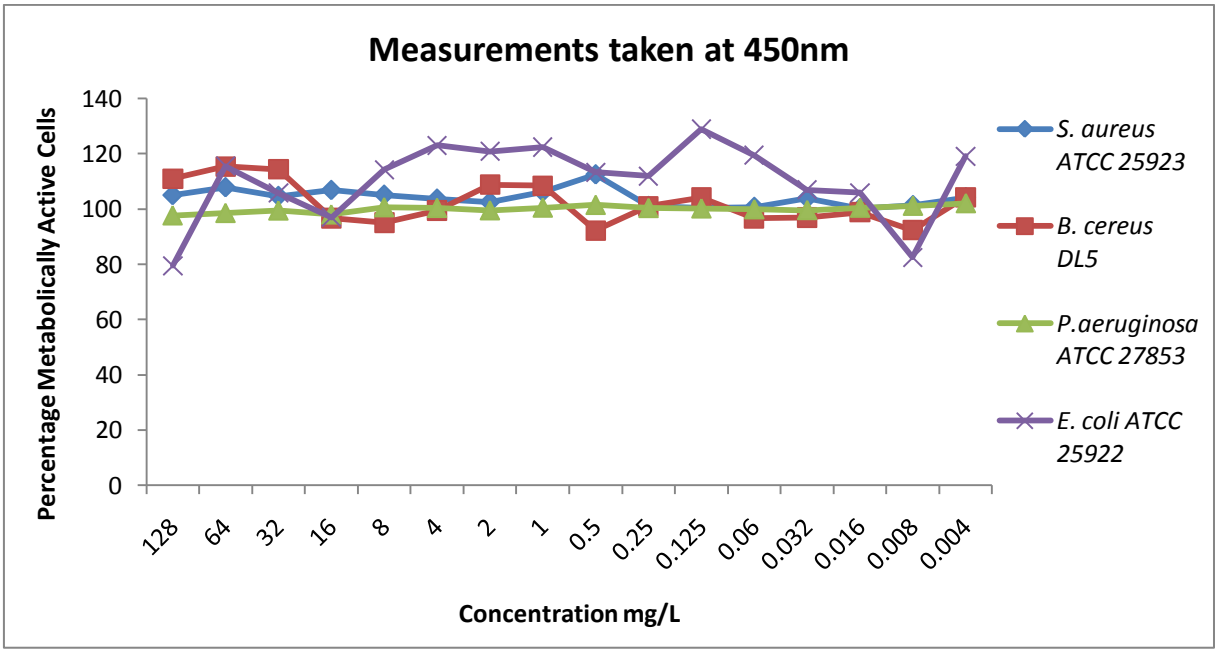
Graph 34 Standard curve for 1-[(6a*R*,8*R*,9a*R*)-2,2,4,4-tetraisopropyl-9-oxotetrahydro-6*H*-furo[3,2-*f*][1,3,5,2,4]trioxadisilocin-8-yl]pyrimidine-2,4(1*H*,3*H*)-dione **[239]**



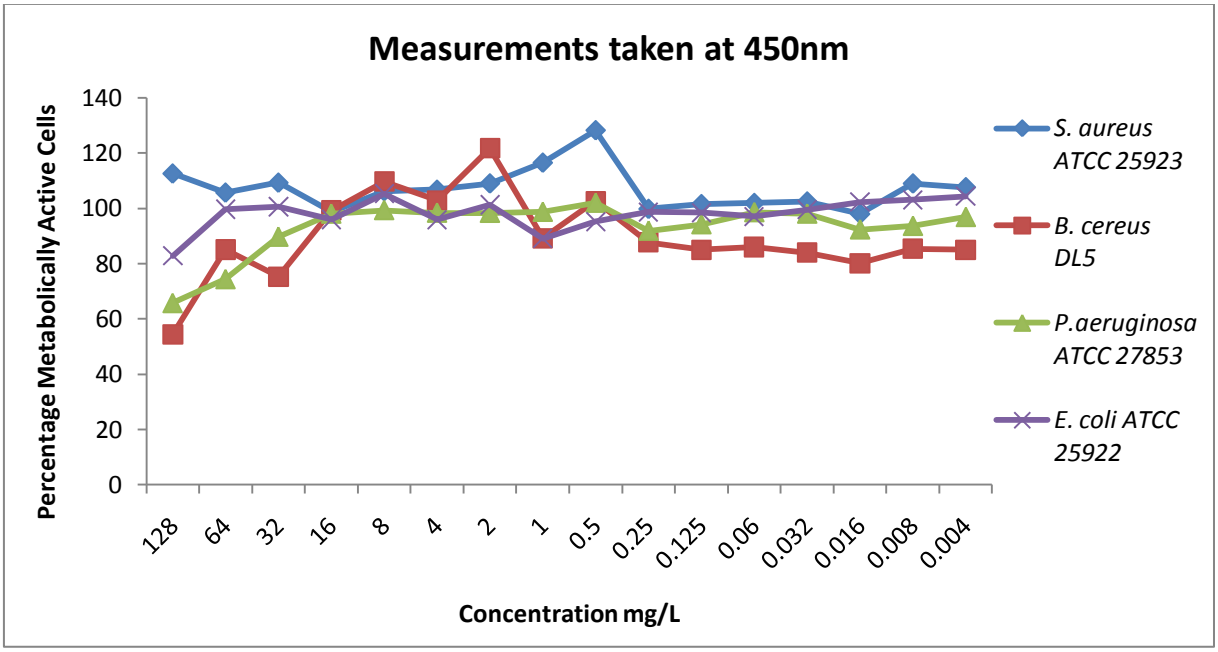
Graph 35 Standard curve for 5'-*O*-(triisopropylsilyl)uridine **246**



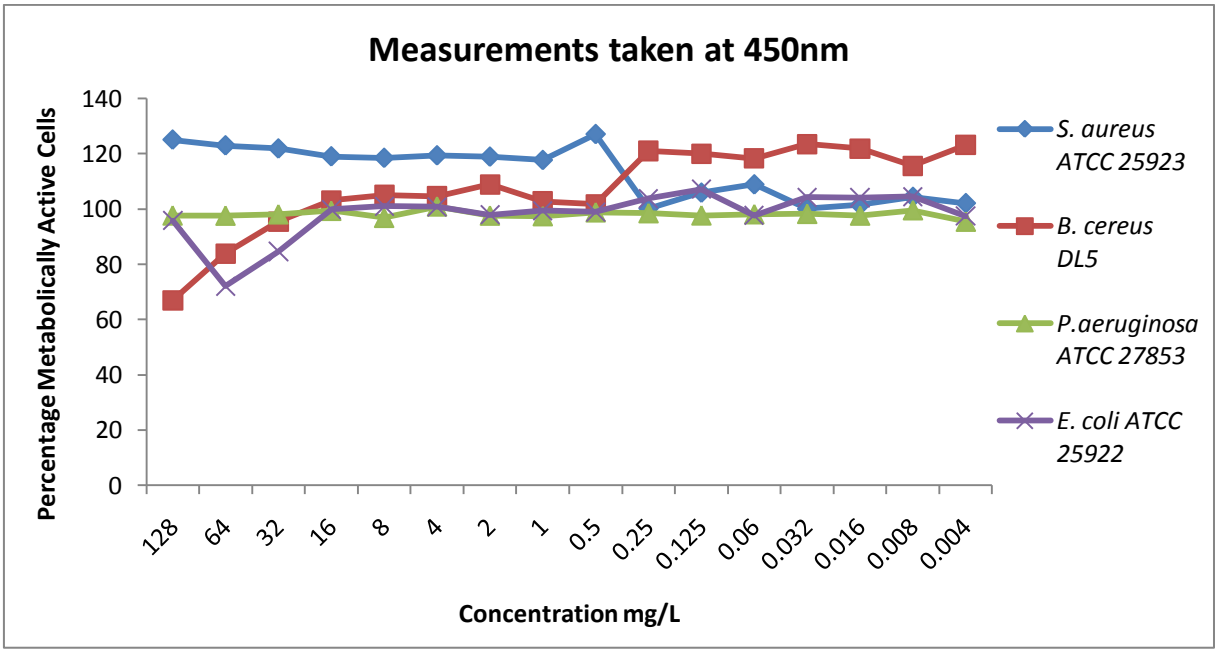
Graph 36 Standard curve for 5'-*O*-(*tert*-butyldimethylsilyl)uridine **229**



Graph 37 Standard curve for 5'-*O*-(triisobutylsilyl)uridine **247**

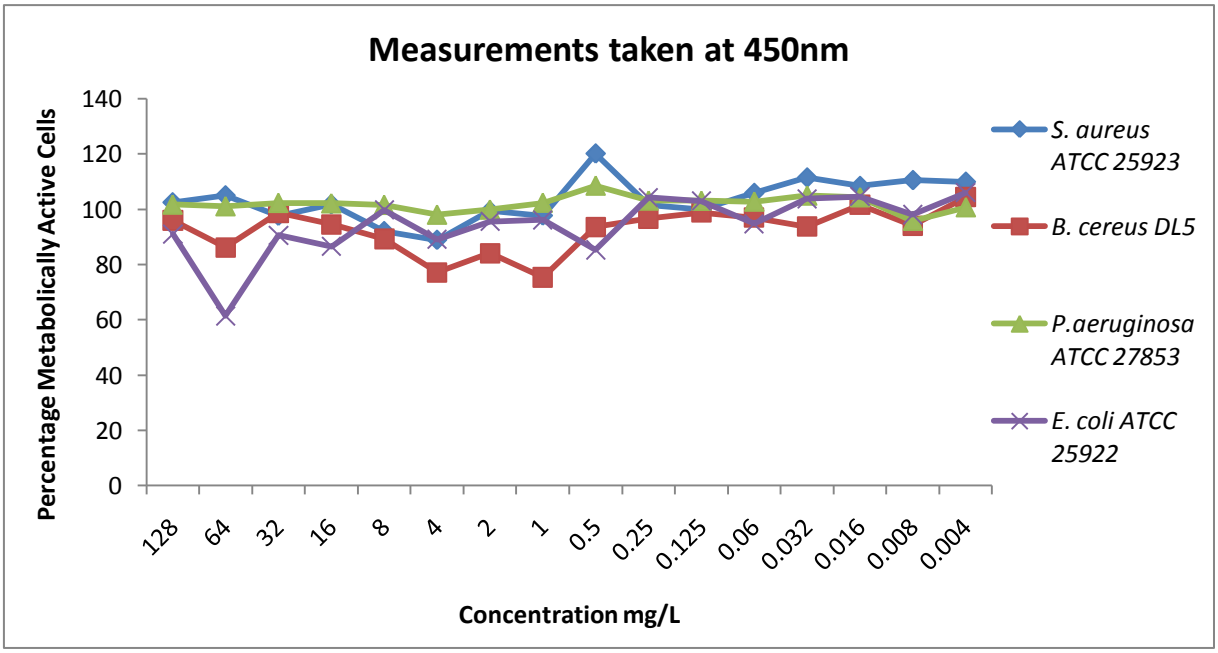


Graph 38 Standard curve for 5'-*O*-(dimethylthexylsilyl)uridine **248**

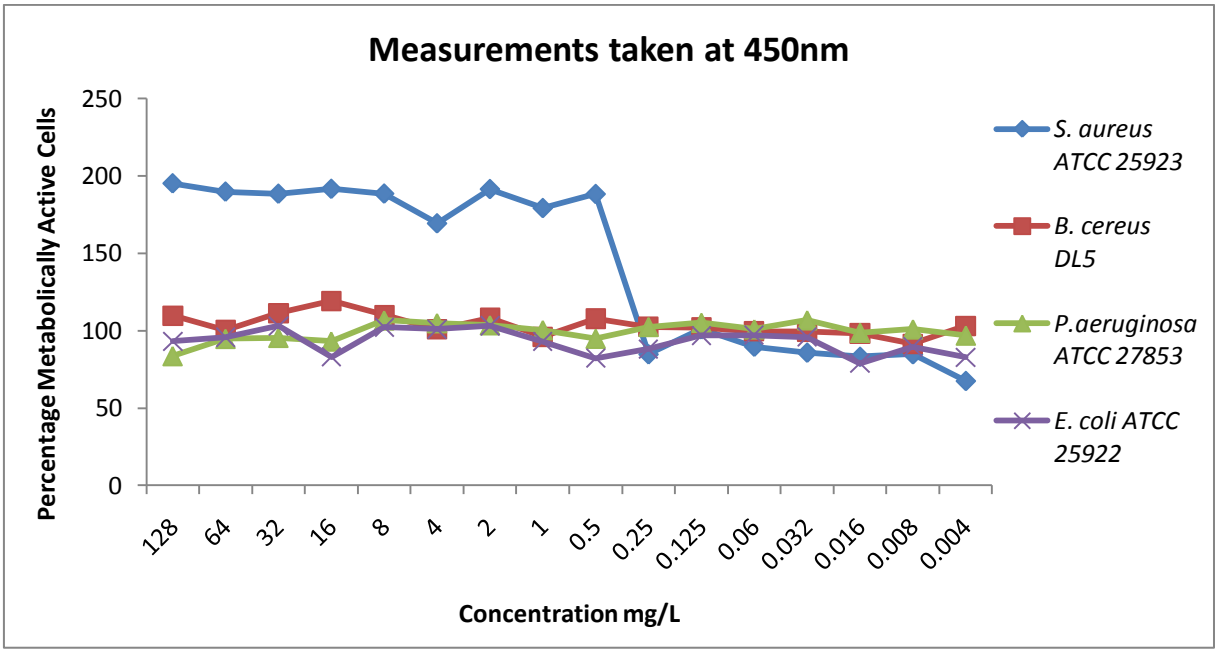


Graph 39 Standard curve for 5'-*O*-(trihexylsilyl)uridine **249**

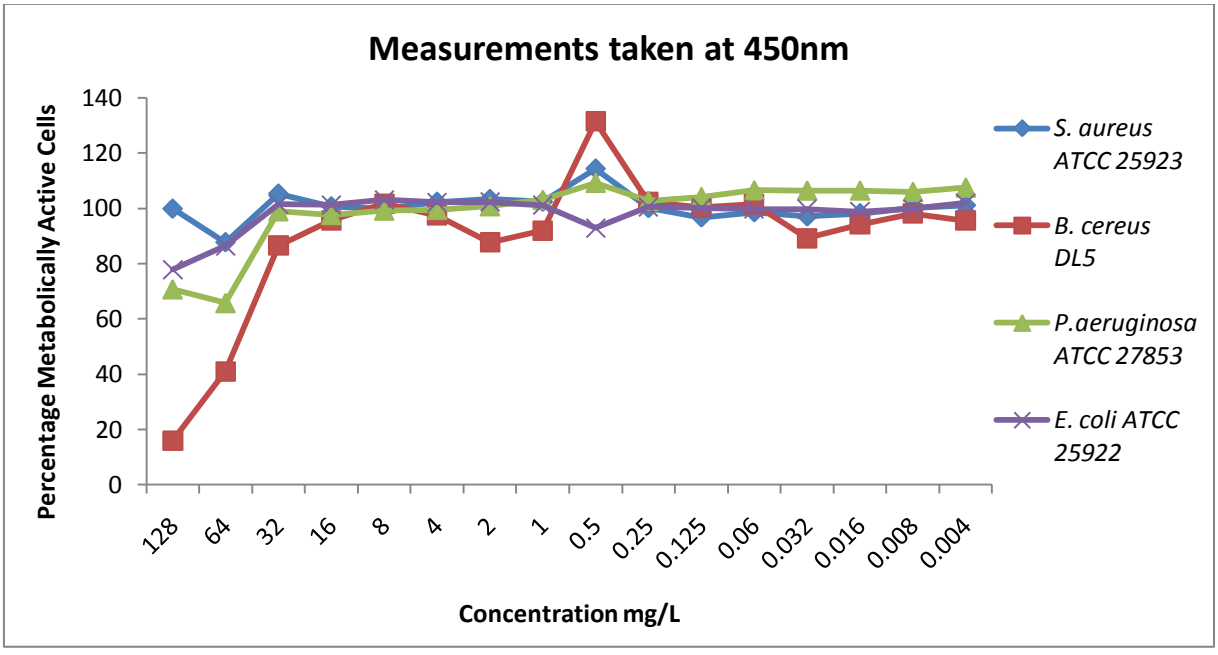
- ***5-Methyluridine Derivatives***



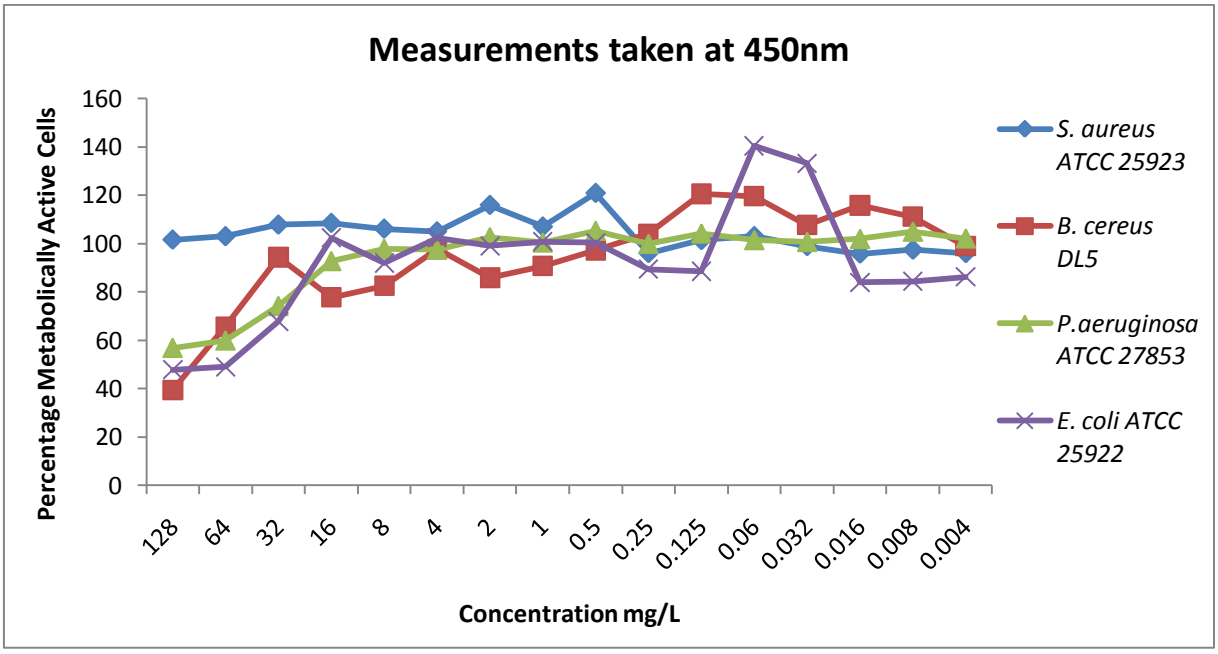
Graph 40 Standard curve for 5-methyluridine **233**



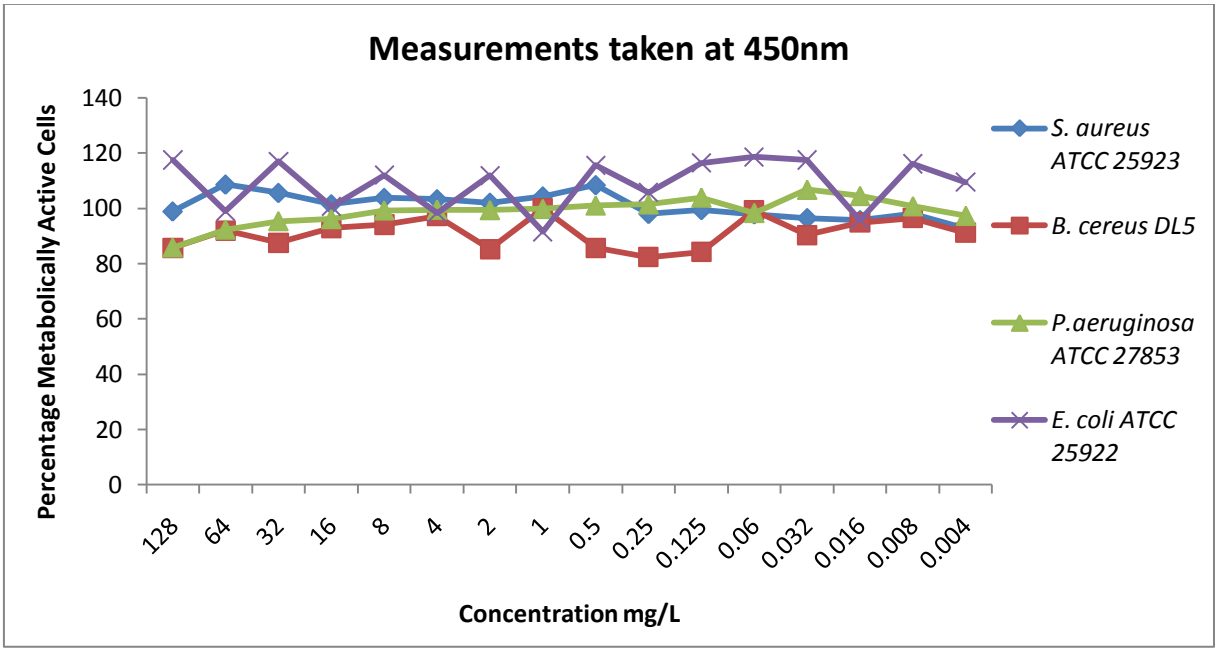
Graph 41 Standard curve for 5'-*O*-(tripropylsilyl)-5-methyluridine **250**



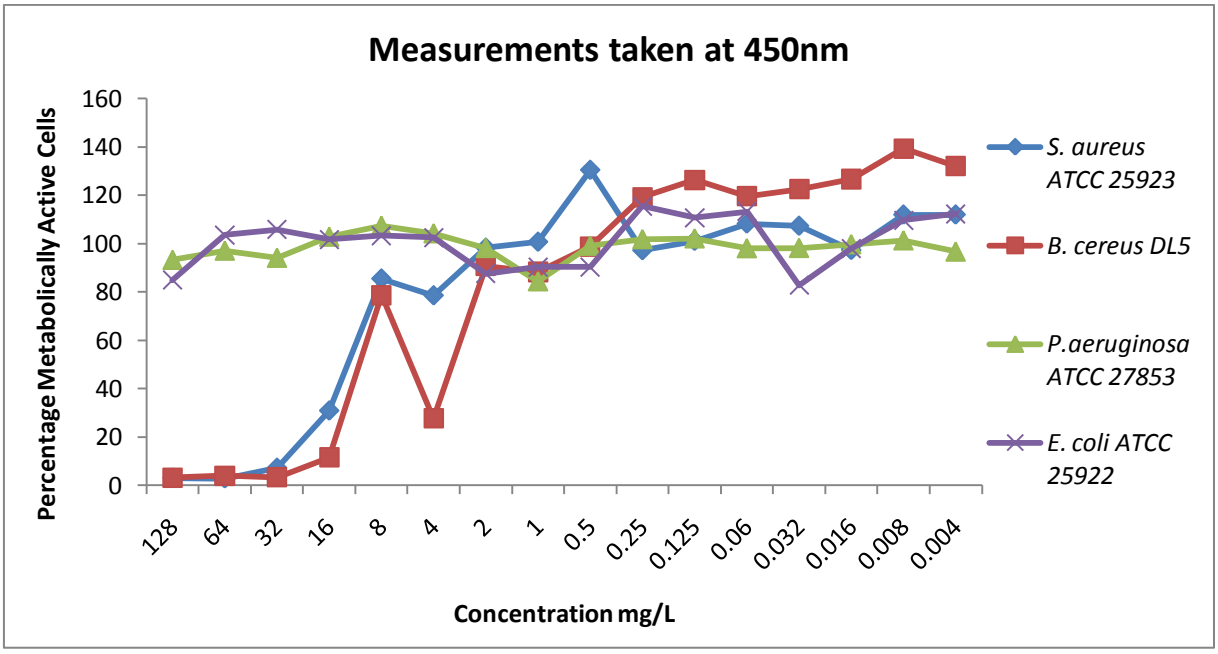
Graph 42 Standard curve for 5'-*O*-(*tert*-butyldimethylsilyl)-5-methyluridine **251**



Graph 43 Standard curve for 5'-*O*-(dimethylthexylsilyl)-5-methyluridine **252**

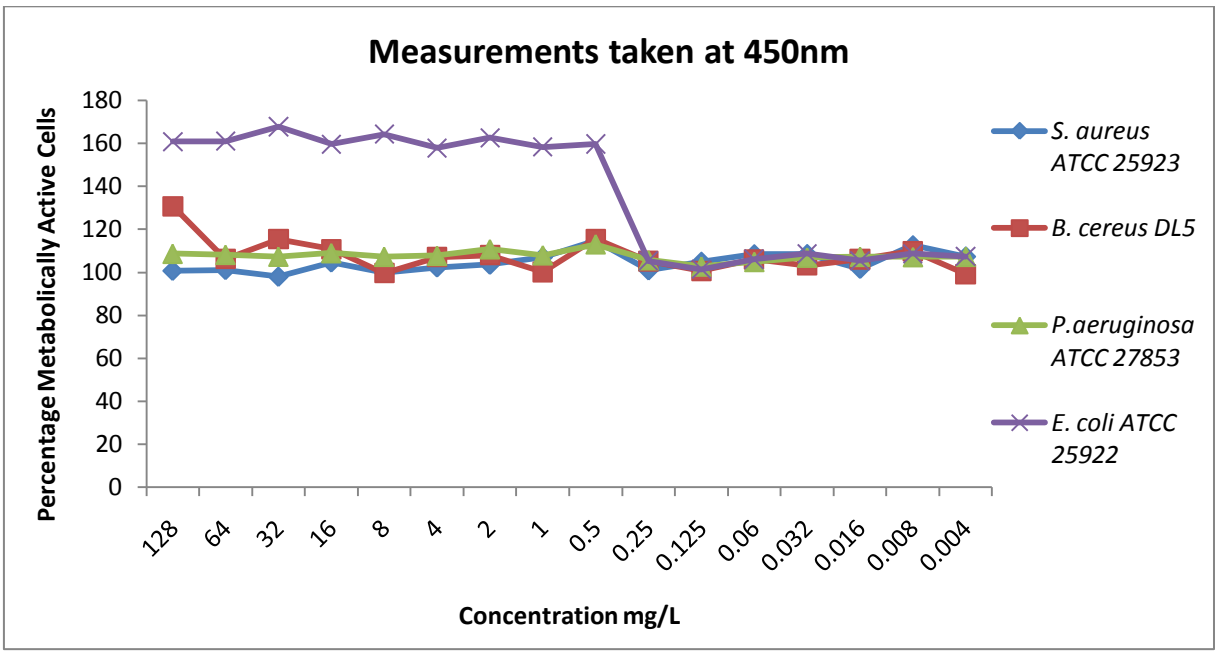


Graph 44 Standard curve for 5'-*O*-(*tert*-butyldiphenylsilyl)-5-methyluridine **253**

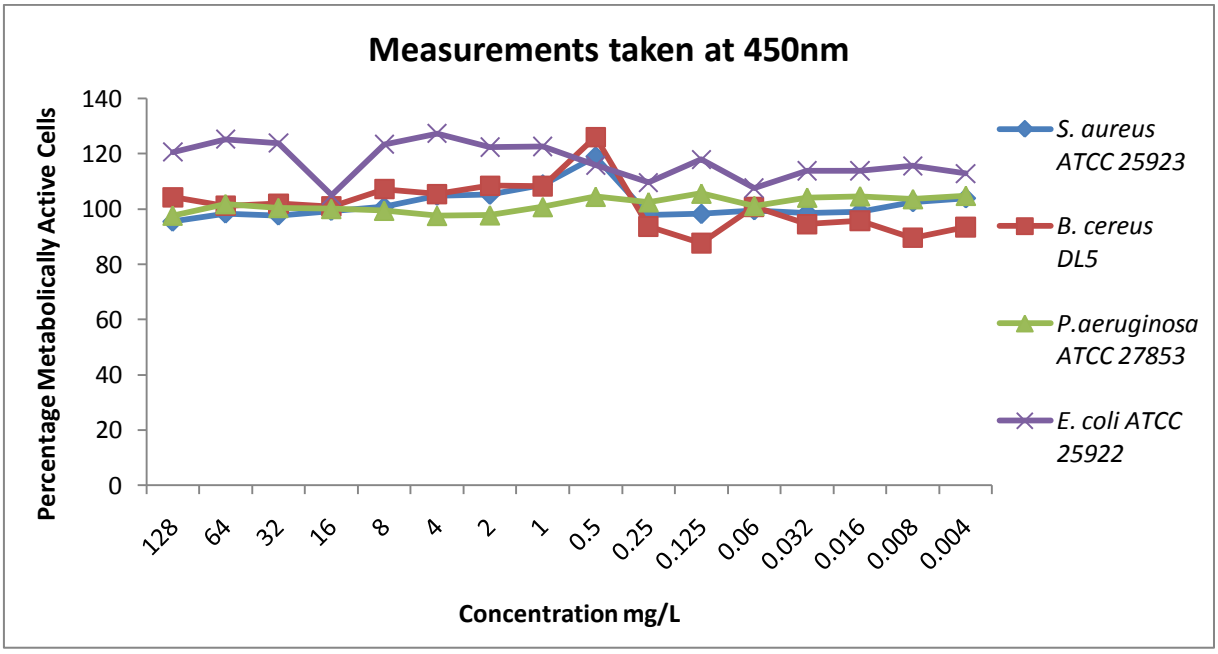


Graph 45 Standard curve 1-[(6a*R*,8*R*,9*S*,9a*R*)-tetrahydro-9-hydroxy-2,2,4,4-tetraisopro-pyl-6*H*-furo[3,2-f][1,3,5,2,4]trioxadisilocin-8-yl](5-methylpyrimidine)-2,4(1*H*,3*H*)-dione **[254]**

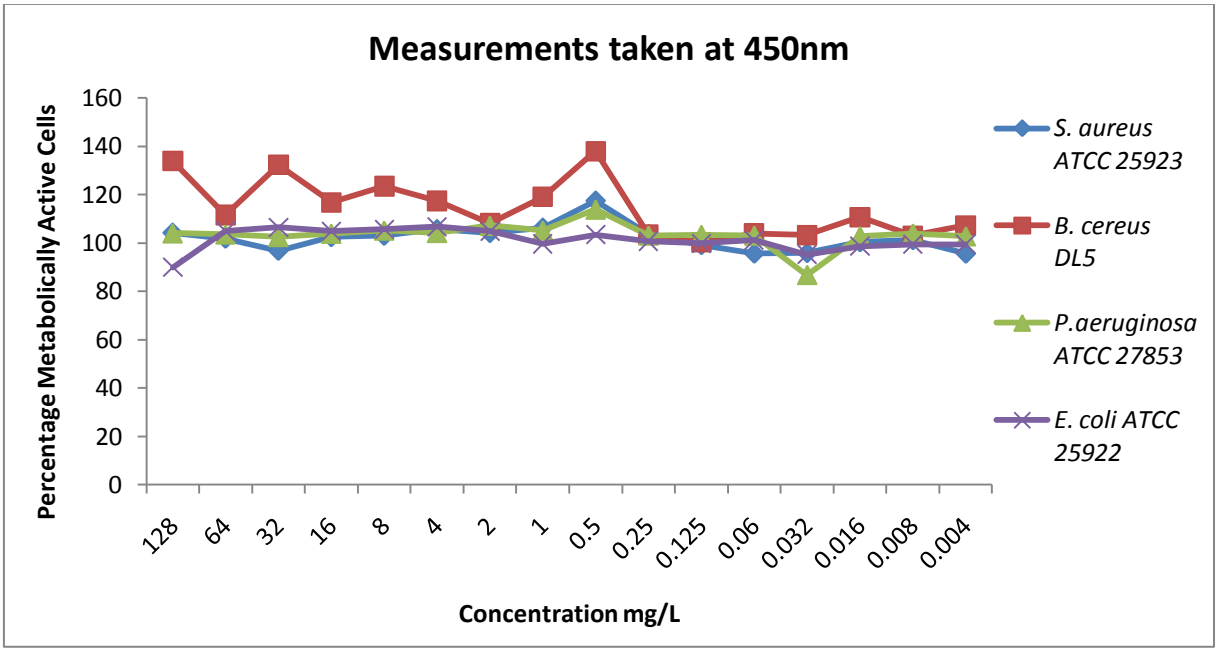
- ***Silanol Derivatives***



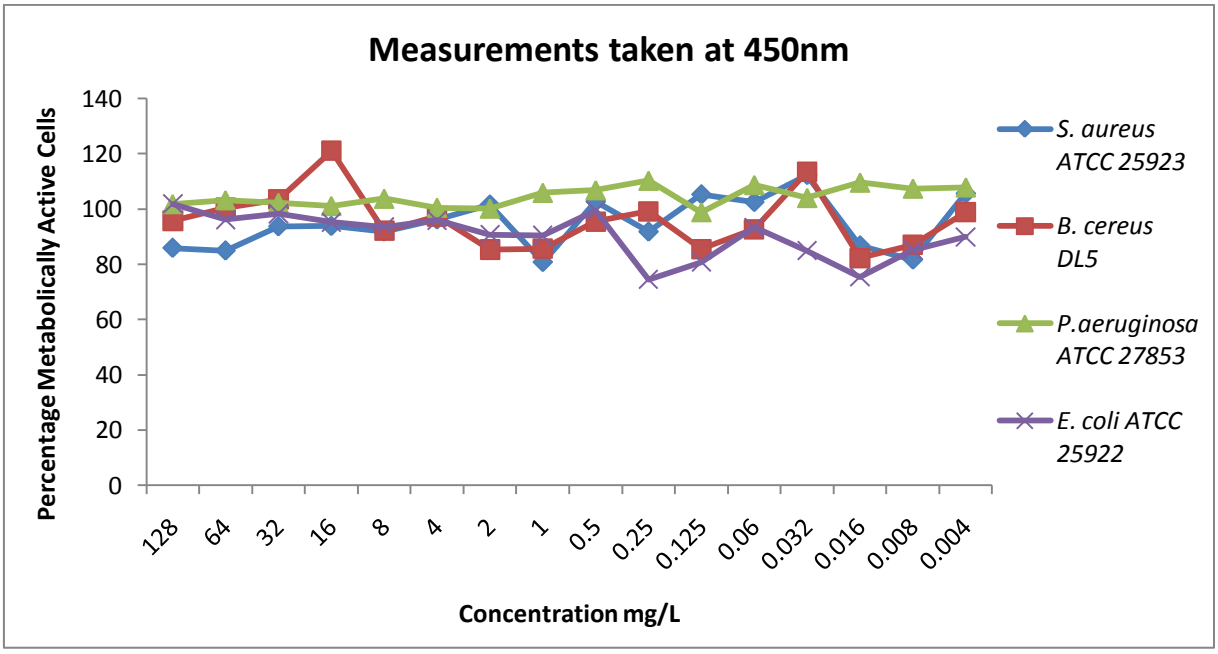
Graph 46 Standard curve for triethylsilanol **176**



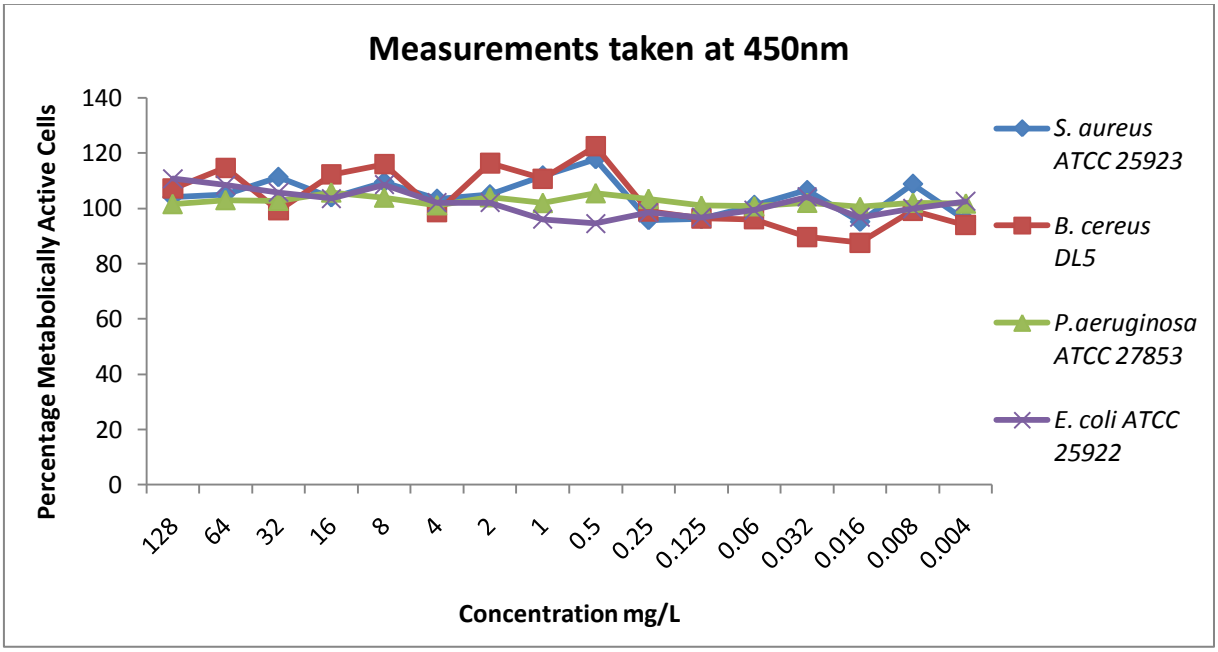
Graph 47 Standard curve for tripropylsilanol **256**



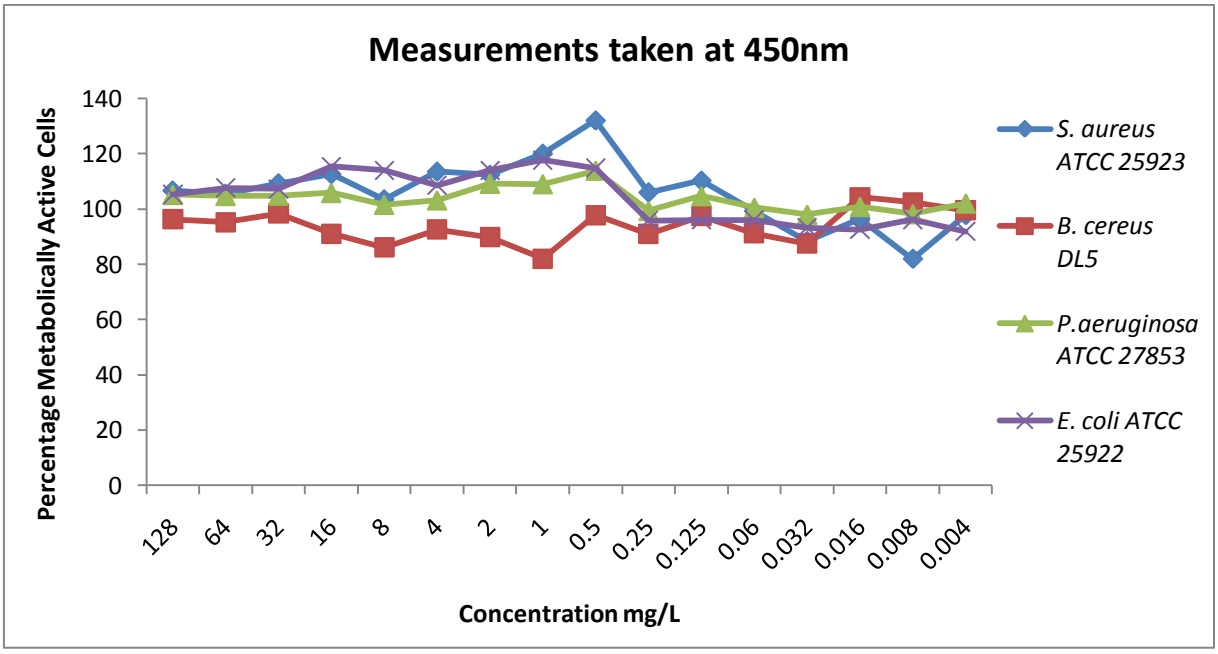
Graph 48 Standard curve for butyldimethylsilanol **258**



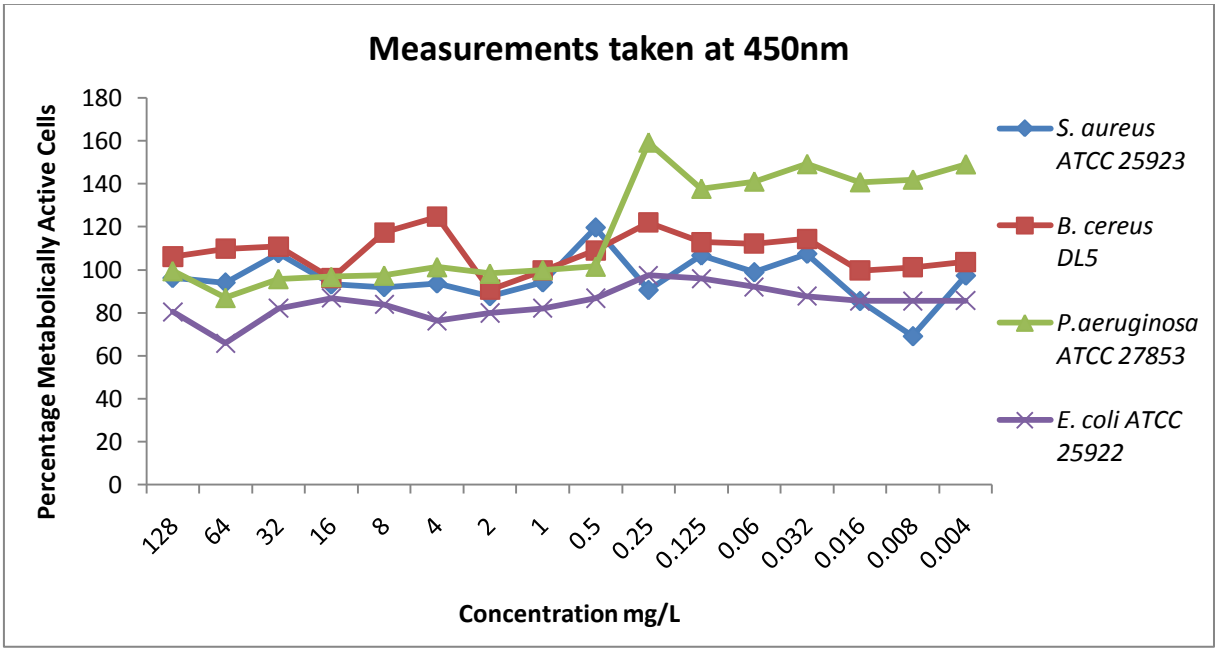
Graph 49 Standard curve for *tert*-butyldimethylsilanol **261**



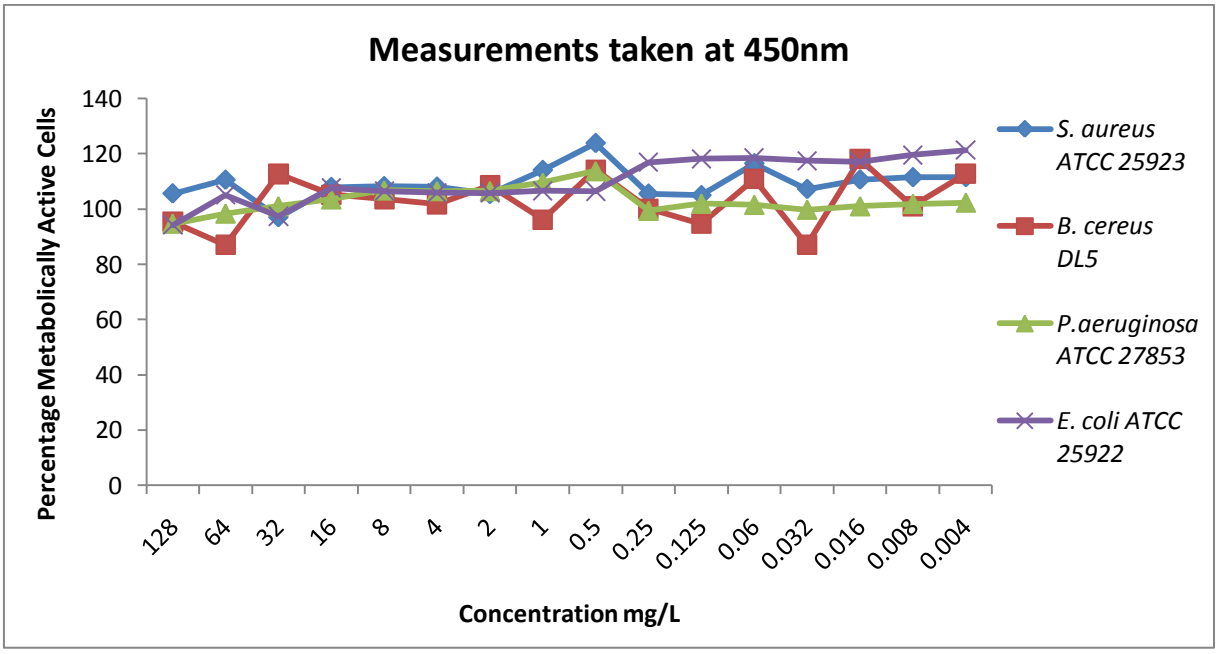
Graph 50 Standard curve for tributylsilanol **259**



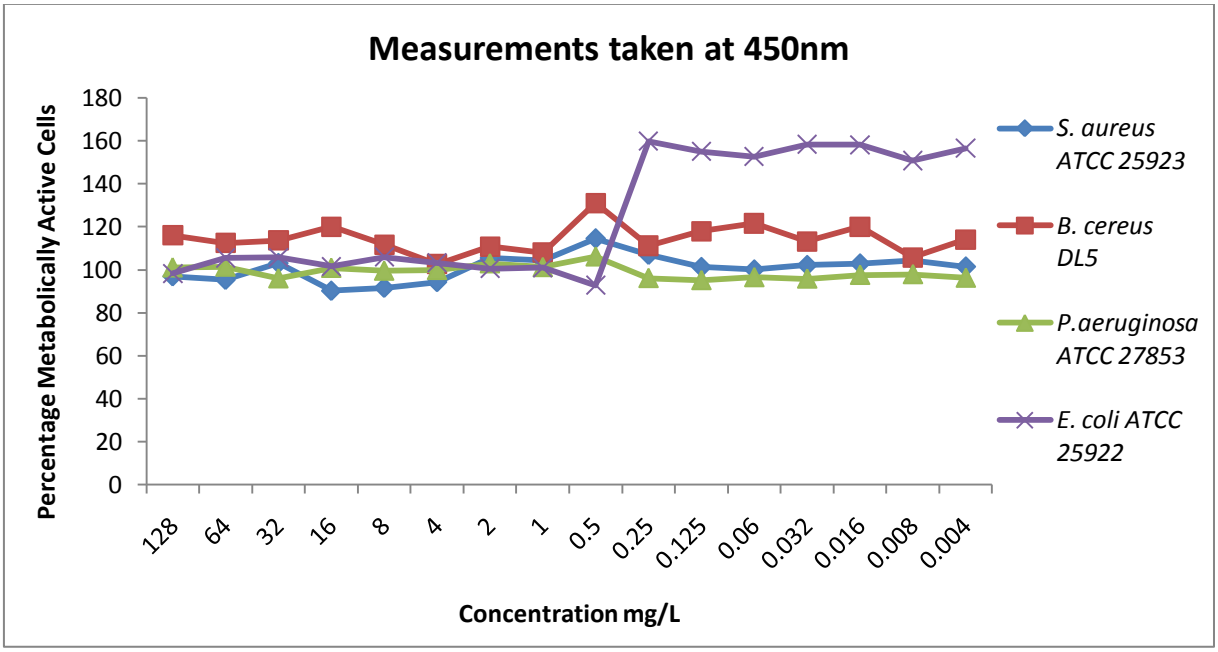
Graph 51 Standard curve for triisobutylsilanol **260**



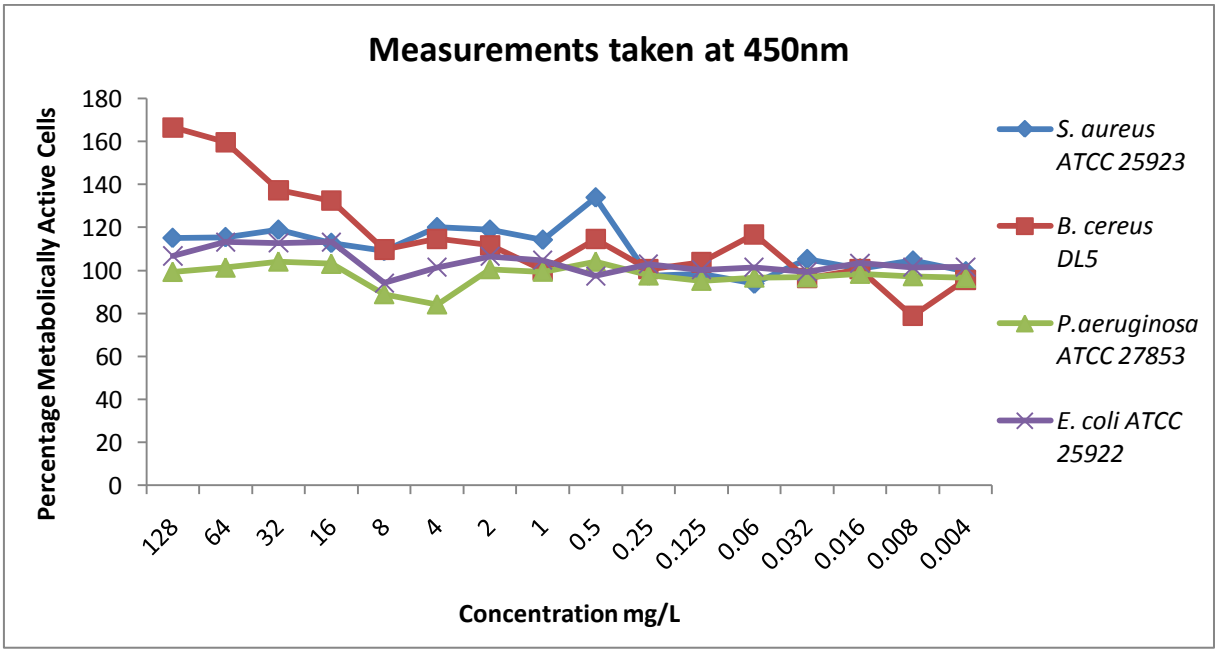
Graph 52 Standard curve for dimethylthexylsilanol **262**



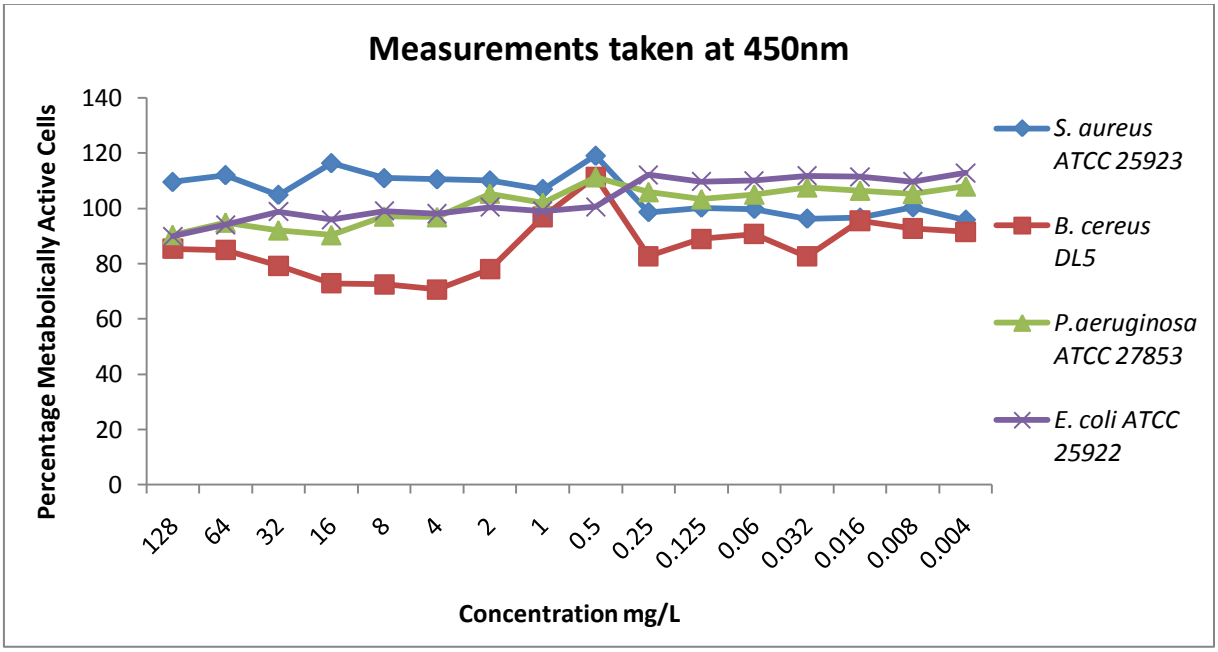
Graph 53 Standard curve for trihexylsilanol **263**



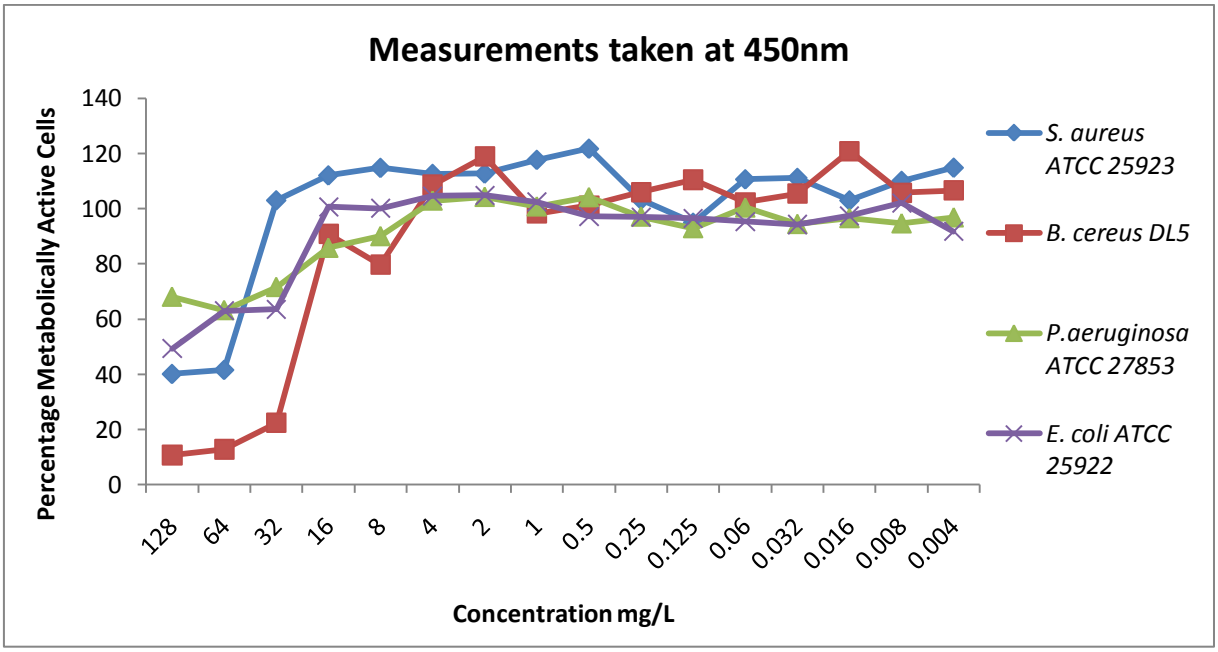
Graph 54 Standard curve for cyclohexyldimethylsilanol **264**



Graph 55 Standard curve for benzyldimethylsilanol **265**

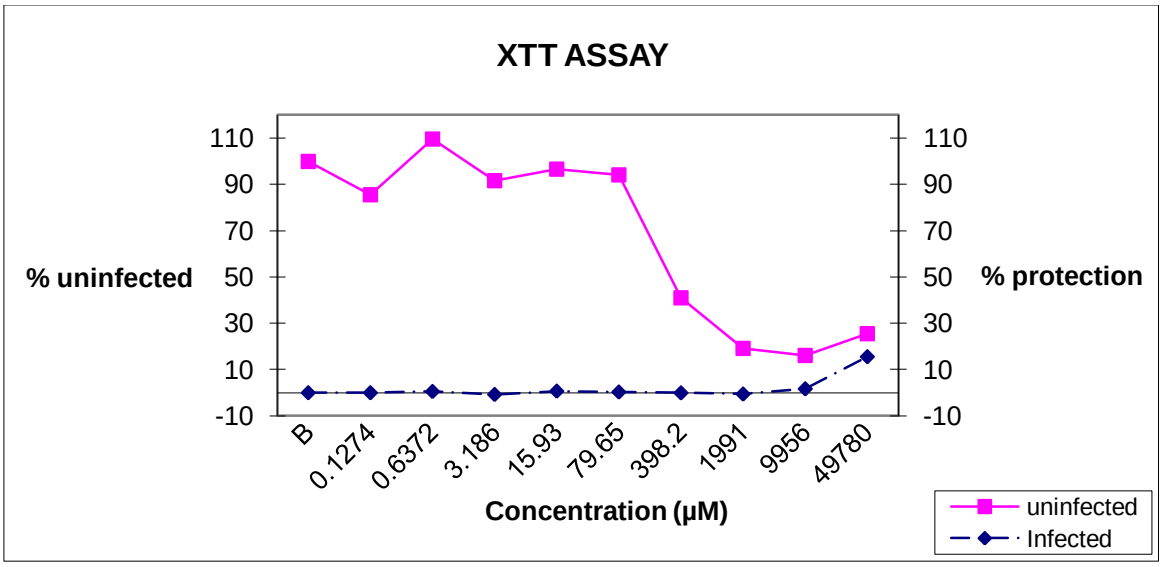


Graph 56 Standard curve for triphenylsilanol **266**

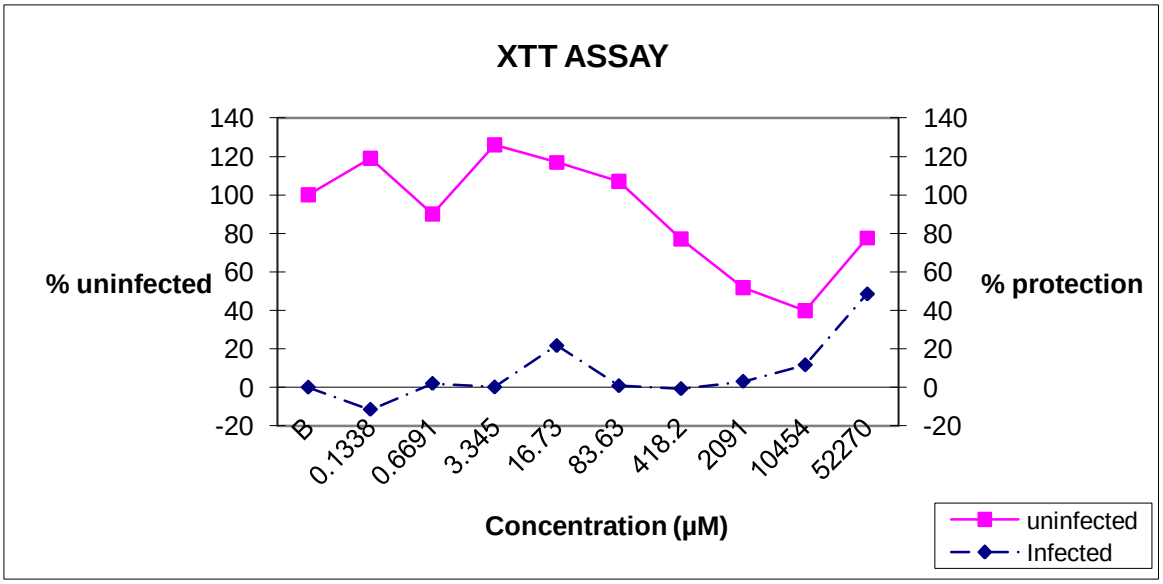


Graph 57 Standard curve for 1,3-dihydroxy-1,1,3,3-tetraisopropyldisiloxane **268**

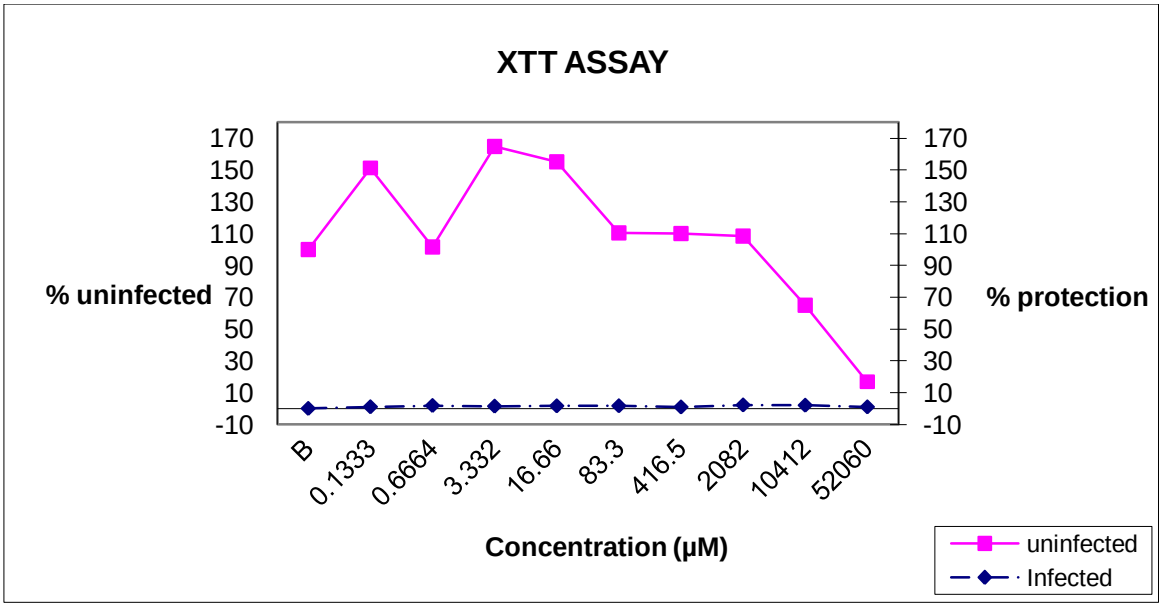
Supporting Information from XTT Assay for HIV Activity –"First Generation Compounds"



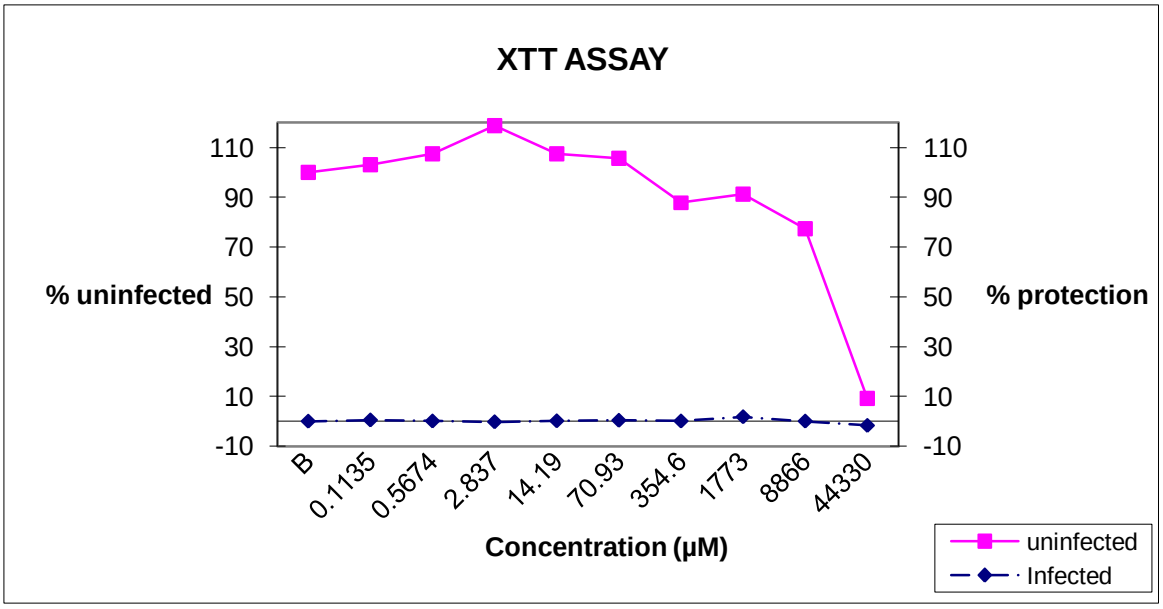
Graph 58 Results obtained for HIV activity for compound: 5'-*O*-(*tert*-butyl-diphenylsilyl)adenosine **142**, where B = blank



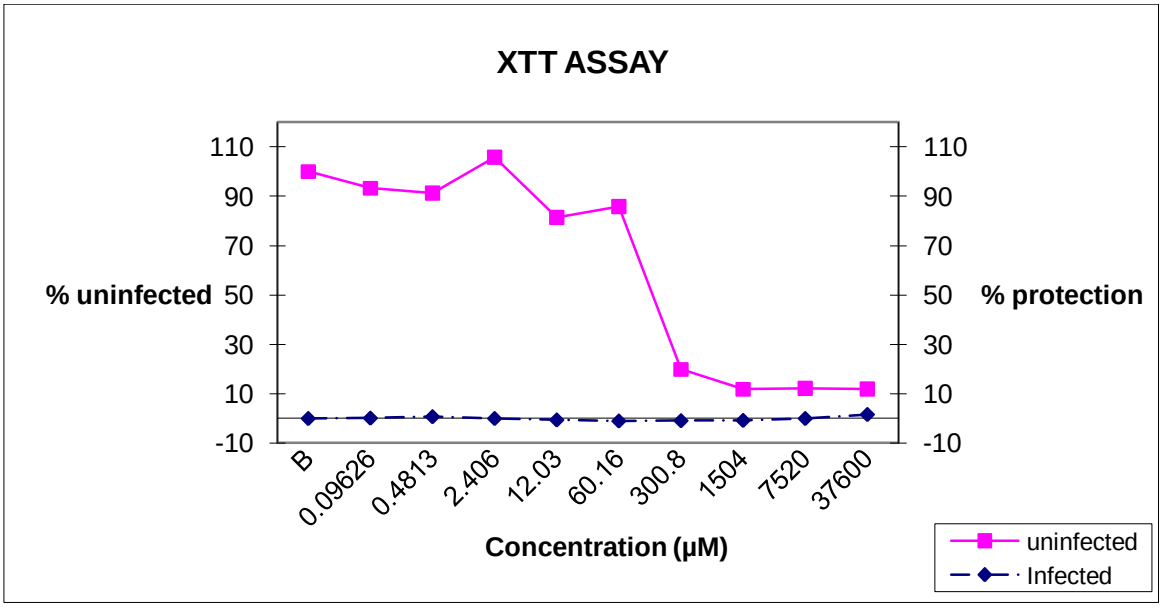
Graph 59 Results obtained for HIV activity for compound: 5'-*O*-(*tert*-butyl-diphenylsilyl)cytidine **134**, where B = blank



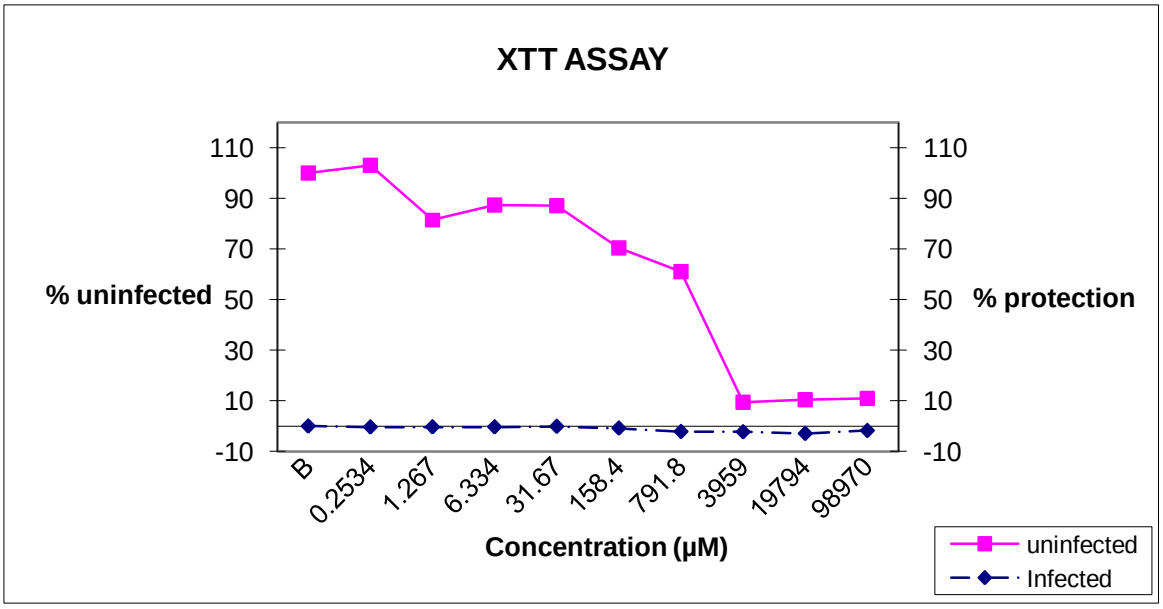
Graph 60 Results obtained for HIV activity for compound: 5'-*O*-(*tert*-butyl-diphenylsilyl)uridine **107**, where B = blank



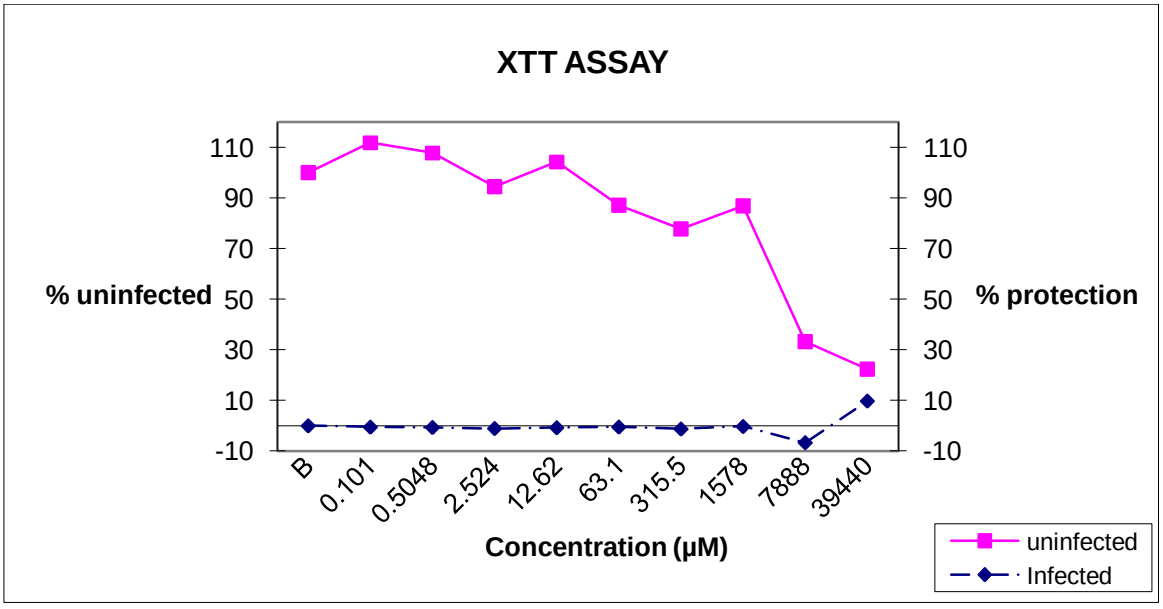
Graph 61 Results obtained for HIV activity for compound: 2',3'-*O*-diacetyl-5'-*O*-(*tert*-butyl-diphenylsilyl)uridine **123**, where B = blank



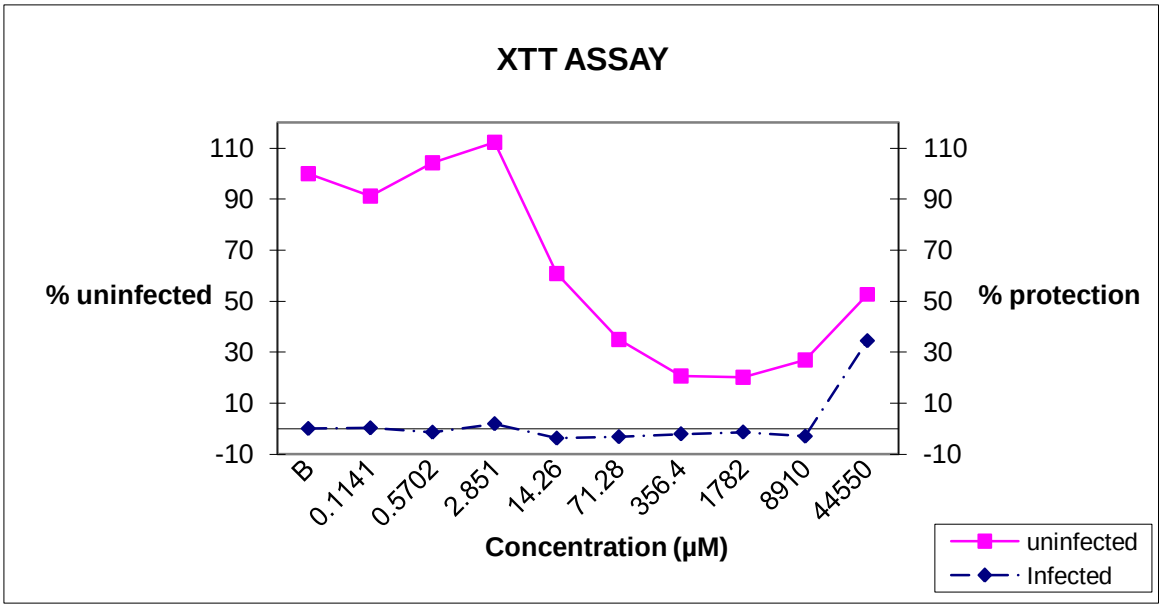
Graph 62 Results obtained for HIV activity for compound: 3-*N*-benzoyl-2',3'-*O*-diacetyl-5'-*O*-(*tert*-butyldiphenylsilyl)uridine **124**, where B = blank



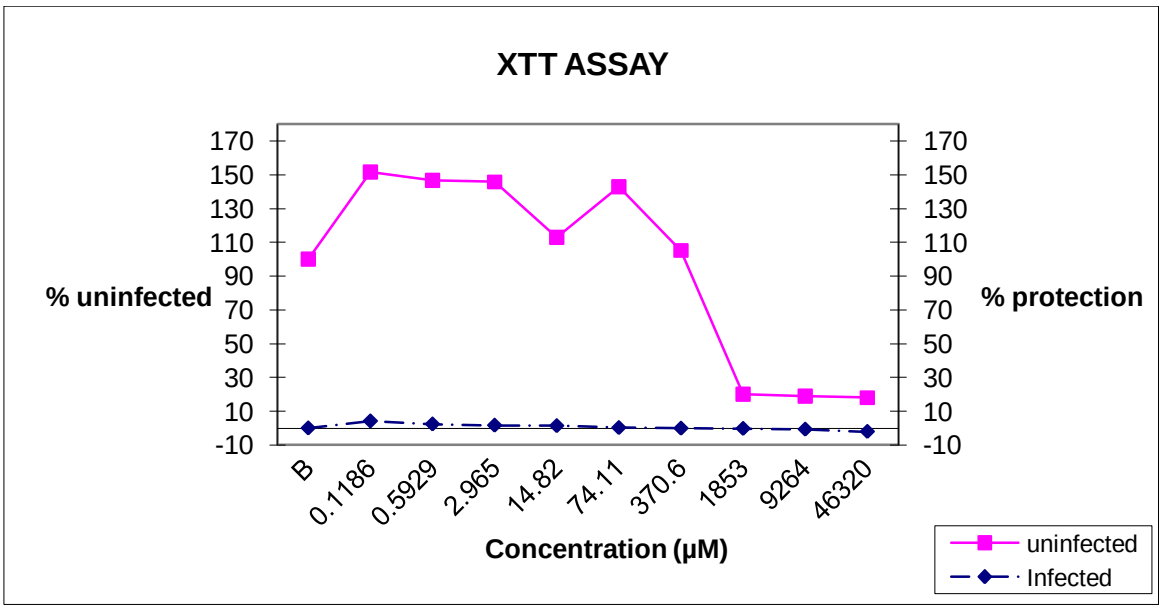
Graph 63 Results obtained for HIV activity for compound: *tert*-butyldiphenylsilanol **145**, where B = blank



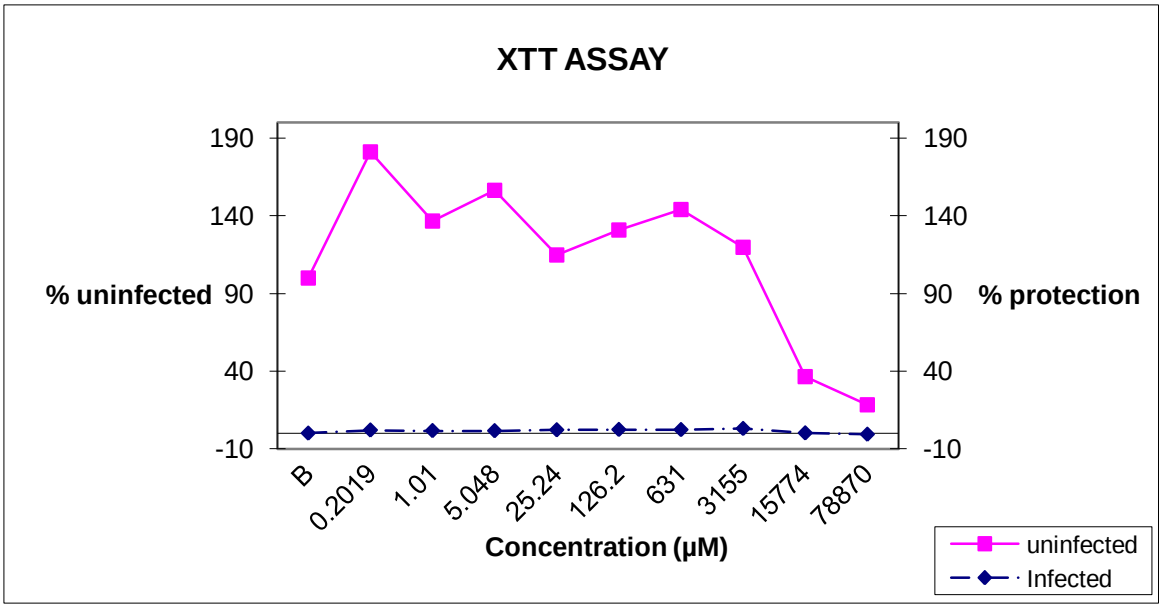
Graph 64 Results obtained for HIV activity for compound: 5'-*O*-(4,4'-dimethoxytrityl)-6-*N*-(*N*,*N*-dimethylmethylimine)guanosine **113**, where B = blank



Graph 65 Results obtained for HIV activity for compound: 5'-*O*-(4,4'-dimethoxytrityl)inosine **117**, where B = blank



Graph 66 Results obtained for HIV activity for compound: 5'-*O*-(4,4'-dimethoxytrityl)uridine **126**, where B = blank



Graph 67 Results obtained for HIV activity for compound: 4,4'-dimethoxytrityl alcohol **147**, where B = blank